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* **IN THE HIGH COURT OF DELHI AT NEW DELHI**

Reserved on: 09.01.2015
Pronounced on: 20.03.2015

\+ **FAO (OS) 190/2013, C.M. APPL. 5755/2013, 466/2014 & 467/2014**

MERCK SHARP AND DOHME CORPORATION AND ANR.
……Appellant
Through: Sh. T.R. Andhyarujina, Sh. Kapil Sibal, Sh. Prag Tripathi, Sr. Advs. with Sh. Pravin Anand, Ms. Tusha Malhotra, Ms. Udita. M. Patro and Sh. Salim Inamdar, Advocates.

Mr. Michael Fysh, QC SC and Ms. Archana Shanker, Advocate.

Versus

GLENMARK PHARMACEUTICALS ……..Respondent
Through: Dr. Abhishek Manu Singhvi, Ms. Prathiba. M. Singh, Sh. Rajiv Virmani, Sr. Advocates with Ms. Saya Choudhary Kapur, Ms. Anusuya Nigam and Sh. Saurabh Anand, Advocates.

CORAM:
HON'BLE MR. JUSTICE S. RAVINDRA BHAT
HON'BLE MR. JUSTICE NAJWI WAZIRI

MR. JUSTICE S. RAVINDRA BHAT

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1. The appellant – Merck Sharp & Dohme (hereafter "MSD") – is aggrieved by the dismissal of its application for an *ad interim* injunction restraining the respondent/defendant Glenmark Pharmaceuticals (hereafter

"Glenmark") from using its patented product Sitagliptin (Indian Patent No. 209816, hereafter "*the patent*" or "*the suit patent*"). MSD, in its suit, claimed permanent injunction restraining infringement of the patent, damages, rendition of accounts and delivery up. The suit patent concerns a drug which lowers blood sugar levels in Type 2 Diabetes Mellitus ("T2DM") patients. Glenmark was on *caveat:* the learned Single Judge heard the parties at the first hearing. Glenmark opposed the application for *ad interim* injunction and relied on documents produced during the hearing. The learned Single Judge rejected the injunction application.

MSD's Contentions - Pleadings and Submissions

2. MSD, a New Jersey incorporated company, imports and sells the drugs in question, after local packaging, under the trademarks "Januvia" and "Janumet", in India. In addition, MSD also works its invention through Sun Pharmaceutical Industries Ltd, the second plaintiff, its marketing and distributing licensee for the drugs in question in this suit, which are sold under the trademarks "Istavel" and "Istamet". MSD claims that it holds the patent – IN 209816 (hereafter referred to as "the suit patent") – which covers the said drugs. Glenmark is another multinational pharmaceutical company. It launched its products under the trademarks "Zita" and "Zitamet". Both Glenmark's and MSD's products seek to treat T2DM.

3. MSD alleges that Glenmark's products infringe its patent. MSD also claims that it has been granted patents in 102 countries for the suit patent formulation i.e. the Sitagliptin molecule. The Indian Patent application was filed on 06.01.2004; the international application being PCT/US2002/021349 filed on 05.07.2002 with the priority date 06.07.2001.

The suit patent was finally granted on 06.09.2007, bearing the title "*Beta-Aminotetra Hydroimidazo - (1, 2-A) Pyrazines And Tetrahydrotrioazolo (4, 3-A) Pyrazines As Dipeptidyl Peptidase Inhibitors For The Treatment Or Prevention Of Diabetes*". It is claimed that the application for the said patent was not opposed either in pre-grant or post-grant proceedings by anyone, including Glenmark, despite extensive publicity for the commercial product sold in India under the brand names *'Januvia'* and *'Janumet'*. The Sitagliptin phosphate monohydrate salt is sold under the trademarks *Januvia*, by MSD and *Istavel*, by its licensee. Likewise, the combination of Metformin and Sitagliptin (in its diphosphate monohydrate salt) is sold under the trademark *Janumet*, by MSD and *Instamet*, by its licensee.

4. According to MSD, Sitagliptin is the active pharmaceutical ingredient in the said drugs, which was approved for sale in the United States of America in October 2006 and in the Indian market on 23.08.2008. The suit patent has 20 claims of which Sitagliptin is covered in 13 claims. Sitagliptin and its pharmaceutically acceptable salts are specifically claimed by Claim No.19 of the suit patent. Claim No.1 embraces all forms of Sitagliptin, all Stereoisomers[1], including R Stereoisomers in the commercial product, all salts and solvates of Sitagliptin and the Sitagliptin molecule (i.e. the free amine). The plaintiff has outlined the technical details pertaining to Sitagliptin and emphasized that the commercial product comprises the R-

[1] Two molecules are described as stereoisomers of each other if they are made of the same atoms, connected in the same sequence, but the atoms are positioned differently in space. The difference between two stereoisomers can only be seen when the three dimensional arrangement of the molecules is considered. Stereoisomers are a type of isomer (i.e different substances that have the same formula). Ref. http://www.chemicool.com/definition/stereoisomers.html.

stereoisomer[2] of Sitagliptin, in the phosphate monohydrate salt form. The said patent claims R and S forms of Sitagliptin and its pharmaceutically acceptable salts in genus claim 1 as well as the specific R-Sitagliptin molecule in Claim 19. It is stated further that the MSD paid special regard to public interest and launched *'Januvia'* at ₹43/- a pill in the Indian market in April 2008 at roughly 1/5th of its price in the US. It is claimed that MSD spoke to over 350 doctors before launching the product.

5. MSD alleges that it actively pursues the indigenization of its products, and in 2012, bulk packs of its Sitagliptin products were imported from Italy and sold by its local licensees. The plaintiff has also disclosed its sales figures, claiming that from the initial sales of ₹17,76,65,940/- (for Januvia) and ₹1,37,91,420/- (for Janumet) in 2008, the figures have increased to ₹96,24,48,996/- (for Januvia) and ₹95,64,87,772/- (for Janumet) in 2012. MSD further claims to have launched a helpline, the first of its kind, to facilitate optimal and comprehensive management of patients with T2DM by enhancing their understanding, ensuring compliance with prescribed therapy etc. It claims to have spent about ₹10 crores on such patient access programs. The suit also outlines other measures and the expenditure

[2] The nomenclature system is sometimes called the CIP system or the R-S system, based on three scientists' names, R. S. Cahn, C. K. Ingold and V. Prelog. In the CIP system of nomenclature, each chiral center in a molecule is assigned a prefix (R or S), according to whether its configuration is right- or left-handed. No chemical reactions or interrelationship are required for this assignment. The symbol R comes from the Latin *rectus* for right, and S from the Latin *sinister* for left. The assignment of these prefixes depends on the application of two rules: The Sequence Rule and The Viewing Rule. (Ref. https://www2.chemistry.msu.edu/faculty/reusch/virttxtjml/sterism3.htm).

undertaken to educate patients and the general public about the suit patent and the products derived from it.

6. It is alleged that Glenmark was aware of the suit patent – specifically Sitagliptin and its pharmaceutically acceptable salts – especially since it cited them in support of its patent claim in the United States, being US Patent 8334385 dated 18.12.2012. The suit relies upon extracts of the said patent claims which specifically refer to Januvia. It is argued that Glenmark's patent in the US claims the crystalline[3] R Sitagliptin free amine[4]. It is urged that Glenmark does not have freedom to operate in the US based on its patent because of MSD's US compound Patent No. 6699871. MSD argues that Glenmark infringes its suit patent as its product Sitagliptin Phosphate Monohydrate is covered by Claim 19 and well as the other 13 claims made under it, and further that Glenmark infringes its suit patent as its product Sitagliptin Phosphate Monohydrate cannot be prepared without manufacturing the active ingredient, the Sitagliptin molecule. Therefore, it is urged that the use of Sitagliptin claimed by IN 209816 to

[3] With few exceptions, the particles that compose a solid material, whether ionic, molecular, covalent, or metallic, are held in place by strong attractive forces between them. When we discuss solids, therefore, we consider the *positions* of the atoms, molecules, or ions, which are essentially fixed in space, rather than their motions (which are more important in liquids and gases). The constituents of a solid can be arranged in two general ways: they can form a regular repeating three-dimensional structure called a crystal lattice, thus producing a crystalline solid, or they can aggregate with no particular order, in which case they form an amorphous solid (from the Greek *ámorphos*, meaning "shapeless"). Sourced from:http://catalog.flatworldknowledge.com/bookhub/4309?e=averill_1.0-ch12_s01

[4] According to the International Union of Pure and Applied Chemistry (IUAPC) nomenclature, functional groups are normally designated in one of two ways. The presence of the function may be indicated by a characteristic suffix and a location number. This is common for the carbon-carbon double and triple bonds which have the respective suffixesene and yne. Halogens, on the other hand, do not have a suffix and are named as substituents, for example: $(CH_3)_2C=CHCHClCH_3$ is 4-chloro-2-methyl-2-pentene. Amines are derivatives of ammonia in which one or more of the hydrogens has been replaced by an alkyl or aryl group. The nomenclature of amines is complicated by the fact that several different nomenclature systems exist, and there is no clear preference for one over the others. (Ref. https://www2.chemistry.msu.edu/faculty/reusch/virttxtjml/amine1.htm)

prepare Sitagliptin Phosphate Monohydrate by Glenmark infringes MSD's exclusive right.

7. The learned Senior Counsel, Mr. T.R. Andhyarujina for MSD argues, that its drug Sitagliptin is the first in its class of compounds that inhibits the enzyme Di Peptidyl Peptidase-IV ("DPP-IV"). Urging that the current opinion about the origin of T2DM associates it with insulin resistance resulting in high glucose levels, the learned counsel contends that most common drugs enhance insulin production in the body thereby controlling glucose level. For instance, Metformin is established in the market for treatment of diabetes. Such products have unwarranted side effects of dramatically lowering blood glucose levels which can lead to hypoglycemia[5]. MSD claims that its new drugs function through a different mechanism and inhibit DPP-IV which blocks the production of two peptides called GIP and GLP-I that are released into the human body upon consumption of food[6]. This prevents the possibility of hypoglycaemia, as the new drugs control glucose produced only after meal intake. It is stated that MSD took over 9 years of research and substantial amounts of monetary investment to develop this drug.

[5] Hypoglycemia is a condition characterized by abnormally low blood glucose (blood sugar) levels, usually less than 70 mg/dl - (Ref. http://www.diabetes.org/living-with-diabetes/treatment-and-care/blood-glucose-control/hypoglycemia-low-blood.html)

[6] DPP-4 inhibitors work by blocking the action of DPP-4, an enzyme which destroys the hormone incretins, which help the body produce more insulin only when it is needed and reduce the amount of glucose being produced by the liver when it is not needed. These hormones are released throughout the day and levels are increased at meal times. (Ref. http://www.diabetes.org.uk/Guide-to-diabetes/What-is-diabetes/Diabetes-treatments/DPP-4-inhibitors-gliptins/)

8. The learned counsel argued that the suit patent is infringed because Sitagliptin and any of its acceptable salts are covered by its claims, thus resulting in the making, using or offering for sale, importing into India etc. of Sitagliptin or any of its salts or any form amounting to infringement of the suit patent. The learned counsel also explains that Section 48 of the Indian Patent Act, 1970 extends exclusive rights to exclude others from making, using or offering for sale or importing into India products which fall within the scope of a suit claim. It is argued that Glenmark, by manufacturing, selling, offering for sale and advertising the pharmaceutical combinations Sitagliptin Phosphate Monohydrate under the brand *Zita* and Sitagliptin Phosphate Monohydrate and Metformin Hydrochloride under the brand name *Zitamet* infringes the suit patent and all its claims. It was underlined that Sitagliptin Phosphate Monohydrate cannot be prepared without manufacturing the active ingredient Sitagliptin molecule. Therefore, the use of Sitagliptin claimed by IN 209816 to prepare Sitagliptin Phosphate Monohydrate by Glenmark infringes the suit patent.

Impugned order

9. The suit was filed before this Court on 01.04.2013. Glenmark was on caveat and appeared before the learned Single Judge on the first date of hearing. Its contentions were also heard. On that first date of hearing, 02.04.2013, the learned Single Judge heard the suit along with the application IA 5167/2013, which sought *ad interim* injunction. In the hearing, MSD's counsel relied upon the suit allegations set out in the previous portions of this judgment and also relied upon certain judgments of the Courts. Glenmark, on the other hand, contended that MSD was guilty of

suppression on account of non-disclosure of the abandonment of its patent application for the Sitagliptin Phosphate. This submission was elaborated stating that MSD's product comprises of three parts, 'S', 'PD', and 'DC'. It is argued on behalf of Glenmark that MSD had separate patents for these parts in the US, and that in India, even though it holds the patent for S- i.e. "Sitagliptin", it had applied for separate patents for the other two, which were subsequently abandoned. In support, a compilation of documents was handed over during the hearing. It is also submitted that Application No. 5948 was filed (by MSD) for the invention "PD", i.e. Phosphoric Acid Salt of a DPP IV Inhibitor, in which the combination was described as a "novel salt" and a new discovery over its patented 'S'; having itself claimed novelty of the S and PD combination, MSD cannot now be heard to argue that Glenmark's combination of S and PD is an infringement of MSD's patent in S. Furthermore, it was argued that if Sitagliptin were not a distinct product from Sitagliptin Phosphate, then MSD would never have sought to apply for separate patent protection for the latter in the US, and in India (which effort was concededly abandoned). It was further urged that nine other entities or individuals were marketing Sitagliptin Phosphate Monohydrate.

10. By the order dated 02.04.2013/05.04.2013, learned Single Judge in paragraph 22 was of the opinion that a minor variation in the combination in Glenmark's product (phosphate with Sitagliptin) could not mean that there was no infringement; trifling variations had to be ignored. However, he went on to notice that MSD, as patentee of Sitagliptin was not marketing Sitagliptin alone as a product and was marketing Sitagliptin in combination with phosphate, just like Glenmark. Nevertheless, he noticed that interim

relief and the pleadings did not suggest that Sitagliptin Phosphate made by Glenmark was with the same object as MSD's patent; equally he noted that there was no pleading that the mere addition of phosphate to Sitagliptin did not embody an inventive advancement. The impugned judgment, therefore, concluded that the plaintiff did not prove the case it ought to have i.e. how Sitagliptin Phosphate is merely a new form of Sitagliptin that was medically equivalent to Sitagliptin, thus rendering the interim injunction unwarranted. The impugned order relied upon a Division Bench ruling in *Hoffman La Roche Ltd. v. CIPLA* 2012 (52) PTC 1 (Del) to the effect that if a related patent claim in India is rejected and that information is not forthcoming at the time of the subject patent claim, no injunction can be granted.

Hearings before the Division Bench

11. This Court while hearing the present appeal on 12.04.2013 recorded the agreement on behalf of Glenmark that since the learned Single Judge had proceeded to dismiss *ad interim* injunction application at the preliminary hearing, it would be granted the liberty to file its substantive reply under Order XXXIX Rule 1 CPC and also produce and place on record all the necessary documents; MSD was also permitted the liberty to file its reply and documents if they wished to place any on record. After this course was completed on 23.05.2013, the Court recorded as follows:

> "*It is clarified by counsel for the respondent that the merits of the interim relief application can be gone into and decided finally by this Court. Counsel for the respondent made this statement after securing the necessary instructions in this regard. It was in these circumstances that the argument on the appeal as to the grant of injunction or appropriate orders to be made under Order XXXIX*

Rules 1 and 2 were heard; since the judgment was not sought for some time, the matter was listed on 06.01.2014."

MSD's arguments in appeal

12. MSD argues that its non-disclosure of applications (which were not pursued by it) was an inessential detail which should not have clouded the debate on whether Glenmark infringed its suit patent. It was submitted that the subject of the European Patent, and the application No. 5948/DELNP/2005 (filed on 18.06.2004 - in respect of the Phosphoric Acid Salt of a DPP-IV inhibitor that claimed Dihydrogenphosphate salt of Sitagliptin and was abandoned under Section 21(1) on 23.08.2010) could not have been the basis for refusing *ad interim* injunction. It was submitted in this context that the obligation to disclose material and essential facts was a subject of ongoing debate, as evidenced by the judgment in *Novartis AG* v. *Union of India*, 2013 (6) SCC 1. It was submitted that there can be cases where the coverage of a patent claim can be more than its disclosure. It was urged that moreover, Explanation to Section 3 (d) of the Patents Act was the reason behind why MSD did not pursue its patent claim in Application No. 5948 in respect of Dihydrogenphosphate salt of Sitagliptin. Furthermore, the learned counsel submitted that the latter claim in effect was an improvement patent, the claim for which was not precluded. He relied on the judgment reported as *CFMT Inc* v. *YieDup International Corporation* 349 F.3d 1333.

13. Mr. Andhyarujina, the learned Senior Counsel for MSD next argued that the basic question to be addressed was whether MSD's grievance that Glenmark had infringed its suit patent was borne out *prima facie* from the records. He contended that it was; to demonstrate that, he placed reliance on Glenmark's US Process Patent No. US8334385 dated 18.12.2012. This

patent is for *"Process for the preparation of R. Sitagliptin and its pharmaceutical salts"*. This patent, argues counsel, clearly admits that Sitagliptin is developed for the treatment of T2DM and is the active free base[7]. It also gives the full description of the process for preparing Sitagliptin freebase in the patent specification which is Scheme '6' in Merck's patent; reliance is placed on MSD's US patent for Sitagliptin in support. The claim of Glenmark's patent is for a crystalline salt of Sitagliptin. It is stated that suitable "pharmaceutically acceptable" acids include phosphoric acid. Glenmark however, did not disclose this patent in its reply. It totally disproves the allegation that Sitagliptin was not disclosed in the suit patent and was not capable of industrial application. Consequently, submitted MSD, on Glenmark's admission, Sitagliptin and its pharmaceutically acceptable salt is incorporated within the MSD's patent, and Glenmark cannot be heard to state to the contrary. Mr. Andhyarujina relies on the World Health Organization (WHO) assigning Sitagliptin an "INN" name. For this reason, Glenmark in their US patent refer to the chemical compound as Sitagliptin and not by its chemical name. The submission was that anyone using the INN Sitagliptin is unquestionably referring to the same chemical name and structure as given in MSD's patent specification and claims.

[7] A substance or compound that is intended to be used in the manufacture of a pharmaceutical product as a therapeutically active compound.
(Ref.apps.who.int/prequal/trainingresources/pq_pres/daressalam.../apis.ppt)

14. MSD urges that the active ingredient of a pharmaceutical compound is often administered in the form of a salt. The use of a salt increases the water solubility and improves the stability of the drug compound - to say this, reliance is placed on *Pharmaceutical Patent Law*[8] by John Thomas at p. 43. Therefore, a salt of a basic compound is prescribed for convenience in a drug. Sitagliptin is a basic compound which, when taken with phosphoric acid facilitates administration of the drug. Glenmark's allegation that the only product which is *"exemplified, disclosed and enabled"* in the suit patent is Sitagliptin HCL, referring to Example 7, and that Sitagliptin Phosphate Monohydrate is not disclosed in the suit patent is seriously contested. Counsel submitted that there is no one single disclosure in the Suit Patent as alleged by Glenmark. Example 7 is not the entire scope of MSD's patent but is one instance of pharmaceutical salt for Sitagliptin. Every compound, under the patent, of Formula 1 is encompassed in the patent, particularly those compounds, which are, enumerated in the specific claims e.g. Claim No.19 which comprises Sitagliptin or a pharmaceutically acceptable salt thereof. Stressing that it would be wrong to read Example 7 as the entire reach of MSD's specification, it is argued that the patent must be read as covering all the compounds of Formula 1 and not one particular compound stated in Example 7 which mentions a salt of Hydrochloride. MSD relies on *Pharmaceuticals: Biotechnology and the Law*,[9] especially the chapter 'Claims to New Chemical Entities' at p. 75 ¶5.15. It was contended that the Supreme Court in *Novartis AG* stated the applicable law and

[8] by John Thomas, Bna Books (2010)

[9] Authored by Trevor Cook, (Lexis Nexis)

rejected Novartis' argument that Imatinib Mesylate, a salt, was not disclosed by the Zimmerman patent, i.e. the imatinib free base. The learned counsel also disputes that even Sitagliptin was not disclosed in the patent claim and urges that Sitagliptin is disclosed and claimed by the claims and the patent specification. The patent specification also gives minute details of preparation of Sitagliptin free base in Scheme 6.

15. The learned counsel relies upon the disclosures made to the suit patent IN 209816 to say that the basic invention for which patent protection was sought was Sitagliptin "*with pharmaceutically acceptable salts thereof*". It is submitted that this is clearly stated in claims 01, 15, 17 and 19. Citing *Edward H. Phillips* v. *AWH Corporations*, 415F. 3d 1303 and *F. H and B Corporation* v. *Unichem Laboratories*, AIR 1969 Bom 255 it was argued that in patent law, claims are the vital part of the patent and the words of the claim define the scope of the invention. Counsel elaborated that there is no merit in Glenmark's plea with respect to Sitagliptin Hydrochloride in Example 07 being the only disclosure, on the ground that it is mentioned as a preferred salt. It was contended that the examiner in the European Patent Office during prosecution of the subsequent phosphate salt application, clearly stated that phosphates are included in the range of compounds disclosed in the basic salt application but if there was a selection, the properties of the selected salt should be brought out. MSD responded to this comment based upon two facts: one, that the phosphate salt was more suitable than others because of its stability and solubility and therefore the advantage of *"ease of processing, handling and dosing"* and two, that under the European law, a distinction exists between coverage and disclosure, and

even if the phosphate salt were covered by the claims of the basic patent, there may be not a specific disclosure through detailed example as opposed to a generic disclosure. In India, on account of Section 3(d) and the interpretation of the expression "efficacy" by courts, MSD abandoned the phosphate salt application.

16. It is stressed that Glenmark's ZITA (Sitagliptin Phosphate Monohydrate) and ZITA-MET (Sitagliptin Phosphate Monohydrate and Metformin) infringe the suit patent because Sitagliptin is made and used by Glenmark in ZITA and ZITA-MET when it makes salt Sitagliptin Phosphate Monohydrate. It is underlined that the phosphoric acid salt of Sitagliptin was disclosed in the suit patent itself as one of the pharmaceutically acceptable salts.

17. The learned counsel also submitted that the disclosure requirements mandated by law were fulfilled by MSD in its patent claims as they were comprehensible and could be worked by persons skilled in the art. It was highlighted that Glenmark's own claims in the US patent claim are testimony to the capability of Sitagliptin's patent application's ability to teach one skilled in the art to produce the drug.

Glenmark's pleadings and arguments

18. Glenmark urges that MSD's patent suit is liable to be dismissed. It argues, in its counter claim that the suit patent is liable to be revoked. It was first urged that MSD has not revealed its title to the suit patent. More substantially, it is urged that MSD did not approach the Court with clean hands and in this regard did not disclose that it filed several applications,

two of which were specifically abandoned, (i.e. International Application nos. 5948/DELNP/2005 filed on 18.06.2004 - in respect of Phosphoric Acid Salt of a DPP- IV inhibitor which claims Dihydrogenphosphate salt of Sitagliptin, and abandoned under Section 21(1) on 23.08.2010 and Application no. 1130/DELNP/2006, filed before the suit patent was granted, which was abandoned on 31.03.2011). The latter described the claims as *"Novel Crystalline forms of a phosphoric acid salt of a Dipeptidyl Peptidase IV inhibitor"* and specifically relied on crystalline form of Dihydrogenphosphate salt of Sitagliptin. Other Applications, Nos. 2710/CHENP/2008 (filed on 12.12.2006); 4922/DELNP/2010 filed on 15.01.2009 and No. 5603/DELNP/2010 filed on 23.02.2009 are awaiting examination. These, it is highlighted, should have been disclosed.

19. It was argued that MSD sought to mislead the Court, and made a false claim in respect of Sitagliptin Phosphate Monohydrate and combination of Sitagliptin Phosphate Monohydrate and Metformin Hydrochloride after urging that they are the subject matter of and thus consequently subsumed in the suit patent. Glenmark's Senior Counsel, Dr. A.M. Singhvi states that ZITA has Sitagliptin Phosphate Monohydrate as the active pharmaceutical ingredient for which no patent protection exists because Application No. 5948/DELNP/2005 was specifically abandoned. It was also argued that ZETAMET is a combination of Sitagliptin Phosphate and monohydrate and Metformin Hydrochloride which is not subject matter of any patent and application No. 2710/CHENP/2008 is awaiting examination before the Patent office.

20. Glenmark states that in Patent law whenever corresponding applications are lodged in different countries or when a patent application is filed claiming priority from a particular application - those have to be related to the "same invention" as contained in the prior document or corresponding foreign applications. MSD's representations, therefore, as to the progress of those applications are to be treated as admissions while considering patent claims and documents. Highlighting that MSD's common application failed to make full disclosure about the filing or pendency of Application nos. 5948, 1130 and 2710 which was subsequently discovered - Glenmark urges that the omission in the suit is fatal to MSD's claims. Section 8 is relied on to underline this argument; counsel also relies on the Division Bench ruling in *F.Hoffman La Roche* v. *Cipla*, 2009 (40) PTC 12. It was submitted that Section 8 of the Patents Act, 1970 requires Indian patent applicants to disclose all details of corresponding foreign patent applications. Thus, patent prosecution outcomes in foreign countries- such as the EPO, were material; the suppression of these precluded the grant of the suit patent itself.

21. Dr. Singhvi submits the MSD did not support its claims with any technical analysis either in the form of Differential Scanning Calorimetry (DSC)[10], Thermogravimetric Analysis[11] (TGA) or X-Ray Diffraction (XRD)

[10] A technique used to study what happens to the *thermal transitions* of polymers (a polymer referring to refers to a molecule whose structure is composed of multiple repeating units, from which originates a characteristic of high relative molecular mass and attendant properties) when they're heated. Thermal transitions are the changes that take place in a polymer upon heating. The melting of a crystalline polymer is one example. (Ref. http://pslc.ws/macrog/dsc.htm).

of Glenmark's products. This would have indicated that the active pharmaceutical ingredient is Zeta and Zeta Sitagliptin Phosphate Monohydrate and a combination of Sitagliptin Phosphate Monohydrate and Metformin Hydrochloride. Dr. Singhvi relied upon the affidavit of a neutral scientific expert to place on record the XRD data of Zeta and Zetamet to show that it corresponds to the application No.5948.

22. Glenmark describes itself a research-driven, global, integrated pharmaceutical company and discoverer of new molecules - both New Chemical Entities (NCEs) and New Biological Entities (NBEs) - with significant presence in branded generics markets across the emerging economies and global operations with more than 20 subsidiaries and over 9000 employees in 80 countries. It possesses 6 R&D centres and has 13 manufacturing facilities. Glenmark urges that it is currently among the world's top pharmaceutical companies. Glenmark urges that the suit patent description claims includes compounds which hinder DPP IV inhibitors, useful in treatment or prevention of disease where such enzyme is involved, like diabetes, i.e T2DM. Sitagliptin is a compound claimed (or its pharmaceutically acceptable salt). Glenmark argues that no details regarding the process to isolate Sitagliptin base was provided in the suit patent; the sole pharmaceutically acceptable salt for which there is an enabling disclosure was in example 7, i.e Hydrochloride salt. No other salt was exemplified in the patent specification. Glenmark relies on several decisions (*Teva Canada v Pfizer Canada* 2012 SCC 60); *Abraham Esau's & C.*

[11] Thermogravimetric Analysis (TGA) is used as a technique to characterize materials used in various environmental, food, pharmaceutical, and petrochemical applications. (Ref. http://www.perkinelmer.com/cmsresources/)

Lorenz Application 1932 (49) RPC 85; *John Willliam Howlett* – 1941 (9) RPC 9; *In re Shell Development Company* 1947 (64) RPC 151; *Pottier's Application* 1967 (6) RPC 170; *Eastman Kodak's Application* 1970 (87) RPC 548) to state that failure to properly disclose the invention and how it works leads one to conclude that the patent is invalid.

23. Glenmark avers, and Dr. Singhvi argues on its behalf, that the suit patent by the plaintiff's own admission is different from its product. The only exemplified salt being Sitagliptin Hydrochloride, no other salt can be claimed or covered in the impugned salt patent and the plaintiff, by its own admission equivocally, through several documents admitted that the suit patent is distinct and different from the Sitagliptin Phosphate Monohydrate (SPM) as well as its combinations with Metformin Hydrochloride. Urging that the latter two products are the subjects of separate patents, Glenmark highlights that this is clear admission that they are not covered by the suit patent. In this respect, the details of the plaintiff's application, i.e. 5148/DELNP/2005, especially, Claim no.1 and International Patent US 2004027983, again claim no.1 are relied upon. The said salt, i.e. SPM was also claimed to possess tremendous advantages over free base and previously disclosed hydrochloride salt. MSD's said patent application no. 5948/DELNP/2005 for SPM was specifically abandoned. In this context, submits Glenmark, MSD's admission that the monobasic dihydrogenphosphate salt was "newly discovered" was made for the first time in 2003 in its patent application for SPM that was applied for and registered in several jurisdictions other than India. This admission, i.e that SPM was newly discovered in 2003 completely demolishes its current

attempt to suggest and claim that SPM is subsumed within the suit patent. Thus, by the present appeal MSD attempts to *mala fide* enlarge its monopoly by seeking to injunct Glenmark's products containing SPM. It is also submitted that the claims sought to be pursued by MSD in effect is patent monopoly that is overbroad and unworkable; it includes possibly 4.9 billion compounds and such elastic claims cannot be sustained. Such claims are known as *Markush* claims (based on the US Patent ruling in *Ex parte Markush.*, 1925 Dec Comm'r Pat, 126 (1924)).

24. It is argued that MSD, in its application in respect of SPM, admits that there was no specific disclosure of the newly discovered dihydrogen phosphate salt of Sitagliptin in the suit patent. It is also claimed that the dihydrogenphosphate salt of Sitagliptin has enhanced chemical and physical stability which is a pre-condition for clinical development. Similarly, it was only in this 2003 application that MSD for the first time disclosed the process for isolation of Sitagliptin Free Base. This disclosure is completely different from the disclosure made in the suit patent by MSD in Example 7 which discloses Sitagliptin HCl and not Sitagliptin Free base.

25. Similarly, Indian Application No. 1130/DELNP/2006 and its claim and the corresponding international claim PCT/US/2006/047380 are relied on. According to Glenmark, abandonment of these two applications amounted to MSD's admission and they are not subsumed under the suit patent. Glenmark highlights that the claim subject matter as disclosed in the European Patent application no. 04755691.5 corresponds to application no. 5948/DELNP/2005 (which was abandoned) whereas the European claim was that the subject matter is novel in nature in as much as claim 1 of the

said application is directed to a particular salt form. Emphasizing that list of potentially suitable salts forming acids and bases being provided in WO 03/004498 includes phosphoric acid - it is emphasized that there is no actual disclosure of Dihydrogenphosphate salt of Sitagliptin and that is not the only possible outcome of treatment of Sitagliptin Phosphoric Acid since the Phosphoric Acid is tri-basic in nature as it has three ionisable hydrogen, and therefore, capable of forming di- and tri-ammonium salts as well as the mono-ammonium salts. Since Glenmark admits that the suit patent is the closest prior art disclosed under Application No. 5948 which was abandoned, it is urged that it is no longer open to it to state that Glenmark has infringed the suit patent. It is further submitted that Dihydrogen Phosphate has superior properties over Hydrochloride in respect of physical and chemical properties and has superior properties over Sitagliptin free base since free base of Sitagliptin undergoes deamination at elevated temperatures and is therefore unstable for pharmaceutical development. Claiming that crystalline Hydrochloride salt of Sitagliptin exists as monohydrate and when analyzed through XRPD shows its tendency to hydrate water from the room temperature which is disadvantageous for solid formulation, Glenmark states that crystalline Hydrochloride was not chosen for commercial development. Therefore, it is stated that MSD, through European EP 1654263 states that Dihydrogen phosphate salt has a remarkable advantage over the Hydrochloric salt. In view of this, it is argued that MSD's case in various documents is that the product which contains phosphate salt is different from products containing hydrochloride salt, and therefore, Glenmark products are not covered by suit patent nor do they infringe it.

26. It is averred and argued next that the non-working of the patent is equivalent to its incapability for industrial application. Glenmark states that Sitagliptin *per se* as well as Sitagliptin Hydrochloride are unstable compounds incapable of commercial production and industrial use. The admissions of MSD, while prosecuting its subsequent application pertaining to Dihydrogen Phosphate salt of Sitagliptin with Sitagliptin base and Sitagliptin Hydrochloride are chemically and physically unstable in nature is heavily relied upon. It is also argued that the subject of the patent is obvious in nature and does not involve any inventive step given what was publically known or publically used in India. Likewise, the invention as claimed is not useful. The other objections under Section 64, i.e. non-disclosure of complete specification of the patent being a patent under false suggestion, and non-compliance of Section 8 are pleaded and argued as defences by Glenmark. Glenmark also seeks to highlight the difference between Januvia, Istavel and its Zita tablet and Janumet and Istamet.

27. Dr. Singhvi submits that acceptance of MSD's contentions would nullify Section 3(d) in as much as under the blanket of broad claims, it would enjoy patent protection for a product which otherwise in terms of its admissions was not patentable due to Section 3(d). The rejection of a patent for a product under Section 3(d) does not make it automatically covered under the earlier patent. That would defeat the very purpose of section 3(d). He submitted that MSD's stand throughout with regard to the patent application for SPM was that it satisfied all three tests necessary for grant of patent i.e. novelty, inventive step and industrial applicability. In fact, MSD enjoys patent protection in respect of SPM in various other jurisdictions

except India. Thus, if SPM is new and inventive then it is a logical conclusion that the same cannot be said to be subsumed in the suit patent. Dr. Singhvi argues that MSD in essence is seeking to enlarge its patent protection to a large number of compounds including SPM due to broad claiming despite the fact that corresponding details are not provided in the body of the complete specification thereby rendering the suit patent invalid in nature on the ground of insufficiency.

28. In support of the plea that the patent salt is liable to be revoked, Glenmark argues that Sitagliptin Free Base is not disclosed either as a raw material or as an intermediate product in the patent application and that MSD's admission in the SPM patent application disclose its awareness of, and unequivocal acceptance of Sitagliptin Free Base's unpatentability due to lack of industrial application. Here, the statement that the *"form of sitagliptin is relatively unstable and not suitable for pharmaceutical development"* and further that *"…the amorphous hydrochloride salt of sitagliptin was tested but rejected for pharmaceutical development due to inter alia its hygroscopic and morphological properties"* by MSD in its patent application is relied on. MSD's clear understanding that Sitagliptin is unformed, not isolated, industrially unusable and therefore not patentable is highlighted. It is urged that what was put to clinical trial was SPM, and not Sitagliptin Free Base, or even Sitagliptin Hcl. All these, states Glenmark, exemplify MSD's disregard and violation of the statutory mandate contained in Section 10 (4) with respect to complete disclosure of the specification. It is further argued that textually Section 48 presupposes rights in respect of the patented article alone- an interpretation supported by the definition of

"invention"; reliance is placed on the judgments reported as *Bhor Industries* v. *Collector Central Excise*, 1989 (1) SCC 602 and *Delhi Cloth and General Mills Co. Ltd* v. *R.R. Gupta*, 1976 (3) SCC 444. It is argued that the failure to fulfil the disclosure mandate of the Patent Act renders the suit patents liable to revocation. When the suit patent was examined, a claim for SPM was made and MSD knew that neither Sitagliptin Free Base nor Sitagliptin Hydrochloride had any industrial application. Therefore, for it to now contend that the suit patent subsumed those compounds or substances was impermissible. It was lastly argued that the patent was liable to be revoked as MSD's applications, as well as pleadings before the Court, when it alleged infringement by Glenmark, were replete with half truths and suppression of material facts.

Analysis & Conclusions

29. At the outset this Court notices that much of the controversies which had to be grappled with at the appellate stage ought to ordinarily have been considered during the proceedings in the court of First Instance, i.e the learned Single Judge. Whilst one cannot doubt the learned Single Judge's anxiety in the facts of this case, to do justice to all, with utmost dispatch, at the same time, it cannot be overemphasized that in patent disputes, an *ex-parte* or even an *in limine* decision (i.e at the threshold stage) of an interlocutory application should be avoided. Patents are granted after searching scrutiny by the statutory authorities. The court should (unless there are overwhelming and compelling reasons, manifest from the plaintiff's pleadings in the suit) not so reject an interlocutory application, without the benefit of pleading - or the barest indication of the defence. A

safer approach - one dictated by caution and circumspection, would be to deny relief in the first hearing if there is the slightest doubt, but set down the application for hearing at the earliest opportunity even while requiring some semblance of formal disclosure by the defendant. "Swift justice" remarked Justice Potter Stewart (of the US Supreme Court) "demands more than just swiftness".

30. MSD claims that Glenmark violated its product patent; Glenmark's defence is that MSD's patent is liable to be revoked as it was wrongly granted in the first place and in the alternative, that there is no infringement. In such cases, while considering the grant of *ad interim* injunctions generally, the Court must determine whether first, the claimant may, *prima facie*, succeed in its claim, secondly, whether MSD will suffer irreparable injury if the injunction is refused, and finally, determine the balance of convenience between the parties.

31. At issue in this case, in the first place, is the construction of Patent No. 209816, the suit patent) which concerns the anti-diabetes drug Sitagliptin. MSD alleged in its suit that it commercially markets Sitagliptin as a phosphate monohydrate salt ("*SPM*"), under the commercial name 'Januvia', and as a di-phosphate monohydrate salt combined with another drug – Metformin – under the commercial name 'Janumet'. On the other hand, Glenmark markets a drug under the commercial name 'Zita', which is SPM. MSD claims in the suit that Sitagliptin inhibits the enzyme DPP-IV. DPP-IV breaks down the incretins[12] GLP-1 and GIP, which are

[12] Incretins are gut hormones that are secreted from enteroendocrine cells into the blood within minutes after eating. One of their many physiological roles is to regulate the amount of insulin that is secreted after eating. Their important function is to aid in disposal of the products of digestion. There are two incretins, known as glucose-dependent insulinotropic peptide (GIP) and

gastrointestinal hormones released after food intake. Incretins slow the rate of absorption of nutrients into the blood stream by reducing gastric emptying and may directly reduce food intake. By preventing GLP-1 and GIP inactivation, they are able to increase the secretion of insulin and suppress the release of glucagon by the alpha cells of the pancreas. This pushes blood glucose towards normal levels. As blood glucose levels approach normalcy, the amounts of insulin released and glucagon suppressed diminishes, thus tending to prevent an "exceed" or overrun and subsequent low blood sugar (hypoglycemia) which is seen with some other oral hypoglycemic agents. It is stated that Sitagliptin lowers HbA1c level by about 0.7% points as compared to placebos.

32. The hearings on the interim injunction application were, ironically and quite contrary to the description, prolonged, and the material placed for consideration of the court, voluminous. Various claims, counter claims and defences were urged by Glenmark, and resisted by MSD. Before entering the details, recounting an outline of the grounds of Glenmark's opposition to the suit claim would be essential. They can be divided into two parts.

33. Glenmark alleges that the patent is invalid under Section 64(1) of the Indian Patent Act, 1970 ("*the Act*") because

(a) it is obvious and does not involve an inventive step over and above previous disclosures in the prior art (Section 64(1)(f));

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glucagon-like peptide-1 (GLP-1), that share many common actions in the pancreas but have distinct actions outside of the pancreas. Both incretins are rapidly deactivated by an enzyme called dipeptidyl peptidase 4 (DPP4). (Ref. http://www.ncbi.nlm.nih.gov/pmc/articles/PMC2696340/)

(b) it is not useful and lacks industrial applicability because the Sitagliptin free base is itself unstable (Section 64(1)(g));

(c) the complete specification of the patent does not sufficiently and fairly describe the invention and the method by which it is to be performed, since the patent does not describe the preparation of the Sitagliptin free base, but only its hydrochloride salt (Section 64(1)(h));

(d) the claim goes much beyond the limited disclosures in the specification, and thus the claim is overbroad or an impermissible *'Markush'* claim that creates a false monopoly (Section 64(1)(i));

(e) the patent was obtained on a false representations, for the failure to disclose various facts that GLENMARK alleges would have been crucial for the Patent Office to reach its decision (Section 64(1)(j));

(f) MSD failed to comply with the requirements of Section 8 of the Act, since information regarding the prosecution of any corresponding or similar applications in European and United States Patent Offices, Monaco and Eurasia was not provided.

34. Glenmark's challenges, thus, can be grouped into five categories which will be helpful for the purposes of this discussion. The first is that the patent monopoly is too broad to be workable (the *Markush* plea); it includes possibly 4.9 billion compounds and such elastic claims cannot be sustained; the second is – on the basis of claim construction of the suit patent, and subsequent patent application filed by MSD for SPM specifically – that the claims in *this* patent do not disclose SPM or the Sitagliptin free base, but only Sitagliptin Hcl; the third is that even if the Sitagliptin free base is

disclosed, it is unstable in itself and not industrially applicable. The fourth challenge is that the patent is anticipated by prior art, specifically European Patent 1406622 and WO/01/34594; and finally, that several facts crucial to the decision of the Patent Office were suppressed by MSD, rendering the grant void, and at the very least, indicating an absence of good faith in pursuing the present interim injunction application.

35. If the patent is found to be valid and covering SPM, the matter ends there; infringement is established. If, however, the suit patent is found to be valid, but only disclosing Sitagliptin free base rather than SPM, the alternative defence is that Glenmark's drug still does not infringe the MSD's patent because it "*neither uses Sitagliptin base or Sitagliptin Hydrochloride salt as a raw material nor is it generated or formed as an intermediate in the manufacturing process*". Besides, Glenmark also argues that SPM is qualitatively different from the Sitagliptin free base – it has enhanced pharmaceutical qualities. This, according to Glenmark, means that the manufacture of SPM does not violate a patent for the Sitagliptin free base *simpliciter*.

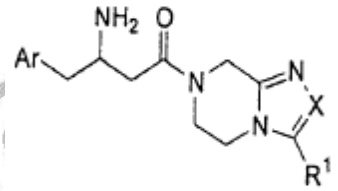
36. With this background in place, the Court will first consider the *prima facie* validity of MSD's cause of action, and conversely, Glenmark's counter-claim. At the outset, the Court notes that although the patent has been granted in this case, its validity cannot be presumed. The Act envisages revocation of patents based on subsequent opposition, and the patentee cannot claim immunity from defending the validity of the patent. The Supreme Court in *Bishwanath Prasad Radhey Shyam* v. *Hindustan Metal Industries*, (1979) 2 SCC 511, rejected any presumption of validity inhering in granted patents:

> "*31. It is noteworthy that the grant and sealing of the patent, or the decision rendered by the Controller in the case of opposition, does not guarantee the validity of the patent, which can be challenged before the High Court on various grounds in revocation or infringement proceedings. It is pertinent to note that this position, viz. the validity of a patent is not guaranteed by the grant, is now expressly provided in Section 13(4) of the Patents Act, 1970. In the light of this principle, Mr. Mehta's argument that there is a presumption in favour of the validity of the patent, cannot be accepted.*"

37. Though that case concerned a presumption at the stage of final judgment, the principle applies equally to interim hearings. This was implicit in the decision of this Court in *Franz Xaver Huemer* v. *New Yash Engineers*, AIR 1997 Del 79; and has been made explicit in cases across the country, including the Gujarat High Court in *Gareware-Wall Ropes Ltd.* v. *Mr. Anant Kanoi and Ors.*, Civil Application No. 232 of 2005, in Civil Suit No. 4 of 2005, decision dated 13.7.2006, the Madras High Court in *V. Manoika Thevar* v. *Star Plough Works*, AIR 1965 Mad 327, and the Calcutta High Court in *Hindustan Level Limited* v. *Godrej Soaps Limited and Ors.*, AIR 1996 Cal 367.

38. Construction of the patent by this court, to verify its coverage is fundamental. This coverage depends on the nature of the claims made (and enabling disclosures specified) by MSD in its 'Complete Specification' under Form 2 of the Act. The words used to describe the claims – as read by a person of ordinary skill in the art –determine the breadth of the monopoly granted by the patent, for which the substantive (and indeed, substantial) rights under Section 48 of the Act are triggered. The 'Field of the Invention' described by MSD in Form 2 states that the patent is "*directed to*

pharmaceutical compositions comprising these compounds and the use of these compounds and compositions." The issue is how *far* these compositions can be subsumed within the 'core' of the patent, without precise enabling disclosures; in other words, how elastic can the Court read the claims to be. The section *'Detailed Description of the Invention'*, which discloses Formula 1 (reproduced below), corresponds to claim 1 of the patent specification, discloses the following compound structure:



39. This is the Sitagliptin free base. Each element of this structure, and selection of particular elements to reach this structure, is further detailed at pages 5 and 6 of the specification. Page 10 further details the separation of racemix mixtures of the compound to isolate individual enantiomers, including the R form of the compound that is ultimately used in Januvia and Janumet. The term "pharmaceutically acceptable salts" – it is stated – "*refers to salts prepared from pharmaceutically acceptable non-toxic bases or acids including*" *inter alia* phosphoric acid, which is the second element in SPM (i.e. the P in SPM). The M – or monohydrate – is indicated by stating that "*salts ... may also be in the form of hydrates.*" (page 10 of the Form 2 filing) The compound indicated in Formula 1 – it is further stated and reiterated – "*are meant to also include pharmaceutically acceptable salts*" (page 11 of the Form 2 filing). Revealingly, the specification then notes:

> *"The term "composition" as used herein is intended to encompass a product comprising the specified ingredients in the specified amounts, as well as any product which results, directly or indirectly, from combination of the specific ingredients in the specified amounts. Such term in relation to pharmaceutical composition, is intended to encompass a product comprising the active ingredient(s), and the inert ingredient(s) that make up the carrier, as well as any product which results, directly or indirectly, from combination, complexation or aggregation of any two or more of the ingredients, or from dissociation of one or more of the ingredients, or from other types of reactions or interactions of one or more of the ingredients. Accordingly, the pharmaceutical compositions of the present invention encompass any composition made by admixing a compound of the present invention and a pharmaceutically acceptable carrier. By "pharmaceutically acceptable" it is meant the carrier, diluent or excipient must be compatible with the other ingredients of the formulation and not deleterious to the recipient thereof.*
>
> *The terms "administration of" and or "administering a" compound should be understood to mean providing a compound of the invention or a product of a compound of the invention to the individual in need of treatment."*

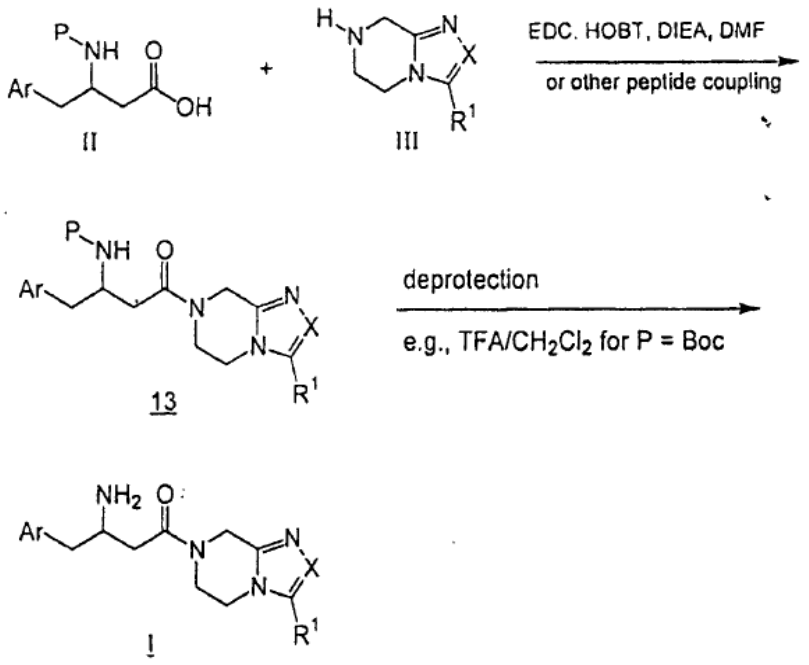
40. As was argued at great length by MSD's Senior Counsel, the invention relates to the Sitagliptin free base, which is the active component with therapeutic value, i.e. DPP inhibitor. The salt (phosphate, HCL, or any other) is only the inert carrier that assists in the proper administration of the drug in the body, but does not in itself have any therapeutic value. Rather, the stability of the compound is ensured by the accompanying salt, though the HCL salt (as the specification itself notes) is less stable and not fit for manufacture, as compared to the stable and efficient phosphate salt (SPM).

41. This Court notes that the Form 2 filing discloses the structure for the Sitagliptin free base in Formula 1, at page 5. The invention in this case discloses several compounds, and

> *"[s]everal methods for preparing the compounds ... are illustrated in the following Schemes and Examples. Starting materials are made according to procedures known in the art ..."*

In line with this, Scheme 6 – after demonstrating six rounds of reactions combining known compounds and detailing reaction conditions – again discloses the Sitagliptin free base. Starting from the general knowledge of a person skilled in the art, the compounds created through Schemes 1-5 are utilized in Scheme 6 to reach the Sitagliptin free base – which is the essence of the invention in this case. Scheme 6 is reproduced below, with the free base marked as 'I'.

SCHEME 6



II III

13

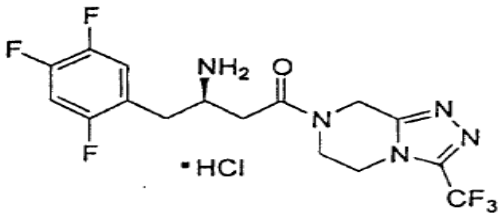
I

42. The Intermediate 13 is Sitagliptin with a protecting 'BOC' group (represented by P), which, on deprotection in the condition and manner described results in the Sitagliptin free base. The entire process is described, and thus disclosed, in the accompanying paragraph as below:

> *"Intermediates II and III are coupled under standard peptide coupling conditions, for example, using Iethyl-3-(3 dimethylaminopropyl) carbodiimide (EDC), I - hydroxybcnzotriazole (HOBT), arid a base, generally diisopropylethylamine, in a solvent such as N,N-dimethylformamide (DMF) or dicloromethane for 3 to 48 hours at ambient temperature to provide intermediate 13 as shown in Scheme 6. The protecting group is then removed with, for example, trifluoroacetic acid or methanolic hydrogen chloride in the case of Boc to give the desired amine 'I'. The product is purified from unwanted side products, if necessary, by recrystallization, tritluration, preparative thin layer chromatography, flash chromatography on silica gel as described by ..." (emphasis supplied)*

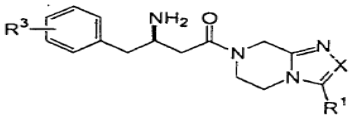
43. The 'desired amine' (amines being organic compounds and functional groups that contain a basic nitrogen atom with a lone pair) referred is the end product in Scheme 6, which is the Sitagliptin free base. This is also the compound structure reflected in Claim 1, which is not protected by the BOC group. Subsequently, examples "*are provided so that the invention might be more fully understood.*" Example 7 – on which both parties placed reliance – discloses the HCL salt of Sitagliptin, which is arrived at by treating the BOC protected Sitagliptin, so as to substitute the BOC group with the HCL salt. This is represented below:

EXAMPLE 7



Therefore, page 48 of the Specification – on the basis of the various examples provided – lists the reactions carried out and the possible variants for each element in the Sitagliptin free base, without the salt element (HCL, phosphate or others).

TABLE I



Example	R^3	X	R^1	MS (M+1)
8	2-F	C-Et	H	331
9	3-F,4-F	C-Et	H	349
10	2-F	CH	H	303
11	2-F	C-CF_3	H	371
12	3-F,4-F	C-(4-F-Ph)	H	415
13	3-F,4-F	C-Ph	H	397
14	3-F,4-F	C-(4-OMe-Ph)	H	427
15	3-F,4-F	C-(3-F,4-F-Ph)	H	433
16	3-F,4-F	C-(4-OCF_3-Ph)	H	481
17	3-F,4-F	C-C_2F_5	H	439
18	2-F	N	Et	352
19	3-F,4-F	N	Et	336
20	2-F	N	Me	318

21	2-F,5-F	N	Et	350
22	2-F	N	H	304
23	3-F,4-F	N	H	322
24	3-F,4-F	N	CF_3	390
25	2-F,4-CF_3	N	CF_3	440
26	3-F,4-F	N	CH_2CF_3	404
27	2-F,5-F	N	CH_2CF_3	404
28	2-F	CH	CH_2Ph	393
29	2-F	CH	Ph	379
30	2-F, 4-CF_3	C-CF_3	H	439
31	2-F,4-F,5-F	C-CF_2CF_3	H	379
32	4-Br,2-F,5-F	C-CF_3	H	467, 469
33	4-Br,2-F,5-F	N	CF_3	468, 470

44. Thus, detailed lists of possible variants in the Sitagliptin free base for each element involved – based on experiments conducted – are provided. The emphasis, here, is on the free base itself (which is the active therapeutic ingredient), and not the accompanying salt.

45. Glenmark argued that the patent does not disclose the Sitagliptin free base or SPM, but only the Sitagliptin HCL salt. This is because – it is argued – that apart from a routine mention of treating Sitagliptin with phosphate, no real disclosure concerning SPM was made. Further, whilst Claim 1 (of the patent) does claim the Sitagliptin free base, it is argued that in Example 7, the only disclosure is as regards the BOC protected Sitagliptin, and not the free base itself. Since the claim is not matched by the disclosure, it is argued it (the claim) cannot be the basis of the monopoly. Only those products that are disclosed may be claimed, asserts Glenmark. Glenmark also argues that

Sitagliptin, Sitalgiptin Hcl and SPM have different physical and chemical properties, and a disclosure of one cannot cover the others.

46. The Court notes that mere claims, without an enabling disclosure, cannot be sustained. The patent must – as a *quid pro quo* for the grant of monopoly – enable a person of ordinary skill in the art to work the invention as claimed. This crucial principle was considered by the Supreme Court in *Novartis AG (supra)*:

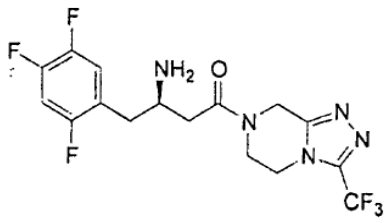
> *"139. The dichotomy that is sought to be drawn between coverage or claim on the one hand and disclosure or enablement or teaching in a patent on the other hand, seems to strike at the very root of the rationale of the law of patent. Under the scheme of patent, a monopoly is granted to a private individual in exchange of the invention being made public so that, at the end of the patent term, the invention may belong to the people at large who may be benefited by it. To say that the coverage in a patent might go much beyond the disclosure thus seem to negate the fundamental rule underlying the grant of patents."*

47. The court consequently, has to inquire into whether the Sitagliptin free base and further SPM were disclosed sufficiently for a sustainable patent claim. The Court first notes that Schemes 1-5 begin with compounds known in the art, and through a series of reactions, results in Intermediate 13 in Scheme 6, which is the BOC protected Sitagliptin free base. This – on deprotection[13] in the second reaction in Scheme 6 – leads to the removal of the BOC group and leaves only the Sitagliptin free base. Each of those

[13] A "protecting group" in organic chemistry means any chemical entity temporarily reacted with a functional group so as to protect it from a subsequent reaction. "Deprotection" refers to the removal of a protecting group when it is no longer needed. (Ref. http://www.wordsense.eu/deprotection/)

reactions are accompanied with detailed notes on the manner and process in which they are to be carried out, and at each instance, either use a compound already known in the art (and stated to be so), or disclosed in the schemes itself. The end product – the Sitagliptin free base – is precisely the claim made in Claim 1. Claim 1 represents a general formula for the complex chemical structure, which is further exemplified in the further claims (and all of which can be reached through the table at page 48 of the specification disclosed above). Specifically, and important for the present purpose, this includes Claim 19, which is the specific Sitagliptin free base (within the various possibilities under the general structure in Claim 1 that corresponds to Formula 1). This is reproduced below:

19. The compound of claim 17 which is



or a pharmaceutically acceptable salt thereof.

48. At this juncture, the Court notes that

> *"the construction of claims is not something that can be considered in isolation from the rest of the specification, Claims are intended to be pithy delineations of the scope of monopoly, and they are drafted in light of the much more detailed text of the description. A specification must be read as a whole, just as any document is. It must moreover be read as having been addressed to a person acquainted with the technology in question. So it must take account of that person's state of knowledge at the time."*

(*see*, Cornish, Llewelyn and Aplin, *Intellectual Property*, Seventh Ed, Sweet and Maxwell, pages 182-3, "*Cornish*"). Those to whom the above claims, examples and schemes are directed are not judges, ably assisted by lawyers; they are "persons of ordinary skill in the art". This was stated long ago in *Hinks & Son v Safety Lighting Co* 1876 (4) Ch.D 607 when it was held that patent claims are "*addressed not to the public generally, but to persons skilled in the particular art.*" Likewise, this was stated again in *Tubes Ltd* v. *Perfecta Seamless Steel*, 1902 (20) RPC:

> *"... to enable not anybody but a reasonably well informed artisan dealing with a subject matter with which he is familiar to make the thing, so as to make it available to the public at the end of the protected period."*

While reading a patent claim, therefore, the Court must not reinvent the wheel and mandate disclosures of techniques and product rehearsed in the industry already, but only examine what is new in the invention and *how* to arrive there from the state of the art.

49. *The Wands test* (named after *In re Wands*, 858 F.2d 731, 737, 8 USPQ 2d 1400 (Fed. Cir. 1988) was spelt out in the US to determine sufficiency (or "enablement") of disclosure and may be helpfully seen here: (1) the quantity of experimentation necessary. (2) The amount of direction or guidance presented. (3) The presence or absence of working examples. (4) The nature of the invention. (5) The state of the prior art. (6) The relative skill of those in the art. (7) The predictability or unpredictability of the art. (8) The breadth of the claims.

50. In this case, from known compounds, *prima facie*, the Sitagliptin free base is disclosed. As it is a free base, a pure form of an amine, as opposed to a salt form, this *naturally* does not include particular salts, whether phosphates, hydrochlorides or any other. The elements of the free base – since many alternatives exist – are then also detailed in the above table. Conspicuously – and this is not denied by Glenmark– the free base must be transformed into a salt form before it can be administrated to patients (with the salt acting as the carrier). Unsurprisingly the free base requires a further appropriate reaction to create that salt. The argument that at no point is the free base disclosed (and only salt forms, though still not the phosphate salt form, SPM) lacks *prima facie* substance. First, Scheme 6, read with the table above, discloses the free base, which is claimed in Claim 1, and specifically, Claim 19. In each of these specifications, Sitagliptin is found as a free base, without any attached salt. Two, the Court has to look to the invention in this case, and not read the claims literally. The invention in this case is a DPP inhibitor which assists control and prevention of diabetes by regulating insulin production, and specifically, inhibiting the DPP-IV enzyme activity. The claims and disclosures made, should be seen in the light of the invention underlying the patent and sought to be disclosed. In this case, the active therapeutic component is the Sitagliptin free base (which is delivered into the body with an attached salt that wears away once in the system), and not the attaching phosphate, Hcl or other carriers. No doubt such carrier salts are needed to deliver the drug into the body, and the salt must contain certain crucial properties that allow for the drug to be administrated properly (solubility, flow issues, propensity for adhesion, poor filtration, drying etc.) This is recognized in the statement of the inventor, Mr. Robert M. Wenslow

Jr, as well in determining the best method for administration (on which Glenmark relies in its written submissions); but there too, the active therapeutic ingredient remains the Sitagliptin free base, and that product is sufficiently disclosed in Form 2 filed by MSD. It seems, that Sitagliptin free base's activity, *prima facie*, on the DPP enzyme is not naturally affected by the attached salt; those properties remain, though the *efficacy* of administration is dependent in part upon the carrier. The Sitagliptin free base, previously unknown as a compound that could affect the activity of the DPP enzyme is a new and arguably, a novel addition. It is in that context – and in the shoes of that notional addressee who is working in that field of pharmaceuticals – that the technical contribution has to be seen.

51. The Court here is aware of the fact that claims must not be imaginary, and must not leave anything unarticulated that require further research by those skilled in the art. Nor is there any principle that patent specifications be interpreted in favour of validity where an ambiguity exists (see, *SmithKline Beecham's (Paroxetine Anhydrate) Patent*, [2003] RPC (49) 855 (CA)). To constitute prior disclosure of an invention, the matter relied upon as prior art must disclose subject matter which, if performed, would necessarily result in infringement of the patent. This infringement test is detailed by the Court of Appeal in *General Tire & Rubber Company* v. *Firestone Tyre & Rubber Company Limited*, [1972] RPC 457, at pages 485-6:

> *"If the prior inventor's publication contains a clear description of, or clear instructions to do or make, something that would infringe the patentee's claim if carried out after the grant of the patentee's patent, the patentee's claim will have been shown to lack the necessary novelty, that is to say, it*

> *will have been anticipated. The prior inventor, however, and the patentee may have approached the same device from different starting points and may for this reason, or it may be for other reasons, have so described their devices that it cannot be immediately discerned from a reading of the language which they have respectively used that they have discovered in truth the same device; but if carrying out the directions contained in the prior inventor's publication will inevitably result in something being made or done which, if the patentee's patent were valid, would constitute an infringement of the patentee's claim, this circumstance demonstrates that the patentee's claim has in fact been anticipated."*

In this case, *prima facie*, a reading of the Form 2 filing on its own terms, indicates that the Sitagliptin free base is disclosed and claimed. This is underlined also by the description of "pharmaceutically acceptable salts" in the claim description as follows:

> *"the term "pharmaceutically acceptable salts" refers to salts prepared from pharmaceutically acceptable non-toxic bases or acids including inorganic or organic bases and inorganic or organic acids ... When the compound of the present invention is basic, salts may be prepared from pharmaceutically acceptable non-toxic acids, including inorganic and organic acids. Such acids include acetic, benzenesulfonic, benzoic, camphorsulfonic, citric, ethanesulfonic, fumaric, gluconic, glutamic, hydrobromic, hydrochloric, isethionic, lactic, maleic, malic, mandelic, methanesulfonic, mucic, nitric, pamoic, pantothenic, phosphoric, succinic, sulfuric, tartaric, p-toluenesulfonic acid, and the like. Particularly preferred are citric, hydrobromic, hydrochloric, maleic phosphoric, sulfuric, fumaric, and tartaric acids ..." (emphasis added)*

52. The next question that arises is whether SPM too is disclosed in the suit patent. This is a vexed question on which learned counsel for both parties have stressed. SPM is not found in any of the claims, nor is it

specified in the schemes or examples. The only reference to it is generally at page 9 of the Form 2 filing where a phosphate salt is mentioned as a possible "*pharmaceutically acceptable salt*". MSD argues that since the active ingredient is disclosed, it covers all acceptable salts and since the matter and process of their production is known in the art, it need not be rehearsed for every possible salt. Glenmark, on the other hand, claims that SPM is not covered by the suit patent, and that SPM is qualitatively different from the Sitagliptin free base. Moreover, considerable emphasis was placed on the abandonment of a subsequent patent application in India (5948/DELNP/2005) where SPM was claimed as a novel addition to the suit patent. That application was ultimately withdrawn by MSD on account of failure to reply to the First Examination Report. MSD claims that it withdrew its application on the basis of Section 3(d) of the Act – which it describes as a provision peculiar to Indian law – that would have been fatal to that patent application. However MSD claims that SPM is adequately disclosed as a possible pharmaceutically acceptable salt of Sitagliptin, the active ingredient, amongst the various options.

53. This Court notes that there is a serious technical dispute here that is to be tried. Glenmark claims that SPM was not disclosed by a generic reference to phosphate salts; MSD says that such a disclosure is sufficient for the carrier salts. Glenmark claims that SPM is qualitatively (physically and chemically) different from the Sitagliptin free base; MSD states otherwise. Glenmark claims that the production of SPM from Sitagliptin was not an obvious step to those skilled in the art (since treatment of Sitagliptin with Phosphoric Acid can result in not one, but three, possible salts); MSD argues that this would have been known in the industry. These are technical

disputes that would quite possibly require a detailed examination of expert evidence. It would be worthwhile noticing at this stage that phosphate salts are also known as pharmaceutical elements.[14] The Court does not (and indeed, cannot) go into these questions, where guess work and speculation would be the only guiding factors. Nor can the Court, at this stage, decide the question of whether or not Section 3(d) of the Patent Act (which, according to MSD, was the basis of its withdrawal) would have been attracted.

54. It is relevant at this stage to notice that Section 10 of the Act stipulates the manner in which a claim must be made. Under Section 10(4)(b), "*[e]very complete specification shall – ... (b) disclose the best method of performing the invention which is known to the applicant and for which he is entitled to claim protection.*" It is clear that SPM was not specifically disclosed in the suit patent, apart from a generic reference as a pharmaceutically acceptable salt. The process of arriving at SPM from the Sitagliptin free base and SPM's precise chemical structure were not disclosed specifically in the suit patent. However, the Sitagliptin HCL salt was disclosed specifically in Example 7. MSD admits however, as is also confirmed by the statement of the inventor, that the "*morphology [of Sitagliptin HCL] is not desirable for solid dosage formulations due to flow issues ...*" It is admitted that this property of the HCL salt "*is disadvantageous for solid dosage formulations since the loss of water can lead to a phase change*." This is "*undesirable in a drug product due to*

[14] Phosphate salts refers to many different combinations of the chemical phosphate with salts and minerals and are used for pharmaceutical products. (Ref. http://www.nlm.nih.gov/medlineplus/druginfo/natural/735.html)

possible chemical stability issues." Essentially, the HCL salt – which is specifically disclosed in the Form 2 filing – has issues and cannot be used for the commercial drug. SPM (the phosphate salt) however has no such issues; it can be, and is, used in both parties' commercial drugs. The Court notes that if SPM is a 'better' formulation than the HCL salt, and it was known at the time of the filing of the patent (as MSD claims), it *must* have been disclosed under Section 10(4)(b). It was not; whilst the precise chemical structure and reaction for reaching the HCL salt was detailed, SPM was not. The clear inference is thus that the phosphate salt was unknown to the inventor at the time (or perhaps that it was known, but not disclosed – which is a breach of the statutory good faith obligation in Section 10). In such a case, the case could tilt against MSD as regards the coverage of SPM in the patent. Indeed, at trial the serious factual disputes indicated above – that are determinative of this claim – will be considered and evidence produced. This Court cannot today answer whether a person skilled in the art would have known the phosphate salt as an obvious alternative such that its specific disclosure was not needed. [In the absence of evidence to that effect, a *prima facie* view through the lens of the legal obligation under Section 10(4)(b) cannot be taken. To put it the other way, it is not patent that Section 10 (4)(b) stood breached, disentitling MSD for *interim relief.*]

55. Accordingly, questions of whether the abandonment of SPM in the subsequent patent application (5948/DELNP/2005) affects the claim of SPM in the suit patent assumes lesser importance. The Court at the same time, notes that the claim construction to determine the coverage in the suit patent is to be determined objectively *on its own terms* with regard to the words used by the inventor and the context of the invention in terms of knowledge

existing in the industry. The subsequent abandonment of a patent for SPM cannot remove what is patented earlier (if an objective reading, as indicated above, considers it to be included); nor can it include something that was excluded earlier. The motives for abandonment – since MSD claims that it abandoned the claim due to Section 3(d) of the Act – play no part in the claim construction. Considerable argument was addressed to the Court on this aspect; learned Senior Counsel for MSD argued that the subsequent patent was abandoned because of Section 3(d), as SPM had not enhanced therapeutic value and would not be granted an independent patent but be included in the original patent as well (thus arguing the concept of 'basic' and 'improvement' patent); Glenmark urged that this would be contrary to the purpose of Section 3(d) – whilst improvements in patents not disclosed in the patent application are hit by that section, this does not mean that they are included in the original patent. These arguments make the construction of the claim dependant on the scope of Section 3(d) vis-à-vis improvements to basic patents.

56. Section 3(d) does not work backwards, such that two independent patent claims are to be construed in reference to each other. Each claim is regulated by its own terms, subject to the statutory prescriptions of inventive step and industrial applicability. Moreover, such an argument also introduces an undeserved subjectivity in the patent construction process. A patent is construed by reference to the words used by the inventor, and not her subjective intent as to what was meant to be covered (as was noted in *Kirin-Amgen Inc and Others* v. *Hoechst Marion Roussel Limited and Others*, [2004] UKHL 46, "*[t]here is no window into the mind of the patentee or the author of any other document. Construction is objective in*

the sense that it is concerned with what a reasonable person to whom the utterance was addressed would have understood the author to be using the words to mean."]. Merely because an inventor applies for a later patent – that is already objectively included in a prior patent, but which the inventor subjectively feels needs a separate patent application – does not mean that it is taken to be at face value. The intent of the inventor, through the use of the words that have been employed, must be judged, but the subjective intent cannot replace a detailed analysis of the text of the patent. This Court has already noted – on a different basis – that the coverage of SPM in the suit patent is questionable on account of Section 10(4)(b), although the issue is ultimately tied to important factual disputes. The same decision significantly provided the following *rationale* for patent construction in terms of the words and expressions used:

> *"The courts of the United Kingdom, the Netherlands and Germany certainly discourage, if they do not actually prohibit, use of the patent office file in aid of construction. There are good reasons: the meaning of the patent should not change according to whether or not the person skilled in the art has access to the file and in any case life is too short for the limited assistance which it can provide. It is however frequently impossible to know without access, not merely to the file but to the private thoughts of the patentee and his advisors as well, what the reason was for some apparently inexplicable limitation in the extent of the monopoly claimed. One possible explanation is that it does not represent what the patentee really meant to say. But another is that he did mean it, for reasons of his own; such as wanting to avoid arguments with the examiners over enablement or prior art and have his patent granted as soon as possible. This feature of the practical life of a patent agent reduces the scope for a conclusion that the patentee could not have meant what the words appear to be saying."*

This Court is furthermore also cautious of using either Section 3(d) or the abandonment of a subsequent patent application to read into the terms of a prior application which has to be construed on its own terms. Accordingly, while the coverage of SPM is shrouded in some uncertainty that requires detailed examination of facts and evidence, the Court notes that the Sitagliptin free base is *prima facie* disclosed, claimed and thus covered by the suit patent.

57. The Court notes here that Glenmark, – in its pleadings and also during the course of oral arguments – emphasized that the suit patent is a *Markush* claim that is overbroad, ambiguous and attempts to create a false monopoly for compounds that have not been disclosed. For this reason, the insufficiency of the disclosure, it is argued that the suit patent is invalid. It is stated that the suit patent covers 4.9 billion possible combinations of compounds, 372.4 billion possible combinations of compound salts, and that such a patent – which lays claims to anonymous compounds, so to speak – cannot be granted patent protection, which is meant only for inventions that have been fully disclosed. The objection is- to borrow the phrase felicitously used by the UK Court of Appeal in *Dr Reddy's Laboratories (UK) Ltd v Eli Lilly & Company Ltd* [2009] EWCA (Civ) 1362:

> *"you must not only pull out a plum but you must disclose that what you have pulled out is a plum or your disclosure is insufficient..."*

58. As the Draft Guidelines for Examination of Patent Applications in the Field of Pharmaceuticals by the Office of the Controller General of Patents, Designs and Trademarks note:

> *"Often broad ("generic") patent claims are drafted covering a family of a large number (sometimes thousands or millions) of possible compounds. The so-called 'Markush claims' refer to a chemical structure with a plurality of functionally equivalent chemical groups in one or more parts of the compound."*

59. The suit patent does claim all pharmaceutically acceptable salts of Sitaglptin, *and* is undoubtedly a 'Markush' claim. As the Guidelines further note, "*Markush claims may invoke the question of sufficiency and plurality of distinct groups of inventions surrounding such claims.*" That is precisely the basis of Glenmark's challenge to the suit patent. Drafting of claims is essentially an exercise in imagining alternate forms for an inventive idea. *Cornish* notes (at page 181), the question is what is *"legitimate generalization"*? Whilst it may be appealing at first blush to limit a pharmaceutical patent only to the exact and precise compounds and chemical structures disclosed, that may render genuine medical inventions to naught. Patents cannot be construed so broadly so as to risk granting the patentee an unduly broad monopoly, but equally, one must not construe them narrowly and risk allowing competitors pick the closest imitation and frustrate the monopoly. Such broad claims – or even amendments limiting them later – have not been permitted as being "covetous" (*John William Howlett*); wide and indeterminate or vague claims are construed as insufficient (*Rf. Eastman Kodak* (supra)). The answer – the *via media* – lies in determining the context of the industry involved, the nature of the technical contribution and whether the crux of the invention is reflected in the combinations claimed. This is the approach of the English Courts as well

when they refer to the rule of "*purposive construction*" of patent claims. As the House of Lords noted in *Kirin-Amgen* (supra):

> *"33. In the case of a patent specification, the notional addressee is the person skilled in the art. He (or, I say once and for all, she) comes to a reading of the specification with common general knowledge of the art. And he reads the specification on the assumption that its purpose is to both to describe and to demarcate an invention -a practical idea which the patentee has had for a new product or process -and not to be a textbook in mathematics or chemistry or a shopping list of chemicals or hardware. It is this insight which lies at the heart of "purposive construction" ... The purpose of a patent specification, as I have said, is no more nor less than to communicate the idea of an invention."*

Again, speaking of the seemingly limitless possibilities which a pharma patent claim might comprehend, that decision stated this:

> *"46. As techniques improved and amounts of data became more substantial it became possible to do better than ESTs. It was possible to identify from published sequence data full length nucleotide sequences for proteins. Once that is done you can deduce the amino acid sequence of the protein encoded. And you should be able to make it (the details of how do not matter). But, unlike the days of wet-lab techniques (where you knew it at the outset), you do not know what function the protein has.*
>
> *47. Even at that stage, however, it is more than reasonable to suppose that it has some biological function – after all the body is carrying the gene for it. One can say in general terms that if there is a disease or condition involving a deficiency of the protein then it may be treatable with it. Or if there is a disease or condition caused by overproduction of the protein it may be treatable with an antibody to the protein. So in a very general sense one can say there is probably an application for the protein or its antibodies. As will be seen, however, that is not*

good enough to make the protein or its antibodies patentable. You have to say something more about their proposed use than they will probably be useful in medicine, though that is very likely to be so. The question in general is how much more you need to say and how reliable what you say needs to be.

48. Without in vitro and ultimately in vivo assays, you cannot definitely know what the protein you have discovered actually does. However even before that stage it may, in the case of some proteins, be possible to make an informed guess. This can be done by seeing how closely the amino-acid sequence of your newly identified protein resembles the amino-acid sequence of a known protein or "family" of proteins. You look for homology between your protein and the known protein or family of proteins. If there is some degree of homology and you know or can predict reasonably well what the known family member(s) do then you can hazard a guess that your unknown one does something like it or them.

49. Of course how likely it is that your guess will turn out to be true depends on a host of factors, for instance how homologous your protein sequence is to the other protein sequence(s), how specific the action of the known protein or family of proteins is known to be and how specific your surmise as to its function is. No doubt other factors also come into play."

In a similar vein, this Court notices, that the Canadian Supreme Court - in *Teva* (supra): relied on by Glenmark -stated that it:

"... did not make too much of the fact that the Claim 1 included over 260 quitillion compounds. The practise of cascading claims- although it may as in this case, result in claims that are overly broad- is a common one that does not necessarily interfere with the public's right to disclose."

In a recent UK Court of Appeal decision reported as *Regeneron & Anr v Genentech Inc* 2013 EWCA (Civ) 93, reversing the findings of the court below about broadness of specification, it was held that:

> *"A claim for an invention of broad application may properly encompass embodiments which may be provided or invented in the future and which have particularly advantageous properties, provided such embodiments embody the technical contribution made by the invention. VEGF-Trap does indeed embody the technical contribution made by the patent; it has a therapeutic effect in patients suffering from ARMD by treating the angiogenesis associated with that condition, and it does so by binding to VEGF and inhibiting its biological activity. VEGF-Trap is therefore one of those improvements which Lord Hoffmann had in mind in Kirin-Amgen [2004] UKHL 46; [2005] RPC 9 at [117]"*

60. On the specifics of the present patent, the Court notes that firstly, a *Markush* patent may be invalid if the ambiguity is writ large, or the patent can itself be valid, but in case of infringement, the question may arise whether the *Markush* claim also covers a particular combination. Here, it may be noticed that MSD's claim firstly addresses the objective of the invention ("field") being towards compounds that are inhibitors of *"the dipeptidyl peptidase -IV enzyme ("DPP-IV inhibitors") and which are useful in the treatment or prevention of diseases in which the dipetidyl peptidase IV enzyme is involved" such as diabetes and particularly type 2 diabetes."* The claim states that DPP-IV suppression leads to increased serum insulin and can result in hypoglycaemia. It flags the need for a new compound as DPP-IV inhibitor. The detailed description of the invention then sets out various steps (four in number) with chemical permutations. The claim describes

pharmaceutically acceptable salts as those *"prepared from pharmaceutically acceptable non-toxic bases or acids including inorganics or organic bases, and inorganic or organic acids...It will be understood that as used herein, references to the compounds of Formula I are meant to also include the pharmaceutically acceptable salts."* In the teaching part the claim starts with a scheme wise description of the processes for preparing four intermediates through seven listed (and described) examples. Table I- appended at the foot of Example 7 lists out 25 compounds. MSD then lists out its claim No. 1 as one in respect of a phenyl based *"Ar"* selected from a broad group of elements. Claim 15 lists out 33 combinations (of compounds/variables) from the group comprised in Claim 1. Claim 17 lists out five (out of the 33 combinations in Claim 15); Claims 18-20 list one each from the 5 selected in Claim 17, as independent claims. As noticed previously, the claim document states:

> *"The term "pharmaceutically acceptable salts" refers to salts prepared from pharmaceutically acceptable non-toxic bases or acids including inorganic or organic bases and inorganic or organic acids……When the compound of the present invention is basic, salts may be prepared from pharmaceutically acceptable non-toxic acids, including inorganic and organic acids. Such acids include acetic, benzenesulfonic, benzoic, camphorsulfonic, citric, ethanesulfonic, fumaric, gluconic, glutamic, hydrobromic, hydrochloric, isethionic, lactic, maleic, malic, mandelic, methanesulfonic, mucic, nitric, pamoic, pantothenic, phosphoric, succinic, sulfuric, tartaric, p-toluenesulfonic acid, and the like. Particularly preferred are citric, hydrobromic, hydrochloric, maleic, phosphoric, sulfuric, fumaric, and tartaric acids"*

61. The Court is currently *not* proceeding on the basis that the suit patent also additionally discloses SPM; that question is left open. In this case, as noted the patent sufficiently discloses the Sitagliptin free base, which in itself is clear, precise and passes the triple test for patentability (novelty, inventive step and industrial application). Accordingly, *prima facie* the *Markush* patent in this case sufficiently discloses the active ingredient and to that extent is valid. Secondly, in view of these observations, the question whether the suit patent sufficiently discloses a particular combination (out of the billions it claims to cover) may arise on a case to case basis, on considering whether the combination has a different use, action, function, chemical structure or value as to take it out of the coverage. For the limited issue in these interim hearings, the Court notes – without the benefit of evidence – that the *Markush* formula and all combinations (including SPM) "*share a common use or property*" and "*share common structure*" – factors relevant to determine the validity of a Markush patent, under the Draft Guidelines. Accordingly, the ambiguity alleged by Glenmark does not in the view of this Court imply *prima facie* invalidity. This section may be best completed by the following fitting observations of the UK Court in *Medimmune v Novartis* [2012] EWCA (Civ) 1234:

> *"there are areas of technology such as pharmaceuticals and biotechnology which are heavily dependent on research, and where workers are faced with many possible avenues to explore but have little idea if any one of them will prove fruitful. Nevertheless they do pursue them in the hope that they will find new and useful products. They plainly would not carry out this work if the prospects of success were so low as not to make them worthwhile. But denial of patent protection in all such cases would act as a significant deterrent to research."*

Broad though MSD's claim might be, a reading thereof- to the person skilled in the art- is not akin to the pathway into a black hole for an interstellar traveller.

Is Sitagliptin un-patentable for lack of industrial application- as contended by Glenmark?

62. In view of the observations and *prima facie* determination that the Sitagliptin free base is covered, the Court now proposes to deal with the alternative argument advanced by Glenmark that the Sitagliptin free base *in itself* as opposed to SPM has no industrial application, and the patent is liable to be revoked under Section 64(1)(g) of the Act. Glenmark argues that the free base is in itself unstable and cannot be administered as a commercial drug. According to Glenmark,

> *"[i]n so far as efficacy in the suit patent is concerned, only a general statement has been made to the effect that the compounds (which are billions in number) of the invention as detailed in the impugned suit patent have utility in treating and preventing a large number of diseases without actually giving any specific IC50 values for individual diseases. This when read along with the various admissions in the SPM patent its prosecution history establishes that neither Sitagliptin nor SHCL are capable of being used for pharmaceutical development. Thus, the suit patent lacks Industrial Applicability." (emphasis in original)*

63. In order to address the industrial applicability of the suit patent, the Court must consider the utility and usefulness of Sitagliptin. The patent specification is the best starting point for this exercise, since it itself discloses certain utility. The specification notes that

> *"the utility of the compounds in accordance with the present invention as inhibitors of dipeptidyl peptidase IV enzyme activity may be demonstrated by methodology known in the art." (page 13)*

It is further disclosed that

> *"[i]n particular, the compounds of the following examples had activity in inhibiting the dipeptidyl peptidase IV enzyme in the aforementioned assays, generally with an IC50 of less than about 1 uM." (page 14)*

64. Based on the functions of the DPP-IV enzyme, it is claimed that "*the subject compounds are useful in a method for the prevention or treatment of the following diseases, disorders and conditions*", which – as indicated in pages 14-18 of the specification – includes type 2 diabetes, obesity, growth hormone deficiency, intestinal injury, HIV infection, neuronal disorder, osteoporosis, sperm motility and a host of other conditions. Further, possible manners of administration (oral, rectal etc.) are detailed, as also the recommended dosage based on body weight and other factors. The specification – while dealing with the industrial applicability – also recognizes that the compounds may be combined with other compounds for effective delivery. Specifically, it notes at page 21:

> *"The pharmaceutical compositions for the administration of the compounds of this invention may conveniently be presented in dosage unit form and may be prepared by any of the methods well known in the art of pharmacy. All methods include the step of bringing the active ingredient into association with the carrier which constitutes one or more accessory ingredients. in general, the pharmaceutical compositions are prepared by uniformly and intimately*

> *bringing the active ingredient into association with a liquid carrier or a finely divided solid carrier or both, and then, if necessary, shaping the product into the desired formulation, in the pharmaceutical composition the active object compound is included in an amount sufficient to produce the desired effect upon the process or condition of diseases." (emphasis supplied)*

65. The description of industrial applicability is of the "*active ingredient*", i.e. Sitagliptin, instead of any individual compound, for example, a salt. It is contemplated that the active ingredient – which refers to the chemical that results in the therapeutic effect – will be combined with a carrier of some form. The essential focus of the specification therefore is the industrial application of the main therapeutic agent, or simply, the invention. There is also an implicit admission that while it is the active ingredient – Sitagliptin free base – that has a medical value in managing certain diseases and conditions, it will be accompanied by a carrier that has no therapeutic value.

66. It is in such context that the Court should consider the question whether the disclosed invention, Sitagliptin free base, has industrial application. Section 2(1)(ac) defines the phrase *"capable of industrial application"* as an invention capable of being made or used in an industry. The issue is whether Sitagliptin can be used in the medical industry. Quite certainly, in view of the facts disclosed above, it provides a therapeutic effect for various medical diseases and conditions as the DPP-IV inhibitor. The real issue raised by Glenmark is that since Sitagliptin *simpliciter* cannot be administered, *it* has no real application.

67. This Court notes that *a* "*specification must be read as a whole, just as any document is*" (*Cornish* at 183). The role of the specification is to teach

(i.e written description) what the invention is and the method of making and using it (i.e enablement). While the claim (claims 1 and 19) disclose the Sitagliptin free base, the description relating to the issue of industrial applicability recognizes that the Sitagliptin free base will be attached to some carrier. That carrier, however, *is not the crux of the invention*, but only an inert component that does not add value to the therapeutic or medical value, which is the true core of the invention. It would be a far cry to hold that Sitagiptin "*is useless for any known purpose*" (*Chiron Corp v Murex Diagnostics Ltd and Other*, [1996] RPC 535). Sitagliptin was not known before, and its introduction allows for the inhibition of the DPP-IV enzyme in such a manner as previously unknown. It can – in that sense – be used, whether through one inert carrier or another.

68. The claim has thus moved from speculation to inventive perception to the utility of the compound. As the UK Court of Appeal noted in *Eli Lily* v. *Human Genome Sciences*, [2001] EWCA 33, there is "*a real prospect of exploitation ... derivable directly from the specification*". 'Directly', the Court clarified, here means in a straightforward manner, without undertaking further research. Whilst manufacturers may determine which salt carries the active component the best – those carriers do *not* in any manner affect the therapeutic working of the active component itself. In other terms, "*what is disclosed must make it plausible that the invention claimed will result in practical exploitation in an industry*", especially given the precise detail as to the manner of administration, dosage, list of diseases and disorders that will be implicated etc. Four important elements were identified by the Court of Appeal, in its discussion regarding industrial application, which an article claiming patent had to fulfil:

i) The patent must disclose *"a practical application*" and *"some profitable use"* for the claimed substance, so that the ensuing monopoly *"can be expected [to lead to] some ... commercial benefit"*;

ii) A *"concrete benefit"*, namely the invention's "*use ... in industrial practice"* must be *"derivable directly from the description",* coupled with common general knowledge;

iii) A merely *"speculative"* use will not suffice, so *"a vague and speculative indication of possible objectives that might or might not be achievable"* will not do;

iv) The patent and common general knowledge must enable the skilled person *"to reproduce"* or *"exploit"* the claimed invention without *"undue burden"*, or having to carry out *"a research programme"*;

69. On a fair application of the above principles, as explained above, it is concluded that *prima facie* there is a concrete basis for recognizing that the contribution of the suit patent could lead to practical application in the industry. As long as Sitagliptin is recognized to have a therapeutic effect in humans, it is practically applicable, even if it is not commercially successful due to an ineffective carrier, unless naturally the claim is made that the active ingredient is incapable of being coupled with a carrier – a submission that is not advanced. In such cases of pharmaceutical compounds, if the function of the compound is disclosed, and that function is useful in the medical industry, it is industrially applicable. The utility here refers to the function alleged to be performed by the compound, which in this case is the inhibition of the DPP-IV enzyme – clearly a beneficial addition to the

medical industry that has been used as a fictional ingredient. Justice Blackwell remarked, "*happy the inventor whose patent is infringed' for that is the surest sign that he has devised something of utility and worth*." (*Gillette Industries Limited* v. *Yeshwant Brothers*, (1938) 40 Bom LR 478, quoting Swan's *Patents, Designs and Trade Marks*).

70. It would also be useful to note the observations of the Justice Ayyangar Committee, quoted with approval by the Supreme Court in *Novartis* (supra), that "*[p]atent systems are not created in the interest of the inventor but in the interest of national economy*." The industrial applicability of pharmaceutical patents – where the active component is often supported by ancillary inert compounds – must therefore be seen in the light of whether there is a concrete contribution to the medical industry and not just a pre-emptive claim that "*reserve[s] an unexplored field of research for an applicant*" (*Max-Planck*, European Patent Office, Decision T 0870/04 (May 2005)). *Prima facie*, as explained above, this does not appear to be merely a pre-emptive claim, presently.

Was Sitagliptin disclosed in prior art- in EP 1406622

71. The next challenge to the suit patent is that Sitaglptin was disclosed in prior art –European Patent 1406622. Glenmark argues that the suit patent is a "*cut and paste job*" from these two patents, that also disclose DPP inhibitors for the treatment of diabetes. The Court notes here that irrespective of whether the two patents are similar or not, EP 1406622 was published on 13.01.2003 after the priority date for the suit patent, i.e. 06.07.2001, although the priority date for EP 1406622 is 20.6.2001. It is

well-established that prior art is judged with reference to material that is made, published or made public on the priority date of the suit patent. EP 1406622 – published later in time – is not prior art for the suit patent.

72. Several allegations of suppression of relevant information, concealment of subsequent and previous patent applications are urged by Glenmark. The Court will deal with those issues at this juncture. Glenmark alleges that MSD did not comply with its obligation under Section 8 of the Act to disclose patent applications made for the "*same or substantially the same invention*" – it did not disclose 5948/DELNP/2005 (for Sitagliptin Phosphate Monohydrate), 1130/DELNP/2006 (Sitagliptin Phosphate Anhydrate), 2710/DELNP/2008 (Sitagliptin plus Metformin) or subsequent international applications for these compounds either. It is argued that such suppression and concealment – contrary to statutory obligations – results in the invalidity of the patent, and at any rate, militates against the grant of an interim injunction that is premised on good faith and complete disclosure. Even the plaint, it is argued, does not disclose any of these applications.

73. Section 64(1)(m) lists failure to comply with Section 8 as a ground for revocation of the patent. The nature of disclosure required under the Section 8/64(1)(m) regime was considered to by a Single Judge of this Court in *F. Hoffmann-La Roche Ltd* v. *Cipla*, 2012 (52) PTC 1 (Del), to the following effect:

> *"131. On the conjoint reading of both the above Sections, it is clear that there is a mandatory provision provided u/s 8 whereunder the applicant for patent is under obligation to disclose the information to the Controller of Patents regarding any patent application which is pending in the country outside India in respect of the same or substantially the same invention or where to his knowledge such application is being*

prosecuted by some person through whom he claims title, he shall file along with the same or subsequently a statement setting out the detailed particulars of such application and also give an undertaking to that effect.

132. It is also manifest from the collective reading of Section 64(m) with that of Section 8 that the consequences of not disclosing the information as per Section 8 would lead to the revocation of patent as the violation of Section 8 can be raised as a ground for revocation of patent and the same is permissible by way of Section 64(1) (m).

133. The question then arises for consideration is as to what extent the disclosure is required to be made by an applicant for patent in the Patent Office and how the Court has to deal with the same when the violation of the said provision is pressed into service by calling upon the Court to examine as a ground of rectification or revocation proceedings.

134. For doing the same, one has to understand the scope and ambit of Section 8 as to what can be subsumed within purview of Section 8 which may attract Section 64 and as a matter of consequence may lead to revocation of patent.

xxx

137. It is also seen from the reading of said Section that Section 8(1) covers within its sweep not merely the applications which are being prosecuted at the time of filing of patent, but also the other applications which are filed subsequently during the time when the prosecution before the Indian Patents Office is underway. This is clear from the undertaking which the applicant for patent has to give under clause 8 (b) relating to the applications preferred in countries outside India subsequently to the filing of statement referred to in clause (a).

> *138. Careful examination of entire scheme of Section 8(1) of the Act would reveal that the Section-8 is aimed at to provide the Controller true and faithful disclosure of all the information relating to the applications for patents which are same or substantially the same invention and also to provide the information to the Controller in relation to the title of the said Patent owned by the applicant and the other persons in the foreign countries."*

74. Given this understating, the Court notes that MSD filed its Form 3– which is a "*statement and undertaking under Section 8*" – on 06.01.2006 disclosing various patents, either granted or under consideration in various countries. Alongside, an undertaking was given that "*up to the date of the grant of the patent, by the Controller, we would keep the controller informed in writing the details regarding corresponding applications for patents filed outside India within three months from the date of filing such application.*" The Controller by a letter dated 19.01.2007 wrote back stating that Form 3 was filed after the period stipulated and could not be accepted. MSD's agent wrote back on 24.1.2007 requesting for a condonation of the delay, and further stating:

> *"First objection is that updated Form 3 submitted along with the response to the FER cannot be taken on record, as the same was submitted beyond the stipulated time, in this regard, we invite to the attention of the Controller that, section 8(2) of the Act, Applicant is under the obligation to update the status of patent applications filed outside India till the grant of patent. Accordingly Applicant have filed updated the information in Form 3 to the Controller on September 14, 2006."*

75. This modified list included additional details of US Patent Application No. 60/750954 (International Application No.

PCT/US2006/047380 ('pharmaceutical compositions of combinations of DPP-IV inhibitors with Metformin'), European Patent EP 1962827 ('pharmaceutical compositions of combinations of DPP-IV inhibitors with Metformin') and various other international applications that concern Sitagliptin-Metformin and SPM, the two combinations in Januvia and Janumet that form the basis of the present suit. Glenmark's claim that the disclosure of subsequent applications for Sitagliptin-Metformin and SPM would have allowed the Controller to determine that they were not included in the suit patent, but independent patents, thus is unmerited, since that official had such information with him. As far as the question of disclosure of applications 5948/DELNP/2005 (Sitagliptin Phosphate Monohydrate), 1130/DELNP/2006 (Sitagliptin Phosphate Anhydrate), 2710/DELNP/2008 (Sitagliptin plus Metformin) are concerned, the Court notes that Section 8 only mandates the disclosure of patent applications outside India and not within. This is clear from the wording of Section 8 itself:

> *"Where an applicant for a patent under this Act is prosecuting either alone or jointly with any other person an application for a patent in any country outside India in respect of the same or substantially the same invention, or where to his knowledge such an application is being prosecuted by some person through whom he claims or by some person deriving title from him, he shall file …"*

76. The scope of the section – and the obligation stipulated – is limited by use of the express words "*for a patent in any country outside India*". Given that failure to comply with Section 8 leads to the substantial consequence of revocation under Section 64(1)(m), the words must be given their literal meaning. Indeed, if Section 8 is held to apply to applications within India as

well, the words "*in any country outside India*" are rendered superfluous; if the legislature had intended for all applications to be disclosed, it would have omitted those words. This Court is aware that a contrary view was taken by a Division Bench of this Court in *Hoffmann-La Roche Ltd* v. *Cipla*, (2009) 40 PTC 125 (DB), where it was noted that Hoffman was under an obligation to disclose an application made in India as well, because the Controller cannot be presumed to know of all pending applications as well. In the opinion of this Court, this reading does not emanate from Section 8. That interlocutory judgment was rendered in the context of a decision affirming denial of injunction to a patent proprietor; the Division Bench then appears to have cast an obligation on patent applicants beyond the express provision of Section 8. This Court highlights this aspect, because the final judgment was not based upon non-disclosure; the patent was not cancelled eventually. The findings of the learned Single Judge in that case interpret that section in light of its clear text in the following manner:

> *"141. It is, however, to be noted that the necessary ingredients noted above must be satisfied in order to attract Section 8 which include foreign application or the application outside India and not the Indian application. Therefore, the expression "any other application" should also be read in the context with the accompanying words which are "relating to the same or substantially the same invention if any filed in country outside India" only in relation to foreign applications which is also clear from the head note as well as from the ingredients of Section, the said provision will attract in relation to Indian application. Therefore, the Court seized of with the revocation u/s 64(1)(m) will examine the question of disclosure or non disclosure as envisaged u/s 8 must confine itself to the enquiry which is permissible u/s 8 and not beyond the same which is relating to information and undertaking regarding foreign application and the aspects relating to the same."*

Here, the Court recollects the object of the provision, as discernable from the Justice N. Rajagopala Ayyangar report titled ***"Report on the revision of Patent law"***. The suggestion provided in the report is extracted below:

> *"It would be of advantage therefore if the applicant is required to state whether he has made any application for a patent for the same or substantially the same invention as in India in any foreign country or countries, the objections, if any, raised by the Patent offices of such countries on the ground of novelty or unpatentability or otherwise and the amendments directed to be made or actually made to the specification or claims in the foreign country or countries."*

Apart from the two decisions noted previously, in the fresh hearing it was pointed out that a recent Division Bench ruling in *Maj. (Retd.) Sukesh Behl v Koninklijke Phillips Electronics* (FAO 16/2014, decided on 07-11-2014) has again re-inforced the discretionary element consequent upon a patent applicant's failure to comply with Section 8:

> *"37. In the present case, it is no doubt true that it is mandatory to comply with the requirements under Section 8(1) of the Patents Act and noncompliance of the same is one of the grounds for revocation of the patents under Section 64(1)(m). However, the fact that the word "may" is used in Section 64(1) itself indicates the intention of the legislature that the power conferred thereunder is discretionary. The mere fact that the requirement of furnishing information about the corresponding foreign applications under Section 8(1) is mandatory, in our opinion, is not the determinative factor of the legislative intent of Section 64(1). We found that the language of Section 64(1) is plain and unambiguous and it clearly confers a discretion upon the authority/Court while exercising the power of revocation. The interpretation of the*

provisions of Section 64(1) as discretionary, in our considered opinion, does not result in absurdity nor in any way effect the rigour of the mandatory requirements under Section 8 of the Act.

38. Therefore, we are of the view that though any violation of the requirement under Section 8 may attract Section 64(1)(m) for revocation of the patent, such revocation is not automatic."

An important element in this discussion is that at an *interlocutory* stage, when the Court merely takes a broad look at the *prima facie* nature of the case, rejection of the claim for temporary injunction on the basis of such facial understanding regarding non-disclosure of Section 8 would be drastic. The possibility cannot be entirely ruled out, in cases where breach of the provision is patent and manifest. In other cases, resting the decision not to grant interlocutory relief (a powerful interim order, given the length of a patent infringement trial) entirely based on infraction of Section 8 can operate harshly - possibly even cause irreparable harm in itself. The non-disclosure of 5948/DELNP/2005 (Sitagliptin Phosphate Monohydrate), 1130/DELNP/2006 (Sitagliptin Phosphate Anhydrate), 2710/DELNP/2008 (Sitagliptin plus Metformin) is thus *prima facie* insufficient, in the opinion of this Court, for revocation under Section 64(1)(m).

78. Finally, Glenmark argues that MSD was duty bound to disclose the X-ray Diffraction Pattern ("XRD") of Sitagliptin free base or SPM. Glenmark argues that every crystalline compound produces a different pattern in a technical analysis. XRD discloses the signature/blue print of the said compound. In the case of crystalline compounds, Glenmark argues that the established method of identifying a compound is XRD analysis, which MSD has not filed in this case leading to the confusion between Sitagliptin free

base and SPM – which in its view are different. Glenmark relies on the decision of the Division Bench in *Hoffman La Roche* (supra) at paragraph 40 for the proposition that the disclosure of XRD analysis is mandatory:

> *"This Court holds that in an application seeking ad-interim injunction in a suit for infringement of patent, it would be incumbent on the plaintiffs to make a full disclosure of the complete specification of the product whose patent is claimed to have been infringed. The plaintiff will also have to disclose to the Court the x-ray diffraction data of the product, particularly if it is pharmaceutical drug."*

In this regard, this Court notes that: first, the view of the Division Bench does not imply that disclosure of the XRD is mandatory such that it results in invalidity or revocation of the patent, as Glenmark claims. Such an interpretation would be tantamount to the impermissible addition of a separate ground for revocation under Section 64(1); and secondly, whilst XRD may be relevant in various cases to determine the nature of complex compounds and compare the infringing drug with the patent in question, there is no universal rule that XRD must be disclosed in all cases as a matter of rule; rather, this is a scientific matter to be judged by those in the field and the patent examiner based on the facts of the case and the nature of the drugs involved. Courts cannot, and are not in a position to, create a blanket rule of law in this regard. Indeed, it appears that the case in *Hoffman* concerned two polymorphs of the drug, Tarceva, A and B, for which the XRD data was used as tool for differentiation. No question of differentiating the polymorphs (or two versions of the same structure) arises in this case. Moreover, the comparison and reading of XRD data – that is primarily if not exclusively scientific information – is best left to experts, to whom the

Courts cannot look at this stage of interim hearings. Reading of complex scientific documents in interim hearings is not the Court's forte, and it would be wise to exercise extreme caution.

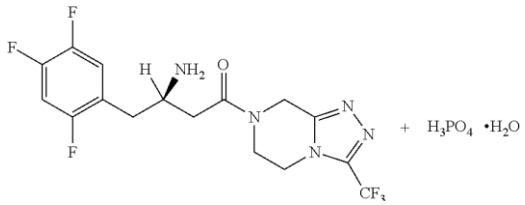
79. In view of this discussion, MSD has established a strong *prima facie* case on the merits of the suit claim for the validity of its patent. Accordingly, a case for the infringement by Glenmark – through its product Zita – *is established since it uses the Sitagliptin free base as the active component in its chemical formulation*. An argument was advanced by Glenmark during the course of oral hearings that this is not the case since Zita uses SPM, which is manufactured *directly* without using the Sitagliptin free base. The Court is unimpressed with this submission – not only was no evidence or document adduced to support this plea, but moreover, the written submission and counter-claim do not in any meaningful manner disclose such a case. Indeed, Zita – by account of all documents canvassed before the Court – uses the Sitagliptin free base as the active component i.e. the DPP inhibitor. Glenmark's explanation that it uses a different *process* to produce the infringing article is facially unconvincing. It appears to this court that the production of Sitagliptin Phosphate would precede use of MSD's patented article, which entails infringement. Glenmark's US patent claim (No. US 8,334,385 B2 made on 18-12-2012) states this:

> *" BACKGROUND OF THE INVENTION*
>
> *1. Technical Field*
>
> *The present invention relates to a novel process for the preparation of R-sitagliptin and its pharmaceutical acceptable salts thereof. The present invention also provides structurally novel intermediates useful in the disclosed process, a*

pharmaceutical composition and a method of treating Type-2-diabetes.

2. Description of the Related Art

R-sitagliptin is commonly available as sitagliptin phosphate, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazine phosphate (1:1) monohydrate, and has the following structural formula:



Sitagliptin phosphate is an orally administered dipeptidyl peptidase-4 (DPP-4) inhibitor. ***Sitagliptin has been developed for the treatment of Type-2-diabetes and is available in the market under the brand name JANUVIA® as tablets in the dosage strengths of 25, 50, or 100 mg equivalent base.***

International Patent Publication WO2004087650 describes a process for the preparation of sitagliptin via benzyloxy protected tetrazolylpyrazine intermediate.

International Patent Publication WO2004085661 describes a process for the preparation of enantiomerically enriched sitagliptin via (S)-phenylglycine amide protected tetrazolyl pyrazine intermediate.

US PG Publication US20080058522 describes a process generically for the preparation of sitagliptin and its pharmaceutically acceptable salts using specific chiral bisphosphine ligands.

International Patent Publication WO2006081151 describes a process generically for the preparation of sitagliptin and its

pharmaceutically acceptable salts using rhodium metal precursor complexed to a ferrocenyl diphosphine ligand."
(emphasis supplied)

Section 48 (a) of the Patents Act mandates that subject to provisions of Section 47, a patent granted confers upon the grantee *"where the subject matter of the patent is a product, the exclusive right to prevent third parties, who do not have his consent, from the act of making, using, offering for sale, selling or importing for those purposes that product in India"*. Glenmark cannot produce Sitagliptin Phosphate without producing Sitagliptin first and thus infringing MSD's patent. This is irrespective of whether Sitagliptin phosphate and Sitagliptin are same and are claimed in a single patent. Both its products Zita and Zita Met list Sitagliptin as an essential pharmaceutical ingredient. The plaintiffs allege as much in Paras 29-31 of the suit pleadings (plaint). The learned single judge, in the impugned judgment noted as much:

"18. The plaintiffs in the plaint have described SITAGLIPTIN as a DPPIV Inhibitor which helps the Pancreas to produce more insulin thereby lowering the blood sugar. The senior counsel for the plaintiffs has in his argument explained that the Type-2 Diabetes is caused not by the failure of the Pancreas to produce insulin but owing to the release in the human body of other substances which suppress production / release of insulin by Pancreas and that SITAGLIPTIN inhibits the release of those substances which come in the way of release of insulin by Pancreas.

19. The package-insert of the defendant"s product also describes the same as a combination product which inhibits Dipeptidyl Peptidase-IV

20. I had for this reason asked the senior counsel for the defendant to explain as to how the combination by the defendant in its product of Phosphate with SITAGLIPTIN amounted to a different treatment of Type-II Diabetes than treatment by SITAGLIPTIN.

21. No satisfactory response was forthcoming.

22. To my mind, if the infringing product are made with the same object in view which is attained by the patented article, then a minor variation does not mean that there is no infringement. Trifling and unessential variations are to be ignored. Conversely, a miniscule advancement could be recognized as an invention."

MSD's argument regarding infringement however left the single judge unmoved; he reasoned as follows:

"26. The plaintiff in a suit restraining infringement of patent ought to have known the defence which the defendant has put forth and ought to have met the same in the plaint, as has been done in the arguments in rejoinder by arguing on "basic" and "improvement" patents. There is not an iota of pleading on the said aspect. The plaintiff, to show that the defendants product, in spite of combining Phosphate with patented SITAGLIPTIN, medically remained equivalent to SITAGLIPTIN, was expected to plead in detail on the aspects of efficacy of SITAGLIPTIN, reason for itself combining the same with Phosphate and the role of Phosphate being inconsequential in the disease which SITAGLIPTIN cures. It was for the plaintiffs to have made a case of Sitagliptin Phosphate being merely a new form of SITAGLIPTIN which does not result in the enhancement of the efficacy of SITAGLIPTIN or being a mere combination of other derivatives of SITAGLIPTIN. I am unable to find any pleading of the plaintiffs to the said effect. Rather, the plaint proceeds on the premise that Sitagliptin Phosphate is the same as SITAGLIPTIN but which is not found to be the case of the plaintiffs in its own

application for grant of Sitagliptin Phosphate and which was abandoned.

27. On the contrary it has emerged that the plaintiff Merck itself has in USA taken an independent patent for Sitagliptin Phosphate and similarly applied in India and which has been rejected and while applying for independent patent in Sitagliptin Phosphate in USA, India and Europe having claimed it to be a new invention and a different product than SITAGLIPTIN."

This is where, with great respect, this Court differs from the approach of the learned Single Judge. Whether MSD secured a patent for Sitagliptin Phosphate - but was denied, could not have been a *rationale* for denying the inventive step involved in its granted patent, in India. Glenmark entirely banked on the patent granted to it in the US- which in turn depended on Sitagliptin as a foundational element. The use of Sitagliptin without authorization clearly amounted to infringement - as noted earlier, expressly enjoined by Section 48 (a). Thus, *prima facie* infringement of MSD's patent is established, in the opinion of this Court.

80. Having said this, in this exercise, the Court faces a limitation – the issues involved require a minute examination of the facts (the patent claim and its disclosures, prior art, subsequent applications, and the nature of Glenmark's product) which the Court cannot engage in at this stage of interim hearings. In the usual course, the Court benefits from a full trial with pleadings and expert evidence, especially in matters as complicated as pharmaceutical patents; these are absent today, and so, in attempting to mimic that exercise in determining whether a *prima facie* case exists, we must not conduct some sort of 'mini-trial' (see, *Anand Prasad Agarwalla* v. *Takeshwar Prasad and Ors.*, (2001) 5 SCC 568). The conclusions thus

remain in some part tentative. The parties' claims have been adjudged as best as possible at this point in time, but we recognize that the findings are still open to debate. Importantly, since an interim injunction affects parties' legal rights based on a necessarily incomplete legal finding that may possibly tilt the other way, so to speak, the other two factors – balance of convenience and presence of an irreparable injury – become all the more important. They too must be considered in similar detail, though a strong case of infringement – as established in this case – will no doubt weigh heavily in the ultimate decision.

81. In determining the balance of convenience between the parties and whether an irreparable injury may result, some observations on the *approach* to be adopted by the Court are important. A judge considering the grant of an interim injunction no doubt has discretion in the matter. As is variously described, he must exercise it 'judiciously' or with 'care, caution and circumspection'. At one extreme, neither mathematical rules nor fixed formulae can provide the answers; on the other, discretion is not synonymous with the absence of demonstrable and intricate reason. This discretion is not a 'discretion-at-large' – so to speak – that permits judges to reign free in their interpretation of what is 'equitable'. Rather, certain equitable *principles* guide the exercise of that discretion, to which Courts must be alive. This is not to limit judges' discretion in tailoring the decision and the relief to the facts of each case. That aspect cannot and should not be underestimated. But these principles must *inform* a judges' analysis and conversely, the analysis must *show how* these principles apply to the particular facts of a given case. Just as the triple-test (judicially created in *American Cyanamid* v. *Ethicon Ltd*, [1975] AC 396, and subsequently

adopted in Indian law) of a *prima facie* case, irreparable injury and balance of convenience has assisted the exercise of judicial discretion, courts must dig deeper and introduce greater nuance in their analysis by reference to constantly evolving equitable principles. Mere assertions of doing 'equity' or maintaining 'interests of parties' in support of the grant or refusal of interim relief belies a reasoned and principled decision capable of contributing to this body of judge made law that so crucially affects parties' interests.

82. Addressing these principles in the circumstances of the present case, the Court notes six equitable principles that come into play in this case and must be considered. First, and this principle is now well established in Indian jurisprudence, the Court must look at the public interest in granting an injunction, as access to drugs, especially one for a condition as prevalent as diabetes, is an important facet of the patent regime. Here, the price difference between the commercial products sold by Glenmark and MSD is not so startling as to compel the court to infer that allowing Glenmark to sell the drug, at depressed prices would result in increased access. Permitting Glenmark to operate would not necessarily result in lowering of market prices. Importantly, whilst lower prices may result from competition amongst two competitors, no allegation has been made that MSD today sells its drugs at a relatively high price that hinders access to the drug. MSD has reduced its price by $1/5^{th}$ from the United States, which shows some receptivity to the Indian market; Glenmark has not disputed this submission.

83. A little digression at this stage with respect to the pharmaceutical formulation is necessary. The International Diabetes Federation (IDF) claims to be an umbrella organization of over 230 national diabetes

associations in 170 countries and territories, and represents the interests of the growing number of diabetics and those at risk. It states, on its website, (<http://www.idf.org/diabetesatlas/5e/south-east-asia> accessed on 17.02.2014) that:

> *"Close to one-fifth of all adults with diabetes in the world live in the South-East Asia Region. Current estimates indicate that 8.3% of the adult population, or 71.4 million people, have diabetes in 2011, 61.3 million of whom are in India. The number of people with diabetes in the region will increase to 120.9 million by 2030, or 10.2% of the adult population. A further 23.8 million people have impaired glucose tolerance (IGT) in 2011, and this will increase to 38.6 million by 2030."*

84. At this stage, the Court must address the issue of public interest in respect of access to drugs. In the *Hoffman La Roche* case (supra) at the interlocutory stage, both at the stage of the Single Judge and the Division Bench, considerable attention was given to the nature of the drug and the price differential. The Court also concluded *prima facie* that the defendant, a generic manufacturer, had made out a credible defence and a credible challenge to the validity of the patent. The Court located the public interest concern in the debate on balance of convenience and noting that the price differential was about 300% in relation to a life-saving drug (one which treated lung cancer), held that balance of convenience did not lie in favour of grant of injunction as the possibility of several thousands using the generic product being denied access, and consequently their lives, was real. Such consequence was an in-compensable eventuality. Here, no such startling consequences are discernible. Diabetes is more of a lifestyle disorder, which requires management and treatment. The new line of treatment offered by MSD improves efficient management of the condition

which cannot be termed as life threatening, so as to characterize the patented product as a life-saving drug (without going into what are life-saving drugs, because of an element of subjectivity and fact dependence, but recognizing a broad distinction which is sufficient for the purposes of this case). In this context, it would be useful to notice that in the World Health Organization's (WHO's) Model list of Essential medicines, besides three forms of insulin, "*Glibenclamide Tablet: 2.5 mg; 5 mg"* and *"Metformin Tablet: 500 mg (hydrochloride)"* no other drug- including none with any Sitagliptin combination has been shown.[15]

85. This leads us to the second principle, which is whether the Court can overlook the public interest in maintaining the integrity of the patent system itself, so that a legitimate monopoly is not distorted. As this Court noted in *Bayer Corporation and Ors.* v. *Cipla, Union of India (UOI) and Ors.*, 162 (2009) DLT 371

> *"[i]f, after a patentee, rewarded for his toil - in the form of protection against infringement - were to be informed that someone, not holding a patent, would be reaping the fruits of his efforts and investment, such a result would be destructive of the objectives underlying the Patents Act.".*

The Court must be mindful – especially in a case where a strong case of infringement is established, as here – there is an interest in enforcing the Act. It may be argued that despite this no injunction should be granted since all damages from loss of sales can be compensated monetarily ultimately if the patentee prevails. This argument though appealing, is to be rejected

[15] Ref. http://whqlibdoc.who.int/hq/2011/a95053_eng.pdf?ua=1

because a closer look at the market forces reveal that the damage can in some cases be irreparable. This in turn leads to the third principle, which is where an infringer is allowed to operate in the interim during the trial, it may result in a reduction in price by that infringer since it has no research and development expenses to recoup – most revenue becomes profit. The patentee however can only do so at its peril. Importantly, prices may not recover after the patentee ultimately prevails, even if it is able to survive the financial setback (or "hit") during the interim, which may take some time. The victory for the patentee therefore should not be pyrrhic but real. This irreparable market effect in cases of a sole supplier of a product has also triggered the decisions in *SmithKline Beecham* v. *Generics*, (2002) 25(1) IPD 25005 and *Smithkline Beecham Plc (2) Glaxosmithkline UK Ltd* v. *Apotex*, [2003] EWCA Civ L37, where in granting an interim injunction, it was held that damages would not be an adequate remedy for the plaintiff since it was the sole supplier of the product. New entrants to the market would be likely to cause its prices to go into a downward spiral, and Smith Kline's prices may not recover even if it wins eventually. Equally, granting the injunction would not prejudice Glenmark to an equal extent since – if the suit is dismissed – it may return to a market that is largely variable.

86. In the present case, given the size of the diabetes drug market in India, and the sheer number of patients, from all economic strata of society, the demand for low-priced medicines will remain, rather than any distortion of demand due to brand loyalty or a first mover's advantage to MSD. As noticed earlier, the price differential between MSD's drug and the infringing products is 30%, a significant portion of which is due to the customs duty paid by MSD. Learned senior counsels appearing for MSD had stated that it

would compensate Glenmark for loss of earnings if the suit were to be dismissed. Thus this arrangement not only ensures that Glenmark will – if successful – be able to return to the market without any handicap, but moreover, it will be compensated at market value for the period for which it was excluded. The balance of convenience thus clearly lies in favour of MSD.

87. A related concern that this Court heeds – the fourth principle operative in this case – is that of the chronology of events and Glenmark's decision to release Zita without first challenging Januvia or Janumet. Undoubtedly, the Act creates a right to oppose patents even after grant. There is no obligation to only utilize the pre or post grant opposition mechanisms. Neither does a patent benefit from a presumption of validity if it is challenged in the course of an infringement suit. However, if a defendant is aware that there may be a possible challenge to its product, but still chooses to release the drug without first invoking revocation proceedings or attempting to negotiate, that is surely a relevant factor. The defendant's *legal* right to challenge the patent at any point in time is intact, but that does not mean that this factor cannot determine the interim arrangement. This is more so where Glenmark today argues that MSD ought to have disclosed international patent applications for SPM and Sitagliptin plus Metformin since they were the "*same or substantially the same*" as the suit patent under Section 8. That is Glenmark's stated position. Such being the state of things, it is surely reasonable for Glenmark to detect the *possibility* to challenge, when a US patent application for SPM filed by it was opposed by MSD. Despite this, Glenmark released the drug without initiating revocation proceedings under the Act, which is also a right vested

in Glenmark that would have obviated the need for the interim arrangement we are today considering. This does not mean that Glenmark's right to question the validity of the patent in an infringement is affected, but the manner of challenge is a relevant factor against it at the interim stage. As Justice Jacob noted in both *Smithkline Beecham* cases (supra):

> *"I remain of the same opinion that I was in the Generics case. Where litigation is bound to ensue if the defendant introduces his product he can avoid all the problems of an interlocutory injunction if he clears the way first. That is what the procedures for revocation and declaration of non-infringement are for."*

Similarly, in the Australian decision of *Pharmacia Italia S.p.A* v. *Interpharma Pty Ltd*, [2005] FCA 1675, the Court noted the fact that Interpharma had acted in full knowledge of Pharmacia's patent and the possible consequences flowing from that. This consideration that the patentee is already in the market and has been operating the patent has found favour in Indian Courts as well. In *K. Ramu* v. *Adayar Ananda Bhavan and Muthulakshmi Bhavan*, 2007 (34) PTC 689 (Mad), *Bajaj Auto Ltd.* v. *TVS Motor Company Ltd.*, 2008 (36) PTC417 (Mad) and *National Research Development Corporation of India* v. *The Delhi Cloth and General Mills Co. Ltd. and Others*, AIR 1980 Del 132, the fact that the patentee was already dealing in the market on the basis of the patent weighed in as a factor in granting the interim injunction.

88. Ultimately, the Court must look to the combination of the three primary factors. A strong case can in some instances offset an equal balance of conveniences between parties. In this case, MSD has established a *prima facie* case of infringement, an interim arrangement that secures the interests of both parties and which maintains the public interest involved is available,

which also ensures that the possibility of irreparable harm to the patentee is removed.

89. Accordingly, for the above reasons, this Court holds that the order of the learned Single Judge dismissing the application for grant of an interim injunction is liable to be and is set aside. The interim injunction claimed for by the plaintiff MSD in IA 5167/2013 is granted. Additionally, the following directions are issued:

i) MSD shall furnish an affidavit undertaking (to be filed by its director duly authorised by its Board of Directors) in the pending suit, that in the event the suit is dismissed, it would compensate Glenmark for the damage or loss caused, including but not limited to loss of earnings. The affidavit shall be filed in two weeks.

ii) Glenmark shall furnish an undertaking to comply with the injunction within two weeks from today in the suit.

iii) Glenmark shall file a detailed account of its earnings (including gross turnover figures) from the products, from the date of the filing of the present suit; the account shall be accompanied by an affidavit of one of its Board of Directors authorized directors, which shall also undertake to pay such damages, if any- which may be decided by the court if the ultimate result of the suit is a decree in favour of the plaintiff MSD. The statement shall be filed with a supporting affidavit of its duly authorized director, within four weeks. The statement of account shall be accompanied by the certificate of a chartered accountant verifying its genuineness.

iv) It is clarified that the defendant Glenmark is permitted to sell the products in question which are already in the market (i.e. with its

distributors, retailers etc.). However, in compliance with the injunction granted in favour of the plaintiff/MSD – it shall not henceforth further sell, distribute or in any manner take any steps towards placing in the market the drug in question, Zita and Zitamet and such of the pharmaceutical products which are covered by the claim for interim injunction in the suit. If any stocks of such goods are in its factory premises or awaiting the distribution channel, a true and correct account thereof shall be given to the Court along with the affidavit to be filed in compliance with directions (iii) above. Likewise, Glenmark shall also indicate in the said affidavit details of the drug Zita and Zitamet (and such of the pharmaceutical products which are covered by the claim for interim injunction in the suit) which are in the market and have been permitted to be sold.

v) The parties are directed to appear before the Single Judge in the suit on 10th April, 2015.

This Court was informed during the hearing that the suit is at the stage of trial. The learned Single Judge shall endeavour to ensure that parties agree to limited oral evidence of experts and shall also endeavour to appoint a technical expert in consultation with parties under Section 115 of the Patents Act for better appreciation of the technical nature of the evidence. All these are aimed at expediting the final hearing of the trial.

90. The appeal is allowed in the above terms. Parties shall bear their own costs.

S. RAVINDRA BHAT
(JUDGE)

NAJMI WAZIRI
(JUDGE)

MARCH 20, 2015

HIGH COURT OF DELHI
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