



Dialog®

# 药物专利问题探讨

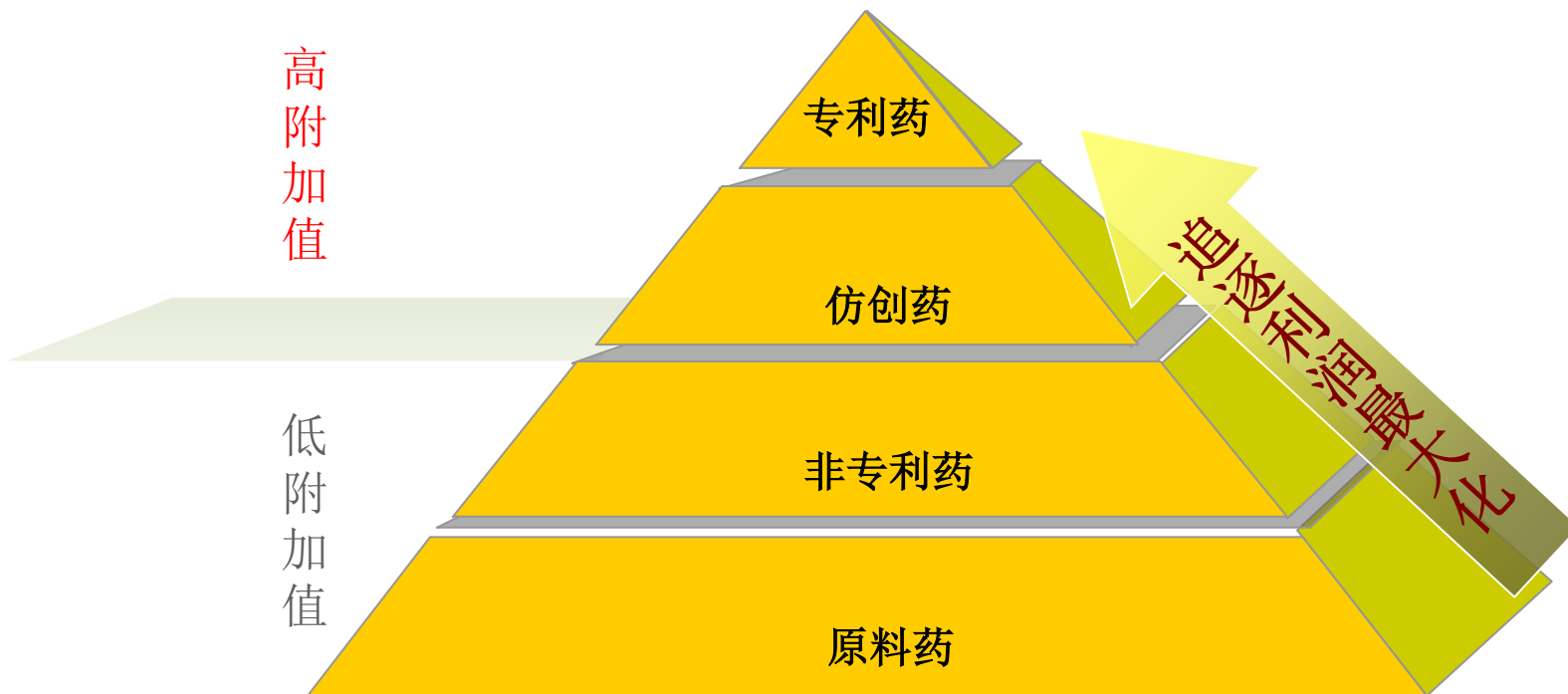
王栋

奥凯信息咨询有限公司

- 药物专利意义
- 药物专利难点
- 药物专利检索
- 药物专利分析

# 药物专利意义

- 为什么要探讨药物专利？
  - 无论是自主研发还是仿创都离不开专利检索工作



## ● 不同阶段药物专利的作用

临床前阶段

临床阶段

上市阶段

新化合物专利  
的监控跟踪

制备方法、用  
途专利的检索

药物失效专利  
的搜集选择

专利侵权纠纷预警

# 药物专利难点

## 一、隐蔽性更强

- 例1: BRISTOL-MYERS SQUIBB AND ASTRAZENECA LAUNCH **KOMBIGLYZE** IN U.S.
  - KOMBIGLYZE: Saxagliptin/metformin 缓释复方
  - 2010.11.5获批, 2011.1上市
  - 二型糖尿病
- KOMBIGLYZE的中国专利存在么, 在哪里?

- 常规的专利检索手段无法获得它的中国专利

专利类型:  发明专利  实用新型专利  外观设计专利

申请号 [A]	<input type="text"/>	发明名称 [B]	<input type="text"/>
公开号 [C]	<input type="text"/>	公开日 [D]	<input type="text"/>
IPC分类号 [E]	<input type="text"/>	申请人 [F]	<input type="text"/>
申请日 [G]	<input type="text"/>	发明人 [H]	<input type="text"/>
主题词 [I]	<input type="text"/>	摘要 [J]	二甲双胍*沙格列汀
主权权利要求 [K]	<input type="text"/>	优先权项 [L]	<input type="text"/>
公告号 [M]	<input type="text"/>	公告日 [N]	<input type="text"/>

关键词

在5133083个记录中查找到0个结果, 用时 1.946秒 选择[模糊匹配](#)查找更多结果

序号	申请号	名称
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逻辑检索  + - \* ( )

查询选项  精确匹配  模糊匹配  同义词

检索结果 每页  个结果



# 药物专利的难点

- 经过标引的药物专利数据库轻松可得中国专利

IMS 世界药物专利



1 [20210305](#) - Patentee: Bristol-Myers Squibb (USA) - Drug: metformin + saxagliptin; saxagliptin + metformin -

## DRUG INFORMATION:

### Brand Name:

ONGLYZAMET  
KOMBIGLYZE XR

附加条件检索 -

请输入药名或商品名

KOMBIGLYZE |

专利权人

例: merck

母公司

例: Boehringer()Ingelheim? 注: ()代表隔开?

CAS化学物质登记号

例: 171596-29-5

专利类型

全部分类

药物分类代码

 点击浏览词表

## ● CN 1427826、CN 1698601

### PATENT INFORMATION:

Patentee: Bristol-Myers Squibb (USA)

Parent Company: Bristol-Myers Squibb (USA)

Patent Type: Composition

Application Country	Application Number	Published Date	Filing Date	Application Number	Class	Priority Date	Application Number	Class	Published Date	Application Number
				CN 1427826	A	20030702	CN 2001806315	A	20010305	200328
				CN 1213028	C	20050803	CN 2001806315	A	20010305	200537
CN (China)	CN 1698601	20051123	20010305	CN 1698601	A	20051123	CN 200510078518	A	20010305	200552

Priority Country	Priority Number	Priority Date
US (USA)	US 20000188555P	20000310

### Patent Summary:

The patent family listed here specifically claims saxagliptin as product, along with any pharmaceutically acceptable salts, and fixed combinations with a range of other active ingredients. This family relates to the ONGLYZA formulations of saxagliptin hydrochloride and the KOMBIGLYZE XR formulations of saxagliptin in combination with metformin hydrochloride.

## ● CN 1427826这类专利为什么常规手段难检出？

[71] 申请人 布里斯托尔-迈尔斯斯奎布公司

地址 美国新泽西州

[72] 发明人 J·A·罗布尔 R·B·苏尔斯基

D·J·奥格里 D·R·马宁

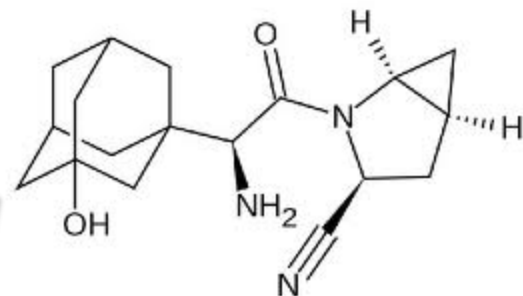
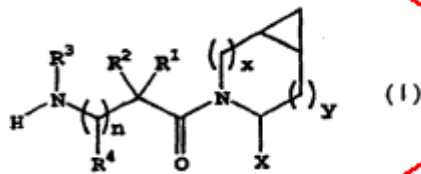
L·G·哈曼 D·A·贝特本纳

[54] 发明名称 基于环丙基耦合的吡咯烷二肽基肽酶 IV 抑制剂、它们的制备方法及应用

[57] 摘要

提供具有式 (I) 的抑制二肽基肽酶 IV (DP4) 的化合物，其中 x 为 0 或 1 且 y 为 0 或 1 (条件是当 y = 0 时 x = 1, 和当 y = 1 时 x = 0); n 为 0 或 1; X 为 H 或 CN; 并且其中 R<sup>1</sup>、R<sup>2</sup>、R<sup>3</sup> 和 R<sup>4</sup> 如在此描述。也提供治疗糖尿病和相关疾病的方法，尤其是 II 型糖尿病和其它在此概述的疾病，该方法使用这样的 DP4 抑制剂或这样的 DP4 抑制剂和一种或多种另一种抗糖尿病药例如 二甲双胍、格列本脲、曲格列酮、吡格列酮、瑞格列酮和/或胰岛素和/或一种或多种降血脂药和/或抗肥胖症药和/或其它的治疗药物的组合。

权利要求书 6 页 说明书 125 页



Saxagliptin

## ● 例2 Rimonabant的中国物质专利CN 1047775如下

■ 申请公开说明书 (25) 页

■ 审定授权说明书 (25) 页

申请号:	94119030.7	申请日:	1994.12.01
名称:	取代的N-哌啶子基-吡唑-3-甲酰胺		
公开(公告)号:	CN1110968	公开(公告)日:	1995.11.01
主分类号:	C07D231/14	分案原申请号:	
分类号:	C07D231/14;A61K31/41		
颁证日:		优先权:	1993.12.2 FR 9314444;1994.7.20 FR 9408974
申请(专利权)人:	萨诺费公司		
地址:	法国巴黎		
发明(设计)人:	F·巴思; P·卡塞拉斯; C·康格; S·马丁内斯; M·里纳尔蒂; G·安尼-阿香德	国际申请:	
国际公布:		进入国家日期:	
专利代理机构:	中国国际贸易促进委员会专利商标事务所	代理人:	李瑛

### 摘要

N-哌啶子基-5-(4-氯苯基)-1-(2,4-二氯苯基)-4-甲基吡唑-3-甲酰胺、其药用盐及溶剂化物是中枢大麻类化合物受体的强效拮抗剂,其制备方法是將5-(4-氯苯基)-1-(2,4-二氯苯基)-4-甲基吡唑-3-甲酸的有反应活性的衍生物与1-氨基哌啶反应,并任选地形成一种盐。

## ● 例2 即使是Rimonabant组合物专利你能检索出来吗？

### ■ 申请公开说明书 (21) 页

### ■ 审定授权说明书 (22) 页

申请号:	98803791.2	申请日:	1998.03.27
名称:	用于口服给药N-哌啶子基-3-吡唑甲酰胺衍生物、其盐及其溶剂化物的药物组合物		
公开(公告)号:	CN1251524	公开(公告)日:	2000.04.26
主分类号:	A61K31/415	分案原申请号:	
分类号:	A61K31/415; A61K9/16; A61K9/20		
颁证日:		优先权:	1997.3.28 FR 97/03835
申请(专利权)人:	萨诺费合成实验室		
地址:	法国巴黎		
发明(设计)人:	B·阿布拉莫维奇; C·康达迈恩; J·C·格罗麦尼尔	国际申请:	PCT.FR98/00631 1998.3.27
国际公布:	W098.43636 法 1998.10.8	进入国家日期:	1999.09.28
专利代理机构:	中国国际贸易促进委员会专利商标事务所	代理人:	王杰

#### 摘要

用于口服给药的本发明药物组合物含有0.5%—20%微晶形式的N-哌啶子基-5-(4-氯苯基)-1-(2,4-二氯苯基)-4-甲基吡唑-3-甲酰胺和药物赋形剂;该组合物通过湿法制粒配制。

## 二、常规专利分类方法实用性弱

- IPC是通用的专利分类系统，但医药行业IPC主要集中在A61K、A61P、C07类，故非理想分类方式

国家知识产权局		[51] Int. Cl <sup>7</sup>	
[12] 发明专利申请公开说明书		A61K 31/403 A61K 31/4045 A61K 31/435	
名称:	作为蛋白质激酶抑制剂的吡咯并[2,3-b]吡啶衍生物		
公开(公告)号:	CN101243084	公开(公告)日:	2008.08.13
主分类号:	C07D4		
分类号:	C07D4		
名称:	氟取代的环烷酸吗啉，含这种化合物的组合物和治疗方法		
公开(公告)号:	CN101851191A	公开(公告)日:	2010.10.06
主分类号:	C07D209/94(2006.01)I	分案原申请号:	03802675.9 2003.01.22
分类号:	C07D209/94(2006.01)I;C07D209/88(2006.01)I;A61K31/403(2006.01)I;A61P11/02(2006.01)I;A61P11/06(2006.01)I		

# 药物专利的难点

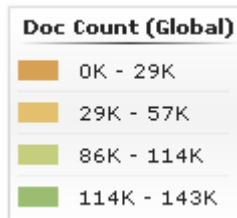


## ● 药物专利用IPC聚类效果不明显

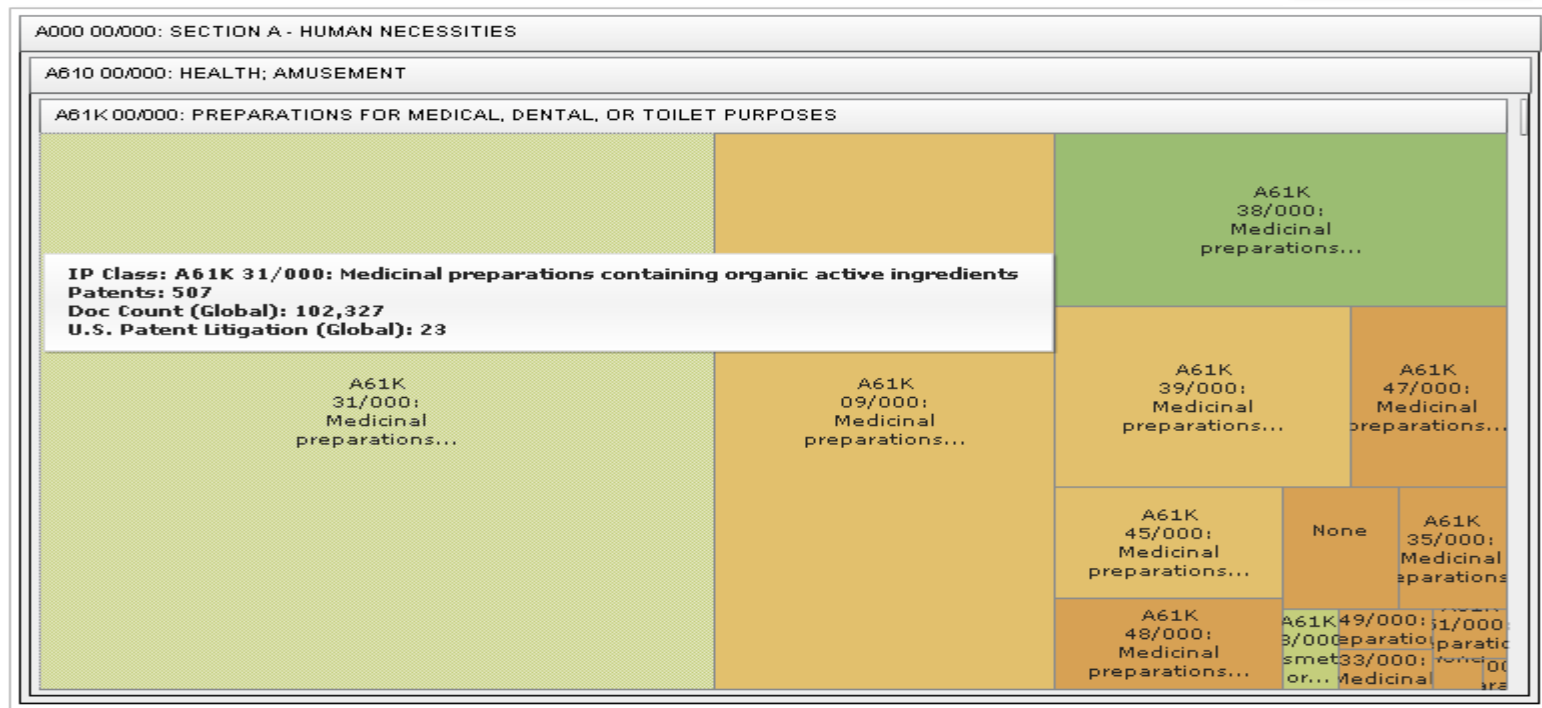
### ● 例3:依维莫司专利IPC聚类分析图

Results: 1122 Patents, 26 IP Classes

everolimus include dialog patents



Patents per IP Class (color = Global Doc Count)



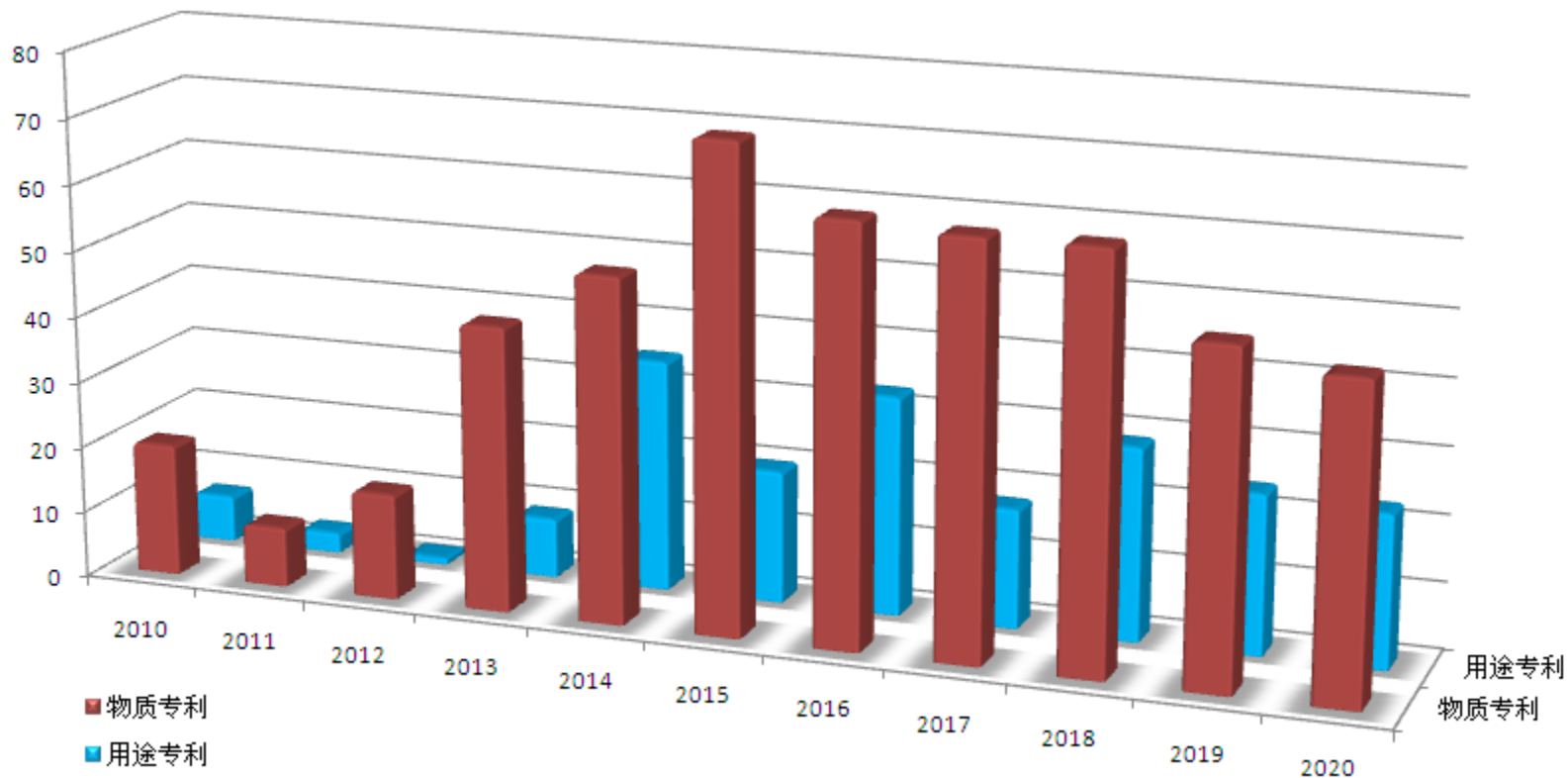
## ● 我们需要什么样的药物专利分类？

- 物质专利
- 用途专利
- 工艺专利
- 制剂专利
- 组合物专利

请输入药名或商品名	<input type="text" value="everolimus"/>
专利权人	<input type="text"/> 例: merck
母公司	<input type="text"/> 例: Boehringer()Ingelheim? 注: ()代表隔开?
CAS化学物质登记号	<input type="text"/> 例: 171596-29-5
专利类型	<input type="text" value="工艺专利"/>
药物分类代码	<input type="text"/>
适应症	<input type="text" value="给药系统(DDS)专利"/>
专利受理国	<input type="text"/> 国家代码 例如: CN 代表中国
专利优先权国家	<input type="text"/> 国家代码 例如: CN 代表中国



## IMS药物失效专利数量年度分析



# 药物专利的难点



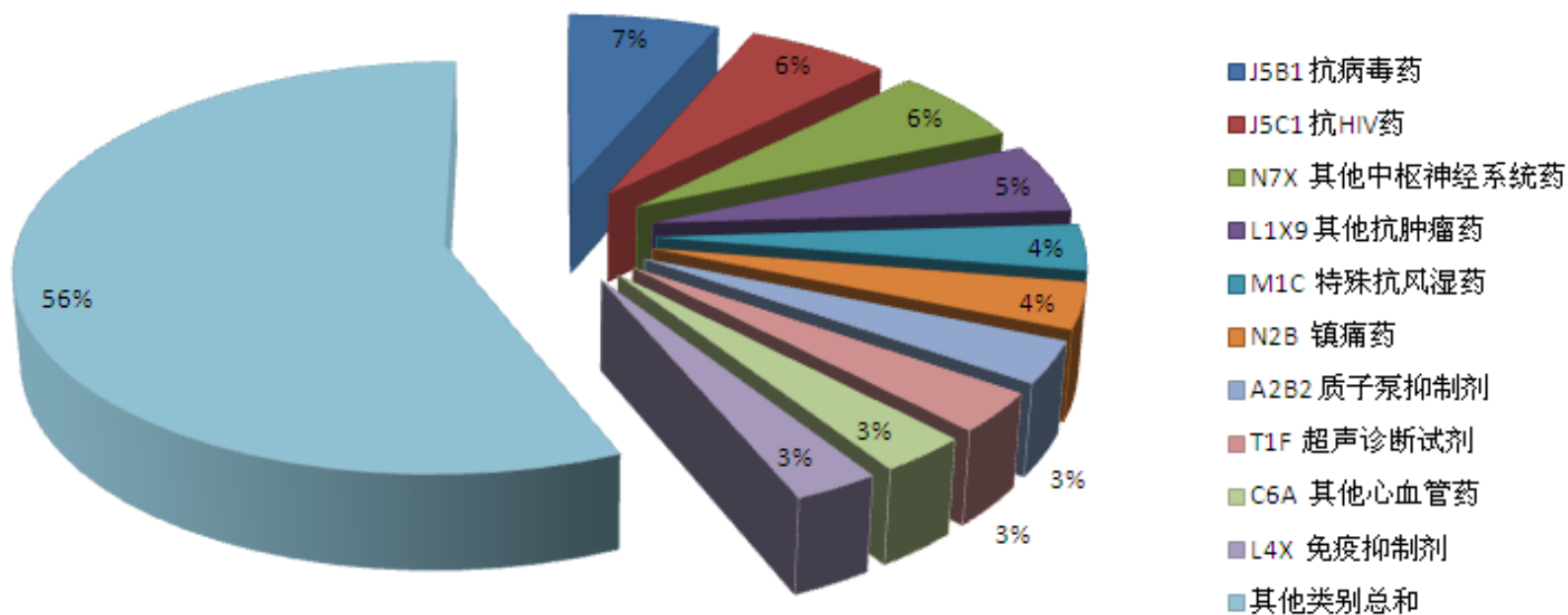
## ● 我们需要什么样的药物专利分类？

- 适应症分类
- 药物类别分类

请输入药名或商品名	AMEBIASIS---阿米巴病
专利权人	AML---急性非淋巴细胞白血病
母公司	AMYLOIDOSIS---淀粉样变
CAS化学物质登记号	AMYOTROPHIC LATERAL SCLEROSIS-
专利类型	ANAPHYLACTIC SHOCK---过敏性休克
药物分类代码	ANEMIA---贫血
	ANESTHESIA GENERAL---全身麻醉
适应症	ANESTHESIA LOCAL---局部麻醉
	ANGINA---心绞痛
专利受理国	ANGIOEDEMA---血管性水肿
	ankylosing spondylitis---强直性脊柱炎
专利优先权国家	anxiety---焦虑
	APLASTIC ANEMIA---再生障碍性贫血
	ARTHRITIS---关节炎
	全部类别

A类--消化道和新陈代谢  
B类--血液和造血器官  
C类--心血管系统  
D类--皮肤科  
E类--生殖泌尿系统和性激素  
H类--系统性激素制剂（不包括性激素）  
J类--一般抗感染  
K类--医院制剂  
L类--抗肿瘤和免疫调节剂  
M类--肌肉骨骼系统  
N类--中枢神经系统  
P类--寄生虫学  
R类--呼吸系统  
S类--感觉器官  
T类--诊断用药  
V类--其他各种(中药, 放射药, 脱敏药)...

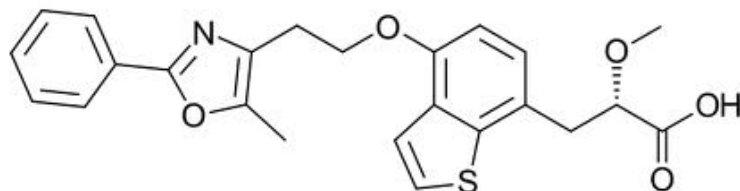
## IMS专利失效药物类别统计分析



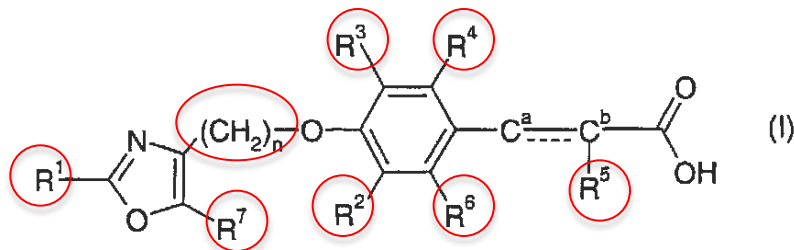
## 三、专利申请脉络

- 修饰改进型申请

- 例4：阿格列扎Aleglitazar是罗氏研发的降糖药



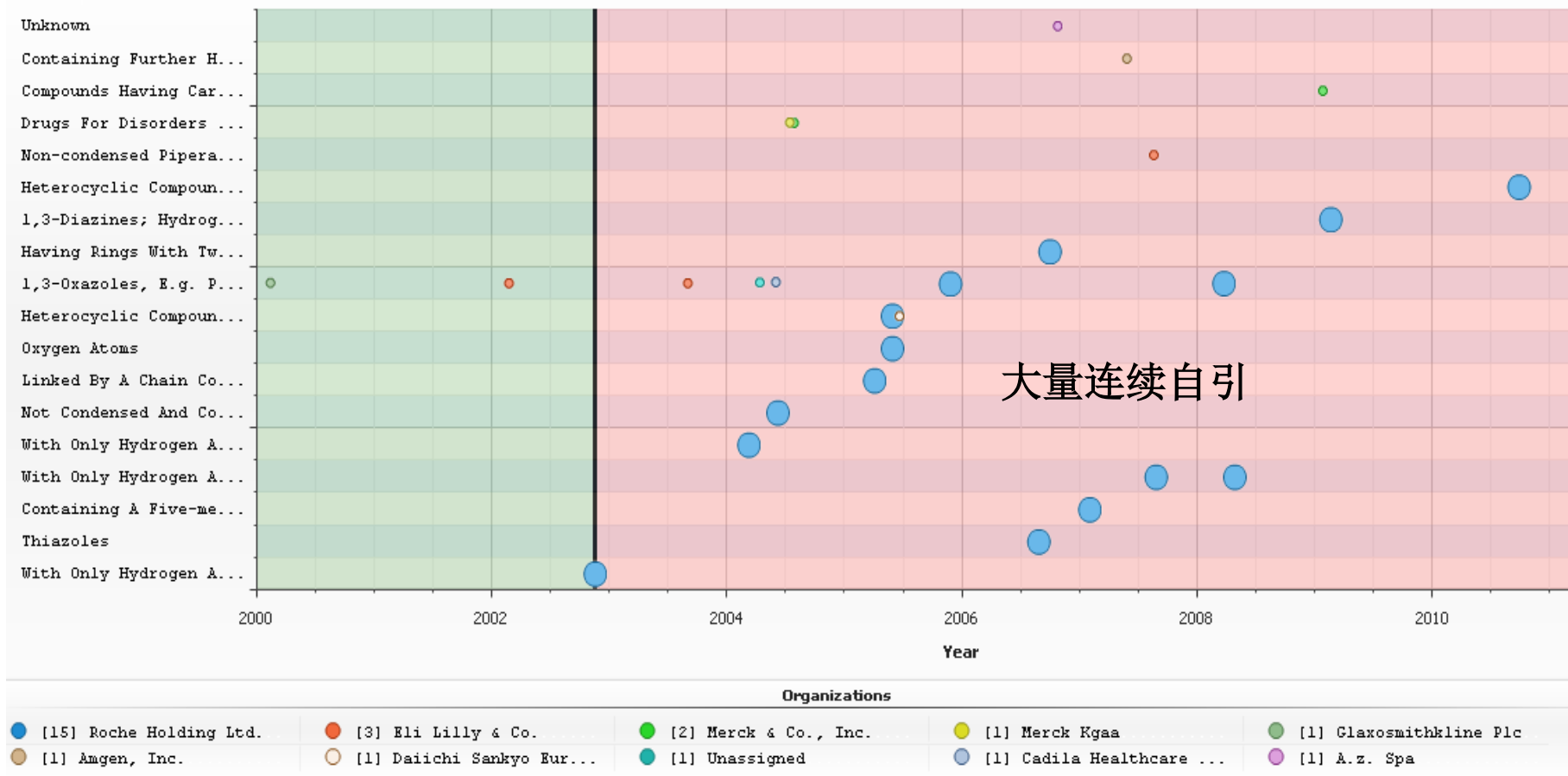
- 三期临床
- 物质专利： US 2003055265
- 申请日： 2002.5.9
- 专利概要： 这个族的专利用来保护新的恶唑类衍生物及其制备方法，还涉及该类物质的降糖用途

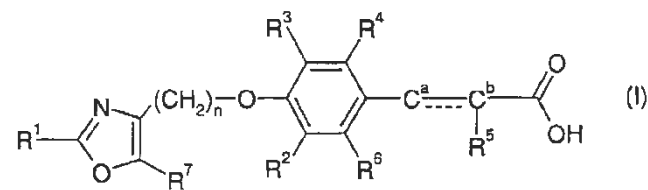
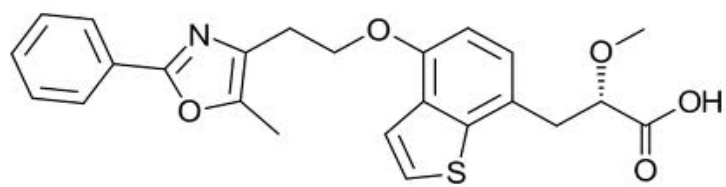


# 药物专利的难点



## ● 专利引证帮助判断专利申请走向

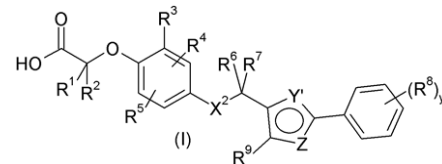
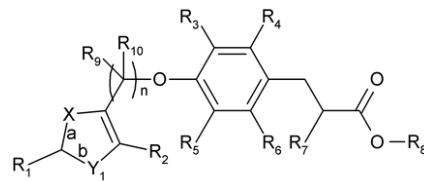




**US 2003055265**  
2002 Roche

**WO 2010084066**  
2010 Roche

阿格列扎喷雾剂  
糖尿病方向

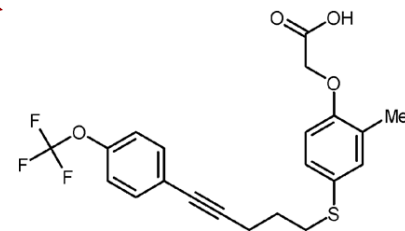
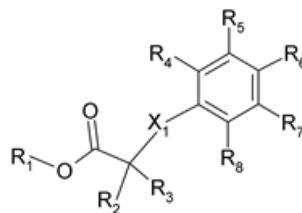
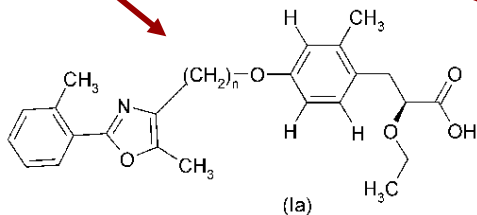
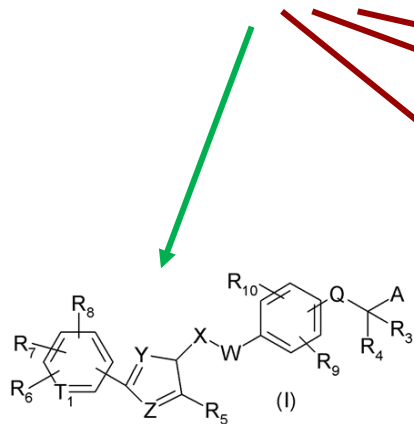


**WO 2004020420**  
2004 Roche

**US 7105551**  
2006 GLAXO

糖尿病、炎症

血脂异常、糖尿病等



**WO 03072102**  
2003 LILLY & CO

**WO 2004031162**  
2004 Roche

**WO 2005049572**  
2005 Roche

**WO 2006002802**  
2006 Roche

糖尿病方向

GLAXO

糖尿病方向

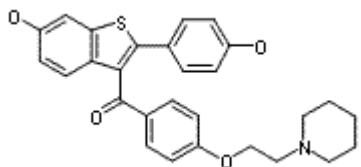
糖尿病、血脂异常等

糖尿病等多方向

## 三、专利申请脉络

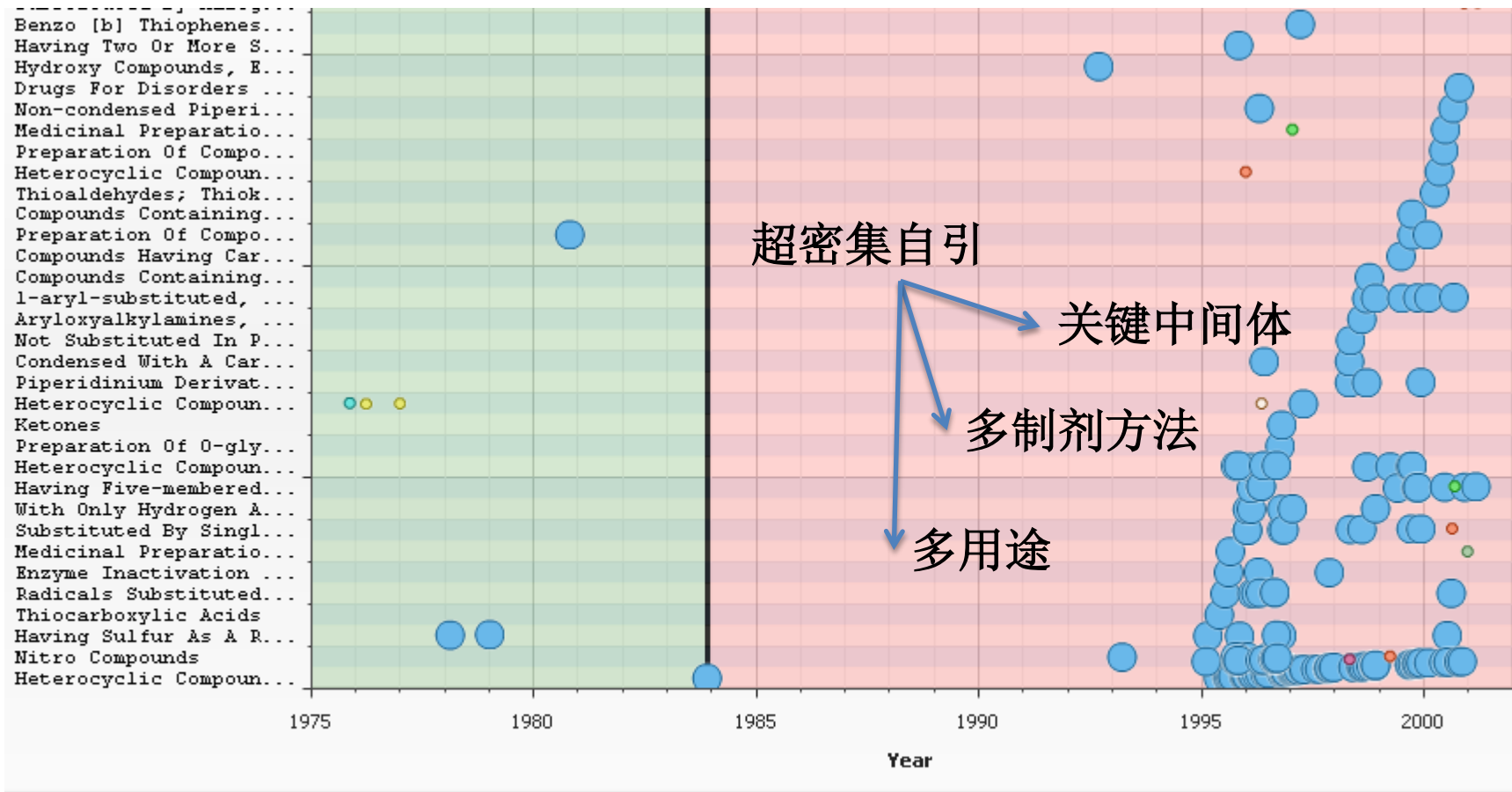
- 逐步完善型申请

- 例4：雷洛昔芬raloxifene是礼来研发的骨质疏松药



- 已上市
- 物质专利： US 4418086
- 申请日： 1981.4.3
- 专利概要： 这是最早的物质保护专利，该专利经过多次延期到2002年4月3日到期，甚至还申请延期到2004年

# 药物专利的难点



Organizations

● [185] Eli Lilly & Co.	● [5] Pfizer Inc.	● [4] Boston Scientific ...
● [3] Glaxosmithkline Plc	● [2] Neorx Corp	● [1] Laboratoires Effik

» Add to Project Export Share



# 药物专利检索

- 药物专利检索使用什么数据库？
  - 涉及化学制药等主题的专利检索方式区别于一般行业，需专业的数据库可以达到事半功倍的效果，优于一般免费工具



## Derwent 世界专利

42个国家和地区的发明专利，适用于关键词检索和阅读

## CA 专利记录

并非纯专利库，化学检索手段便利，但同族和外围专利有缺失

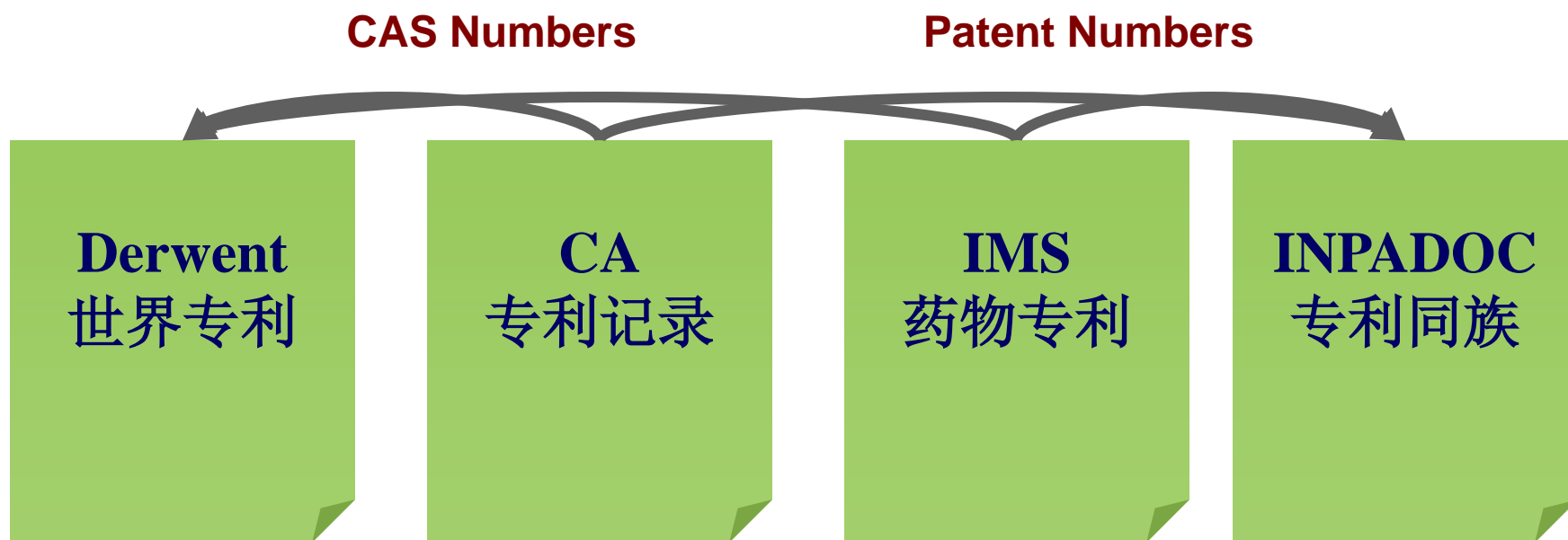
## IMS 药物专利

三期及上市的药物数据库，药学分类+专利失效日期检索独特

## INPADOC 专利同族

法律状态和同族专利检索工具，但不适合关键词检索

- 各药物专利数据库之前的桥梁



## ● 例5、寻找一种新型丙肝药boceprevir的专利

WIPO的检索结果：2篇

The screenshot shows the WIPO Patentscope search results page. The search criteria are (BOCEPREVIR), resulting in 2 records. The search results are as follows:

Title	Pub. Date	Int. Cla
1. <a href="#">(WO 2008/058393) THIOPHENE ANALOGUES FOR THE TREATMENT OR PREVENTION OF FLAVIVIRUS INFECTIONS</a> The present invention relates to compounds represented by formula IA or pharmaceutically acceptable salts thereof, for the treatment or prevention of viral infections wherein R <sup>1</sup> is C <sub>1-6</sub> alkyl or C <sub>3-6</sub> cycloalkyl; X is M is R <sup>5</sup> is cyclohexyl which is unsubstituted or substituted in the 4 position by R <sup>14</sup> ; and Y, R <sup>14a</sup> and R <sup>14</sup> are as defined herein.	22.05.2008	C07D
2. <a href="#">(WO 2008/033466) COMPOSITIONS AND METHODS FOR TREATMENT OF VIRAL DISEASES</a> The present invention features compositions, methods, and kits useful in the treatment of viral diseases, RNA virus, a flaviviridae virus, or a hepatic virus. In particular embodiments, the viral disease is viral hepatitis. Embodiments of the invention featured are screening methods for identification of novel compounds that may be used to treat a viral disease.	20.03.2008	A61K

## USPTO的检索结果：1篇申请公开

Searching PGPUB Production Database...

Results of Search in PGPUB Production Database for:  
boceprevir: 1 applications.  
Hits 1 through 1 out of 1

Query [ ]  
Term 1: boceprevir  
Term 2:  
Select 1976 to p

Jump To [ ]

Refine Search boceprevir

No	PUB. APP. NO.	Title
1	<a href="#">20080161324</a>	<a href="#">Compositions and methods for treatment of viral diseases</a>

Top View Shopping Cart

Help Home Boolean Manual Number PTDs

## ● DialogPro 深度药物专利检索

### 药名或同异名输入

boceprevir 或

Example: Selumetinib

### CAS登记号输入

Example: 139893-43-9

因为涉及到多库同时联用，因此检索过程会花费较长时间

### 高级限定条件

专利国	<input type="text"/> 例: cn	国家代码
限定物质制备专利	<input type="radio"/> 是 <input checked="" type="radio"/> 否	
其他专利类型限定	全部 ▼	
专利权人限定	<input type="text"/> 例: Merck	
关键词限定	<input type="text"/> 例: crystal	
IPC限定	<input type="text"/>	默认是医药类IPC限定，可以自行修改，高级用户使用
记录排序方式	<input checked="" type="radio"/> 专利族由大到小 <input type="radio"/> 时间由新到旧	

- 87个族，且包含了原研者先灵制药的专利

Search results: **87** titles  
 select all none Titles on this page:

1 **NOVEL PEPTIDES AS NS3-SERINE PROTEASE INHIBITORS OF HEPATITIS C VIRUS** -  
 Patent Assignee: **SCHERING CORP (US)**; CORVAS INT INC (US)

用作丙型肝炎病毒NS3-丝氨酸蛋白酶抑制剂的新型肽

- Includes Legal Status; Abstract; Cited Refs -

### Patent Family

Patent Number	Kind	Date	Application Number	Kind	Date	Update
AR 33985	A1	20040121	AR P20010103450	A	20010720	201035
AR 38183	A1	20050105	AR P20030100131	A	20030117	201040
AR 69373	A2	20100120	AR P20080105033	A	20081119	201007
AT 461207	T	20100415	AT 2001954764	T	20010719	201016
AT 469914	T	20100615	AT 2003731956	T	20030116	201028
AU 200176988	A	20020205	AU 200176988	D	20010719	200224
AU 2001276988	B2	20070125	AU 2001276988	A	20010719	200726
AU 2009210423	A1	20090917	AU 2009210423	A	20090821	200940
BR 200112540	A	20030624	BR 200112540	A	20010719	200327
BR 200306931	A	20050419	BR 20036931	A	20030116	200518
CA 2410662	A1	20020131	CA 2410662	A	20010719	200327 (B)
CA 2473032	A1	20030731	CA 2473032	A	20030116	200438
CN 1498224	A	20040519	CN 2001813111	A	20010719	200513
CN 1633446	A	20050629	CN 2003805933	A	20030116	200536
CN 101792483	A	20100804	CN 201010143451	A	20030116	201035
CN 102206247	A	20111005	CN 201110065191	A	20010719	201141
CO 5650168	A2	20060630	CO 04068146	A	20040716	201049
CZ 200300151	A3	20030514	CZ 2003151	A	20010719	200327
DE 60141608	D1	20100429	DE 60141608	A	20010719	201017

**Originator Company:** Schering-Plough (USA)  
**Parent Company:** Schering-Plough  
**Other Company:** Codexis; Merck & Co; Roche  
**Highest Phase:** Launched  
**Development Status:**

- Launched, Brazil, Hepatitis C
- Launched, Canada, Hepatitis C
- Launched, France, Hepatitis C

# Claims	47
PTO Length	7.55 years
# Forward Citations	0
# Backward Citations	0
Strength	60th-70th Percentile



## ● 药物专利到期检索

### ● 例6、“达菲”中国物质专利失效日期检索

- 已知药物专利失效日期的检索通常利用 药名+国别
- 使用IMS Patent Focus数据库检索的是原研者的专利
- “达菲”磷酸奥司他韦 CAS:204255-11-8

医药研发技术	IMS 世界药物专利		
医药专利分析	全局检索 <input type="text"/>		Example: <input type="text"/> <input type="button" value="检索"/> <input type="button" value="重置"/>
Derwent世界专利	附加条件检索：		
Derwent专利引证	请输入药名或商品名 <input type="text"/>	专利权人 <input type="text"/> 例: merck	母公司 <input type="text"/> 例: Boehringer()Ingelheim? 注: ()代表括号?
Inpadoc专利法律状态	CAS化学物质登记号 <input type="text"/> 204255-11-8 例: 171596-29-5	专利类型 <input type="text" value="物质专利"/>	药物分类代码 <input type="text"/> 点击浏览词表
IMS世界药物专利	适应症 <input type="text" value="全部类别"/>	<input type="text" value="cn"/>	
深度药物专利查找			
医药新闻简报			
在线工具			
帮助资源			

# 药物专利检索

PATENT INFORMATION:		PATENT INFORMATION:		PATENT INFORMATION:			
Patentee: Gilead Sciences (USA)		Patentee: Gilead Sciences (USA)		Patentee: Gilead Sciences (USA)			
Parent Company: Gilead Sciences (USA)		Parent Company: Gilead Sciences (USA)		Parent Company: Gilead Sciences (USA)			
Patent Type: Product		Patent Type: Product		Patent Type: Product			
<b>Patent Country</b>	<b>Patent Country</b>	<b>Patent Country</b>	<b>Patent Number</b>	<b>Application Country</b>	<b>Application Number</b>	<b>Published Date</b>	<b>Filing Date</b>
CN (China)	CN (China)	CN (China)	CN 101172957	CN (China)	CN 101172957	20080507	19960226
<b>Application Country</b>	<b>Application Country</b>	<b>Application Country</b>	<b>Priority Country</b>	<b>Priority Number</b>	<b>Priority Date</b>		
CN (China)	CN (China)	CN (China)	US (USA)	US 19950395245	19950227		
<b>Priority Country</b>	<b>Priority Country</b>	<b>Priority Country</b>	<b>Patent Summary:</b>				
US (USA)	US (USA)	US (USA)	The patent family listed here claims oseltamivir. Several patent documents claim dib				
<b>Patent Summary:</b>		<b>Patent Summary:</b>		<b>Expiration Comments:</b>			
The patent family listed here claims oseltamivir.		The patent family listed here claims oseltamivir.		Patent application (2008)			
<b>Expiration (Patent granted)</b>		<b>Expiration (Patent granted)</b>		20160226			

## ● 药物专利到期检索

### ● 例7、2010-2015年中国物质专利到期的消炎药

医药研发技术
医药专利分析
Derwent世界专利
Derwent专利引证
Inpadoc专利法律状态
IMS世界药物专利
深度药物专利查找
医药新闻商情
在线工具
帮助资源

专利权人	<input type="text"/> 例: merck
母公司	<input type="text"/> 例: Boehringer()Ingelheim? 注: ()代表隔开?
CAS化学物质登记号	<input type="text"/> 例: 171596-29-5
专利类型	物质专利
药物分类代码	<input type="text"/> 点击浏览词表
适应症	INFLAMMATION---炎症
专利受理国	cn  国家代码 例如: CN 代表中国
专利优先权国家	<input type="text"/> 国家代码 例如: CN 代表中国
专利申请号	<input type="text"/> 例: KR20060113792
专利授权号	<input type="text"/> 例: EP 53902
专利失效日期-估算	0100101:20151231 例: 20100101:20101231 2010年到期 注意中间:
专利年份选择	全部 到 全部

POWERED BY **Dialog**

[LOG OFF](#)

Search results: **2** titles

[Create an alert for this search](#) to automatically receive new titles via email

select  
all none

Titles on this page:

Display Checked

Display All

- 1 [20130205](#) - Patentee: Schering Corporation (USA) - Drug: **MAb, IL-5, Cereslizumab; reslizumab** -

**瑞利珠单抗**

DRUG INFORMATION:

Brand Name:

CINQUIL

- IMS Patent Focus - *US\$23.65*

**替马昔布**

- 2 [20151218](#) - Patentee: Japan Tobacco (Japan) - Drug: **tilmacoxib** - IMS P:

select  
all none

Titles on this page:

Display Checked

Display All

To display full records, click a title or use the checkboxes and display buttons

- 药物专利到期——仿制与原创的争夺
  - 例8、美罗华(利妥昔单抗)中国专利到期情况

Patentee: IDEC (USA)  
 Parent Company: Biogen Idec (USA)  
 Patent Type: Process CN 1912111

Patent Country	Patent Number	Patent Date	Expiration Date
CN (China)	CN 1912111	20100526	20131112

Application Country	Application Number	Published Date	Filing Date
CN (China)	CN 1912111	20070214	19931112

Priority Country	Priority Number	Priority Date
US (USA)	US 19920978891	19921113
US (USA)	US 19930149099	19931103

Patentee: IDEC (USA)  
 Parent Company: Biogen Idec (USA)  
 Patent Type: Method of use CN 1270774

Patent Country	Patent Number	Patent Date	Expiration Date
CN (China)	CN 1270774	20060823	20131112

Application Country	Application Number	Published Date	Filing Date
CN (China)	CN 1094965	19941116	19931112

Priority Country	Priority Number	Priority Date
US (USA)	US 19920978891	19921113
US (USA)	US 19930149099	19931103

- 药物专利到期——仿制与原创的争夺
  - 例8、赫赛汀(曲妥珠单抗)中国专利到期情况

Patentee: Genentech (USA)  
 Parent Company: Roche (Switzerland)  
 Patent Type: Equivalent to method of use

Patent Country	Patent Number	Patent Date	Expiration Date
CN (China)	CN 100443118	20081217	20200825

Application Country	Application Number	Published Date	Filing Date
CN (China)	CN 1382060	20021127	20021127

Priority Country	Priority Number	Priority Date
US (USA)	US 19990151018P	19990827
US (USA)	US 20000213822P	20000623

Patentee: Genentech (USA)  
 Parent Company: Roche (Switzerland)  
 Patent Type: Composition

Patent Country	Patent Number	Patent Date	Expiration Date
CN (China)	CN 100360184	20080109	20160709

Application Country	Application Number	Published Date	Filing Date
CN (China)	CN 1539505	20041027	19990109

Priority Country	Priority Number	Priority Date
US (USA)	US 19950508014	19950727
US (USA)	US 19960615369	19960314

PATENT INFORMATION:

Patentee: Protein Design (USA)  
 Parent Company: PDL BioPharma (USA)  
 Patent Type: Equivalent to process

Patent Country	Patent Number	Patent Date	Expiration Date
CN (China)	CN 1057013	20001004	20091228

Application Country	Application Number	Published Date	Filing Date
CN (China)	CN 1043875	19900718	19891228

Priority Country	Priority Number	Priority Date
US (USA)	US 19880290975	19881228
US (USA)	US 19890310252	19890213
US (USA)	US 19900634278	19901219
US (USA)	US 19950477728	19950607

- Hatch-Waxman Act与Paragraph IV
- 《药品价格竞争与专利期补偿法》 1984
  - 降低仿制药申报成本：生物等效
  - 允许提前开展实验性研究
  - 专利保护可以延期:补偿审批时间损耗（不超过5年）
  - 激励仿制药厂家：180天独占权



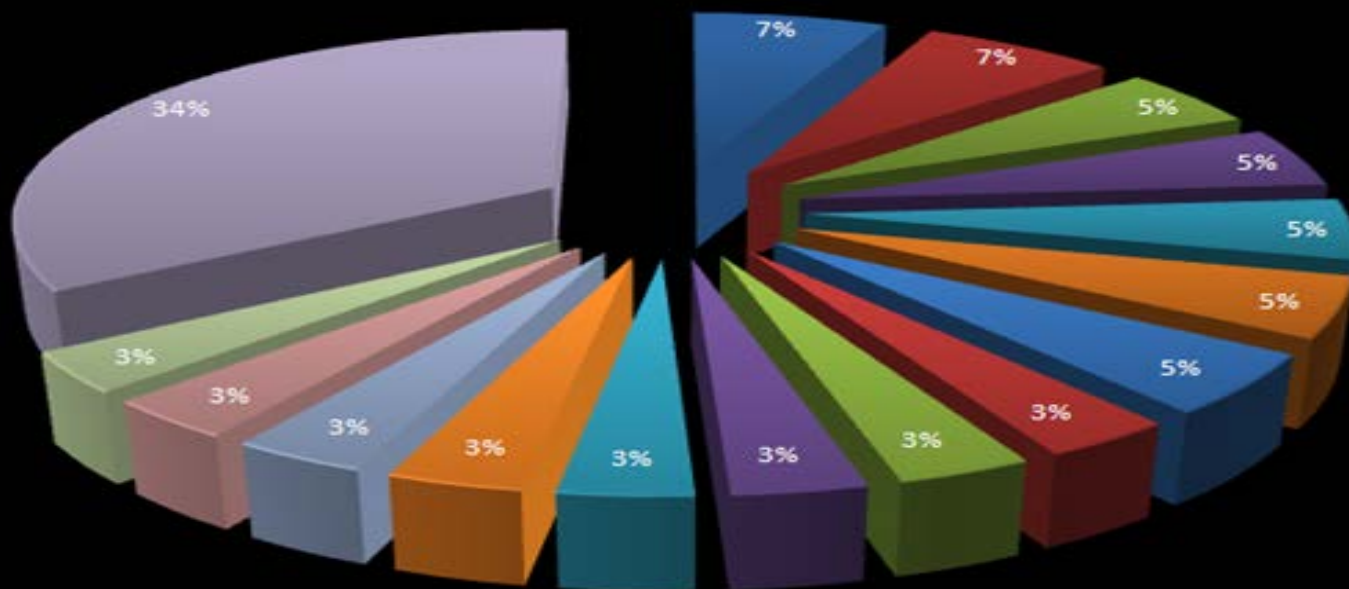
- Paragraph IV的出处
  - ANDA提交
    - I 该药没有专利（orange book）
    - II 专利已经失效
    - III 专利尚未到期
    - IV 专利无效或未侵权
  - FDA批准ANDA的限制条件
    - 法院认定专利无效或不侵权
    - 如果法院认定侵权成立则等专利正常到期
    - FDA在prav.iv提交后30个月内不受理相同ANDA



# Paragraph IV

## Indications : Paragraph IV Patent Certification Filings in 2010

- Skin Disorders: Psoriasis, Eczema
- Cancer
- AIDS
- Dyslipidemia
- Type 2 diabetes mellitus
- Gastroesophageal reflux disease (GERD)
- Pain relief
- Hepatitis
- Bacterial Infections
- Attention Deficit Hyperactivity Disorder (ADHD)
- Insomnia
- Arthritis
- Narcolepsy
- Acne
- Parkinsons Disease
- Others



# 药物专利分析

# 高价值专利只是原研者吗？



- 例如：辉瑞公司的降血脂药阿托伐他汀(atorvastatin, 立普妥, Lipitor)专利分析后，分离高价值专利
  - 首先，原研者的专利价值肯定较高，如US5969156 (Atorvastatin crystal)

	数量	费用
独立权利要求	27>3	\$2640
权利要求总数	45>20	\$650
	合计	\$3290

**US5969156 A** Crystalline [R-, (R\*,R\*)]-2-(4-Dfluorophenyl)- beta , delta -dihydroxy-5-(1-m pyrrole-1-heptanoic acid hemi calcium salt (atorvastatin)

Full Document  Download PDF Legal Status

### Patent Overview

Priority Date	1995-07-17
Filed Date	1997-09-29
Publication Date	1999-10-19
Ultimate Parent	Warner-lambert (guangzhou) Ltd
Curr. Assignee	Warner-lambert Company, New Jersey
Orig. Assignee	Warner-lambert Company
Location	Holland, MI US
Inventors	Briggs, Christopher A Jennings, Rex A Wade, Robert Harasawa, Kikuko Ichikawa, Shigeru Minghara, Kazuo Makagawa, Shinsuke
# Claims	45
PTO Length	2.05 years
# Forward Citations	61
# Backward Citations	3
Strength	90th-100th Percentile

### Abstract

PCT No. PCT/US96/11368 Sec 1997Crystalline forms of atorva

### Claims

▼ Claim #1  
A crystalline Form I atorvastati radiation: 11.9 or 22.

▼ Claim #1 Dependents  
11 The crystalline Form I ator  
12 The crystalline Form I ator

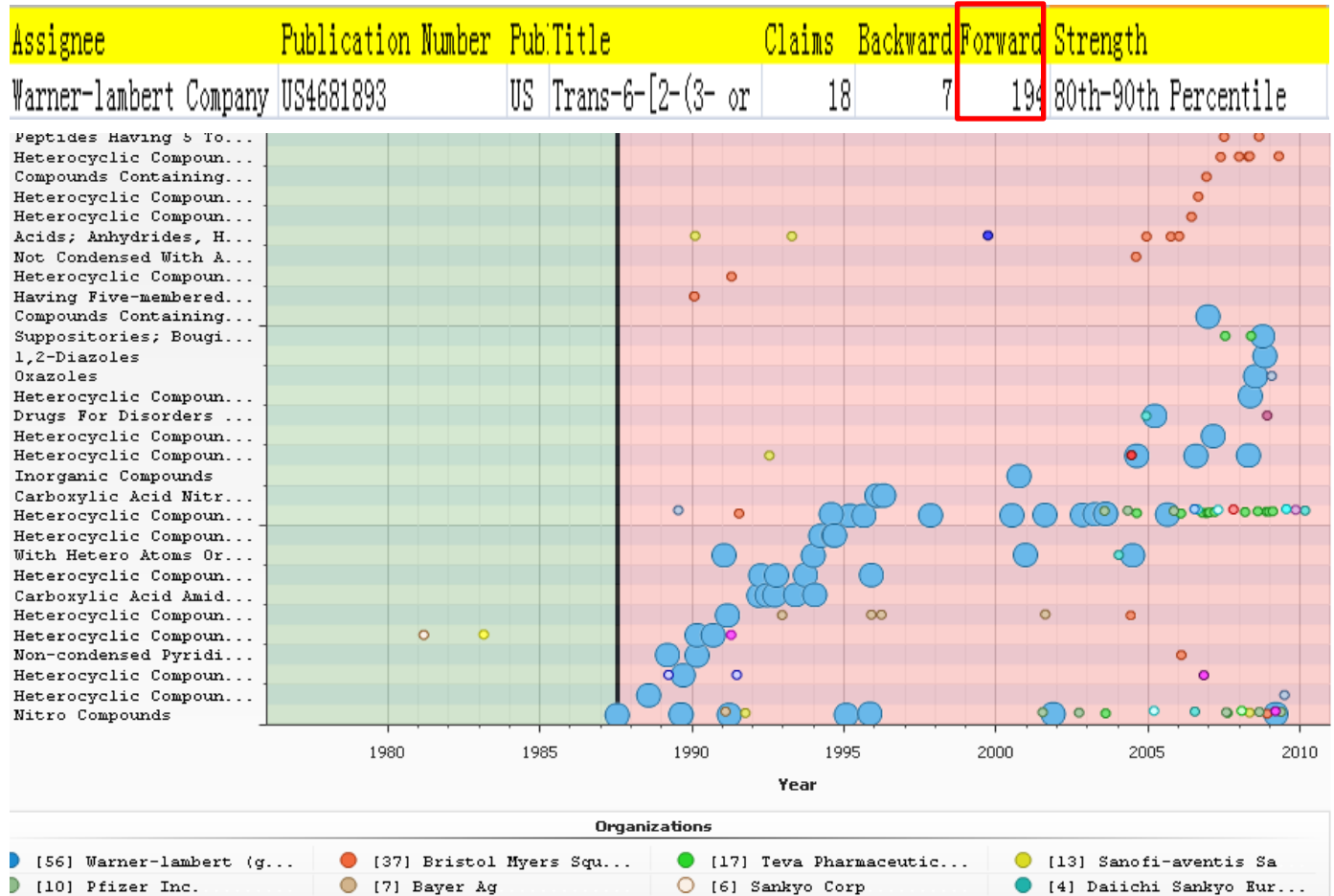
▼ Claim #2  
A crystalline Form I atorvastati 21.6 and 22.

▼ Claim #2 Dependents  
13 The crystalline Form I ator  
14 The crystalline Form I ator

▶ Claim #3  
▶ Claim #4  
▶ Claim #5  
▶ Claim #6  
▶ Claim #7

# 高价值专利只是原研者吗？

权利要求数并不是唯一决定专利强度的参照指标，专利引用或者被引用的高低也直接影响了专利强度



# 高价值专利只是原研者吗？



## TEVA于2000年申请的专利为何强度如此高？

**US7411075 B1** | Polymorphic form of atorvastatin calcium 多形态阿托伐他汀钙

Patent Overview	
Links	Full Document Download PDF Legal Status
Priority Date	2000-11-16
Filed Date	2000-11-16
Publication Date	2008-08-12
Ultimate Parent	Teva Pharmaceutical Industries Ltd
Curr. Assignee	Teva Pharmaceutical Industries Ltd.
Orig. Assignee	Teva Pharmaceutical Industries Ltd.
Location	Petah Tiqva, IL
Inventors	Ayalon, Ari Levinger, Michel Roytblat, Sofia Niddam, Valeriy Lifshitz, Reuven Aronhime, Judith
# Claims	5
PTO Length	7.74 years
# Forward Citations	1
# Backward Citations	80
Strength	80th-90th Percentile

**Abstract**  
The present invention relates to atorvastatin calcium, a useful agent for lowering serum cholesterol, the new form, and pharmaceutical compositions and dosage forms containing the new form are

**Claims**

▼ Claim #1  
Atorvastatin calcium Form V having an X-ray powder diffractogram substantially as depicted in F

▼ Claim #2  
Atorvastatin calcium Form V having an X-ray powder diffractogram characterized by X-ray powder diffraction peaks at  $5.3\text{\AA}\pm 0.2$  and  $8.3\text{\AA}\pm 0.2$

▼ Claim #3  
Atorvastatin calcium Form V having a solid state  $^{13}\text{C}$  NMR spectrum substantially as depicted in

▼ Claim #4  
Atorvastatin calcium Form V having a solid state  $^{13}\text{C}$  NMR spectrum characterized by solid state  $^{13}\text{C}$  NMR signals at 21.9, 25.9, 118.9, 122.5, 128.7, 161.0 and 167.1 ppm.

▼ Claim #5  
Atorvastatin calcium Form V having an X-ray powder diffractogram characterized by X-ray powder diffraction peaks at  $5.3\text{\AA}\pm 0.2$  and  $8.3\text{\AA}\pm 0.2$

▼ Claim #6  
Atorvastatin calcium Form V according to any one of claims 1, 3, 4 or 5 produced by a process comprising a) contacting atorvastatin calcium Form V with an alkylammonium salt of atorvastatin in a solvent to form an atorvastatin salt solution, b) contacting the atorvastatin salt solution with atorvastatin calcium Form V.

为何耗时这么长？

高被引背后到底如何？

# 高价值专利只是原研者吗？



US2008287691 A1		
Full Document	Download	
Patent Overview		
Priority Date	199	06-10-2008
Filed Date	200	05-20-2008
Publication Date	200	05-13-2008
Ultimate Parent	N/A	05-12-2008
Curr. Assignee		05-08-2008
Orig. Assignee		03-06-2008
Location	IL	02-07-2008
Inventors	Ayalon, Ari Levinger, Michal Roytblat, Sofia Niddam, Valerie Lifshitz, Revital Aronhime, Judith	02-07-2008
# Claims	5	08-03-2007
PTO Length	0.36	08-02-2007
# Forward Citations	0	07-18-2007
# Backward Citations	0	07-02-2007
Strength	0th	07-02-2007
		07-02-2007
		07-02-2007
		05-08-2007
		03-05-2007
		12-29-2006
		12-26-2006
		05-22-2006
		05-22-2006
		05-22-2006
		10-19-2006
		10-10-2006

US7411075 B1	
Full Document	Download PDF
Legal Status	
Polymorphic form of atorvastatin	
Patent Overview	
Priority Date	2000-11-16
Filed Date	2000-11-16
Publication Date	2008-08-12
Ultimate Parent	Teva Pharmaceutical Industries Ltd
Curr. Assignee	Teva Pharmaceutical Industries Ltd.
Orig. Assignee	Teva Pharmaceutical Industries Ltd.
Location	Petah Tiqva, IL
Inventors	Ayalon, Ari Levinger, Michal Roytblat, Sofia Niddam, Valerie Lifshitz, Revital Aronhime, Judith
# Claims	6
PTO Length	7.74 years
# Forward Citations	1
# Backward Citations	80
Strength	90th-100th Percentile

# 专利无效分析

- 结晶单水合物、其制备方法及其在制备药物组合中的用途
  - CN 1469877 被正大天晴提出无效
  - 专利优先权日2000年10月12日
  - 有51件同族专利
- 国家知识产权局专利复审委员会判定该专利权全部无效
  - 依据请求人提出的证据1：US5610163 认为权利要求1~6不符合创造性的原则



# 无效检索-勃林格殷格翰专利



## ● 选取CN 1469877的US同族做无效检索

US2004087793A1 | Crystalline tiotropium bromide monohydrate, processes for the preparation thereof, and pharmaceutical compositions

Patent Overview | Citation

**Invalidation**

**Refine** Clear All

Keywords  
Enter Keywords

Similarity  
Low High

Source click to select

Organization click to select

Organization Revenue  
no min no max

Original Organization click to select

IP Classification click to select

US Classification click to select

**Results: 67 Patents**

No Group Group Table Grid View Relevance Sort Item A

<input type="checkbox"/>	#	ID	Title	Assignee
<input type="checkbox"/>	15	US5952505 A	Process for preparing pure enantiomers of tropic acid esters	Boehringer Ingelheim Kg, Germany
<input type="checkbox"/>	16	US6299861 B1	Ipratropium bromide enantiomer with prolonged duration of effect	Boehringer Ingelheim Kg
<input type="checkbox"/>	17	US6852728 B2	Anticholinergics, processes for preparing them, and pharmaceutical compositions containing them	Boehringer Ingelheim Pharma Kg, Germany
<input type="checkbox"/>	18	US5610163 A	Esters of thienyl carboxylic acids and amino alcohols and their quaternization products	Boehringer Ingelheim Pharma Gmbh Co. Kg, Germany
<input type="checkbox"/>	19	US5654314 A	Esters of bi- and tricyclic amino alcohols and their use in pharmaceutical compositions	Boehringer Ingelheim Kg, Germany
<input type="checkbox"/>	20	US4549021 A	N-( beta -fluoroethyl)-nortropine	Boehringer Ingelheim Kg

- 勃林格殷格翰的CN1469877权利要求主要围绕溴化替托品单水晶体[1]展开，包括其特征[2,3]、制备方法[4,5]、药用制剂及用途[6, 7, 8]
- 请求人提出的证据1专利其权利要求包括：溴化替托品晶体、制备方法实施例、治疗哮喘和慢性阻塞性肺病(COPD)的用途。
- 本专利权利要求1保护的化学产品与证据1中公开的化学产品的区别在于，权利要求1保护的是溴化替托品单水合物晶体，而证据1公开的是溴化替托品晶体。

- 合议组认为，本专利权利要求1的化学产品及证据1中公开的化学产品其主体结构都是溴化替托品，溴化替托品的结构是权利要求1及证据1的化学产品的基本核心部分，本专利权利要求1的产品与证据1的产品均属于结构上非常接近的化学产品。因此，权利要求1的化学产品只有在其具有预料不到的用途或效果的情况下才具备创造性。
- 而该晶体单水合物的用途是已知的，并不具备意料不到的技术效果，所以不具有创造性。
- 专利权均无效。

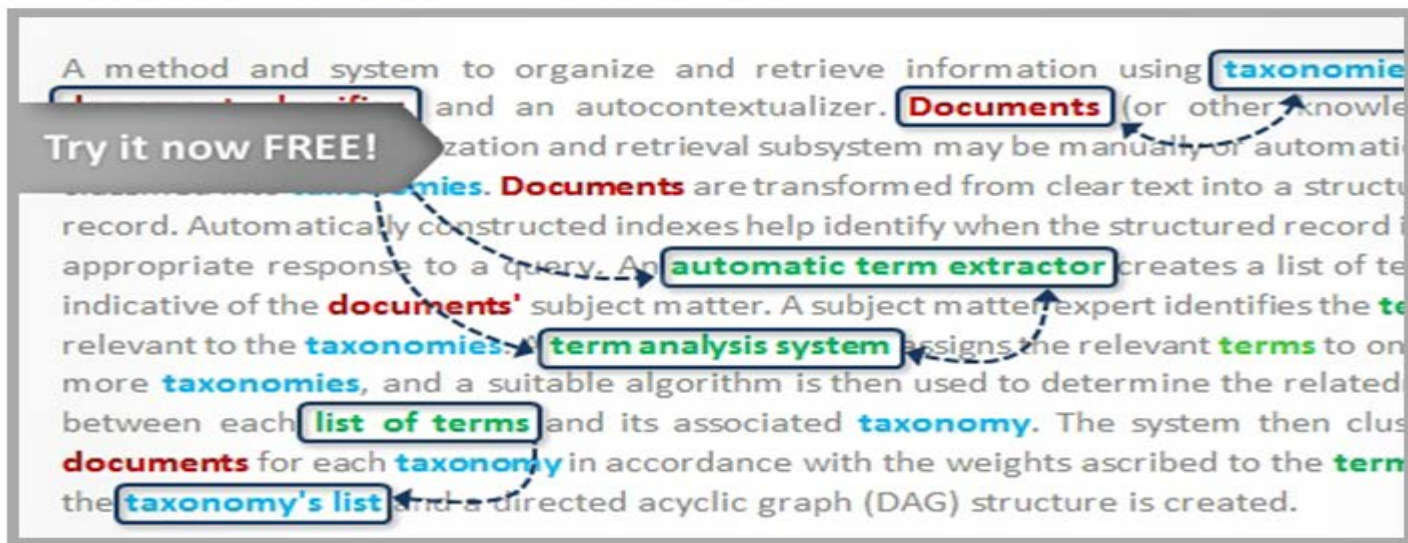
## ● 三氯蔗糖337案——专利无效

申请人	Tate & Lyle
诉由	生产工艺专利侵权
涉案产品	三氯蔗糖及含有三氯蔗糖的甜味剂
涉案专利	US4980463 US5470969 US5034551 US5498709 US7049435
国内被申请人	广东省食品工业研究所等12家

## ● 语义检索 Semantic search

- 输入一段话：1000字以内的任意技术描述、专利文字、文献章节
- 输入一个美国专利号：如本案US4980463
- 得到与该段文字或专利相似专利清单
- 若再填入优先权日，可用于无效、侵权检索！

## Patent Semantics



# 手工无效检索-三氯蔗糖专利



## ● 专利US4980463的权利要求填入语义检索

The screenshot shows the INNOGRAPHY search interface. At the top, there is a navigation bar with 'HOME', 'PROJECTS', and 'NEW SEARCH'. Below this is a search bar with tabs for 'Company Name', 'Litigation Keywords', 'Patent Keywords', 'Patent Numbers', 'Patent Semantics', and 'Trademark Keywords'. The 'Patent Semantics' tab is selected. A search box on the right contains the text 'A process for the chlorination of sucrose-6-esters to produce 6', 4,1'-trichloro-sucrose-6-esters which comprises the steps of: (a) adding at least seven molar equivalents of an acid chloride to a reaction mixture containing a sucrose-6-ester and a tertiary amide to form a chloroformiminium chloride salt in the presence of said sucrose-6-ester, whereby the chloroformiminium salt forms an O-alkylformiminium chloride adduct with the hydroxyl groups of the sucrose-6-ester; (b) subjecting the reaction mixture product of step (a) to an elevated temperature not higher than about 85.degree. C. for a period of time sufficient to produce a mixture of chlorinated sucrose-6-ester products consisting essentially of monochlorosucrose-6-ester, 4,6'-dichlorosucrose-6-ester, and 1',6'-dichlorosucrose-6-ester; and (c) subjecting the reaction mixture product of step (b) to an elevated temperature of at least about 100.degree. C. but not higher than about 130.degree. C. for a period of time sufficient to produce a chlorinated product'. A 'Search' button is visible to the right of the search box. On the left side, there is a 'Help Quick Links' section with links for 'Search Syntax Quick', 'Importing Patents', 'Identifying Companies', 'Finding Potential Infr', and 'Finding the Top Litig'.

# 手工无效检索-三氯蔗糖专利



Selected: 0 Patents

Refine Clear All

Keywords  
Enter Keywords

Source Click to Select

Extended References Click to Select

Organization Click to Select

Organization Revenue  
no min no max

Original Organization Click to Select

IP Classification Click to Select

US Classification Click to Select

Priority Date clear  
MM DD YYYY **07 17 1989**

Publish Date clear  
MM DD YYYY

#	ID	Title	Assignee	Published
4	US4362869 A	Process for the preparation of 4,1',6'-trichloro-4,1',6'-trideoxygalactosucrose	Tate & Lyle Public Limited Company, A British Company	12-07-1982
5	US4996309 A	Process for preparing sucrose fatty acid ester powder	Dai-ichi Kogyo Seiyaku Co., Ltd.	02-26-1991
		Process for recovering unreacted sucrose from acid	Dai-ichi Kogyo Seiyaku Co., Ltd.	02-26-1991
7	US5008387 A	Process for purifying sucrose fatty acid esters	Dai-ichi Kogyo Seiyaku Co., Ltd.	04-16-1991
8	US4889928 A	Sucrose alkyl 4,6-orthoacylates	Tate & Lyle Public Limited Company	12-26-1989
9	US4380476 A	Process for the preparation of 4,1',6'-trichloro-4,1',6'-trideoxygalactosucrose (tgs)	Tate & Lyle Public Limited Company, A British Company	04-19-1983
10	US4977254 A	Process for the chlorination of sugars	Tate & Lyle Plc	12-11-1990
11	US4298730 A	Process for the production of a surfactant containing sucrose esters	Tate & Lyle Public Limited Company, A British Company	11-03-1981
12	US4611055 A	Production of sucrose fatty acid polyester	Dai-ichi Kogyo Seiyaku Co., Ltd.	09-09-1986
13	US3006208 A	Process of making sucrose esters	Tate & Lyle	12-07-1976

最终被采纳的无效US4980463的证据

# 手工无效检索-三氯蔗糖专利



## ● 三氯蔗糖337案——专利US4980463无效检索

**Semantic Results**

View Patents

**Refine** Clear All

Keywords  
Enter Keywords

Source click to select

Extended References click to select

Organization click to select

Organization Revenue  
no min no max

Original Organization click to select

IP Classification click to select

US Classification click to select

Priority Date  
clear all  
MM DD YYYY - 07 18 1989

Publish Date

**Results: 17 Patents**

No Group Group Table Grid View Relevance Sort Item Actions

<input type="checkbox"/>	#	ID	Title	Assignee	Published
<input type="checkbox"/>	1	US4980463 A	Sucrose-6-ester chlorination	Tate Lyle Public Limited Company, United Kingdom	12-25-1990
<input type="checkbox"/>	2	US4977254 A	Process for the chlorination of sugars	Tate Lyle Plc, United Kingdom	12-11-1990
<input type="checkbox"/>	3	US5128248 A	Selective acrylation of sugars	Unilever Plc, United Kingdom	07-07-1992
<input type="checkbox"/>	4	US4380476 A	Process for the preparation of 4,1',6'-trichloro-4,1',6'-trideoxygalactosucrose (tgs)	Tate Lyle Plc, United Kingdom	04-19-1983
<input type="checkbox"/>	5	US4889928 A	Sucrose alkyl 4,6-orthoacylates	Tate Lyle Plc, United Kingdom	12-26-1989
<input type="checkbox"/>	6	US4783526 A	Chlorination of carbohydrates and other alcohols	Tate Lyle Public Limited Company, United Kingdom	11-08-1988
<input type="checkbox"/>	7	US4362869 A	Process for the preparation of 4,1',6'-trichloro-4,1',6'-trideoxygalactosucrose	Tate Lyle Public Limited Company, Sugar Quay, Lo	12-07-1982
<input type="checkbox"/>	8	US4826962 A	Tetrachlororaffinose and its use in the preparation of sucralose	Tate Lyle Plc,	05-02-1989

专利无效证据被检出



# 专利诉讼分析

# 专利诉讼检索



INNOGRAPHY Manage Ac

Patent Number

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## Home

### Query Builder

[Patents](#) [Litigation](#) [Trademarks](#)

DATE RANGE

Filed Date  MM  DD  YYYY - MM  DD  YYYY

QUERY TEXT

Search for litigation where  contains

- (Legal)
- Law Firm
- Parties (All)
- Patent Abstract
- Patent Body
- Patent Claims
- Patent Number
- Patent Title
- Plaintiff
- Title

[Show query](#)

## 检索2011年医药行业涉案专利并分析

Results: 264 Cases Save Search Share

Display Options

Group: No Group	View: Table Grid	Sort: Filing Date
<ul style="list-style-type: none"> <li>No Group</li> <li>Plaintiff</li> <li>Defendant</li> <li>IP Class</li> <li>USP Class</li> </ul>	<ul style="list-style-type: none"> <li>Table Grid</li> </ul>	<ul style="list-style-type: none"> <li>Relevance</li> <li>Filing Date</li> <li>Case Title</li> <li>Court</li> </ul>

Selected: 0 Litigation Save Litigation Export

<input type="checkbox"/>	#	ID	Title	Court	Filed
<input type="checkbox"/>	1	2:2011cv15175	The Medicines Company v. Sun Pharma Global FZE et al	miedce	11-23-2011
<input type="checkbox"/>	2	3:2011cv06844	WARNER CHILCOTT COMPANY, LLC v. MYLAN INC. et al	njdce	11-22-2011
<input type="checkbox"/>	3	3:2011cv06819	THE MEDICINES COMPANY v. SUN PHARMA GLOBAL FZE et al	njdce	11-21-2011
<input type="checkbox"/>	4	1:2011cv01152	Cephalon Inc. et al v. Impax Laboratories Inc.	dedce	11-18-2011
<input type="checkbox"/>	5	1:2011cv01272	Yeda Research and Development Co., Ltd. v. Kappos	vaedce	11-18-2011
<input type="checkbox"/>	6	1:2011cv08302	Takeda Pharmaceutical Company Limited et al v. Hetero Drugs Limited et al	nysdce	11-16-2011
<input type="checkbox"/>	7	1:2011cv01121	Aventis Pharma S.A. et al v. Strides Inc. et al	dedce	11-14-2011
<input type="checkbox"/>	8	6:2011cv00611	Allergan, Inc. v. Lupin Ltd. et al	tredce	11-11-2011
<input type="checkbox"/>	9	1:2011cv08029	Addiction & Detoxification Institute, LLC v. Sponaugle et al	ilndce	11-10-2011

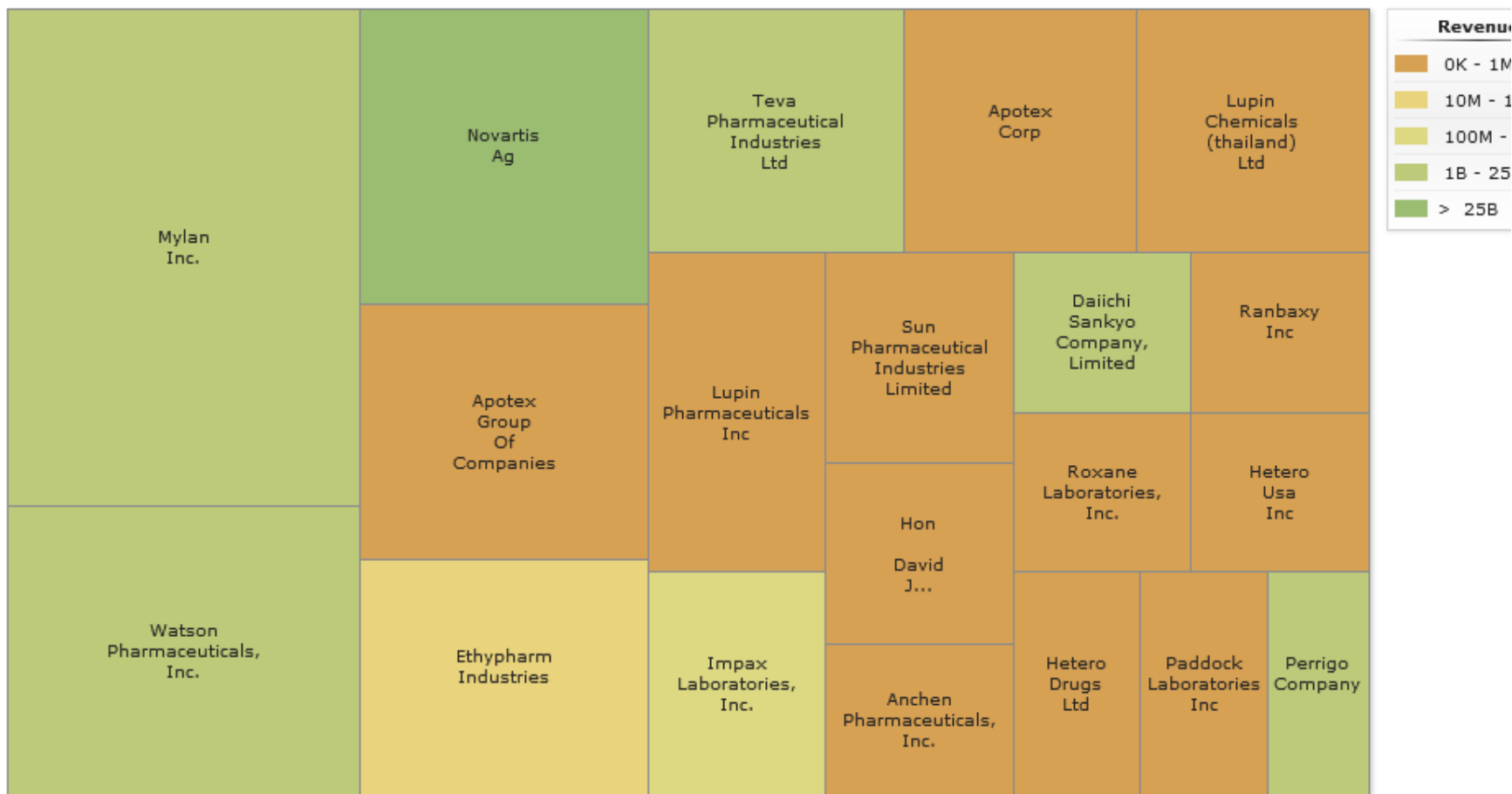
## 原告

Cases per Plaintiff (color = Revenue)



## 被告

Cases per Defendant (color = Revenue)



## ● 涉案专利

### Fenofibrate - ANTARA (MICRONIZED) 非诺贝特微粒胶囊

› US7101574: Pharmaceutical composition containing fenofibrate and the preparation method	16
<b>Dexmethylphenidate Hydrochloride - FOCALIN XR 右哌醋甲酯</b>	
› US6228398: Multiparticulate modified release composition	13
› US7863331: Pharmaceutical composition containing fenofibrate and method for the preparation thereof	13
› US7674800: Oxycodone hydrochloride having less than 25 ppm 14-hydroxycodeinone	11
› US7674799: Oxycodone hydrochloride having less than 25 ppm 14-hydroxycodeinone	10
› US7683072: Oxycodone hydrochloride having less than 25 ppm 14-hydroxycodeinone	10
› US5229131: Pulsatile drug delivery system	10
› US4794001: Formulations providing three distinct releases	10
› US6730325: Multiparticulate modified release composition	9

# Thank you!

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