

# Clarivate Analytics Integrity

## 站在医药研发最前沿，事实型早期药物研发情报平台

近年来我国的药物研发水平突飞猛进，已经从简单的仿制药研发，经过了“改剂型”等简单创新，向着仿创 (me-too, me-better) 的方向迅速提升，并已经开始了创新药物 (best-in-class, first-in-class) 的研发。在仿创、创新药物研发的科研活动中，基础数据通常可以为科研人员提供关键性线索，为推进药物研究里程碑的发展起到重要作用，并直接服务于提升药物的疗效并降低毒性的临床前及临床试验的研究工作。

在新药研发的每一个关键阶段，Clarivate Analytics Integrity 都可以帮助您沙里淘金，用前人研究的大量数据支持您的决策，定位您的研发维度，赢得基金支持，提高转化效率。Clarivate Analytics Integrity 从浩如烟海的科技信息中，抽提、梳理、整合靶标的全面信息，帮助您了解靶标参与的疾病代谢通路、当前在研药物及最新进展、靶标作用机理等，为您的机理探究和药物设计提供有力支持。药物研究的过程，可以总结为疾病领域的研究，靶点机理的研究以及相应化合物或生物活性物质的研究。无论在研究单位（大学或研究所）还是在工业企业，药物研究工作者都在围绕着这三方面进行着不断的探索与实验。作为研究的基础，Clarivate Analytics Integrity 可以迅速的挖掘与这三个阶段相关先验知识、科研数据及信息情报。

无论您是 .....

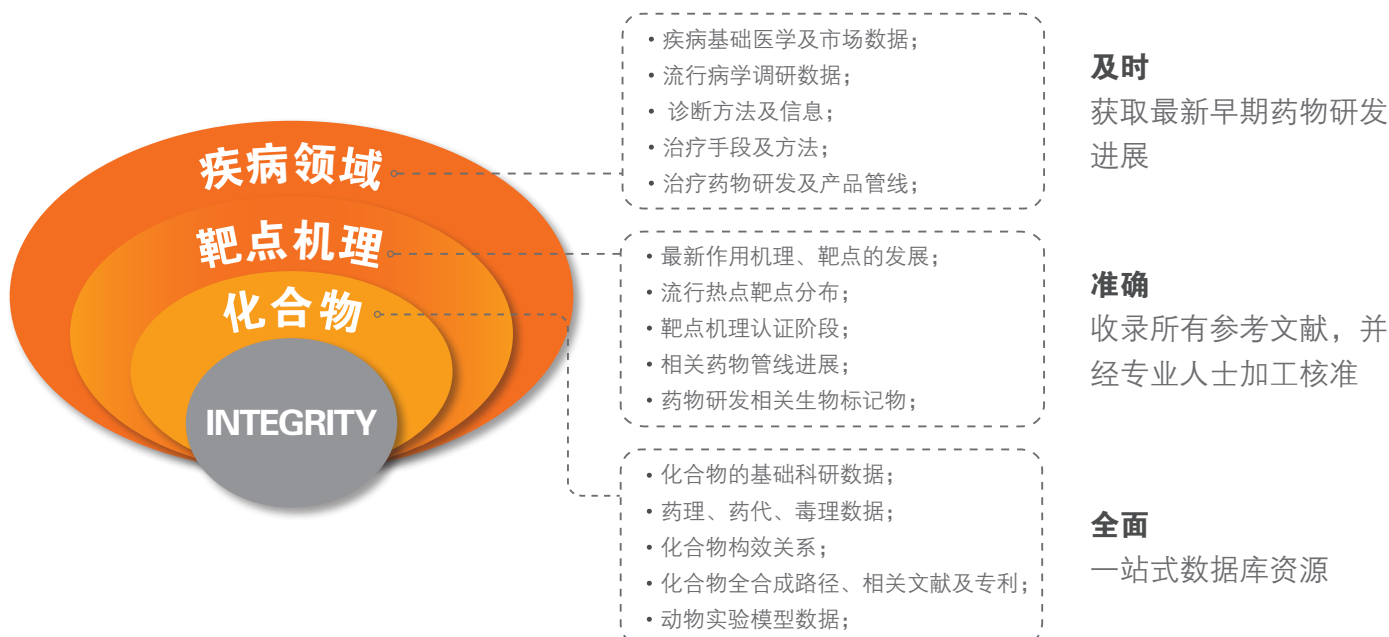
药化学家：筛选有药用前景的化合物，并进一步进行优化，提高疗效

生物学家：探索药物靶点 / 通路的药用价值；筛选有药用前景的生物药

药理学学家：深入研究药代、药理、毒理试验数据

临床医学家：探讨疾病的发病原理 / 途径，了解流行病学调查数据

### Clarivate Analytics Integrity 可以帮您通晓：



以下通过对“乙型肝炎”适应症方向的信息挖掘，展示 Clarivate Analytics Integrity 对于药物科研、药物研发的价值与作用。Clarivate Analytics Integrity 可以在以下方面帮助您：

## 疾病综述

通过业内专家撰写的**疾病综述**，快速了解**全球疾病概况**和相关**在研药物的最新进展**，进行药研项目的**课题定位**，赢得**研究基金**的支持。包括：由业内专家撰写的疾病综合评述，疾病发现的历史、发病原理、流行病学调查数据、治疗费用、诊断方法、预防方式、治疗方法汇总；疾病涉及的靶点与代谢通路、最近新发布的相关重要文献概要；相关重要站点、治疗指南等。

## “Hepatitis B- 乙型肝炎”的疾病综述

Hepatitis B	
Facts about Hepatitis B	
▶ Virus Structure and Life Cycle	
▶ Transmission	
▶ Natural History, Morbidity and Mortality	
▶ Epidemiology	
▶ HBV-HIV Co-infection	
▶ Cost	
Diagnosis	
Prevention	
Treatment	
Targets for Therapeutic Intervention	
Latest Headlines	
Glossary of Terms	
Links	
▶ Links to Related Websites	
▶ Links to Selected Publications	
▶ Links to Guidelines	
Related Info	
▶ Drugs & Biologics (68)	

- 乙肝领域基础数据
- 诊断
- 预防
- 治疗
- 相关药物
- 相关靶点
- 最新新闻
- 相关网站资源
- 治疗指南

- 病毒结构和生命周期
- 传播
- 疾病历史、发病率、死亡率
- 流行病学
- HBV-HIV 的协同感染
- 治疗费用

- 抗病毒疗法：
  - 干扰素
  - 病毒酶抑制剂
  - 抗病毒药物的抗药性
- 非特异性免疫
- 天然化合物疗法
- 移植

全面了解一个治疗领域  
为立项申报提供背景材料  
促进综述文章的广度和深度

流行病学调研数据涵盖，疾病分类，发病率、患病率、诊断率、用药率、死亡率等等。

Hepatitis B	
Facts about Hepatitis B	
▶ Virus Structure and Life Cycle	
▶ Transmission	
▶ Natural History, Morbidity and Mortality	
▶ Epidemiology	
▶ HBV-HIV Co-infection	
▶ Cost	
Diagnosis	
Prevention	
Treatment	
Targets for Therapeutic Intervention	
Latest Headlines	
Glossary of Terms	
Links	
▶ Links to Related Websites	
▶ Links to Selected Publications	
▶ Links to Guidelines	
Related Info	
▶ Drugs & Biologics (68)	

**Facts about Hepatitis B**

Viral hepatitis is a necroinflammatory disorder which varies widely in presentation and severity (Chisari, F.V. et al., 2010). Hepatitis has been recognized as a serious viral illness since the 1880s, although the viral agents responsible for the disease, which differ in their modes of transmission and degrees of severity, were not identified until much later. The hepatitis B virus was identified in the 1960s and the hepatitis A virus was isolated in 1973. The hepatitis C virus was cloned and sequenced.

从十九世纪八十年代，乙肝就已经被认定为严重的病毒感染了，但直到1960年代，乙肝病毒才被确认。

**Electron Micrograph**

Enlarge

Copyright 2013 Proux Science. All rights reserved.

**Cost**

An analysis by the National Institute of Diabetes and Digestive and Kidney Diseases (NIDDK) has estimated that the total cost of hepatitis B in the U.S. in the year 2004 was almost USD 460 million. Direct costs, including hospital services, physician services, prescription and OTC drugs, nursing home and home health care, were calculated at USD 204 million, while indirect costs were approximately USD 253 million (The burden of digestive diseases in the United States (National Institute of Diabetes and Digestive and Kidney Diseases, 2008)).

Cirrhosis is the twelfth leading cause of death in the U.S. Hepatitis B is one of the three main causes of cirrhosis, the others being hepatitis C and alcoholic liver disease. The cost of treating cirrhosis in the U.S. in the year 2008 was in the range of USD 14 million to USD 2 billion, depending on disease etiology (Neff, G.W. et al., 2011). In Europe, the average yearly cost of treating a single patient with hepatitis B and compensated cirrhosis is EUR 1,254-1,512, depending on the antiviral drug employed, while the cost of treating a patient with decompensated cirrhosis ranges from EUR 1,512-3,019 (Neff, G.W. et al., 2011).

**Bibliography**

Neff, G.W. et al. The current economic burden of cirrhosis. Gastroenterol Hepatol 2011, 7(10): 661

欧洲人均治疗费用：  
1,254-1,512 欧元。

在疾病综述中，可以简单的搜索出与治疗乙肝病毒相关的药物。而且，可以通过进一步的过滤与筛选 (Filter by Statistics) 的功能对于数据进行分析，并生成一系列的分析图表 (包括：研究进展、研发组织机构、适应症、产品分类、上市时间等等)，进而概览该领域整体药物研发。

## 以“HBV”为适应症在 Integrity 数据库中检索到 940 个化合物

Records Retrieved: 940 in Drugs & Biologics Search Results

Drugs & Biologics Search Results

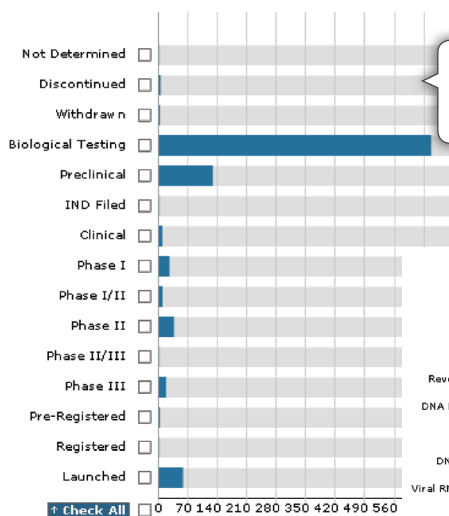
Query > Condition = "Hepatitis B (HBV)"

研发阶段、研究靶点、化合物分类及来源等

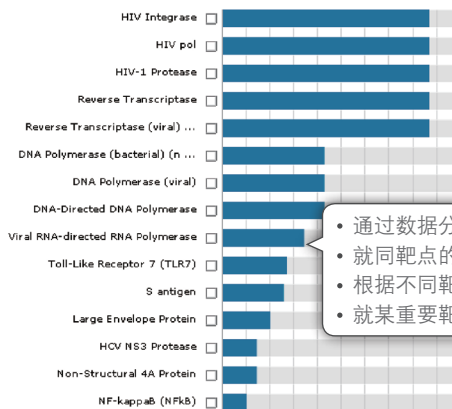
Entry Number	Highest Phase	Code Name	Generic Name	Brand Name	Product Category	Therapeutic Group	Mechanism of Action	Organization
070439	Launched-1984	CI-673 ara-A	Vidarabine	Arasena-A Vira-A		Anti-Hepatitis B Virus Drugs Anti-Herpes Virus Drugs	Adenylate Cyclase Type V Inhibitors	Pfizer (Originator) Mochida
090362	Launched-1985	SPG	Schizophyllan Sizofiran (Rec INN)	Sonifilan	Glucans	Anti-Hepatitis B Virus Drugs Cervical Cancer Therapy Immunostimulants		Taito (Originator) Fidia Kaken (Originator)
103767	Pre-Registered		Atvogen Rintatolimod (Prop INN; USAN)	Ampligen	Vaccine Adjuvants	Severe Acute Respiratory Syndrome (SARS), Treatment of Immunomodulators Anti-Influenza Virus Drugs Anti-Hepatitis B Virus Drugs Anti-Influenza A Virus Drugs Anti-HIV Agents	TLR3 Receptor Agonists Signal Transduction Modulators	National Cancer Institute Sigma-Aldrich National Institute of Infectious Diseases Guangdong Defence R&D Canada HemispherRx (Originator) Fountain Medical

Filter by Statistics

- Development Status
- Organization
- Major Therapeutic Groups
- Therapeutic Group
- Major Condition Groups
- Condition
- Mechanistic Scope
  - Molecular Mechanisms
  - Cellular Mechanisms
- Major Product Categories
- Product Category
- Launch Year
- Target
- Under Active Development / No Development Reported
- Filter Only Lead Compounds
- Natural Source Categories



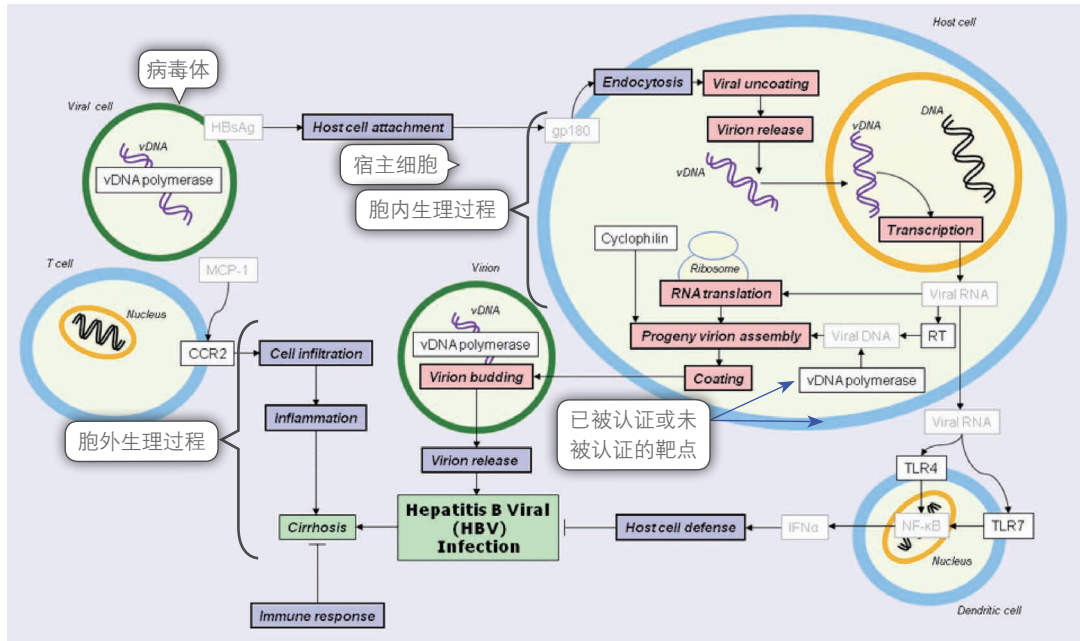
- 了解乙型肝炎的研究化合物研发阶段
- 分析该领域已上市药物的全景信息
- 对临床 II/III 期药物的研究进展随时追踪



- 通过数据分析找到热门研究靶点
- 就同靶点的系列化合物进行集中分析研究
- 根据不同靶点药物的研究进展判断靶点前景
- 就某重要靶点了解其全面信息

# 靶点概览

专业的编辑抽提整理事实型试验数据，在大数据的基础上进行**药理学活性、药代动力学**的比较，筛选、优化**有前景的活性分子**，评估药研项目的未来前景。通过药物靶标研究的最新进展，有目的地**设计、筛选、评估药物**。增加药物的**靶标特异性**，最大程度地**降低毒性、提高疗效**，并进行客观评估。



Clarivate Analytics Integrity 中对于靶点的评价（认证）程度，对于了解靶点的发展及作用机理在业界的认可程度都有着重要的意义。

Target Name	Type	Major Condition & Status	Lin
<input type="checkbox"/> NF-kappaB (NFkB)	Protein	Major Condition	V C E
<div style="text-align: center;"> <p>NF-kappa B 靶点</p> </div> <div style="margin-top: 10px;"> <p><b>V</b> Validated: 有活跃 (UAD) 的药物在临床前及之后的阶段。</p> <p><b>C</b> Candidate: 有活跃 (UAD) 的药物在临床前及之后的阶段，但目前处于不活跃阶段 (NDR)。</p> <p><b>E</b> Exploratory: 药物都在活性测试阶段。</p> </div>	Dermatological Disorders	2 3 2	
	Musculoskeletal and Connective Tissue Disorders	4 2 1	
	Surgical and Medical Procedures	1	
	Critical care medicine	1 1	
	Pain	2 1	
	Disorders of Sexual Function, Breast and Reproduction	1 1	
	Renal Disorders	2 4 1	
	Cardiovascular Disorders	1 5 5	
	Neurological Disorders	7 4 8	
	Gastrointestinal Disorders	9 8 4	
	Genetic Disorders	1	
	Poisoning	1	
	Eye Disorders	1	
	Psychiatric Disorders	1 4 2	
	Hematologic Disorders	2 3	
AIDS	1		
Immunological Disorders	1 1 4		
Respiratory Disorders	4 3 2		
Infections	2 2 10		
Endocrine Disorders	2 1 1		
Metabolic Disorders	3 3		
Cancer	22 11 3		
Other disorders (Systemic disorders)	1 2 1		
Substance abuse and dependence	2		

在胃肠道疾病中：有 9 个药物在 V 状态；有 8 个药物在 C 状态；有 4 个药物在 E 状态；说明 NF-kappa B 在胃肠道疾病的研究中已经获得了较多认可。



靶点记录收录了和靶点的所有药物相关信息：

**NF-kappa B 靶点记录**

Type: Protein

Related Names: LYT-10; LYT10; NF-kappa-B; NFKB; NFKB-p105; NFKB-p50; NFKB1 variant 1; NFKB2 variant a; NFKB3; Nuclear Factor-kappaB; Nuclear factor of kappa light polypeptide gene enhancer in B-cells 1, transcript variant 1; Nuclear factor of kappa light polypeptide gene enhancer in B-cells 2 (p49/p100), transcript variant a; RELA variant 1; V-rel reticuloendotheliosis viral oncogene homolog A (avian), transcript variant 1; p52; p65

Description/Function: Nuclear factor-kappa B (NF-kappaB) is a protein transcription factor and intracellular mediator of the inflammatory cascade involved in generation of adhesion molecules (ICAM-1, VCAM-1), iNOS synthase, COX-2, cytokines (e.g., IL-1beta, IL-2, TNF-alpha, IL-6, IFN-gamma) and chemokines (e.g., IL-8). Other genes which are regulated by NFkappaB include those encoding the IL-2 receptor, the IL-12 p40 subunit and the IL-18 receptor. NF-kappaB provides a mechanistic link between inflammation and cancer, controlling the ability of preneoplastic and malignant cells to undergo angiogenesis and invasiveness. NF-kappaB activity is closely associated with Ikbeta. NF-kappa B 分类: 肿瘤 surveillance mechanism and regulating tumor and aberrant or constitutive NF-kappaB activation has been detected in many human malignancies including solid tumors and hematological cancers such as acute myeloid leukemia and chronic myelogenous leukemia. It has also been reported that constitutive activation of the receptor tyrosine kinase Flt3 is responsible for the development of acute myeloid leukemia. NF-kappaB activation results in NFkappaB-induced neuronal death that causes Alzheimer's disease. Therapeutic inhibitors of the NF-kappaB activation may be a useful approach for the treatment of neurodegenerative diseases such as Alzheimer's disease, Huntington's disease, Parkinson's disease, amyotrophic lateral sclerosis, and multiple sclerosis. NF-kappa B 的信号通路: NF-kappaB activation is involved in the pathology of both forms of IBD, Crohn's disease and ulcerative colitis and studies have demonstrated enhanced processing of the NF-kappa-B precursor p105 and degradation of inhibitor of NF-kappa-B, Ikbeta, by immunoproteasomes isolated from the mucosa of Crohn's disease patients. NF-kappa-B activation inhibitors may be effective for suppressing inflammation seen in Th17-mediated diseases such as rheumatoid arthritis, psoriasis and Crohn's disease. Apoptotic neutrophils are decreased in sepsis and inhibition of NF-kappaB can restore neutrophil apoptosis to baseline levels. Suppression of NF-kappaB activation could reduce acute inflammatory response and organ dysfunction. Thus, targeting NF-kappaB may therefore be effective in normalizing the escalated immune responses seen in sepsis. NF-kappa B 的相互作用: NF-kappaB activation is involved in the pathology of both forms of IBD, Crohn's disease and ulcerative colitis and studies have demonstrated enhanced processing of the NF-kappa-B precursor p105 and degradation of inhibitor of NF-kappa-B, Ikbeta, by immunoproteasomes isolated from the mucosa of Crohn's disease patients. NF-kappa-B activation inhibitors may be effective for suppressing inflammation seen in Th17-mediated diseases such as rheumatoid arthritis, psoriasis and Crohn's disease. Apoptotic neutrophils are decreased in sepsis and inhibition of NF-kappaB can restore neutrophil apoptosis to baseline levels. Suppression of NF-kappaB activation could reduce acute inflammatory response and organ dysfunction. Thus, targeting NF-kappaB may therefore be effective in normalizing the escalated immune responses seen in sepsis.

以 NF-kappa B 为作用机理的药物研发纵览：

Condition (Status)

NF-kappa B 涉及的所有适应症、治疗领域

71 Conditions (V)  
47 Conditions (C)  
49 Conditions (E)

乙型肝炎 丙型肝炎

NF-kappa B 相关药物：有 71 个药物在 V 状态；有 47 个药物在 C 状态；有 49 个药物在 E 状态；说明 NF-kappa B 已经是一个在各个治疗领域中很成熟的靶点，也是研究热点。

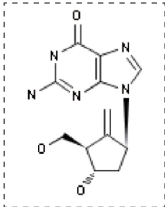
Products Under Development and Launched

NF-kappa B 为靶点的药物在研及上市的重点产品简述。

EN	Drug Name	Mechanism of Action	Organization	Phases
313049	Spherical carbon adsorbent			
710920	Ornithine phenylacetate	Signal Transduction Modulators NF-kappaB (NFKB) Modulators	Hospital Universitari Vall d'Hebron	Phase II/III

NF-kappa B 为靶点的药物名称、原研公司、研究进展情况。

## Entecavir- “恩替卡韦” 的药物记录

Entry Number	182634	Chemical Structure	STRUCTURE FEATURES	
Record Creation Date	May 31, 2002	 <p>Entecavir</p>	<p>结构</p>	
Last Updated Date	Oct 23, 2013			最新更新时间
CAS Registry No.	142217-69-4 209216-23-9 (monohydrate)			
Molecular Formula	C12 H15 N5 O3			
Molecular Weight	277.2792			
Highest Phase	Launched - 2005			
Under Active Development				
Chemical Name/Description	(1S,3R,4S)-9-[4-Hydroxy-3-(hydroxymethyl)-2-methylenecyclopentyl]guanine		METABOLITES	
Standard InChI	1S/C12H15N5O3/c1-5-6(3-18)8(19)2-7(5)17-4-14-9-10(17)15-12(13)16-11(9)20/h4,6-8,18-19H,1-3H2,(H3,13,15,16,20)/t6-,7-,8-/m/s1			
Standard InChIKey	QDGZDCVAUDNJFG-FXQIFTODSA-N			
Code Name	Generic Name	Brand Name	SALES	
BMS-200475 ETV SQ-34676	Entecavir (USAN; Rec INN)	Baraclude		
Molecular Mechanism	Cellular Mechanism			
DNA Polymerase Inhibitors	所属治疗领域			
Product Category	Therapeutic Group	Prescription/ Indication Type		
	Anti-Hepatitis B Virus Drugs			
Organization	原研公司			
Bristol-Myers Squibb (Originator)				

恩替卡韦药物的发展历史列表：

Product Milestone History						
Milestone Date	Milestone	Brand Name	Condition	Notes	Organization	Country/Area
2001	Phase III		Hepatitis B (HBV)	Chronic disease; Oral, once daily	Bristol-Myers Squibb	United States
Q3 2006	Launched	Baraclude	Hepatitis B (HBV)	Chronic disease; Tablets, film-coated, 0.5 and 1 mg; Oral solution, 0.05 mg/ml	Bristol-Myers Squibb	Germany France
1992	Preclinical		Hepatitis B (HBV)	Chronic disease; Oral, once daily	Bristol-Myers Squibb	United States
1992	Phase I		Hepatitis B (HBV)	Chronic disease; Oral, once daily	Bristol-Myers Squibb	United States
1992	Phase II		Hepatitis B (HBV)	Chronic disease; Once-daily	Bristol-Myers Squibb	United States
Oct 04, 2004	MAA Filed		Hepatitis B (HBV)	Chronic disease; Once-daily	Bristol-Myers Squibb	European Union
Mar 11, 2005	Recommended Approval	Baraclude	Hepatitis B (HBV)	Chronic disease; Oral, once daily	Bristol-Myers Squibb	United States
Mar 29, 2005	NDA Approved	Baraclude		<p><b>恩替卡韦的药物研发历史</b></p> <p>persistent elevations in serum aminotransferases or histologically active disease; Oral solution, 0.05 mg/ml; Tablets, film-coated, 0.5 and 1 mg</p>		United States
Oct 18, 2010	sNDA Approved	Baraclude	Hepatitis B, chronic	Treatment of chronic hepatitis B in adult patients with decompensated liver disease	Bristol-Myers Squibb	United States
Feb 28, 2011	MAA Approved	Baraclude	Hepatitis B (HBV)	Treatment of chronic hepatitis B virus infection in adults with decompensated liver disease; Tablets, film-coated, 0.5 and 1 mg; solution, 0.05 mg/ml; oral	Bristol-Myers Squibb	European Union

与恩替卡韦有关联的所有信息记录，包括靶点、文献、专利、合成、药理、药代、毒理、药物综述等。

**Product Summary** REPORT

Entecavir is a nucleoside analogue launched in the U.S. in 2005 as Baraclude(TM) by originator Bristol-Myers Squibb for the oral treatment of chronic hepatitis B in adults with evidence of active viral replication and either evidence of persistent elevations in serum aminotransferases (ALT or AST) or histologically active disease. The product, launched in 2006 in the U.K. and other several countries in Europe, is also available in Japan. Entecavir has also received clearance in Argentina, Brazil and Indonesia. In 2010, the compound was approved in the U.S. and the E.U. for the treatment of chronic liver disease. The product is designed to selectively inhibit the hepatitis B virus by process.

相关药物	临床数据
靶点及通路	相关公司机构
文献	疾病综述
专利	药理数据
有机合成路线	药代动力学数据

**Development Status Summary** DETAILS MILESTONES

Phase	Organization	Condition
Launched - 2005	Bristol-Myers Squibb	Hepatitis B (HBV)

**Related Information**

Drugs & Biologics	Biomarkers	Targets & Pathways	Literature	Patents	Organic Synthesis	Experimental Pharmacology
2	51	3	1007	68	28	84

Pharmacokinetics/ Metabolism	Clinical Studies	Companies & Research Institutions	Disease Briefings
164	569	1	1

**相关实验模型**

Model	Species	Strain	Characteristics (Characteristics/Details)	Target/Condition/Treatment	Drug/Dose/Route
Chow Chow	Rattus norvegicus (rat)			Death	100 100
Chow Chow (male)	Rattus norvegicus (rat)			Death	1 1
Chow Chow (female)	Rattus norvegicus (rat)			Death	1 1
Chow Chow	Rattus norvegicus (rat)			None	1 1
Chow Chow (male)	Rattus norvegicus (rat)			None	1 1

动物模型、种类、靶点、药物、文献出处

**药动、药代数据**

Administrated Product	Prepared Substances	Biomarker	Model	Condition/Route
Entecavir Hydrochloride	Entecavir Hydrochloride		Male Sprague-Dawley rat	Oral
Entecavir Hydrochloride	Entecavir Hydrochloride		Male Sprague-Dawley rat	Oral
Entecavir Hydrochloride	Entecavir Hydrochloride		Male Sprague-Dawley rat	Oral
Entecavir Hydrochloride	Entecavir Hydrochloride		Male Sprague-Dawley rat	Oral
Entecavir Hydrochloride	Entecavir Hydrochloride		Male Sprague-Dawley rat	Oral
Entecavir Hydrochloride	Entecavir Hydrochloride		Male Sprague-Dawley rat	Oral
Entecavir Hydrochloride	Entecavir Hydrochloride		Male Sprague-Dawley rat	Oral

AUC, PK, 模型, 文献出处

**全合成路径**

文字解释、中间体和试剂、来源出处、相关专利

**临床实验数据**

Study Name	Biomarker	Design	Pub. No.	Conclusions / Objectives	Details
Surgical and Medical Procedures		Open	30	Administration of entecavir was associated with poor tolerability and high incidence of cholestatic liver injury in healthy volunteers. There was no correlation between duration of therapy and age or gender.	[Ref. 41]
		Case report	1	Administration of entecavir led to cholestatic liver injury in an elderly female patient with mild depressive disorder.	[Ref. 42]
		Case report	1	Treatment with entecavir was associated with the development of cholestasis and the distribution of entecavir in a complete solution in a patient with bipolar disorder.	[Ref. 43]
		Case report	1	Switching to gatifloxacin from donepezil was associated with a poor response and a loss of all pounds and required 30 pounds over 2 months in a patient with vascular dementia.	[Ref. 44]

实验结果、考察指标、详细信息出处

**药理、毒理数据**

Drug Name	Preparation of Form	Experimental Model	Prevalence of Adverse Effect	Prevalence of Adverse Effect	Prevalence of Adverse Effect	Prevalence of Adverse Effect	Prevalence of Adverse Effect	Prevalence of Adverse Effect	Prevalence of Adverse Effect
Entecavir Hydrochloride	Entecavir Hydrochloride	Male Sprague-Dawley rat	0/10	0/10	0/10	0/10	0/10	0/10	0/10
Entecavir Hydrochloride	Entecavir Hydrochloride	Male Sprague-Dawley rat	0/10	0/10	0/10	0/10	0/10	0/10	0/10
Entecavir Hydrochloride	Entecavir Hydrochloride	Male Sprague-Dawley rat	0/10	0/10	0/10	0/10	0/10	0/10	0/10
Entecavir Hydrochloride	Entecavir Hydrochloride	Male Sprague-Dawley rat	0/10	0/10	0/10	0/10	0/10	0/10	0/10
Entecavir Hydrochloride	Entecavir Hydrochloride	Male Sprague-Dawley rat	0/10	0/10	0/10	0/10	0/10	0/10	0/10
Entecavir Hydrochloride	Entecavir Hydrochloride	Male Sprague-Dawley rat	0/10	0/10	0/10	0/10	0/10	0/10	0/10
Entecavir Hydrochloride	Entecavir Hydrochloride	Male Sprague-Dawley rat	0/10	0/10	0/10	0/10	0/10	0/10	0/10

药物名称、体内体外、抑制或促进, IC-50

通过系统集成的数据可以迅速生成 QSAR 构效关系图。

**Experimental Activity: 5-HT4 Receptor affinity, IN VITRO**

Pharmacological Activity: Serotonin 5-HT4 receptor affinity

Parameter:  $K_D$  共使用 58 个数据进行如下图表分析

Drug Name & Structure	Mechanism of Action	Material	Method	Value	Details
<div style="display: flex; align-items: center;"> <div style="margin-right: 10px;"> <input type="checkbox"/> 247460  </div> <div style="border: 1px solid red; padding: 2px;"> <p>结构</p> </div> </div>	5-HT4 Agonists	Striatum, rat	Displacement of [ <sup>3</sup> H]-GR-113808	2.80 ± 0.400 nM	Ref. 25
<div style="display: flex; align-items: center;"> <div style="margin-right: 10px;"> <input type="checkbox"/> 250540  </div> <div style="border: 1px solid red; padding: 2px;"> <p>快速生成构效关系</p> </div> </div>	5-HT4 Agonists	Striatum, guinea pig	Displacement of [ <sup>3</sup> H]-GR-113808	2.40 nM	Ref. 26
<div style="display: flex; align-items: center;"> <div style="margin-right: 10px;"> <input type="checkbox"/> 283913  </div> <div style="border: 1px solid red; padding: 2px;"> <p>快速生成构效关系</p> </div> </div>	5-HT4 Antagonists	Striatum, rat	Displacement of [ <sup>3</sup> H]-GR-113808	0.540 ± 0.100 nM	Ref. 18

随时跟踪**关注领域**在研项目的**全球最新进展**，确保最前沿的全球视野，自己的研究建立在世界新进展的基础上。

The screenshot shows the Thomson Reuters Integrity interface. On the left, there's a sidebar with options like 'Save Query', 'Keep Me Posted', 'Email-Alert: 快速生成邮件提醒', 'Structures', 'Display Milestones', 'Gateways to Product Development Status', 'References', 'All Related Information via Quick Search', and 'Printer Friendly Form'. Below this is a form for setting up email alerts. On the right, an email notification is displayed with the following content:

发件人: Integrity Alerts  
 收件人: Zhang, Hui (GG0)  
 抄送:  
 主题: Integrity Alert Center notification - Query

**THOMSON REUTERS INTEGRITY**

**COMMUNICATION**  
 A new *Integrity* release became available on November 5<sup>th</sup>. Visit the [What's New](#) page for an overview of the new scientific usability and client-requested enhancements.

Dear Thomson Reuters Integrity user:

There is **内容更新原因** Integrity query since you last viewed results. [Click here to display](#).  
 To view **更新内容的链接** query, [click here](#).

Query Name: p53-MDM2  
 Description:  
 Knowledge Area: Drugs & Biologics  
 Conditions: Name = "p53-Binding protein MDM2"  
 Date Created: April 11, 2012 Results: 315  
 Last Alert: October 12, 2012 Results: 377  
 This Alert: November 08, 2012 Results: 378

To view source documents associated with the results of this alert please refer to the table below:  
 Source Documents added

科睿唯安 Clarivate Analytics Integrity 中的数据量（信息收集截止 2017 月 2 月 7 日）。

药物（包括化药与生物药）	498,186 (453,407 化学结构式数据)
药物靶标以及发病通路图	4,070 药物靶标
药物 / 疾病相关的基因组学信息	41,904 相关基因
化学物全合成路径	30,735 合成路线
药理 / 毒理试验数据	2,100,373 药理 / 毒理
实验 模型	73,680 个模型
药代动力学 / 药物代谢试验数据	819,087 药代 / 药动
临床试验方案 / 结果	308,786 临床试验
疾病相关的综合性评述	157 疾病综述
研发单位	2,1603 家企业或研发机构
文献期刊及会议	2,197,532 个记录
专利文献	357,682 个专利家族

注: 还有 biomarker 可选模块, 包含 34,615 个药物 / 疾病 / 临床试验 / 诊断相关的生物标志物信息。

Clarivate Analytics Integrity 广泛收集来自期刊、文献、会议、专利、新闻发布中出现的具有治疗作用的化学 / 生物物质的基础上，与这些物质的临床前 / 临床试验数据建立关联，加速“药物发现”阶段向“临床应用阶段”的转化过程。

科睿唯安生命科学与制药解决方案, 请访问:  
[ip-science.thomsonreuters.com.cn/lscn/index.shtml](http://ip-science.thomsonreuters.com.cn/lscn/index.shtml)

## 科睿唯安 中国办公室

北京海淀区科学院南路2号融科资讯中心C座北楼610单元

邮编: 100190

电话: +86-10 57601200

传真: +86-10 82862088

邮箱: [yili1@clarivate.com](mailto:yili1@clarivate.com)

网站: [clarivate.com](http://clarivate.com)