



New Chemical Entities Entering Phase III Trials in 2011

Gregory T. Notte

Gilead Sciences Inc., San Mateo, California, USA

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1. SELECTION CRITERIA

- The Phase III clinical trial must have been registered with ClinicalTrials.gov in 2011 (or before) and scheduled to begin in 2011.
- This list was compiled using publically available information.¹ It was intended to give an overview of small molecule chemical matter entering Phase III and may not be all-inclusive.
- The chemical structure must be available. References describing the medicinal chemistry discovery effort were included if available. Otherwise, a reference was chosen which describes clinical efficacy or pharmacokinetics. Patent applications were cited only in the absence of alternative references.
- It must be the first time that this compound has reached Phase III for any indication as a single agent or in combination.
- The compound must be synthetic in origin. The following classes of drugs were not included: biologics, inorganic or organometallic compounds,

ssRNA, endogenous substances, radiopharmaceuticals, natural polypeptides, or herbal extracts.

- New formulations or single enantiomers of a previously approved drug were not included; novel prodrugs were included.
- Compounds meeting the criteria are shown as the free base except those containing quaternary nitrogens.

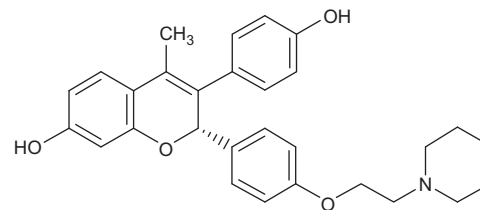


2. FACTS AND FIGURES

- In 2011, there were 1537 Phase III trials registered at ClinicalTrials.gov that were classified as having a “drug intervention.”
- Of the registered trials, 42 molecules (2.7%) met the selection criteria.
- For the molecules contained herein²:
 - Average molecular weight = 542 (range = 228–1917)
 - Average cLog *P* = 3.6 (range = – 6.2 to 7.3)
- The top three indications from all trials were as follows:
 - Type 1 and 2 diabetes (94 trials)
 - Hepatitis C (40 trials)
 - Chronic obstructive pulmonary disorder (37 trials)

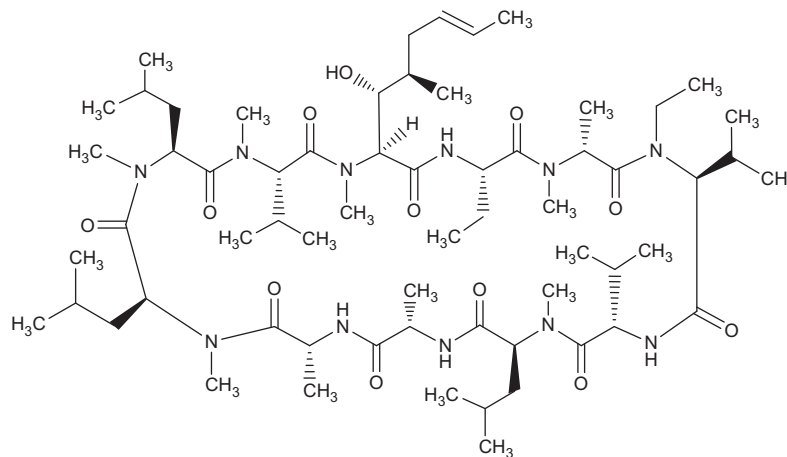
1. Acolbifene (EM-652)

Sponsor	Endoceutics
MW/cLog <i>P</i>	457.56/6.6
CAS#	182167-02-8
Start/end date	October 2011–May 2012
Indication	Vasomotor symptoms
Mechanism of action (MOA)	Selective estrogen receptor modulator ³
ClinicalTrials.gov Identifier	NCT01452373



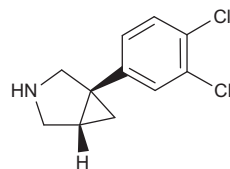
2. *Alisporivir* (DEB-025)

Sponsor	Novartis
MW/cLog <i>P</i>	1216.64/3.8
CAS#	254435-95-5
Start/end date	March 2011–April 2013
Indication	HCV infection
MOA	Cyclophilin inhibitor ⁴
ClinicalTrials.gov Identifier	NCT01318694



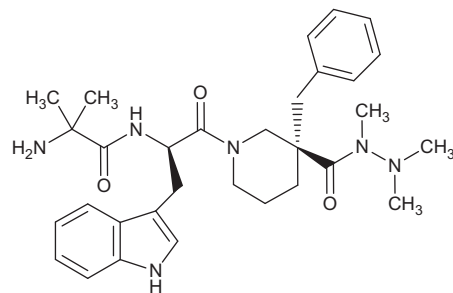
3. Amitifadine (EB-1010)

Sponsor Euthymics Bioscience
MW/cLog *P* 228.12/2.4
CAS# 410074-73-6
Start/end date February 2011–March 2012
Indication Major depression
MOA Triple reuptake inhibitor of the dopamine, norepinephrine, and serotonin transporters⁵
ClinicalTrials.gov Identifier NCT01318434



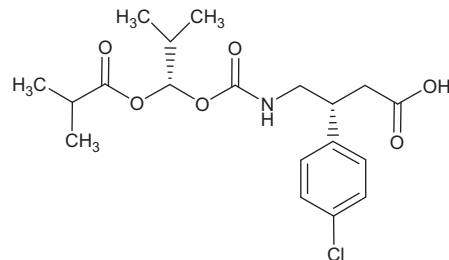
4. Anamorelin (RC-1291)

Sponsor Helsinn Therapeutics
MW/cLog *P* 564.7/2.8
CAS# 249921-19-5
Start/end date July 2011–July 2013
Indication Non-small cell lung cancer cachexia
MOA Growth hormone secretagogue receptor agonist⁶
ClinicalTrials.gov Identifier NCT01387269



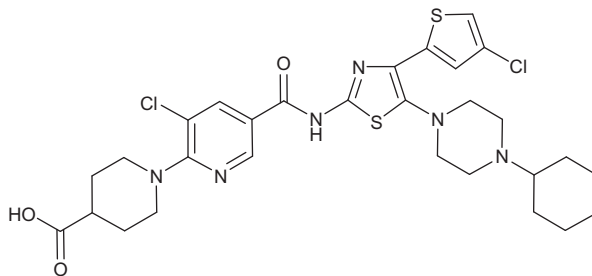
5. *Arbaclofen placarbil* (XP-19986)

Sponsor Xenoport
MW/cLog P 399.87/4.3
CAS# 847353-30-4
Start/end date May 2011–August 2013
Indication Multiple sclerosis
MOA GABA(B) agonist (prodrug of R-baclofen)⁷
ClinicalTrials.gov Identifier NCT01359566



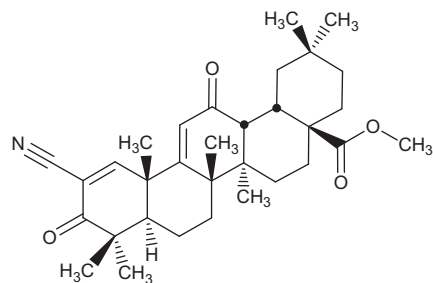
6. *Avatrombopag* (E-5501)

Sponsor Eisai
MW/cLog P 649.65/4.1
CAS# 570406-98-3
Start/end date December 2011–December 2012
Indication Idiopathic thrombocytopenic purpura
MOA Thrombopoietin receptor (c-Mpl) agonist⁸
ClinicalTrials.gov Identifier NCT01433978



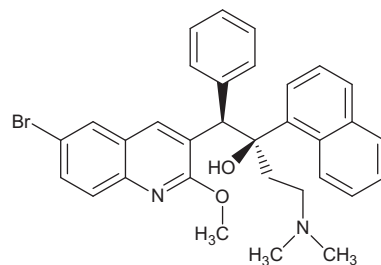
7. *Bardoxolone Methyl (RTA-402)*

Sponsor Abbott
MW/cLog P 505.69/6.1
CAS# 218600-53-4
Start/end date June 2011–June 2013
Indication Chronic kidney disease with type II diabetes mellitus
MOA Nrf2 inducer⁹
ClinicalTrials.gov Identifier NCT01351675



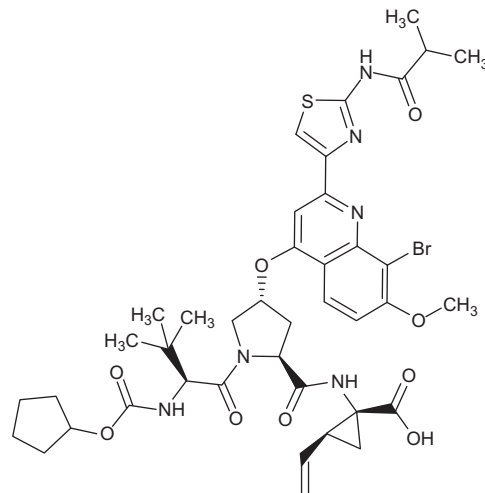
8. *Bedaquiline (TMC-207)*

Sponsor Tibotec
MW/cLog P 555.50/7.3
CAS# 843663-66-1
Start/end date November 2011–October 2014
Indication Tuberculosis
MOA ATP synthase inhibitor¹⁰
ClinicalTrials.gov Identifier NCT01464762



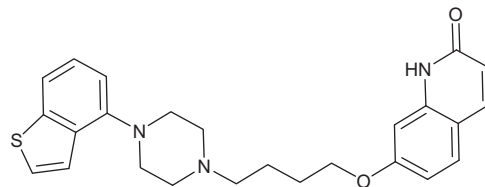
9. BI-201335

Sponsor Boehringer Ingelheim
MW/cLog P 869.82/6.0
CAS# 801283-95-4
Start/end date April 2011–February 2014
Indication HCV infection
MOA NS3/4A protease inhibitor¹¹
ClinicalTrials.gov Identifier NCT01343888



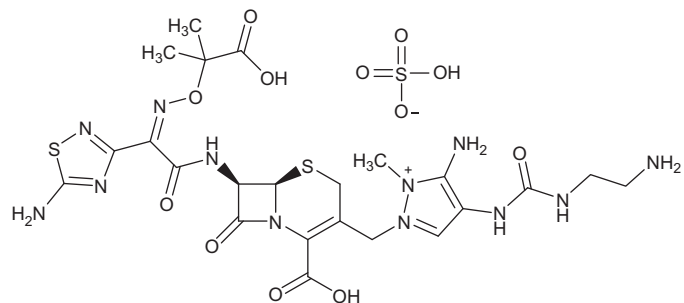
10. Brexpiprazole (OPC-34712)

Sponsor Otsuka Pharmaceutical
MW/cLog P 433.57/4.6
CAS# 913611-97-9
Start/end date June 2011–May 2013
Indication Major depressive disorder
MOA Dopamine D2 receptor partial agonist¹²
ClinicalTrials.gov Identifier NCT01360632



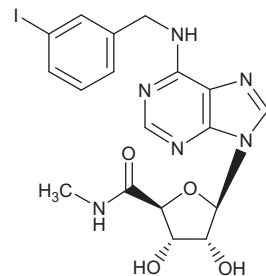
11. *Ceftiozone sulfate (CXA-201)*

Sponsor Cubist
MW/cLog P 764.77/-6.2
CAS# 936111-69-2
Start/end date June 2011–December 2012
Indication Complicated urinary tract infection (formulated in combination with tazobactam)
MOA Cell wall biosynthesis inhibitor¹³
ClinicalTrials.gov Identifier NCT01345929



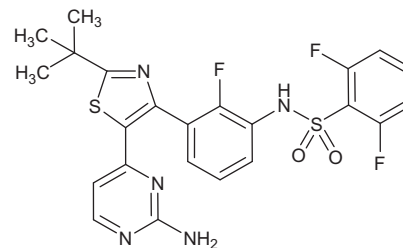
12. *CF-101*

Sponsor Can-Fite Biopharma
MW/cLog P 510.29/0.48
CAS# 152918-18-8
Start/end date July 2011–August 2012
Indication Dry eye
MOA Adenosine A3 agonist¹⁴
ClinicalTrials.gov Identifier NCT01235234



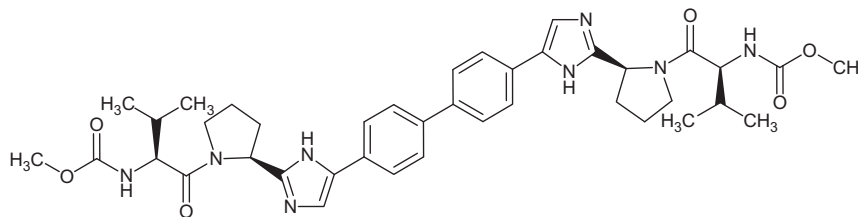
13. *Dabrafenib* (GSK-2118436)

Sponsor GlaxoSmithKline
MW/cLog P 519.56/4.6
CAS# 1195765-45-7
Start/end date January 2011–June 2012
Indication BRAF mutation positive
advanced or metastatic
melanoma
MOA Raf kinase B inhibitor¹⁵
ClinicalTrials.gov Identifier NCT01227889



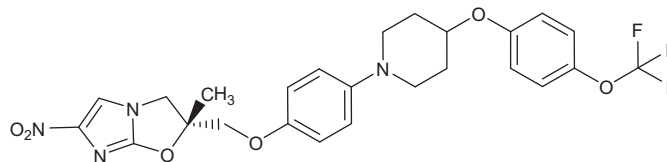
14. *Daclatasvir* (BMS-790052)

Sponsor Bristol-Myers Squibb
MW/cLog P 738.88/5.4
CAS# 1009119-64-5
Start/end date September 2011–October 2013
Indication HCV infection
MOA HCV NS5A inhibitor¹⁶
ClinicalTrials.gov Identifier NCT01389323



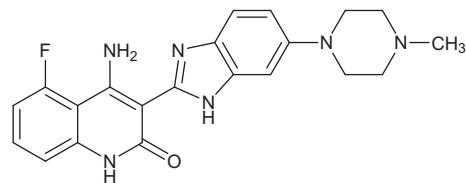
15. *Delamanid* (OPC-67683)

Sponsor Otsuka Pharmaceutical
MW/cLog P 534.48/5.3
CAS# 681492-22-8
Start/end date September 2011–August 2013
Indication Multidrug-resistant tuberculosis
MOA Cell wall biosynthesis inhibitor¹⁷
ClinicalTrials.gov Identifier NCT01424670



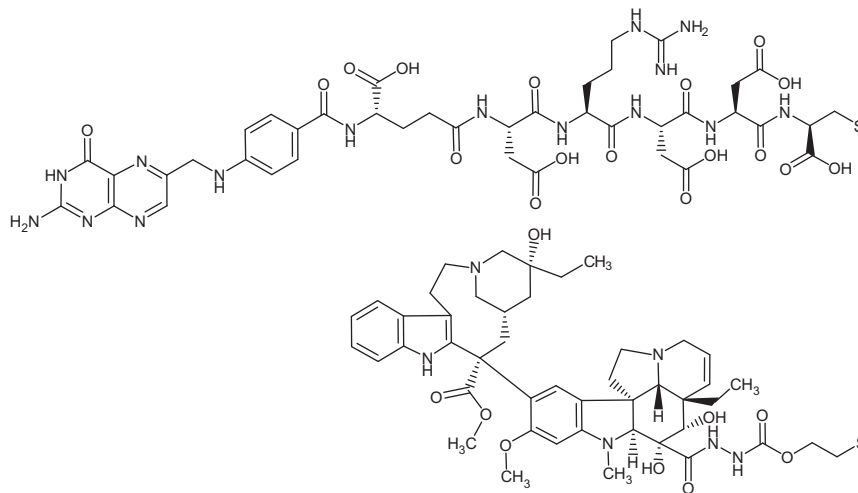
16. Dovitinib (TKI-258)

Sponsor	Novartis
MW/cLog <i>P</i>	392.43/2.6
CAS#	405169-16-6
Start/end date	January 2011–January 2014
Indication	Metastatic renal cell carcinoma
MOA	Angiogenesis inhibitor (multiple kinase targets) ¹⁸
ClinicalTrials.gov Identifier	NCT01223027



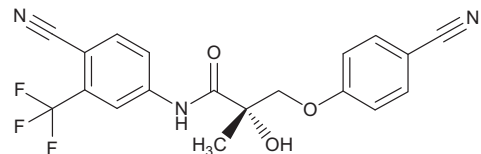
17. EC-145

Sponsor	Endocyte
MW/cLog <i>P</i>	1917.04/2.1
CAS#	742092-03-1
Start/end date	April 2011–June 2013
Indication	Ovarian cancer
MOA	Folate receptor antagonist ¹⁹
ClinicalTrials.gov Identifier	NCT01170650



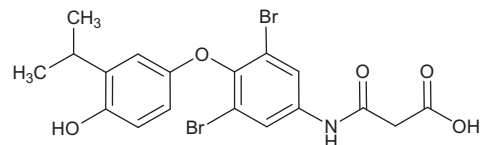
18. *Enobosarm* (*Ostarine*)

Sponsor GTx
MW/cLog P 389.33/3.4
CAS# 841205-47-8
Start/end date July 2011–January 2012
Indication Muscle wasting
MOA Selective androgen receptor modulators (SARM)²⁰
ClinicalTrials.gov Identifier NCT01355484



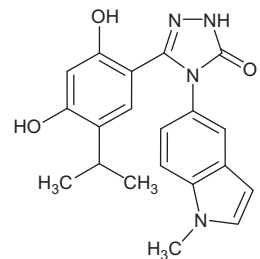
19. *Eprotirome* (*KB-2115*)

Sponsor Karo Bio
MW/cLog P 487.14/5.1
CAS# 355129-15-6
Start/end date July 2011–discontinued
Indication Heterozygous familial hypercholesterolemia
MOA Thyroid hormone receptor beta agonist²¹
ClinicalTrials.gov Identifier NCT01410383



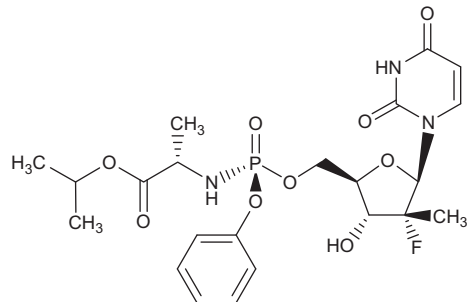
20. *Ganetespib* (*STA-9090*)

Sponsor Synta
MW/cLog P 364.40/3.7
CAS# 888216-25-9
Start/end date May 2011–July 2012
Indication Non-small cell lung cancer
MOA Heat-shock protein 90 (hsp90) inhibitor²²
ClinicalTrials.gov Identifier NCT01348126



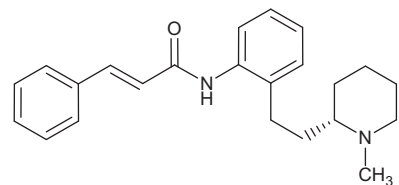
21. GS-7977 (PSI-7977)

Sponsor Gilead
MW/cLog P 529.45/0.84
CAS# 1190307-88-0
Start/end date December 2011–January 2013
Indication HCV infection
MOA HCV NS5B polymerase
 inhibitor (phosphoramidate
 prodrug)²³
ClinicalTrials.gov Identifier NCT01542788



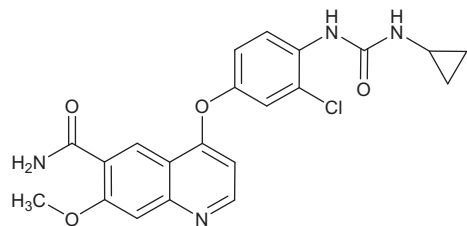
22. Iferanserin (VEN-309)

Sponsor Ventrus Biosciences
MW/cLog P 348.48/4.5
CAS# 58754-46-4
Start/end date July 2011–April 2012
Indication Internal hemorrhoids
MOA 5-Hydroxytryptamine 2A
 receptor²⁴
ClinicalTrials.gov Identifier NCT01355874



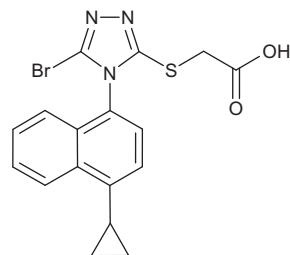
23. Lenvatinib (E-7080)

Sponsor Eisai/Quintiles
MW/cLog P 426.85/3.4
CAS# 417716-92-8
Start/end date March 2011–July 2013
Indication Thyroid cancer
MOA KDR/Flk-1 tyrosine kinase
 inhibitor²⁵
ClinicalTrials.gov Identifier NCT01321554



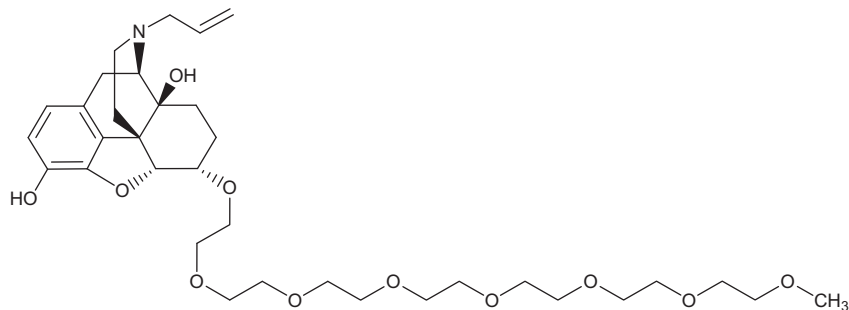
24. *Lesinurad* (RDEA-594)

Sponsor Ardea Biosciences
MW/cLog *P* 404.28/4.0
CAS# 878672-00-5
Start/end date December 2011–January 2013
Indication Gout
MOA Urate transporter 1 inhibitor²⁶
ClinicalTrials.gov Identifier NCT01493531



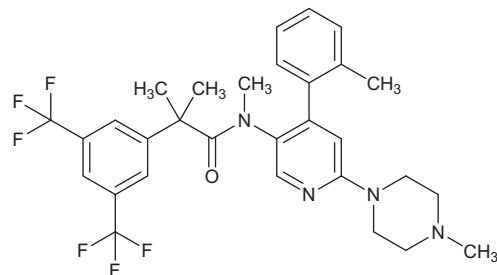
25. *Naloxegol* (NKTR-118)

Sponsor AstraZeneca
MW/cLog *P* 651.78/0.44
CAS# 854601-70-0
Start/end date March 2011–August 2012
Indication Opioid-induced constipation
MOA Peripheral opioid antagonist
(pegylated naloxol)²⁷
ClinicalTrials.gov Identifier NCT01309841



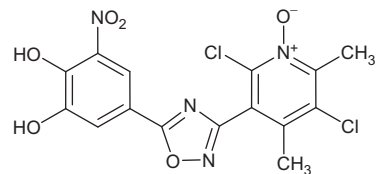
26. *Netupitant* (Ro-67-3189)

Sponsor Helsinn
MW/cLog *P* 578.59/6.5
CAS# 290297-26-6
Start/end date April 2011–July 2012
Indication Chemotherapy-induced nausea
MOA Tachykinin NK-1 antagonist²⁸
ClinicalTrials.gov Identifier NCT01339260



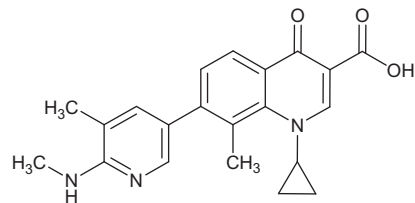
27. *Opicapone* (BIA-9-1067)

Sponsor Bial
MW/cLog *P* 413.17/3.0
CAS# 923287-50-7
Start/end date March 2011–October 2012
Indication Parkinson's disease
MOA Catechol-O-methyltransferase
(COMT) inhibitor²⁹
ClinicalTrials.gov Identifier NCT01227655



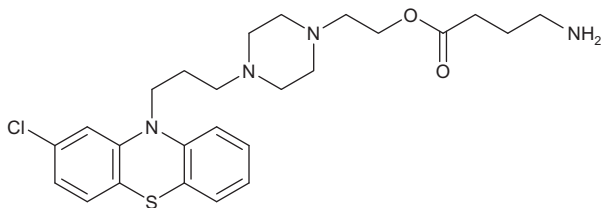
28. *Ozenoxacin* (T-3912)

Sponsor Ferrer
MW/cLog *P* 363.41/3.4
CAS# 245765-41-7
Start/end date October 2011–July 2012
Indication Impetigo (skin infection)
MOA DNA topoisomerase IV
inhibitor³⁰
ClinicalTrials.gov Identifier NCT01397461



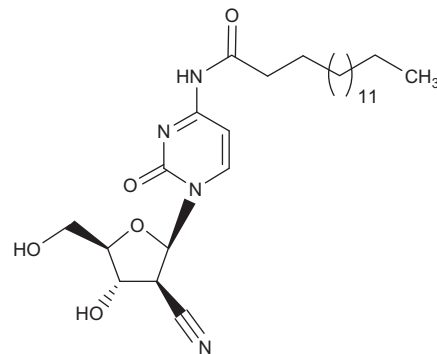
29. *Perphenazine 4-aminobutyrate* (BL-1020)

Sponsor BioLineRx
MW/cLog *P* 489.08/4.5
CAS# 751477-01-7
Start/end date May 2011–1H, 2013
Indication Schizophrenia
MOA Dopamine receptor antagonist
and GABA receptor agonist³¹
ClinicalTrials.gov Identifier NCT01363349



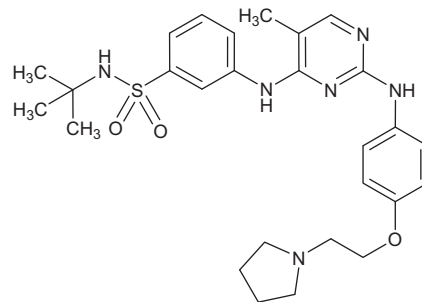
30. *Sapacitabine (CS-682)*

Sponsor Cyclacel
MW/cLog P 490.64/5.6
CAS# 151823-14-2
Start/end date January 2011–September 2013
Indication Acute myeloid leukemia
MOA DNA synthesis inhibitor³²
ClinicalTrials.gov Identifier NCT01303796



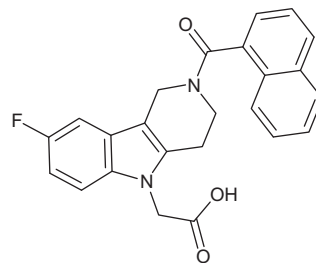
31. *SAR-302503 (TG-101348)*

Sponsor Sanofi
MW/cLog P 524.68/6.6
CAS# 936091-26-8
Start/end date October 2011–February 2013
Indication Hematopoietic neoplasm
MOA Janus kinase 2 (Jak2) inhibitor³³
ClinicalTrials.gov Identifier NCT01437787



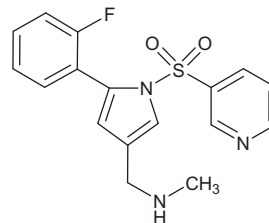
32. *Setipiprant (ACT-129968)*

Sponsor Actelion
MW/cLog P 402.42/4.3
CAS# 866460-33-5
Start/end date December 2011–March 2012
Indication Seasonal allergic rhinitis
MOA CRTH2 antagonist³⁴
ClinicalTrials.gov Identifier NCT01484119



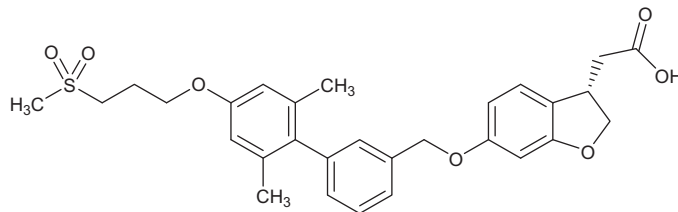
33. TAK-438

Sponsor Takeda
MW/cLog P 345.39/2.5
CAS# 881681-00-1
Start/end date September 2011–June 2013
Indication Gastric and duodenal ulcers
MOA Potassium-competitive acid blockers via H^+/K^+ ATPase inhibition³⁵
ClinicalTrials.gov Identifier NCT01452763



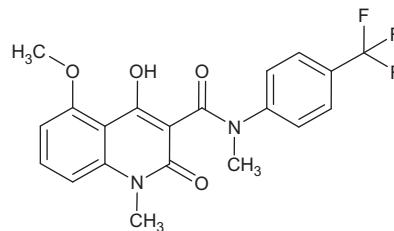
34. TAK-875

Sponsor Takeda
MW/cLog P 524.63/4.7
CAS# 1000413-72-8
Start/end date November 2011–July 2013
Indication Type 2 diabetes mellitus
MOA Free fatty acid receptor 1 agonist³⁶
ClinicalTrials.gov Identifier NCT01456195



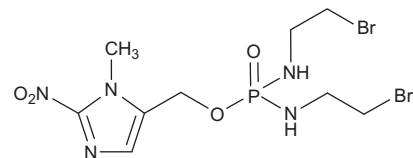
35. Tasquinimod (ABR-215050)

Sponsor Active Biotech
MW/cLog P 406.36/2.5
CAS# 254964-60-8
Start/end date March 2011–January 2016
Indication Prostate cancer
MOA Vascular endothelial growth factor (VEGF) inhibitor³⁷
ClinicalTrials.gov Identifier NCT01234311



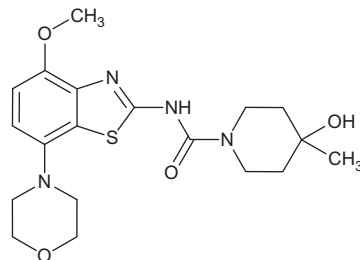
36. *TH-302*

Sponsor Threshold
MW/cLog *P* 449.04/1.0
CAS# 918633-87-1
Start/end date September 2011–June 2014
Indication Soft-tissue sarcoma
MOA Hypoxia-activated DNA
synthesis inhibitor³⁸
ClinicalTrials.gov Identifier NCT01440088



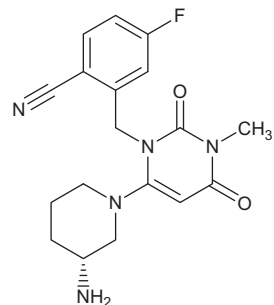
37. *Tozadenant* (SYN-115)

Sponsor Biotie Therapeutics
MW/cLog *P* 406.50/1.5
CAS# 870070-55-6
Start/end date March 2011–June 2013
Indication Parkinson's disease
MOA Adenosine A_{2A} receptor
antagonist³⁹
ClinicalTrials.gov Identifier NCT01283594



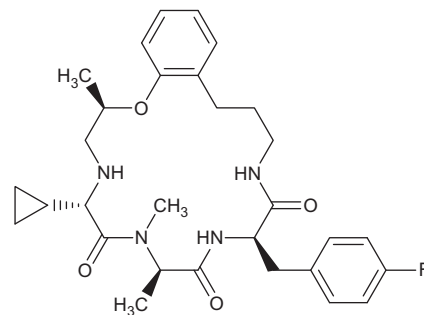
38. *Trelagliptin* (SYR-472)

Sponsor Takeda
MW/cLog *P* 357.38/1.1
CAS# 865759-25-7
Start/end date September 2011–July 2013
Indication: Type 2 diabetes mellitus
MOA Dipeptidyl peptidase IV
inhibitor⁴⁰
ClinicalTrials.gov Identifier NCT01431807

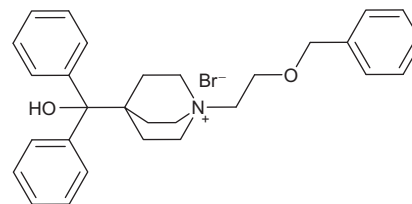


39. Ulimorelin (TZP-101)

Sponsor	Tranzyme
MW/cLog <i>P</i>	538.65/5.3
CAS#	842131-33-3
Start/end date	January 2011–January 2012
Indication	Postoperative ileus
MOA	Ghrelin receptor agonist ⁴¹
ClinicalTrials.gov Identifier	NCT01285570

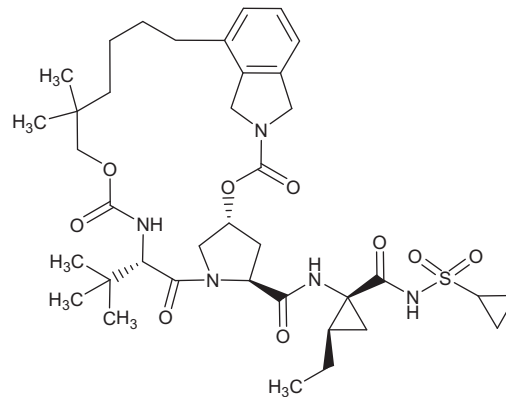
40. *Umeclidinium Bromide* (GSK-573719)

Sponsor	GlaxoSmithKline
MW/cLog <i>P</i>	508.49/1.6
CAS#	869113-09-7
Start/end date	January 2011–July 2012
Indication	Chronic obstructive pulmonary disorder
MOA	Muscarinic M3 antagonist ⁴²
ClinicalTrials.gov Identifier	NCT01316887



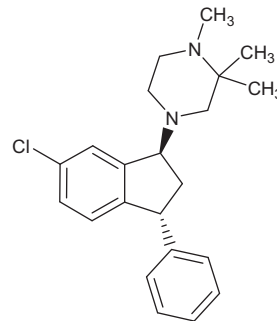
41. *Vaniprevir* (MK-7009)

Sponsor Merck
MW/cLog *P* 757.94/3.6
CAS# 923590-37-8
Start/end date June 2011–September 2013
Indication HCV infection
MOA NS3/4A protease inhibitor⁴³
ClinicalTrials. NCT01370642
gov Identifier



42. *Zicronapine* (Lu-31-130)

Sponsor Lundbeck
MW/cLog *P* 354.92/6.1
CAS# 170381-16-5
Start/end date April 2011–August 2012
Indication Schizophrenia
MOA D1/D2 and 5-HT₂ antagonist⁴⁴
ClinicalTrials. NCT01295372
gov Identifier



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