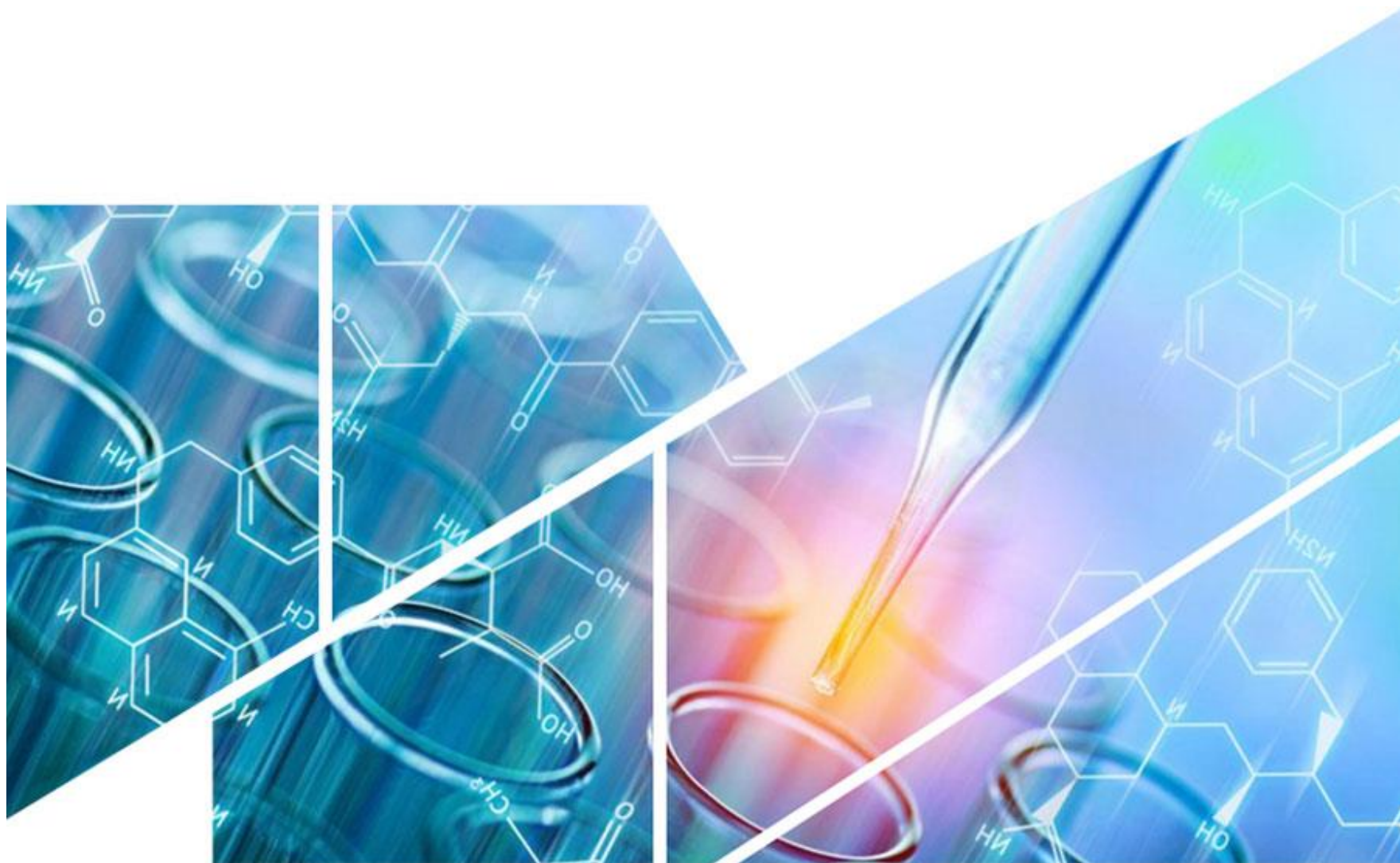


Spingosine 1 Phosphate Receptor Inhibitors (inhibitors, agonists and modulators)



The sphingosine-1-phosphate receptors are a class of G protein-coupled receptors that are targets of the lipid signalling molecule Sphingosine-1-phosphate (S1P). They are divided into five subtypes: S1PR1, S1PR2, S1PR3, S1PR4 and S1PR5.



Ozanimod - CAS 1306760-87-1

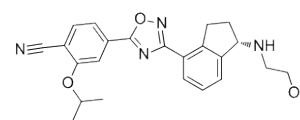
Catalog Number: B0084-470834

Price: \$198/50 mg

Molecular Weight: 404.47

Molecular Formula: C₂₃H₂₄N₄O₃

Description: Ozanimod, also known as RPC1063, is a selective oral S1P Receptor 1 modulator.



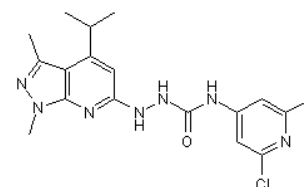
JTE 013 - CAS 547756-93-4

Catalog Number:

Molecular Weight: 408.29

Molecular Formula: C₁₇H₁₉N₇OCl₂

Description: JTE 013 has been found to be an antagonist of Sphingosine-1-Phosphate Receptor-2 (S1P₂R).



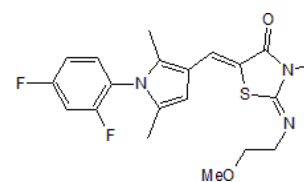
CYM 50308 - CAS 1345858-76-5

Catalog Number:

Molecular Weight: 405.46

Molecular Formula: C₂₀H₂₁F₂N₃O₂S

Description: CYM 50308 has been found to be an effective and selective S1P₄ agonist.



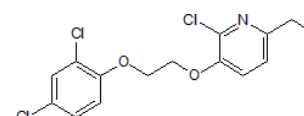
CYM 50260 - CAS 1355026-60-6

Catalog Number:

Molecular Weight: 350.60

Molecular Formula: C₁₄H₁₁Cl₃FNO₂

Description: CYM 50260 has been found to be a S1P₄ agonist.



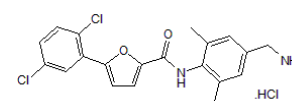
CYM 50358 hydrochloride

Catalog Number:

Molecular Weight: 425.74

Molecular Formula: C₂₀H₁₈Cl₂N₂O₂.HCl

Description: The hydrochloride salt form of CYM 50358, which has been found to be an effective S1P₄ antagonist.



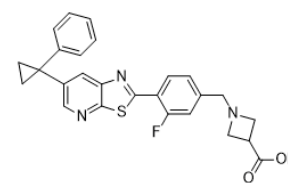
AMG 369 - CAS 1202073-26-4

Catalog Number: 1202073-26-4

Molecular Weight: 459.54

Molecular Formula: C₂₆H₂₂FN₃O₂S

Description: This active molecular is a S1P1/S1P5 agonist with limited activity at S1P3. AMG 369 has no activity at S1P2 and S1P4. AMG-369 is shown to reduce blood lymphocyte counts 24 hours postdose with dosed orally at 0.1 mg/kg. Till Jun 2016, no recent reports of development identified for preclinical development in Multiple-sclerosis in USA were published yet.



CS-0777-P - CAS 840523-39-9

Catalog Number: 840523-39-9

Molecular Weight: 422.45

Molecular Formula: C₂₁H₃₁N₂O₅P

Description: CS-0777 is a selective sphingosine 1-phosphate receptor modulator agonist. It is in clinical trials for the treatment of multiple sclerosis, but no recent development was reported.

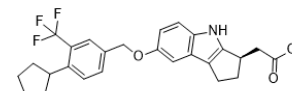
APD334 - CAS 1206123-37-6

Catalog Number: 1206123-37-6

Molecular Weight: 457.49

Molecular Formula: C₂₆H₂₆F₃N₃O₃

Description: This active molecular is a Sphingosine 1 Phosphate Receptor antagonists for treatment of multiple sclerosis (MS) and other autoimmune diseases originated by Arena Pharmaceuticals. APD334 was efficacious in a mouse EAE (experimental autoimmune encephalomyelitis) model of MS and a rat CIA (collagen induced arthritis) model. It was found to have appreciable central exposure. In Sep 2015, Arena Pharmaceuticals planed a phase II extension trial for Ulcerative colitis in USA was on-going. In Mar 2016, Arena Pharmaceuticals had patent protection for APD 334 in USA, Japan, China, Australia and Russia.



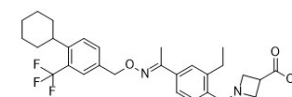
Siponimod - CAS 1230487-85-0

Catalog Number: 1230487-85-0

Molecular Weight: 516.61

Molecular Formula: C₂₉H₃₅F₃N₂O₃

Description: This active molecular is a selective Sphingosine 1 phosphate (S1P) receptor agonist originated by Novartis. The EC₅₀ value is 0.39nM for S1P1 receptors and 0.98nM for S1P5 receptors. In Apr 2016, Novartis completed a phase I trial in Healthy volunteers in USA, Switzerland, Jordan, Australia and France. In Aug 2016, Novartis completed a phase II trial in Polymyositis in USA, Belgium, Czech Republic, Hungary, Taiwan, Canada and Poland. . In Sep 2016, Adverse events and efficacy data from the phase III EXPAND trial in Multiple sclerosis was presented.



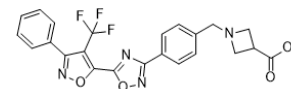
BMS-520 - CAS 1236188-38-7

Catalog Number: 1236188-38-7

Molecular Weight: 470.41

Molecular Formula: C₂₃H₁₇F₃N₄O₄

Description: This active molecular is a selective S1P1 receptor agonist that originated by Bristol-Myers Squibb. BMS-520 shows really good efficacy in animal models of arthritis as well as in a mouse EAE (experimental autoimmune encephalomyelitis) model of multiple sclerosis. Agonism of S1P1 has been known to play an important role in lymphocyte trafficking from the thymus and secondary lymphoid organs, resulting in immunosuppression.



PF-543 hydrochloride - CAS 1706522-79-3

Catalog Number: 1706522-79-3

Molecular Weight: 502.07

Molecular Formula: C₂₇H₃₁NO₄S.HCl

Description: The hydrochloride salt form of PF-543, a novel SphK1 inhibitor that could exhibit activity in inducing necrosis in human colorectal cancer cells in biological studies. IC₅₀: 2 nM; K_i: 3.6 nM.

