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Diagnostik & molekulare Diagnostik



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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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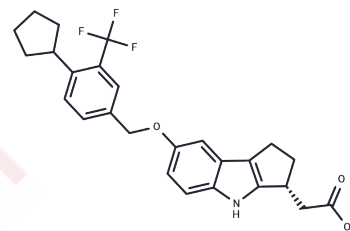
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Etrasimod

Chemical Properties

CAS No. :	1206123-37-6
Formula:	C ₂₆ H ₂₆ F ₃ NO ₃
Molecular Weight:	457.48
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Etrasimod (APD334) is a specific and orally available antagonist of the sphingosine-1-phosphate-1 (S1P1) receptor (IC ₅₀ : 1.88 nM in CHO cells).
Targets(IC ₅₀)	S1P Receptor, LPL Receptor
In vitro	In CHO cells expressing HA-tagged S1P1, APD334 is found to have an IC ₅₀ value of 1.88 nM. Moderate agonism at human S1P4 and S1P5 is observed but is reduced relative to S1P1, both in terms of potency and efficacy. APD334 is devoid of any agonism or antagonism at human S1P2 and S1P3.
In vivo	APD334 has a relatively low systemic clearance (<4% of hepatic blood flow) and high C _{max} across all species. In both dog and monkey, a significant decrease in the volume of distribution (V _{ss}) is observed relative to the rodent. Oral bioavailability is in the range of 40-100% and the terminal phase half-life varied from 6 h in monkey, to as long as 29 h in the dog.
Animal Research	APD334 induced effects on blood lymphopenia are determined in male Sprague-Dawley rats. Briefly, male rats are given a 0 (vehicle only), 0.03 (mice only), 0.1, 0.3 or 1 mg/kg oral dose of APD334 formulated in 0.5% methylcellulose (MC) in water. Rat blood samples are collected at 0, 1, 3, 5, 8, 16, 24, 32, 48 and 72 hours post-dose. APD334 induced effects on blood lymphopenia are determined in male BALB/c mice. Briefly, male mice are given a 0 (vehicle only), 0.03 (mice only), 0.1, 0.3 or 1 mg/kg oral dose of APD334 formulated in 0.5% methylcellulose (MC) in water. Mouse blood samples are taken at 0, 1, 3, 5, 8, 16, 24 and 32 hours post-dose.

Solubility Information

Solubility	DMSO: 25 mg/mL (54.64 mM), (< 1 mg/mL refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1859 mL	10.9294 mL	21.8589 mL
5 mM	0.4372 mL	2.1859 mL	4.3718 mL
10 mM	0.2186 mL	1.0929 mL	2.1859 mL
50 mM	0.0437 mL	0.2186 mL	0.4372 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Buzard DJ, et al. Discovery of APD334: Design of a Clinical Stage Functional Antagonist of the Sphingosine-1-phosphate-1 Receptor. ACS Med Chem Lett. 2014 Nov 4;5(12):1313-7.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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