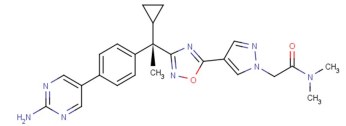


Data Sheet (Cat.No.T12793)

(S)-BI 665915

Chemical Properties

CAS No.:	1360550-05-5
Formula:	C ₂₄ H ₂₆ N ₈ O ₂
Molecular Weight:	458.52
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	(S)-BI 665915 is an orally active inhibitor of oxadiazole-containing 5-lipoxygenase-activating protein (FLAP) (IC ₅₀ of 1.7 nM for FLAP binding)..
Targets(IC ₅₀)	FLAP: 1.7 nM FLAP functional in human whole blood: 45 nM
In vitro	(S)-BI 665915 shows significantly weaker activity in mouse whole blood (mWB) assay than in human whole blood (mWB with IC ₅₀ of 4800 nM; hWB with IC ₅₀ of 45 nM). (S)-BI 665915 shows a modest human hepatocyte clearance (41% percent of hepatic blood flow) and relatively high plasma protein binding (unbound fraction of 4.7%).
In vivo	(S)-BI 665915 (single oral dose; 1-100 mg/kg;2 h) demonstrates dose-dependent LTB ₄ production inhibition in mouse whole blood . (S)-BI 665915 (iv of 1 mg/kg or po of 10 mg/kg) shows low iv plasma clearance in all three species, with clearance values of 7 % Qh in rat, 2.8 % Qh in dog, and 3.6 % Qh in cynomolgus monkey, respectively. The volume of distribution (V _{ss}) across species tested is in a range of 0.5 to 1.2 L/kg, and the bioavailability was good (45 to 63 %) in all species tested.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.181 mL	10.905 mL	21.809 mL
5 mM	0.436 mL	2.181 mL	4.362 mL
10 mM	0.218 mL	1.09 mL	2.181 mL
50 mM	0.044 mL	0.218 mL	0.436 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Takahashi H, et al. Synthesis, SAR, and series evolution of novel oxadiazole-containing 5-lipoxygenase activating protein inhibitors: discovery of 2-[4-(3-((r)-1-[4-(2-amino-pyrimidin-5-yl)-phenyl]-1-cyclopropyl-ethyl)-[1,2,4]oxadiazol-5-yl)-pyrazol-1-yl]-N,N-dimethyl-acetamide (BI 665915). J Med Chem. 2015 Feb 26;58(4):1669-90.

Inhibitors · Natural Compounds · Compound Libraries

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