

(S)-BI 665915

Chemical Properties

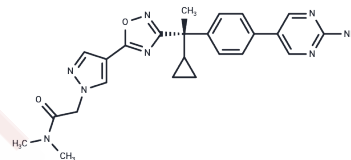
CAS No. : 1360550-05-5

Formula: C₂₄H₂₆N₈O₂

Molecular Weight: 458.52

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	(S)-BI 665915 is an orally active inhibitor of oxadiazole-containing 5-lipoxygenase-activating protein (FLAP) with an IC ₅₀ of 1.7 nM for FLAP binding.
Targets(IC ₅₀)	Others,FLAP
In vitro	(S)-BI 665915 demonstrates significantly weaker activity in a mouse whole blood (mWB) assay compared to a human whole blood assay (mWB IC ₅₀ of 4800 nM; hWB IC ₅₀ of 45 nM). It exhibits modest human hepatocyte clearance (41% of hepatic blood flow) and 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.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1809 mL	10.9046 mL	21.8093 mL
5 mM	0.4362 mL	2.1809 mL	4.3619 mL
10 mM	0.2181 mL	1.0905 mL	2.1809 mL
50 mM	0.0436 mL	0.2181 mL	0.4362 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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