

LP-935509

Chemical Properties

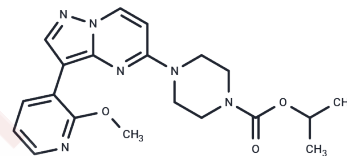
CAS No. : 1454555-29-3

Formula: C₂₀H₂₄N₆O₃

Molecular Weight: 396.44

Appearance: no data available

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



Biological Description

Description	LP-935509 is a selective, brain-permeable, small molecule competitive inhibitor of articulin-2-associated kinase 1 (AAK1) with an IC ₅₀ of 3.3 nM and a K _i of 0.9 nM. LP-935509 is a potent inhibitor of BIKE (IC ₅₀ of 14 nM) and a moderate inhibitor of GAK (IC ₅₀ of 320±40 nM).
Targets(IC ₅₀)	AAK1, Serine/threonine kinase
In vitro	<p>METHODS: The effects of LP-935509 on the activities of the two most closely related kinases, BIKE and GAK kinases, were evaluated; the ability of 1 μM LP-935509 to inhibit the binding of 389 kinases to ATP-binding probes was measured.</p> <p>RESULTS LP-935509 is a potent inhibitor of BIKE (IC₅₀= 14 nM) and a modest inhibitor of GAK (IC₅₀= 320 ± 40 nM), and LP-935509 inhibited the binding of more than 70% of the probes to 13 kinases, including BIKE. [1]</p>
In vivo	<p>METHODS: LP-935509 (10, 30, and 60 mg/kg) was administered orally (10 ml/kg), and Von Frey tests were performed at 0, 30, and 120 min after administration.</p> <p>RESULTS Oral administration of LP-935509 resulted in a dose-dependent reduction in phase II paw withdrawal that was significantly lower than that in vehicle-treated animals (Figure 3A). In particular, 30 mg/kg and 60 mg/kg of LP-935509 resulted in a significant reduction in pain behavior. [1]</p>

Solubility Information

Solubility	DMSO: 175 mg/mL (441.43 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5224 mL	12.6122 mL	25.2245 mL
5 mM	0.5045 mL	2.5224 mL	5.0449 mL
10 mM	0.2522 mL	1.2612 mL	2.5224 mL
50 mM	0.0504 mL	0.2522 mL	0.5045 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

Kostich W, et al. Inhibition of AAK1 Kinase as a Novel Therapeutic Approach to Treat Neuropathic Pain. J Pharmacol Exp Ther. 2016 Sep;358(3):371-86.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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