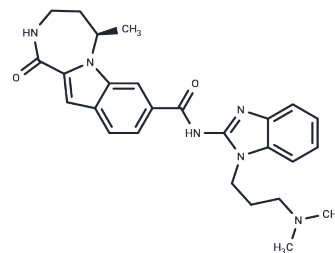


BIX 02565

Chemical Properties

CAS No. : 1311367-27-7
Formula: C₂₆H₃₀N₆O₂
Molecular Weight: 458.56
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	BIX 02565 is a potent inhibitor of ribosomal S6 kinase 2 (RSK2, IC ₅₀ : 1.1 nM).
Targets(IC ₅₀)	LRRK2,S6 Kinase
In vitro	BIX 02565 inhibited RSK2 with IC ₅₀ value of 1.1 nM. It also inhibited LRRK2 and PRKD1 with IC ₅₀ values of 16 and 35 nM [1]. BIX 02565 elicited >50% inhibition at adrenergic α _{1A} -, α _{1B} -, α _{1D} -, α _{2A} -, β ₂ -, and imidazoline I ₂ receptors (IC ₅₀ s: 0.052-1.820 μM) [2].
In vivo	Infusion of BIX 02565 (1, 3, and 10 mg/kg) in the rat CV screen resulted in a precipitous decrease in both mean arterial pressure (MAP; to -65 ± 6 mm Hg below baseline) and heart rate (-93 ± 13 beats/min). In telemetry-instrumented rats, BIX 02565 (30, 100, and 300 mg/kg p.o. QD for 4 days) elicited concentration-dependent decreases in MAP after each dose (to -39 ± 4 mm Hg on day 4 at T(max)); analysis by Demming regression demonstrated strong correlation independent of route of administration and influence of anesthesia [2].
Cell Research	A monolayer of exponentially growing HLR-CREB cells was prepared and transfected using Effectene with RSK2. Cells were plated into 96-well culture plates, and compounds were added 20 to 24 h after transfection. Luciferase expression (48 h) and activity (5 min) were determined using Steady-Glo per manufacturer's instructions, and results were represented as the percentage luciferase activity relative to the control measured in the absence of inhibitors (percentage of control); the IC ₅₀ was fitted to a standard four-parameter logistic equation. Each data point represents an average of triplicate observations [2].
Animal Research	Mean arterial pressure was assessed in conscious, freely moving male Sprague-Dawley rats (n = 6/group) instrumented with telemetry transmitters similar to that described previously. BIX 02565 (30, 100, and 300 mg/kg p.o. QD) was administered as a solution (10 ml/kg) in a 20% hydroxy-propyl-β-cyclodextran vehicle as described previously. Mean arterial pressure was reported from 2 h before (baseline) and 90 h after the first dose; compound was administered at 0, 24, 48, and 72 h. A blood sample was collected from satellite rats (n = 3/group) at 1-h after dose (Tmax) on days 1 and 4 for analysis of plasma drug concentrations by mass spectrometry [2].

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 20 mg/mL, (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1807 mL	10.9037 mL	21.8074 mL
5 mM	0.4361 mL	2.1807 mL	4.3615 mL
10 mM	0.2181 mL	1.0904 mL	2.1807 mL
50 mM	0.0436 mL	0.2181 mL	0.4361 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

Kirrane TM, et al. Indole RSK inhibitors. Part 2: optimization of cell potency and kinase selectivity. Bioorg Med Chem Lett. 2012 Jan 1;22(1):738-42.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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