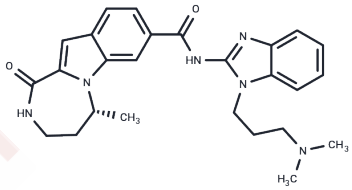
Data Sheet (Cat.No.T5428)

TargetMol

BIX 02565

Chemical Properties



CAS No. : 1311367-27-7

Formula: C26H30N6O2

Molecular Weight: 458.56

Storage: Store at low temperature,Keep away from moisture
Pure form: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	BIX 02565 is a potent inhibitor of ribosomal S6 kinase 2 (RSK2, IC50: 1.1 nM).
Targets(IC50)	LRRK2,S6 Kinase
In vitro	BIX 02565 inhibited RSK2 with IC50 value of 1.1 nM. It also inhibited LRRK2 and PRKD1 with IC50 values of 16 and 35 nM [1]. BIX 02565 elicited >50% inhibition at adrenergic α1A-, α1B-, α1D-, α2A-, β2-, and imidazoline I2 receptors (IC50s: 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 IC50 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

Solubility	DMSO: 20 mg/mL (43.61 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.18 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

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.

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

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.

Yuan Y, Xu J, Jiang L, et al. Discovery, Optimization, and Structure-Activity Relationship Study of Novel and Potent RSK4 Inhibitors as Promising Agents for the Treatment of Esophageal Squamous Cell Carcinoma. Journal of Medicinal Chemistry. 2021

Fryer RM, et al. Mitigation of off-target adrenergic binding and effects on cardiovascular function in the discovery of novel ribosomal S6 kinase 2 inhibitors. Journal of Pharmacology and Experimental Therapeutics (2012), 340(3), 492-500.

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