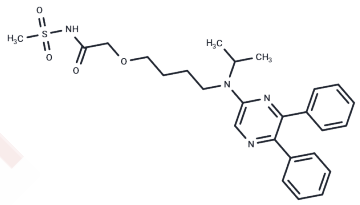
Data Sheet (Cat.No.T3216)

TargetMol

Selexipag

Chemical Properties



CAS No. : 475086-01-2

Formula: C26H32N4O4S

Molecular Weight: 496.62

Appearance: no data available

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

Biological Description

Description	Selexipag (ACT-293987)(NS-304) is prostacyclin receptor agonist that causes vasodilation in pulmonary vasculature and is used in the therapy of pulmonary arterial hypertension (PAH).
Targets(IC50)	Prostaglandin Receptor
In vitro	Selexipag is an orally available and long-acting IP receptor agonist prodrug, and its active form, MRE-269, is highly selective for the IP receptor. Selexipag inhibits the binding of [3H]Iloprost to the human and rat IP receptors in a concentration-dependent manner. The Ki is 260 nM for the human IP receptor and 2100 nM for the rat IP receptor. The intracellular cAMP levels in hIP-CHO cells are increased in a concentration-dependent manner by treatment with Selexipag with EC50 of 177 nM. Selexipag also inhibits platelet aggregation in humans and monkeys with IC50 values of 5.5 and 3.4 μM, respectively, but it shows no inhibition in dogs (IC50 of >100 μM)[1].
In vivo	The Cmax of MRE-269 after oral administration of Selexipag is 1.1 μg/mL in rats and 9.0 μg/mL in dogs. Selexipag at 1 or 3 mg/kg increases FSBF in anesthetized rats for more than 4 h after intraduodenal administration in a dose-dependent manner. In particular, Selexipag at 3 mg/kg causes a sustained increase in FSBF and exhibits a maximal increase of 93% in FSBF 1 h after administration[1].
Cell Research	NS-304 is dissolved in DMSO and stored, and then diluted with appropriate medium before use[1]. CHO cells expressing the human IP receptor (hIP-CHO cells) are seeded at 1×105 cells/well in a 24-well plate and cultured for 48 h. The cells are washed with Dulbecco's phosphate-buffered saline without divalent cations, preincubated in the medium for 1 h at 37°C, and then incubated for 15 min at 37°C with medium containing each drug in the presence of 500 μM 3-isobutyl-1-methylxanthine. The medium is removed, and perchloric acid solution is added to terminate the reaction. Intracellular cAMP levels are measured by enzymelinked immunosorbent assay[1].

Solubility Information

Solubility	DMSO: 15 mg/mL (30.2 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0136 mL	10.0681 mL	20.1361 mL
5 mM	0.4027 mL	2.0136 mL	4.0272 mL
10 mM	0.2014 mL	1.0068 mL	2.0136 mL
50 mM	0.0403 mL	0.2014 mL	0.4027 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

Kuwano K, et al. 2-[4-[(5,6-diphenylpyrazin-2-yl)(isopropyl)amino]butoxy]-N-(methylsulfonyl)acetamide (NS-304), an orally available and long-acting prostacyclin receptor agonist prodrug. J Pharmacol Exp Ther. 2007 Sep;322(3): 1181-8.