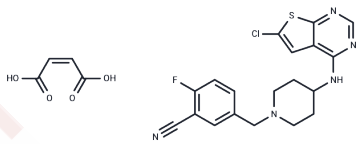
Data Sheet (Cat.No.T6959)

TargetMol

PRX-08066 Maleic acid

Chemical Properties



CAS No. : 866206-55-5

Formula: C23H21ClFN5O4S

Molecular Weight: 517.96

Storage: Store at low temperature
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	PRX-08066 Maleic acid is the salt form of PRX-08066.PRX-08066 is a 5-HT receptor 2B antagonist with an IC50 of 3.4 nM that induces selective vasodilation of the pulmonary artery.
Targets(IC50)	5-HT Receptor
In vitro	PRX-08066 inhibits 5-HT-induced mitogen-activated protein kinase activation with IC50 of 12 nM and markedly reduces thymidine incorporation with IC50 of 3 nM in Chinese hamster ovary cells expressing the human 5-HT2BR, which suggests that PRX-08066 can potentially inhibit the pathologic 5-HT-induced vascular muscularization associated with PAH. [1] PRX-08066 inhibits cell proliferation with IC50 of 0.46 nM and with a maximum inhibition of 20% and 5-HT secretion with IC50 of 6.9 nM with a maximum inhibition of 30% in the 5-HT(2B) expressing SI-NET cell line, KRJ-I. PRX-08066 inhibits isoproterenol-stimulated 5-HT release with IC50 of 1.25 nM and a maximum inhibition of 60% in NCI-H720 cells. PRX-08066 (0.5 nM) significantly inhibits ERK phosphorylation in KRJ-I cells. PRX-08066 inhibits TGFβ1, CTGF and FGF2 transcription and secretion in KRJ-I cells. PRX-08066 decreases level of transcripts for Ki67 (84%) as well as Ki67 protein (36.8%) associated with an increase in caspase 3 transcript levels in KRJ-I cells. PRX-08066 decreases level of transcripts of TGFβ1, FGF2 and TPH1 in KRJ-I cells. PRX-08066 significantly increases the number of dead cells (34%) compared with untreated controls in KRJ-I cells. PRX-08066 causes a significant increase in dead/caspase 3 positive cells (76%) and caspase 3 activity (52%) in HEK293 cells. [2]
In vivo	In a monocrotaline (MCT)-induced pulmonary arterial hypertension (PAH) rat model, PRX-08066 Maleic acid was orally administered at 50 or 100 mg/kg twice daily for 5 weeks. Hemodynamic measurements, MRI, and histological analyses were used to assess treatment effects. PRX-08066 Maleic acid significantly reduced pulmonary arterial pressure, improved right ventricular function, and attenuated right ventricular hypertrophy and pulmonary artery remodeling. [1]
Cell Research	5×103 cells/mL, seeds in 96-well plates at 100 µL (4 plates/experimental condition) are stimulated with PRX-08066 (0.1 µM to 100 nM: n = 6 wells/concentration). After 24 hours, mitochondrial activity is measured after adding MTT (3-[4,5-dimethylthiazol-2-ly]-2.5-diphenyltetrazolium bromide: 0.5 mg/mL per well) for 3 hours. The optical density is read photospectrometrically at 595 nm using a microplate reader. 29 Results are normalized to control (unstimulated cells) and the effective half-maximal

Cell Research	concentrations calculated.(Only for Reference)

Solubility Information

Solubility	Ethanol: 91 mg/mL (175.69 mM),Sonication is recommended. H2O: 95 mg/mL (183.41 mM),Sonication is recommended. DMSO: 96 mg/mL (185.34 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9307 mL	9.6533 mL	19.3065 mL
5 mM	0.3861 mL	1.9307 mL	3.8613 mL
10 mM	0.1931 mL	0.9653 mL	1.9307 mL
50 mM	0.0386 mL	0.1931 mL	0.3861 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

Porvasnik SL, Germain S, Embury J, Gannon KS, Jacques V, Murray J, Byrne BJ, Shacham S, Al-Mousily F. PRX-08066, a novel 5-hydroxytryptamine receptor 2B antagonist, reduces monocrotaline-induced pulmonary arterial hypertension and right ventricular hypertrophy in rats. J Pharmacol Exp Ther. 2010 Aug;334(2):364-72.
Svejda B, et al. Cancer, 2010, 116(12), 2902-2912.