Web: www.taiclone.com
Tel: +886-2-2735-9682
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PRX-08066

Catalog No: tcsc0991

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Specifications

CAS No:
866206-54-4

Formula:
$C_{19}H_{17}ClFN_5S$

Pathway:
Neuronal Signaling;GPCR/G Protein

Target:
5-HT Receptor;5-HT Receptor

Purity / Grade:
>98%

Solubility:
DMSO : 7 mg/mL (17.42 mM; Need ultrasonic)

Observed Molecular Weight:
401.89

Product Description

PRX-08066 is a selective 5-hydroxytryptamine receptor 2B (5-HT2BR, IC50= 3.4 nM) antagonist that causes selective vasodilation of

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pulmonary arteries.

IC50 value: 3.4 nM [1]

Target: HT2B 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: PRX-08066 (100 mg/kg) treated groups demonstrates less right ventricular hypertrophy and septal flattening than the monocrotaline control group in rats. PRX-08066 significantly reduces peak pulmonary artery pressure at 50 mg/kg and 100 mg/kg compared with monocrotaline control rats. PRX-08066 also significantly reduces right ventricle (RV)/body weight and RV/left ventricle + septum, compared with MCT-treated rats. PRX-08066 significantly attenuates the elevation in pulmonary artery pressure and RV hypertrophy and maintains cardiac function. PRX-08066 significantly reduces the hypoxia-dependent increase in right ventricular systolic pressure in both rats and mice without affecting the systemic mean arterial pressure in the animals [1]. PRX-08066 (100 mg/kg) significantly inhibits both right ventricular systolic pressure and right ventricular/left ventricular +septum weight elevations in rats. PRX-08066 (30 mg/kg) inhibits right ventricular systolic pressure and monocrotaline-induced ERK phosphorylation in whole lung homogenates in rats [3].