
Product Data Sheet

Product Name: PRX-08066

Cat. No.: GC10450

Chemical Properties

Cas. No. 866206-54-4

Chemical Name 5-[[4-[(6-chlorothieno[2,3-d]pyrimidin-4-yl)amino]piperidin-1-yl]methyl]-2-fluorobenzonitrile

SMILES C1CN(CCC1NC2=C3C=C(SC3=NC=N2)Cl)CC4=CC(=C(C=C4)F)C#NFormula $C_{19}H_{17}ClFN_5S$ M.Wt 401.89

Solubility DMSO: 96mg/ml, Ethanol: 91 mg/ml, Water: 95 mg/ml Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure

Background

PRX-08066 is a selective antagonist of 5-hydroxytryptamine receptor 2B (5-HT_{2B}R) with K_i value of 3.4nM [1].

PRX-08066 is found to cause selective vasodilation of pulmonary arteries and is developed to treat for pulmonary arterial hypertension (PAH). In the in vitro studies, PRX-08066 shows effects on the vascular muscularization induced by 5-HT. It inhibits 5-HT induced mitogen-activated protein kinase activation with IC_{50} value of 12nM. In addition, it significantly reduces thymidine incorporation in CHO cells expressing human 5-HT_{2B}R with IC_{50} value of 3nM. In both mice and rat models, PRX-08066 reverses the hypoxia-dependent increase in right ventricular systolic pressure. Besides that, PRX-08066 is also found to have anti-proliferative and anti-fibrotic effects. It inhibits the secretion of 5-HT, the phosphorylation of ERK1/2 and synthesis of profibrotic growth factor. Moreover, PRX-08066 inhibits the proliferation of the small intestinal neuroendocrine tumor cell line KRJ-I with IC_{50} value of 4.6nM [1, 2].

Caution: Product has not been fully validated for medical applications. For research use only.

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References:

- [1] Porvasnik S L, Germain S, Embury J, et al. PRX-08066, a novel 5-hydroxytryptamine receptor 2B antagonist, reduces monocrotaline-induced pulmonary arterial hypertension and right ventricular hypertrophy in rats. *Journal of Pharmacology and Experimental Therapeutics*, 2010, 334(2): 364-372.
- [2] Svejda B, Kidd M, Giovinazzo F, et al. The 5-HT_{2B} receptor plays a key regulatory role in both neuroendocrine tumor cell proliferation and the modulation of the fibroblast component of the neoplastic microenvironment. *Cancer*, 2010, 116(12): 2902-2912.

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