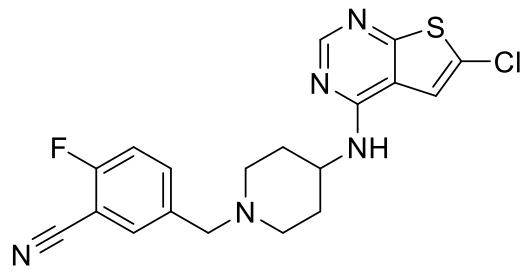
Product data sheet

MedKoo Cat#: 526697 Name: PRX-08066 free base CAS: 866206-54-4 Chemical Formula: $C_{19}H_{17}ClFN_5S$ Exact Mass: 401.0877 Molecular Weight: 401.89	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
PRX-08066 is a a novel 5-hydroxytryptamine receptor 2B antagonist, reduces monocrotaline-induced pulmonary arterial hypertension (PAH) and right ventricular hypertrophy in rats.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	96	238.87
Ethanol	91	226.43
Water	95	236.38

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.49 mL	12.44 mL	24.88 mL
5 mM	0.50 mL	2.49 mL	4.98 mL
10 mM	0.25 mL	1.24 mL	2.49 mL
50 mM	0.05 mL	0.25 mL	0.50 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Svejda B, Kidd M, Giovinazzo F, Eltawil K, Gustafsson BI, Pfragner R, Modlin IM. 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 Jun 15;116(12):2902-12. doi: 10.1002/cncr.25049. PMID: 20564397.

In vivo study

1. 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. doi: 10.1124/jpet.109.165001. Epub 2010 Apr 29. PMID: 20430844.

7. Bioactivity
Biological target:

In the 5-HT(2B) expressing SI-NET cell line, KRJ-I, PRX-08066 inhibited proliferation (IC(50) 4.6 x 10(-9)M) and 5-HT secretion (6.9 x 10(-9)M) and decreased ERK1/2 phosphorylation and profibrotic growth factor synthesis and secretion (transforming growth factor beta 1 [TGFbeta1], connective tissue growth factor [CTGF] and fibroblast growth factor [FGF2]).

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In vitro activity

PRX-08066 demonstrated promising effects in the context of small intestinal neuroendocrine tumors (SI-NETs). and PRX-08066 showed the ability to inhibit both tumor cell proliferation and the secretion of 5-HT. In the cell line KRJ-I, PRX-08066 inhibited cell growth and 5-HT release and reduced the activation of signaling pathways involved in fibrosis and the synthesis of fibrotic growth factors such as TGFbeta1, CTGF, and FGF2.

Reference: Cancer. 2010 Jun 15;116(12):2902-12. https://pubmed.ncbi.nlm.nih.gov/20564397/

In vivo activity

In a PAH rat model, PAH rats were administered PRX-08066 orally for five weeks. The results revealed significant improvements in right ventricular ejection fraction, reduced peak pulmonary artery pressure, reduced pulmonary arteriole wall thickening and lumen occlusion, and decreased right ventricle size compared to the MCT control group.

Reference: J Pharmacol Exp Ther. 2010 Aug;334(2):364-72. https://pubmed.ncbi.nlm.nih.gov/20430844/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.