Product Data Sheet

Product Name: PRX-08066 Maleic acid
Cat. No.: GC16788

Chemical Properties

Cas. No.	866206-55-5		
SMILES	FC(C=C1)=C(C#N)C=C1CN(CC2)CCC2NC3=C(C=C(Cl)S4)C4=NC=N3.O=C(O)/C=C\C(O)=O		
Formula	$C_{19}H_{17}ClFN_5S.C_4H_4O_4$	M.Wt	517.96
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	PRX-08066 Maleic acid		

Background

PRX-08066 Maleic acid is a selective 5-hydroxytryptamine receptor 2B (5-HT2BR) antagonist [1].
5-HT receptors are a group of G protein-coupled receptors and play an important role in schizophrenia, feeding disorders, perception, depression, migraines, hypertension, anxiety, hallucinogens, and gastrointestinal dysfunctions.
In NET cell line, KRJ-I, PRX-08066 inhibited 5-HT secretion and cell proliferation and decreased ERK1/2 phosphorylation and profibrotic growth factor synthesis and secretion (TGFβ1, CTGF and FGF2). In the KRJ-I:HEK293 coculture system, PRX-08066 significantly reduced 5-HT release, Ki67, CTGF, TGFβ1 and FGF2 transcription in the KRJ-I cell line. 5-HT itself stimulated HEK293 proliferation [2].
PRX-08066 has preclinical safety profile, good bioavailability, and low acute toxicity, which is under phase II clinical trials for the treatment of hypoxia-induced pulmonary hypertension syndromes and pulmonary hypertension [3].
In the monocrotaline (MCT)-induced PAH male rats model, PRX-08066 (100 mg/kg) significantly increased right ventricular ejection fraction and reduced peak PA pressure at 50 and 100 mg/kg. Morphometric assessment of pulmonary arterioles showed PRX-08066 significantly reduced medial wall thickening, lumen occlusion and RV hypertrophy. Also, PRX-08066 diminished pulmonary vascular remodeling [1].

References:

[1]. Porvasnik SL, 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. J Pharmacol Exp Ther, 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

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neoplastic microenvironment. Cance, 2010, 116(12): 2902-2912.
[3]. Kim SK, Li Y, Abrol R, et al. Predicted structures and dynamics for agonists and antagonists bound to serotonin 5-HT2B and 5-HT2C receptors. J Chem Inf Model, 2011, 51(2): 420-433.

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