Piboserod

Cat. No.: HY-15574

CAS No.: 152811-62-6

Molecular Formula: $C_{22}H_{31}N_3O_2$

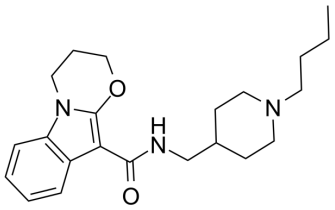
Molecular Weight: 369.5

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (67.66 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7064 mL	13.5318 mL	27.0636 mL
	5 mM	0.5413 mL	2.7064 mL	5.4127 mL
	10 mM	0.2706 mL	1.3532 mL	2.7064 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.77 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Piboserod (SB 207266) is a selective 5-HT(4) receptor antagonist.IC50 value:Target: 5-HT4 antagonistin vitro: Piboserod did not modify the basal contractions but concentration-dependently antagonized the ability of 5-HT to enhance bladder strip contractions to EFS. In presence of 1 and 100 nM of piboserod, the maximal 5-HT-induced potentiations were reduced to 45.0+/-7.9 and 38.7+/-8.7%, respectively [1].in vivo: Piboserod significantly increased LVEF by 1.7% vs. placebo (CI 0.3, 3.2, P = 0.020), primarily through reduced end-systolic volume from 165 to 158 mL (P = 0.060). There was a trend for greater increase in LVEF (2.7%, CI -1.1, 6.6, P = 0.15) in a small subset of patients not on chronic beta-blocker therapy. There was no significant effect on neurohormones, quality of life, or exercise tolerance. Patients on piboserod reported more adverse events, but numbers were too small to identify specific safety issues [2]. Pretreatment with potent 5-HT4 ligands dose-dependently reduced striatal SB207145 concentration and the effective dose to achieve 50% receptor occupancy (ED50) values were 4.8, 2.0, 7.4, 9.9, 3.8 and 0.02 mg/kg for GR113808, piboserod, prucalopride, RS67333, TD8954 and PF04995274,

	respectively [3].
IC_{50} & Target	5-HT_4 Receptor

CUSTOMER VALIDATION

- Protein Cell. 2019 Mar;10(3):178-195.
- Neural Regen Res. 2023 Jan 30.

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REFERENCES

[1]. Darblade B, et al. Piboserod (SB 207266), a selective 5-HT4 receptor antagonist, reduces serotonin potentiation of neurally-mediated contractile responses of human detrusor muscle. World J Urol. 2005 Jun;23(2):147-51.

[2]. Kjekshus JK, et al. Effect of piboserod, a 5-HT4 serotonin receptor antagonist, on left ventricular function in patients with symptomatic heart failure. Eur J Heart Fail. 2009 Aug;11(8):771-8.

[3]. Nirogi R, et al. In-vivo rat striatal 5-HT4 receptor occupancy using non-radiolabelled SB207145. J Pharm Pharmacol. 2013 May;65(5):704-12.

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