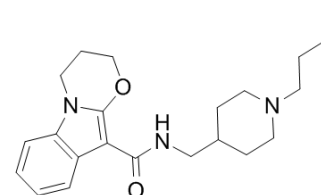


## Piboserod

<b>Cat. No.:</b>	HY-15574		
<b>CAS No.:</b>	152811-62-6		
<b>Molecular Formula:</b>	C <sub>22</sub> H <sub>31</sub> N <sub>3</sub> O <sub>2</sub>		
<b>Molecular Weight:</b>	369.5		
<b>Target:</b>	5-HT Receptor		
<b>Pathway:</b>	GPCR/G Protein; Neuronal Signaling		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 36 mg/mL (97.43 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
 Solubility: ≥ 0.62 mg/mL (1.68 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
 Solubility: ≥ 0.62 mg/mL (1.68 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
 Solubility: ≥ 0.62 mg/mL (1.68 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Piboserod (SB 207266) is a selective 5-HT<sub>4</sub> receptor antagonist. IC<sub>50</sub> value: Target: 5-HT<sub>4</sub> antagonist in 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-HT<sub>4</sub> ligands dose-dependently reduced striatal SB207145 concentration and the effective dose to achieve 50% receptor occupancy (ED<sub>50</sub>) 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<sub>50</sub> & Target**

5-HT<sub>4</sub> Receptor

**CUSTOMER VALIDATION**

- Protein Cell. 2019 Mar;10(3):178-195.

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**REFERENCES**

- [1]. Darblade B, et al. Piboserod (SB 207266), a selective 5-HT<sub>4</sub> 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-HT<sub>4</sub> 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-HT<sub>4</sub> receptor occupancy using non-radiolabelled SB207145. J Pharm Pharmacol. 2013 May;65(5):704-12.

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

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