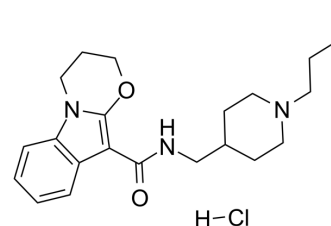


Piboserod hydrochloride

Cat. No.:	HY-15574A
CAS No.:	178273-87-5
Molecular Formula:	C ₂₂ H ₃₂ ClN ₃ O ₂
Molecular Weight:	405.96
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Piboserod (SB 207266) Hcl is a selective 5-HT ₄ receptor antagonist. IC ₅₀ value: Target: 5-HT ₄ 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 ₄ ligands dose-dependently reduced striatal SB207145 concentration and the effective dose to achieve 50% receptor occupancy (ED ₅₀) 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].
IC₅₀ & Target	5-HT ₄ 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-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.

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

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