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## Product Data Sheet

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Product Name: Piboserod hydrochloride

Cat. No.: GC36912

**Chemical Properties**

Cas. No. 178273-87-5

SMILES [H]Cl.O=C(C1=C(OCCC2)N2C3=C1C=CC=C3)NCC4CCN(CCCC)CC4

Formula C<sub>22</sub>H<sub>32</sub>ClN<sub>3</sub>O<sub>2</sub> M.Wt 405.96

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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 **Background**

Piboserod (SB 207266) Hcl 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].

[1]. Darblade B, et al. Piboserod (SB 207266), a selective 5-HT<sub>4</sub> receptor antagonist,

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

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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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