

Product Datasheet

Pimavanserin tartrate

Catalog No. **BP-02080** · CAS **706782-28-7** · Form **free base** · Physical state **solid** · Color **white to off-white** · Version **1.0** · Revision **2026-09-14**

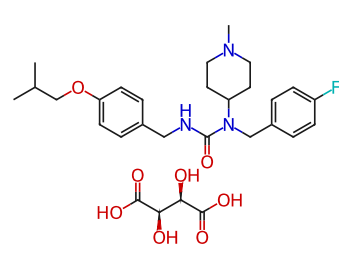
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Target 5-HT Receptor	Research area Neurological Disease
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Molecular formula **C₂₉H₄₀FN₃O₈**

Molecular weight **577.6416**

STRUCTURE



DESCRIPTION

Pimavanserin (ACP-103) hemitartrate is a potent and brain-penetrant 5-HT 2A receptor inverse agonist with pIC₅₀ and pK_i of 8.73 and 9.3, respectively.

BIOLOGICAL ACTIVITY

In Vitro

Pimavanserin hemitartrate competitively antagonizes the binding of [3H]ketanserin to heterologously expressed human 5-HT 2A receptors with a mean pK_i of 9.3 in membranes and 9.70 in whole cells. Pimavanserin hemitartrate displays potent inverse agonist activity in the cell-based functional assay receptor selection and amplification technology (R-SAT), with a mean pIC₅₀ of 8.7. Pimavanserin hemitartrate demonstrates lesser affinity (mean pK_i of 8.80 in membranes and 8.00 in whole cells, as determined by radioligand binding) and potency as an inverse agonist (mean pIC₅₀ 7.1 in R-SAT) at human 5-HT 2C receptors, and lacks affinity and functional activity at 5-HT 2B receptors, dopamine D2 receptors, and other human monoaminergic receptors.

In Vivo

Pimavanserin hemitartrate attenuates head-twitch behavior (3 mg/kg p.o.), and prepulse inhibition deficits (1-10 mg/kg s.c.) induced by the 5-HT_{2A} receptor agonist in rats and reduces the hyperactivity induced in mice by the N-methyl-D-aspartate receptor noncompetitive antagonist, consistent with a 5-HT 2A receptor mechanism of action in vivo and antipsychotic-like efficacy. Pimavanserin hemitartrate demonstrates 42.6% oral bioavailability in rats.

SOLUBILITY

Soluble in DMSO : 100 mg/mL

H₂O : 50 mg/mL

REFERENCES

[1].Vanover KE, et al. Pharmacological and behavioral profile of N-(4-fluorophenylmethyl)-N-(1-methylpiperidin-4-yl)-N'-(4-(2-methylpropyloxy)phenylmethyl) carbamide (2R,3R)-dihydroxybutanedioate (2:1) (ACP- 103), a novel 5-hydroxytryptamine(2A) receptor inverse agonist. J Pharmacol Exp Ther. 2006 May;317(2):910-8.

[2].Ezzeldin E, et al. A rapid, simple and highly sensitive UPLC-MS/MS method for quantitation of pimavanserin in plasma and tissues: Application to pharmacokinetics and brain uptake studies in mice. J Chromatogr B Analyt Technol Biomed Life Sci. 2020 Apr 15;1143:122015.

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Lot CoA and SDS are separate documents.