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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
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Pimavanserin hemitartrate

Cat. No.: HY-14557A

CAS No.: 706782-28-7

Molecular Formula: $C_{25}H_{34}FN_3O_2 \cdot {}_1/_2C_4H_6O_6$

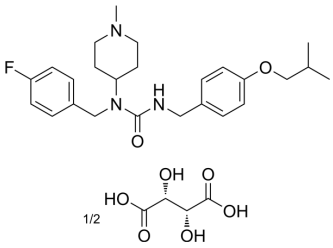
Molecular Weight: 502.59

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 1 years; -20°C, 6 months (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 75 mg/mL (149.23 mM)

H_2O : 50 mg/mL (99.48 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9897 mL	9.9485 mL	19.8969 mL
	5 mM	0.3979 mL	1.9897 mL	3.9794 mL
	10 mM	0.1990 mL	0.9948 mL	1.9897 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: ≥ 2.08 mg/mL (4.14 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.14 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.14 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pimavanserin (ACP-103) hemitartrate is a potent 5-HT 2A receptor inverse agonist with pIC_{50} and pK_i of 8.73 and 9.3, respectively.

IC_{50} & Target

5-HT_{2A} Receptor	5-HT_{2A} Receptor
8.73 (pIC_{50})	9.3 (pKi)

In Vitro

Pimavanserin hemitartrate competitively antagonizes the binding of [^{3}H]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 pIC_{50} 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 pIC_{50} 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[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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-HT2A 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[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats: Thirty minutes before being placed in the startle apparatus, rats are treated with saline (s.c.), MDL-100,151 (1.0 mg/kg s.c.), or one of three doses of ACP-103 (1.0, 3.0, or 10.0 mg/kg s.c.). Five minutes after the pretreatment, rats are administered either DOI HCl (0.5 mg/kg s.c.) or 0.9% saline (s.c.). The acoustic startle session lasted approximately 37 min. After 1 week, rats are tested again in the same acoustic/tactile startle session in the exact order and at the same time as the previous week. The same pretreatment drug or vehicle is administered, and rats are crossed over to receive the treatment opposite to that they received the previous week (e.g., DOI HCl for week 1, 0.9% saline for week 2)[1]. Mice: Non-Swiss albino mice are used for locomotor activity experiments. For determination of spontaneous activity, ACP-103 is administered alone (s.c. 60 min before session start or p.o. 60 min before session start). For hyperactivity experiments, mice are treated with 0.3 mg/kg MK-801 (i.p.) 15 min presession (the peak dose for producing hyperactivity in an inverted-U dose-effect curve as determined in pilot experiments) in combination with vehicle or ACP-103. Motor activity data are collected during a 15-min session in a lit room[1] MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2020 Oct 20;117(42):26438-26447.
- J Med Chem. 2023 Jun 28.
- ACS Chem Neurosci. 2019 Nov 20;10(11):4476-4491.
- Int J Neuropsychopharmacol. 2021 Jul 6;pyab040.
- Food Chem Toxicol. 2023 Apr 24;113800.

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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.

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

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