

# Pimavanserin

**Catalog No: tcsc3378**



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg

**Size:** 200mg



## Specifications

**CAS No:**

706779-91-1

**Formula:**

$C_{25}H_{34}FN_3O_2$

**Pathway:**

Neuronal Signaling;GPCR/G Protein

**Target:**

5-HT Receptor;5-HT Receptor

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 50 mg/mL (116.95 mM; Need ultrasonic)

**Alternative Names:**

ACP-103

### Observed Molecular Weight:

427.55

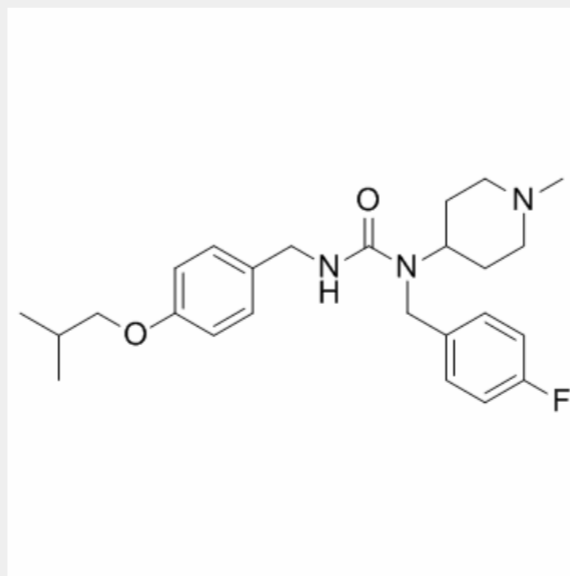
### Product Description

Pimavanserin is a potent **5-hydroxytryptamine (5-HT)<sub>2A</sub>** receptor inverse agonist, displays potent inverse agonist activity in the cell-based functional assay receptor selection and amplification technology (R-SAT), with a mean **pIC<sub>50</sub>** of 8.7.

IC50 & Target: pIC50: 8.7 (5-HT<sub>2A</sub>)<sup>[1]</sup>

**In Vitro:** Pimavanserin (ACP-103) competitively antagonizes the binding of [<sup>3</sup>H]ketanserin to heterologously expressed human 5-HT<sub>2A</sub> receptors with a mean pK<sub>i</sub> of 9.3 in membranes and 9.70 in whole cells. Pimavanserin demonstrates lesser affinity (mean pK<sub>i</sub> of 8.80 in membranes and 8.00 in whole cells, as determined by radioligand binding) and potency as an inverse agonist (mean pIC<sub>50</sub> 7.1 in R-SAT) at human 5-HT<sub>2C</sub> receptors, and lacked affinity and functional activity at 5-HT<sub>2B</sub> receptors, dopamine D<sub>2</sub> receptors, and other human monoaminergic receptors<sup>[1]</sup>. Pimavanserin (ACP-103) is highly selective for 5-HT<sub>2A</sub> receptors, lacking affinity for other receptors in a broad profile screen including 65 different molecular targets; the only other receptor for which Pimavanserin demonstrates affinity is 5-HT<sub>2C</sub>, and Pimavanserin is approximately 30-fold selective for 5-HT<sub>2A</sub> receptors over 5-HT<sub>2C</sub> receptors depending on the assay<sup>[2]</sup>.

**In Vivo:** Pimavanserin (ACP-103) is a potent, efficacious, orally active 5-HT<sub>2A</sub> receptor inverse agonist with a behavioral pharmacological profile consistent with utility as an antipsychotic agent. Pimavanserin attenuates head-twitch behavior (3 mg/kg p.o.), and prepulse inhibition deficits (1-10 mg/kg s.c.) induced by the 5-HT<sub>2A</sub> receptor agonist (±)-2,5-dimethoxy-4-iodoamphetamine hydrochloride in rats and reduces the hyperactivity induced in mice by the N-methyl-D-aspartate receptor noncompetitive antagonist 5H-dibenzo[a,d]cyclohepten-5,10-imine (dizocilpine maleate; MK-801) (0.1 and 0.3 mg/kg s.c.; 3 mg/kg p.o.), consistent with a 5-HT<sub>2A</sub> receptor mechanism of action in vivo and antipsychotic-like efficacy. Pimavanserin demonstrates >42.6% oral bioavailability in rats<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!