Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Observed Molecular Weight:
427.55

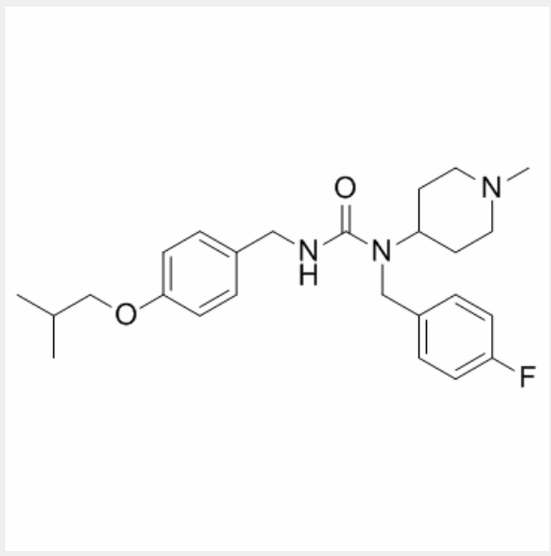
Product Description

Pimavanserin is a potent **5-hydroxytryptamine (5-HT)$_{2A}$** 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$_{50}$** of 8.7.

IC50 & Target: pIC50: 8.7 (5-HT$_{2A}$)[1]

In Vitro: Pimavanserin (ACP-103) 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 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 lacked affinity and functional activity at 5-HT$_{2B}$ receptors, dopamine D$_2$ receptors, and other human monoaminergic receptors[1]. Pimavanserin (ACP-103) is highly selective for 5-HT$_{2A}$ 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$_{2C}$, and Pimavanserin is approximately 30-fold selective for 5-HT$_{2A}$ receptors over 5-HT$_{2C}$ receptors depending on the assay[2].

In Vivo: Pimavanserin (ACP-103) is a potent, efficacious, orally active 5-HT$_{2A}$ 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$_{2A}$ 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$_{2A}$ receptor mechanism of action in vivo and antipsychotic-like efficacy. Pimavanserin demonstrates >42.6% oral bioavailability in rats[1].



Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com