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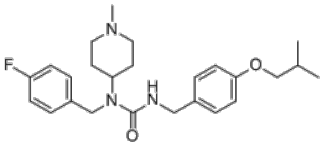
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Pimavanserin
Cat. No.	:	PC-20963
CAS No.	:	706779-91-1
Molecular Formula	:	$C_{25}H_{34}FN_3O_2$
Molecular Weight	:	427.56
Target	:	5-HT Receptor
Solubility	:	10 mM in DMSO



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Biological Activity

Pimavanserin (ACP-103) is a potent, selective, orally active 5-HT2A receptor inverse agonist, competitively antagonizes the binding of [(3)H]ketanserin to heterologously expressed human 5-HT(2A) receptors with pKi of 9.3 in membranes and 9.70 in whole cells.
ACP-103 displayed potent inverse agonist activity in the cell-based functional assay receptor selection and amplification technology (R-SAT) with pIC50 of 8.3.
ACP-103 displayed no affinity and functional activity at 5-HT(2B) receptors, dopamine D(2) receptors, and other human monoaminergic receptors.
ACP-103 attenuated 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 reduced the hyperactivity induced in mice by the N-methyl-d-aspartate receptor noncompetitive antagonist MK-801 (dizocilpine maleate).

References

Vanover KE, et al. Pharmacol Biochem Behav. 2008 Oct;90(4):540-4.

Vanover KE, et al. J Pharmacol Exp Ther. 2006 May;317(2):910-8.

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