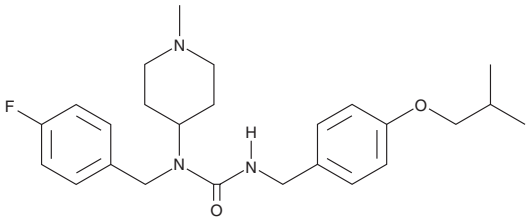
PRODUCT INFORMATION

Pimavanserin

Item No. 23462

CAS Registry No.:	706779-91-1
Formal Name:	N-[(4-fluorophenyl)methyl]-N-(1-methyl-4-piperidinyl)-N'-[[4-(2-methylpropoxy)phenyl]methyl]-urea
MF:	$C_{25}H_{34}FN_3O_2$
FW:	427.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 226 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Pimavanserin is supplied as a crystalline solid. A stock solution may be made by dissolving the pimavanserin in the solvent of choice. Pimavanserin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of pimavanserin in these solvents is approximately 33 mg/ml.

Pimavanserin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, pimavanserin should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Pimavanserin has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Pimavanserin is an inverse agonist of the serotonin (5-HT) receptor subtype 5-HT_{2A} (IC_{50} = 1.86 nM; K_i = 0.5 nM).[1] It is selective for 5-HT_{2A} over a panel of 65 ion channels, enzymes, and receptors (K_is = >100 nM). Pimavanserin reduces head-twitch behavior and prepulse inhibition deficits induced by the 5-HT_{2A} receptor agonist DOI (Item No. 13885) in rats at doses of 3 mg/kg, p.o., and 1-10 mg/kg, s.c., respectively. It also exhibits antipsychotic-like activity, reducing hyperactivity induced by (+)-MK-801 (Item No. 10009019) in mice. Pimavanserin acts synergistically with haloperidol (Item No. 12014) or risperidone (Item No. 13629) to suppress (+)-MK-801-induced hyperactivity and attenuates haloperidol- and risperidone-induced catalepsy in rats.[2] Formulations containing pimavanserin have been used for the treatment of psychosis in Parkinson's disease.[3]

References

1. Vanover, K.E., Weiner, D.M., Makhay, M., *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.* **318(2)**, 910-918 (2006).
2. Gardell, L.R., Vanover, K.E., Pounds, L., *et al.* ACP-103, a 5-hydroxytryptamine 2A receptor inverse agonist, improves the antipsychotic efficacy and side-effect profile of haloperidol and risperidone in experimental models. *J. Pharmacol. Exp. Ther.* **322(2)**, 862-870 (2007).
3. Goldman, J.G. and Holden, S. Treatment of psychosis and dementia in Parkinson's disease. *Curr. Treat. Options. Neurol.* **16(3)**, 281 (2014).

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