上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：匹莫范色林 L-酒石酸盐
产品别名：Pimavanserin tartrate

生物活性：

Description	Pimavanserin tartrate (ACP-103) is a potent 5-HT 2A receptor inverse agonist with pIC50 and pKi of 8.73 and 9.3, respectively.
IC_{50} & Target	pIC50: 8.73 (5-HT 2A)[1] pKi: 9.3 (5-HT 2A)[1]
In Vitro	Pimavanserin tartrate 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. ACP- 103 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 tartrate 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].
In Vivo	Pimavanserin tartrate 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 tartrate demonstrates 42.6% oral bioavailability in rats[1].

Solvent&Solubility

In Vitro:

DMSO : ≥ 75 mg/mL (74.61 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9948 mL	4.9741 mL	9.9483 mL
	5 mM	0.1990 mL	0.9948 mL	1.9897 mL
	10 mM	0.0995 mL	0.4974 mL	0.9948 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (2.49 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (2.49 mM，饱和度未知) 的澄清溶液。

	以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (2.49 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (2.49 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (2.49 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (2.49 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Animal Administration	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]
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.