产品名称：琥珀酸普卡必利

产品别名：**Prucalopride succinate**；琥珀酸普卡比利

生物活性：

Description

Prucalopride succinate is a selective, high affinity 5-HT4 receptor agonist with pKi of 8.6/8.1 for 5-HT4a/4b. IC50 value: Target: 5-HT4 agonist in vitro: Prucalopride was a 5-HT(4) receptor agonist in the guinea-pig colon, as it induced contractions (pEC(50)=7.48+/-0.06; insensitive to a 5-HT(2A) or 5-HT(3) receptor antagonist, but inhibited by a 5-HT(4) receptor antagonist) as well as the facilitation of electrical stimulation-induced noncholinergic contractions (blocked by a 5-HT(4) receptor antagonist). Prucalopride did not cause relevant inhibition of 5-HT(2A), 5-HT(2B), or 5-HT(3), motilin or cholecystokinin (CCK(1)) receptor-mediated contractions, nor nicotinic or muscarinic acetylcholine receptor-mediated contractions, up to 10 microM [1]. in vivo: Rat microdialysis studies revealed that prucalopride maximally increased ACh and histamine levels in the prefrontal cortex at 5 and 10 mg/kg, whereas PRX-03140 significantly increased cortical histamine levels at 50 mg/kg, failing to affect ACh release at doses lower than 150 mg/kg [2].

Solvent&Solubility

In Vitro:

DMSO : ≥ 36 mg/mL (74.08 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0578 mL	10.2889 mL	20.5778 mL
	5 mM	0.4116 mL	2.0578 mL	4.1156 mL
	10 mM	0.2058 mL	1.0289 mL	2.0578 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。

References

[1]. Briejer MR, et al. The in vitro pharmacological profile of prucalopride, a novel enterokinetic compound. Eur J Pharmacol. 2001 Jun 29;423(1):71-83.

[2]. Johnson DE, et al. The 5-hydroxytryptamine4 receptor agonists prucalopride and PRX-03140 increase acetylcholine and histamine levels in the rat prefrontal cortex and the power of stimulated hippocampal θ oscillations. J Pharmacol Exp Ther. 2012 Jun;341(3):681-91.