Prucalopride succinate

Cat. No.: HY-12694

CAS No.: 179474-85-2

Molecular Formula: $C_{22}H_{32}ClN_3O_7$

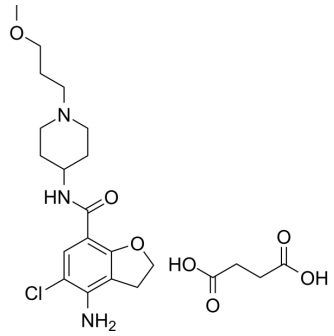
Molecular Weight: 485.96

Target: 5-HT Receptor; Apoptosis; Autophagy

Pathway: GPCR/G Protein; Neuronal Signaling; Apoptosis; Autophagy

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (102.89 mM; Need ultrasonic)

H_2O : ≥ 20 mg/mL (41.16 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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.14 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.14 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.14 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Prucalopride succinate is an orally active, selective and specific 5-HT 4 receptor agonist (high affinity), with pK_is of 8.6 and 8.1 for human 5-HT4a/4b receptors, respectively. Prucalopride succinate improves intestinal motility by promoting regeneration of the intestinal nervous system in rats. Prucalopride succinate also shows anticancer activity by blocking of the PI3K/AKT/mTor signaling pathway. Prucalopride succinate can be used in studies of chronic constipation, pseudo-intestinal obstruction and cancer[1][2][3][4].

IC_{50} & Target		
	$5\text{-}HT_{4A}$ Receptor	$5\text{-}HT_{4B}$ Receptor

	8.6 (pKi)	8.1 (pKi)

In Vitro

Prucalopride succinate (10 μM; 24, 48, 72 h) shows anti proliferative activity in A549 cells[4].
Prucalopride succinate induces autophagy and apoptosis, decreases the expression of the phosphorylated protein kinase B (AKT) and mammalian target of rapamycin (mTor) in A549/A427 cells[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay

Cell Line:	A549 cells
Concentration:	10 μM
Incubation Time:	24, 48, 72 h
Result:	Repressed lung cancer cells proliferation.

In Vivo

Prucalopride succinate (5 mg/kg, s.c) increases ACh and histamine levels in the rat prefrontal cortex[2].
Prucalopride succinate (5, 10 μg/kg, p.o, single daily for 2 weeks) shortens the colonic transit time in DM model, promotes the regeneration of colonic neural stem cells and neurons[3].
Prucalopride succinate (5, 10 μg/kg, p.o, single daily for 2 weeks) promotes the differentiation of colonic neural stem cells, activates the expression of glial proteins and promotes the recovery of neuronal injury to a certain extent[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Diabetes mellitus (DM) rat models[3]
Dosage:	5 μg/kg, 10 μg/kg
Administration:	Oral gavage, single daily for 2 weeks.
Result:	Accelerated colonic movement and shortened the colonic transit time, and markedly increased the expression levels of Ki67 . Increased expression of SOX10 in the columnar epithelial nuclei and enteraden (when at 5 μg/kg), and in the columnar epithelial cells, the nuclei of lamina propria cells and enteraden (when at 10 μg/kg). Significantly increased Nestin expression, which concentrated in columnar epithelial cells and the mesenchyme. (Nestin:a marker of enteric neural stem cells in the ENS).

CUSTOMER VALIDATION

- Nature. 2023 Dec;624(7992):672-681.
- Biochem Biophys Res Commun. 2021 Apr 6;556:16-22.

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REFERENCES

[1]. Wang Y, et al. Prucalopride might improve intestinal motility by promoting the regeneration of the enteric nervous system in diabetic rats. Int J Mol Med. 2022 Jul;50(1):87.

[2]. Chen M, et al. Prucalopride inhibits lung cancer cell proliferation, invasion, and migration through blocking of the PI3K/AKT/mTor signaling pathway. Hum Exp Toxicol. 2020 Feb;39(2):173-181.

[3]. 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.

[4]. 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.

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