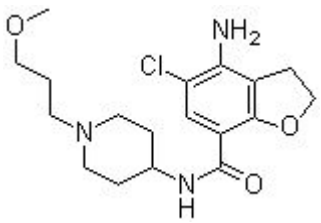
Product Introduction

Prucalopride

Prucalopride is a selective, high affinity 5-HT receptor agonist for **5-HT4A** and **5-HT4B** receptor with **Ki** of 2.5 nM and 8 nM, respectively, exhibits >290-fold selectivity against other 5-HT receptor subtypes. Phase 3.

Technical Data:

Molecular Weight (MW):	367.87	
Formula:	C18H26ClN3O3	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 60 mg/mL	
	Water <1 mg/mL	
	Ethanol 38 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	179474-81-8	

Biological Activity

Prucalopride induces contractions in a concentration-dependent manner with pEC50 of 7.5. Prucalopride (1 mM) significantly amplifies the rebound contraction of the guinea-pig proximal colon after electrical field stimulation. Prucalopride induces relaxation of the rat oesophagus preparation of rat oesophagus tunica muscularis mucosae with pEC50 of 7.8, yielding a monophasic concentration–response curve. [1]

Complete bowel movements per week is 30.9% of those receiving 2 mg of Prucalopride and 28.4% of those receiving 4 mg of Prucalopride, as compared with 12.0% in the placebo group. 47.3% of patients receiving 2 mg of Prucalopride and 46.6% of those receiving 4 mg of Prucalopride has an increase in the number of spontaneous, complete bowel movements of one or more per week, on average, as compared with 25.8% in the placebo group. Prucalopride (2 mg or 4 mg) significantly improves all other secondary efficacy end points, including patients' satisfaction with their bowel function and treatment and their perception of the severity of their constipation symptoms. [2] Prucalopride (4 mg daily) accelerates overall gastric emptying and small bowel transit in patients with constipation without a rectal evacuation disorder. Prucalopride (4 mg daily) tends to accelerate overall colonic transit with significantly faster overall colonic transit and ascending colon emptying. [3] Higher proportions of patients on prucalopride 2 mg (19.5%), 4 mg (23.6%) has three or more spontaneous complete bowel movements(SCBM)/week compared with placebo (9.6%). Prucalopride also significantly improves secondary efficacy and quality of life endpoints, including the proportion of patients with an increase of one or more SCBM/week, evacuation completeness, perceived disease severity and treatment effectiveness and quality of life. [4] Prucalopride alters colonic contractile motility patterns in a dose-dependent fashion by stimulating high-amplitude clustered contractions in the proximal colon and by inhibiting contractile activity in the distal colon of fasted dogs. Prucalopride also causes a dose-dependent decrease in the time to the first giant migrating contraction (GMC); at higher doses of prucalopride, the first GMC generally occurres within the first half-hour after treatment. [5]

References

[1] Briejer MR, et al. Eur J Pharmacol, 2001, 423(1), 71-83.
[2] Camilleri M, et al. N Engl J Med, 2008, 358(22), 2344-2354.
[3] Bouras EP, et al. Gastroenterology, 2001, 120(2), 354-360.
[4] Tack J, et al. Gut, 2009, 58(3), 357-365.
[5] Briejer MR, et al. Neurogastroenterol Motil, 2001, 13(5), 465-472.

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