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siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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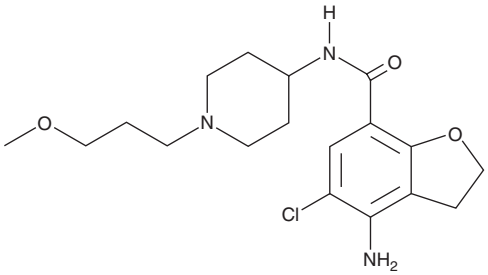
PRODUCT INFORMATION

Prucalopride

Item No. 24192



CAS Registry No.:	179474-81-8
Formal Name:	4-amino-5-chloro-2,3-dihydro-N-[1-(3-methoxypropyl)-4-piperidinyl]-7-benzofurancarboxamide
MF:	$C_{18}H_{26}ClN_3O_3$
FW:	367.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 225, 276, 300 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

Prucalopride is supplied as a crystalline solid. A stock solution may be made by dissolving the prucalopride in the solvent of choice, which should be purged with an inert gas. Prucalopride is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of prucalopride in ethanol and DMSO is approximately 10 mg/ml and approximately 20 mg/ml in DMF.

Prucalopride is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, prucalopride should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Prucalopride has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Prucalopride is a potent and selective agonist of the serotonin (5-HT) receptor subtype 5-HT_4 (K_is = 2.5 and 8 nM for human 5-HT_{4A} and 5-HT_{4B}, respectively).[1] Prucalopride is greater than 250-fold selective for 5-HT_4 over a panel of 53 overexpressed receptors, including 5-HT subtypes, but does bind to human dopamine D_4 and sigma-1 (σ_1) receptors and mouse 5-HT_3 receptors (K_is = 2.3, 3.7, and 3.8 µM, respectively). It induces contractions in guinea pig colon with an EC_{50} value of 33 nM, an effect that is blocked by the 5-HT_4 antagonist GR113808 but not the 5-HT_{2A} and 5-HT_3 antagonists ketanserin (Item No. 22058) and granisetron (Item No. 21239), respectively.[2] It also facilitates non-cholinergic contractions induced by electrical stimulation. In fasted dogs, oral administration of prucalopride increases colonic motility by inhibiting distal colon contractions (ED_{50} = 0.04 mg/kg), an effect that is blocked by pretreatment with the 5-HT_4 antagonist GR125487. Prucalopride (5-10 mg/kg, s.c.) increases acetylcholine and histamine levels in the rat prefrontal cortex by 2.4-fold and 3-fold, respectively, and increases the power of hippocampal theta oscillations.[3] Formulations containing prucalopride have been used in the treatment of chronic idiopathic constipation.

References

1. Briejer, M.R., Bosmans, J.P., Van Daele, P., *et al. Eur. J. Pharmacol.* **423(1)**, 71-83 (2001).
2. Briejer, M.R., Prins, N.H., and Schuurkes, J.A. *Neurogastroenterol. Motil.* **13(5)**, 465-472 (2001).
3. Johnson, D.E., Drummond, E., Grimwood, S., *et al. J. Pharmacol. Exp. Ther.* **341(3)**, 681-691 (2012).

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