

Product Data Sheet

Pancopride

Cat. No.: HY-19684
CAS No.: 121650-80-4
Molecular Formula: $C_{18}H_{24}ClN_3O_2$

Molecular Weight: 349.86

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

$$O$$
 NH_2
 CI

BIOLOGICAL ACTIVITY

Description	Pancopride is a new potent and selective 5-HT ₃ receptor antagonist.
IC ₅₀ & Target	5-HT ₃ Receptor
In Vitro	Pancopride is a new potent and selective 5-HT ₃ receptor antagonist, orally and parenterally effective against cytotoxic drug-induced emesis. Pancopride displayed high affinity (K _i =0.40 nM) for [³ H]GR65630-labelled 5-HT ₃ recognition sites in membranes from the cortex of rat brains ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Pancopride antagonizes 5-HT-induced bradycardia in anaesthetized rats when administered i.v. 5 min (ID $_{50}$ =0.56 µg/kg) or p.o. 60 min (ID $_{50}$ =8.7 µg/kg) before 5-HT challenge. A single oral dose (10 µg/kg) of Pancopride produced a significant inhibition of the bradycardic reflex over an 8-h period. Pancopride dose dependently inhibited the number of vomiting episodes and delayed the onset of vomiting induced by cisplatin in dogs (ID $_{50}$ =3.6 µg/kg i.v. and 7.1 µg/kg p.o.) ^[1] . Pancopride inhibits vomiting induced by cisplatin in dogs and is also effective in blocking mechloretamine- and dacarbazine-induced emesis lacking any antidopaminergic activity. Pancopride stimulates gastric emptying of glass beads in the rat (DE $_{50}$ =0.032 mg/kg p.o.). Pancopride (1 mg/kg i.p.) also reverses cisplatin induced slowing of gastric emptying in the rat ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Fernández AG, et al. Pancopride, a potent and long-acting 5-HT3 receptor antagonist, is orally effective against anticancer drug-evoked emesis. Eur J Pharmacol. 1992 Nov 10;222(2-3):257-64.

[2]. Grande L, et al. Lack of effect of a 5-HT3 antagonist, pancopride, on lower oesophageal sphincter pressure in volunteers. Br J Clin Pharmacol. 1995 Oct;40(4):401-3.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

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