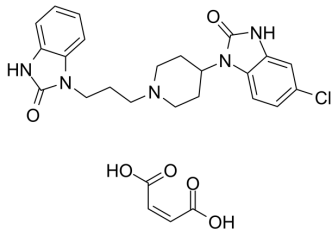
Domperidone monomaleate

Cat. No.:	HY-B0411A
CAS No.:	83898-65-1
Molecular Formula:	$C_{26}H_{28}ClN_5O_6$
Molecular Weight:	541.98
Target:	Dopamine Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
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 : 100 mg/mL (184.51 mM; Need ultrasonic)
H_2O : 1 mg/mL (1.85 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	1.8451 mL	9.2254 mL	18.4509 mL
5 mM	0.3690 mL	1.8451 mL	3.6902 mL
10 mM	0.1845 mL	0.9225 mL	1.8451 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 (4.61 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.61 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (4.61 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Domperidone (R33812) monomaleate is an orally active and selective dopamine-2 receptor antagonist. Domperidone monomaleate acts as an antiemetic and a prokinetic agent through its effects on the chemoreceptor trigger zone and motor function of the stomach and small intestine[1].

In Vitro

Cardiac Na^+ channels are common targets of therapeutics inducing cardiotoxicity[3].
Domperidone monomaleate (0-1000 μM) displays concentration- and state-dependent inhibitory of Nav1.5 in Human embryonic kidney HEK293 cells[3].
Domperidone monomaleate (0, 10, 100 μM) displays tonic and use-dependent block to Na currents in rat cardiomyocytes

	with a IC_{50} of 312 μM[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Unlike Metoclopramide, Domperidone monomaleate does not cause any adverse neurological symptoms as it has minimal penetration through the blood-brain barrier[1]. Domperidone monomaleate acts as both an antiemetic and an upper gastrointestinal tract prokinetic agent. It is rapidly absorbed after oral administration, and few side effects have been reported[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Lett. 2019 Sep 10;459:135-144.
- J Med Chem. 2021 Mar 11;64(5):2725-2738.
- Eur J Pharm Sci. 2023 May 22;106475.
- Eur J Pharm Sci. 2021, 105889.

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REFERENCES

[1]. Reddymasu SC, et al. Domperidone: review of pharmacology and clinical applications in gastroenterology. Am J Gastroenterol. 2007;102(9):2036-2045.

[2]. Champion MC, et al. Domperidone, a new dopamine antagonist. CMAJ. 1986;135(5):457-461.

[3]. Stoetzer C, et al. Cardiotoxic Antiemetics Metoclopramide and Domperidone Block Cardiac Voltage-Gated Na+ Channels. Anesth Analg. 2017 Jan. 124(1):52-60.

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