Linaprazan

Cat. No.: HY-100412

CAS No.: 248919-64-4

Molecular Formula: $C_{21}H_{26}N_4O_2$

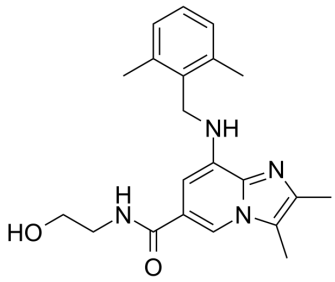
Molecular Weight: 366.46

Target: Proton Pump

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 35 mg/mL (95.51 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7288 mL	13.6441 mL	27.2881 mL
5 mM	0.5458 mL	2.7288 mL	5.4576 mL
10 mM	0.2729 mL	1.3644 mL	2.7288 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: ≥ 2.08 mg/mL (5.68 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Linaprazan (AZD0865) inhibits gastric H+,K+-ATPase by K+-competitive binding. (IC50: 1.0 ± 0.2 μM)It is a acid-suppressing agents with rapid onset of action and potent acid inhibition. In vitro: Linaprazan can inhibit the final step in acid secretion. Linaprazanreduced porcine renal Na+,K+-ATPase activity by 9 ± 2%, demonstrating a high selectivity for H+,K+-ATPase.In vivo: The reference for animal administration is 0.5-1.0 mg/kg. The greater degree of acid suppression with the 75-mg dose of Linaprazan would translate to a healing rate of 89% at 4 weeks.

REFERENCES

[1]. Gedda K et al. Mechanism of action of AZD0865, a K+-competitive inhibitor of gastric H+,K+-ATPase. Biochem Pharmacol. 2007 Jan 15;73(2):198-205.

[2]. Kahrilas PJ et al. A randomized, comparative study of three doses of AZD0865 and esomeprazole for healing of reflux esophagitis. Clin Gastroenterol Hepatol. 2007 Dec;5(12):1385-91.

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