Pumosetrag Hydrochloride

Cat. No.: HY-19650

CAS No.: 194093-42-0

Molecular Formula: $C_{15}H_{18}ClN_3O_2S$

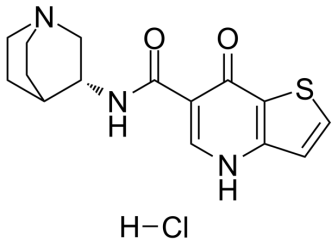
Molecular Weight: 339.84

Target: 5-HT 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 : 12 mg/mL (35.31 mM; Need ultrasonic)

H_2O : 2.94 mg/mL (8.65 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9426 mL	14.7128 mL	29.4256 mL
5 mM	0.5885 mL	2.9426 mL	5.8851 mL
10 mM	0.2943 mL	1.4713 mL	2.9426 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 4.55 mg/mL (13.39 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.2 mg/mL (3.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.2 mg/mL (3.53 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.2 mg/mL (3.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pumosetrag Hydrochloride (MKC-733; DDP-733) is an orally available 5-HT3 partial agonist developed for the treatment of irritable bowel syndrome and gastroesophageal reflux disease.

IC_{50} & Target

$5\text{-}HT_3$ Receptor

In Vivo

Pumosetrag displays both regional and species specificities. Pumosetrag has a lower efficacy than 5-HT in the rat jejunum,

ileum and distal colon; however, it has similar efficacy and potency to 5-HT in the rat proximal colon. The activity profile of Pumosetrag is different in the guinea pig intestine where it exhibits greater potency and efficacy than 5-HT in all regions. Pumosetrag shows little to no response in the regions of the mouse intestine. Responses to Pumosetrag in the rat and guinea pig tissues are inhibited by ondansetron, confirming its action on 5-HT(3) receptors[1]. Pumosetrag delays liquid gastric emptying in association with relaxation of the proximal stomach, stimulates fasting antroduodenal migrating motor complex activity and accelerates small intestinal transit[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Expert Opin Pharmacother. 2020 Jan;21(1):73-84.

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REFERENCES

[1]. Chetty N, et al. Effects of the novel 5-HT3 agonist MKC-733 on the rat, mouse and guinea pig digestive tract. Pharmacology. 2008;81(2):104-9. Epub 2007 Oct 19.

[2]. Coleman NS, et al. Effect of a novel 5-HT3 receptor agonist MKC-733 on upper gastrointestinal motility in humans. Aliment Pharmacol Ther. 2003 Nov 15;18(10):1039-48.

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