Felcisetrag

Cat. No.: HY-102057

CAS No.: 916075-84-8

Molecular Formula: $C_{25}H_{37}N_5O_3$

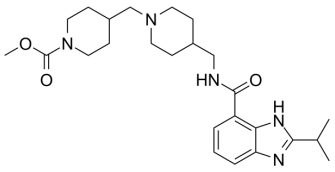
Molecular Weight: 455.59

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (109.75 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1950 mL	10.9748 mL	21.9496 mL
	5 mM	0.4390 mL	2.1950 mL	4.3899 mL
	10 mM	0.2195 mL	1.0975 mL	2.1950 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 (5.49 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Felcisetrag (TD-8954) is an orally active, potent and selective 5-HT_4 receptor agonist with gastrointestinal prokinetic properties. Felcisetrag has high affinity (pK_i =9.4) for human 5-$HT_{4(c)}$ receptors.

IC_{50} & Target

huamn 5-$HT_{4(c)}$ Receptor
9.4 (pKi)

In Vitro

Felcisetrag produces an elevation of cAMP in HEK-293 cells expressing the h5-$HT_{4(c)}$ receptor (pEC_{50} = 9.3), and contracts the

guinea pig colonic longitudinal muscle/myenteric plexus preparation (pEC_{50} = 8.6). Felcisetrag has moderate intrinsic activity in the vitro assays[1].

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

In Vivo

Felcisetrag (0.03~3 mg/kg; s.c.) increases the colonic transit of carmine red dye, reducing the time taken for its excretion[1].
Felcisetrag (0.03~10 mg/kg; intraduodenal administration) evokes a dose-dependent relaxation of the esophagus[1].
Felcisetrag (10 and 30 μg/kg; p.o) produces an increase in contractility of the antrum, duodenum, and jejunum[1].

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

Animal Model:	Guinea pigs[1]
Dosage:	0.03~3 mg/kg
Administration:	S.c.
Result:	Increased the colonic transit of carmine red dye, reducing the time taken for its excretion.

Animal Model:	Rats[1]
Dosage:	0.03~10 mg/kg
Administration:	Intraduodenal administration
Result:	Evoked a dose-dependent relaxation of the esophagus.

Animal Model:	Dogs[1]
Dosage:	10 and 30 μg/kg
Administration:	P.o
Result:	Produced an increase in contractility of the antrum, duodenum, and jejunum.

REFERENCES

[1]. Beattie DT, et al. The Pharmacology of TD-8954, a Potent and Selective 5-HT(4) Receptor Agonist with Gastrointestinal Prokinetic Properties. Front Pharmacol. 2011;2:25.

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