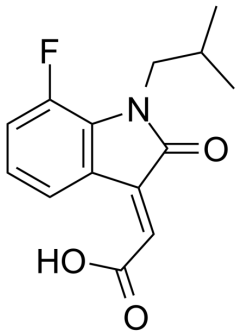
ASP7663

Cat. No.:	HY-101907
CAS No.:	1190217-35-6
Molecular Formula:	$C_{14}H_{14}FNO_3$
Molecular Weight:	263.26
Target:	TRP Channel
Pathway:	Membrane Transporter/Ion Channel; 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 (189.93 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7985 mL	18.9926 mL	37.9853 mL
	5 mM	0.7597 mL	3.7985 mL	7.5971 mL
	10 mM	0.3799 mL	1.8993 mL	3.7985 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 (7.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ASP7663 is an orally active and selective TRPA1 agonist. ASP7663 exerts both anti-constipation and anti-abdominal pain actions[1][2].

In Vitro

ASP7663 concentration dependently increases intracellular Ca^{2+} concentration in human, rat, and mouse TRPA1 expressed in HEK293 cells in a similar manner, with respective EC_{50} values (95% confidence interval [CI]) of 0.51 (0.40–0.66), 0.54 (0.41–0.72), and 0.50 (0.41–0.63) μmol/L[1].

ASP7663 concentration-dependently stimulates 5-HT release from QGP-1 cells, a lineage of TRPA1-expressing EC cells, with an EC50 value of 72.5 (52.6–99.9) μmol/L[1].

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

In Vivo

ASP7663 significantly improves the loperamide-induced delay in colonic transit in mice[1].
ASP7663 (orally, 0.3 and 1 mg/kg) significantly shortens the prolonged bead expulsion time caused by loperamide[1].
ASP7663 (orally, 1 and 3 mg/kg) exhibits inhibitory effects on colorectal distension in rat[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CRD model (colorectal distension in rat)[1].
Dosage:	1 and 3 mg/kg.
Administration:	Orally.
Result:	Significantly reduced the number of abdominal contractions evoked during CRD at pressures of 30, 45, and 60 mmHg. ASP7663 also reduced the number of abdominal contractions by intravenous treatment.

REFERENCES

[1]. Ryosuke Kojima, et al. Effects of Novel TRPA1 Receptor Agonist ASP7663 in Models of Drug-Induced Constipation and Visceral Pain. Eur J Pharmacol. 2014 Jan 15;723:288-93.

[2]. Yao Lu, et al. Transient Receptor Potential Ankyrin 1 Activation Within the Cardiac Myocyte Limits Ischemia-reperfusion Injury in Rodents. Anesthesiology. 2016 Dec;125(6):1171-1180.

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