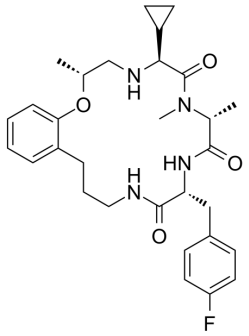
Ulimorelin

Cat. No.:	HY-14903
CAS No.:	842131-33-3
Molecular Formula:	$C_{30}H_{39}FN_4O_4$
Molecular Weight:	538.65
Target:	GHSR; Adrenergic Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Ulimorelin (TZP-101) is a ghrelin receptor (GRLN) agonist with an EC_{50} of 29 nM and a K_i of 16 nM. Ulimorelin is a prokinetic agent and causes vasorelaxation through competitive antagonist action at α1-adrenoceptors. Ulimorelin stimulates intestinal motility and is used for malnutrition[1][2][3].

In Vivo

Ulimorelin (TZP-101; 0.3-5 mg/kg; i.v.) causes a dose dependent increase in the numbers and amplitudes of phasic pressure waves recorded from the colorectum[1].

Ulimorelin (1, 3, 5 mg/kg; i.v.) causes a substantial and prolonged (~1 h) increase in colorectal propulsive activity and expulsion of colonic contents[1].

Ulimorelin (p.o.; 8 mg/kg) has a C_{max} of 0.39 μM and a AUC of 82 μM•min for rats. Ulimorelin (i.v.; 2 mg/kg) has a $T_{1/2}$ of 50 mins, a CL of 24 mL/min/kg, and a V_{ss} of 1.7 L/kg for rats[3].

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

Animal Model:	Male Sprague-Dawley rats[1]
Dosage:	0.3, 1, 3, 5 mg/kg
Administration:	i.v.
Result:	Caused a dose dependent increase in the numbers and amplitudes of phasic pressure waves recorded from the colorectum.

REFERENCES

[1]. Pustovit RV, et al. The mechanism of enhanced defecation caused by the ghrelin receptor agonist, ulimorelin. Neurogastroenterol Motil. 2014 Feb;26(2):264-71.

[2]. Broad J, et al. Analysis of the ghrelin receptor-independent vascular actions of ulimorelin. Eur J Pharmacol. 2015 Apr 5;752:34-9.

[3]. Hoveyda HR, et al. Optimization of the potency and pharmacokinetic properties of a macrocyclic ghrelin receptor agonist (Part I): Development of ulimorelin (TZP-101) from hit to clinic. J Med Chem. 2011 Dec 22;54(24):8305-20.

Caution: Product has not been fully validated for medical applications. For research use only.

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