YIL781 hydrochloride

Cat. No.: HY-13964A

CAS No.: 1640226-17-0

Molecular Formula: $C_{24}H_{29}ClFN_3O_2$

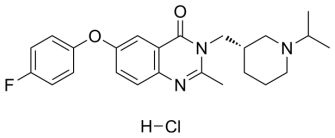
Molecular Weight: 445.96

Target: GHSR

Pathway: GPCR/G Protein

Storage: -20°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 : 250 mg/mL (560.59 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.2424 mL	11.2118 mL	22.4235 mL
	5 mM	0.4485 mL	2.2424 mL	4.4847 mL
	10 mM	0.2242 mL	1.1212 mL	2.2424 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 (4.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.66 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

YIL781 hydrochloride is a potent and orally active ghrelin receptor (GHSR) antagonist. YIL781 hydrochloride produces a greater improvement in glucose homeostasis in rats. YIL781 hydrochloride inhibits the calcium response induced by ghrelin with pIC_{50} values of 7.90 and 8.27, respectively[1][2][3][4].

In Vitro

YIL781 (10-300 nM) induces a concentration-dependent parallel rightward shift of the ghrelin CRC with a slight but statistically significant depression of the maximal response at 100 and 300 nM, reaching a similar agonist maximal response of approximately 90%[3].

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

In Vivo

YIL781 (0.1 to 5 μg/5 μl) attenuates ghrelin-induced up-regulation of the blood glucose level. Thei.t. treatment with YIL781 alone does not affect the blood glucose level (F = 0.8160; P = 0.5095)[4].

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

Animal Model:	Conscious mice[4].
Dosage:	0.1 to 5 μg/5 μl.
Administration:	Intrathecal (i.t.) injection.
Result:	Attenuated ghrelin-induced up-regulation of the blood glucose level (F = 0.7506; P = 0.5729) (YIL781 5 g + ghrelin 5 g −9.1% at 30 min; −14.9% at 60 min). The i.t. treatment with YIL781 alone did not affect the blood glucose level (F = 0.8160; P = 0.5095).

REFERENCES

[1]. William P Esler, et al. Small-molecule ghrelin receptor antagonists improve glucose tolerance, suppress appetite, and promote weight loss Endocrinology. 2007 Nov;148(11):5175-85.

[2]. Timothy H. Moran, et al. Gut Peptides: Targets for Antiobesity Drug Development? Endocrinology. 2009 Jun; 150(6): 2526–2530.

[3]. Elisabetta Perdonà, et al. Pharmacological characterization of the ghrelin receptor antagonist, GSK1614343 in rat RC-4B/C cells natively expressing GHS type 1a receptors. Eur J Pharmacol. 2011 Jan 10;650(1):178-83.

[4]. Yun-Beom Sim, et al. Ghrelin administered spinally increases the blood glucose level in mice. Peptides. 2014 Apr;54:162-5.

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