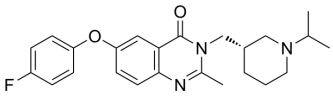
YIL781

Cat. No.:	HY-13964
CAS No.:	875258-85-8
Molecular Formula:	$C_{24}H_{28}FN_3O_2$
Molecular Weight:	409.5
Target:	GHSR
Pathway:	GPCR/G Protein

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 : 100 mg/mL (244.20 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4420 mL	12.2100 mL	24.4200 mL
5 mM	0.4884 mL	2.4420 mL	4.8840 mL
10 mM	0.2442 mL	1.2210 mL	2.4420 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 (6.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

YIL781 is a potent and orally active ghrelin receptor (GHSR) antagonist. YIL781 produces a greater improvement in glucose homeostasis in rats. YIL781 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.

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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA