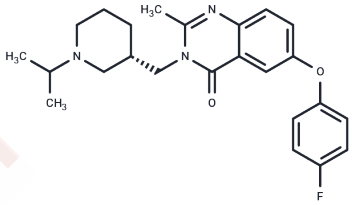
Data Sheet (Cat.No.T23543)

TargetMol

YIL 781

Chemical Properties



CAS No. : 875258-85-8

Formula: C24H28FN3O2

Molecular Weight: 409.5

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	YIL 781 is a selective ghrelin receptor antagonist (GHS-R1a) (Ki = 17 nM), showing a weak affinity for kinesin receptors (K = 6 μM). YIL 781 improves glucose homeostasis in vivo and in vitro by blocking ghrelin secretion.
Targets(IC50)	GHSR
In vitro	Post-transcriptional suppression by Ghsr siRNA transfection and treatment with GHS-R antagonist, YIL781, both significantly attenuated the effects of ghrelin in RGC-5 cells.[1]
In vivo	YIL781 (ghrelin receptor antagonist; from 0.1 to 5 μg; i.t. pretreatment) markedly attenuated the ghrelin-induced hyperglycemic effect. The plasma insulin level was increased by ghrelin. The enhanced plasma insulin level by ghrelin was reduced by i.t. pretreatment with YIL781.[2] With YIL781 pretreatment, the accumulated radioactivity in the pancreas 15-60min after [11C]3 injection was significantly decreased to 78% of control.[3]

Solubility Information

Solubility	DMSO: 150 mg/mL (366.3 mM),Sonication is recommended. H2O: 40.1 mg/mL (97.92 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.88 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.442 mL	12.210 mL	24.420 mL
5 mM	0.4884 mL	2.442 mL	4.884 mL
10 mM	0.2442 mL	1.221 mL	2.442 mL
50 mM	0.0488 mL	0.2442 mL	0.4884 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Liu S, Li B, Qin B. Ghrelin protects retinal ganglion cells against rotenone via inhibiting apoptosis, restoring mitochondrial function, and activating AKT-mTOR signaling. Neuropeptides. 2018;67:63-70.
Sim YB, al. Ghrelin administered spinally increases the blood glucose level in mice. Peptides. 2014;54:162-165.
Kawamura K, et al. Developing new PET tracers to image the growth hormone secretagogue receptor 1a (GHS-R1a). Nucl Med Biol. 2017;52:49-56.
Mende F, et al. Translating biased signaling in the ghrelin receptor system into differential in vivo functions. Proc Natl Acad Sci U S A. 2018;115(43):10255-10264.