

Product Datasheet

YIL781

Catalog No. **BP-02149** · CAS **875258-85-8** · Form **free base** · Physical state **solid** · Color **Light yellow to yellow** · Version **1.0** · Revision **2026-09-03**

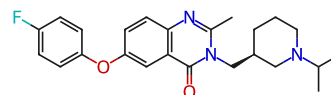
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Target GHSR	Research area Metabolic Disease
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Molecular formula **C₂₄H₂₈N₃O₂**

Molecular weight **409.4964**

STRUCTURE



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 pIC₅₀ values of 7.90 and 8.27, respectively .

BIOLOGICAL ACTIVITY

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%.

In Vivo

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

SOLUBILITY

Soluble in DMSO

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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