# MK-5046

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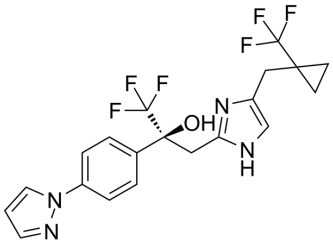
| **Cat. No.:** | HY-14342 |
| **CAS No.:** | 1022152-70-0 |
| **Molecular Formula:** | $C_{20}H_{18}F_6N_4O$ |
| **Molecular Weight:** | 444.37 |
| **Target:** | Bombesin Receptor |
| **Pathway:** | GPCR/G Protein |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 14.29 mg/mL (32.16 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.2504 mL | 11.2519 mL | 22.5038 mL |
| | 5 mM | 0.4501 mL | 2.2504 mL | 4.5008 mL |
| | 10 mM | 0.2250 mL | 1.1252 mL | 2.2504 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: ≥ 1.43 mg/mL (3.22 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.43 mg/mL (3.22 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.43 mg/mL (3.22 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

MK-5046 is a potent, selective and orally active Bombesin receptor subtype-3 (BRS-3) allosteric agonist with an $IC_{50}$ and an $EC_{50}$ value of 27 and 25 nM for hBRS-3, respectively. MK-5046 inhibits food intake and reduces body weight of diet-induced obese (DIO) mouse models. MK-5046 can be used for the research of obesity[1][2][3].

**$IC_{50}$ & Target**

IC50: 28 nM (human BRS3), 5.4 nM (mouse BRS3), 1.2 nM (rat BRS3), 6.5 nM (dog BRS3), 50 nM (rhesus BRS3)[2]

**In Vitro**

MK-5046 shows $IC_{50}$ values of 28, 5.4, 1.2, 6.5 and 50 nM for BRS3 of human, mouse, rat, dog and rhesus, respectively[2].

MK-5046 exhibits $K_i$ values of 3.4, 1.6, 0.6, 9.9 and 2.4 nM for BRS3 of human, mouse, rat, dog and rhesus, respectively[2].
MK-5046 shows $EC_{50}$ values of 14, 21, 2.2, 1.6 and 6.9 nM for BRS3 of human, mouse, rat, dog and rhesus, respectively[2].
MK-5046 inhibits diltiazem (DLZ) site of the rabbit calcium ion channel with an $IC_{50}$ value of 1.9 μM[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

MK-5046 (3, 10 and 30 mg/kg; oral administration for once) inhibits food intake, and increases resting metabolic rate (MR) and body temperature in DIO mice[1].
MK-5046 (5, 25 and 50 mg/kg; s.c. once daily for 14 days) significantly reduces body weight and food intake in DIO mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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| Animal Model: | Male C57BL/6N mice with high-fat diet for the diet-induced obese (DIO) model[1] |
| Dosage: | 3, 10 and 30 mg/kg |
| Administration: | Oral administration; 3, 10 and 30 mg/kg for once |
| Result: | Dose-dependently inhibited food intake at 2 h and overnight in DIO mice. But showed no effect on Brs3 knockout mice. |

## CUSTOMER VALIDATION

- Cell Metab. 2021 Jul 6;33(7):1389-1403.e6.

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## REFERENCES


[1]. Ramos-Alvarez I, et al. The Nonpeptide Agonist MK-5046 Functions As an Allosteric Agonist for the Bombesin Receptor Subtype-3. J Pharmacol Exp Ther. 2022 Aug;382(2):66-78.

[2]. Guan XM, et al. Antiobesity effect of MK-5046, a novel bombesin receptor subtype-3 agonist. J Pharmacol Exp Ther. 2011 Feb;336(2):356-364.

[3]. Sebhat IK, et al. Discovery of MK-5046, a Potent, Selective Bombesin Receptor Subtype-3 Agonist for the Treatment of Obesity. ACS Med Chem Lett. 2010 Oct 18;2(1):43-47.





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