# MK-6892

**Cat. No.:** HY-10680

**CAS No.:** 917910-45-3

**Molecular Formula:** $C_{19}H_{22}N_4O_5$

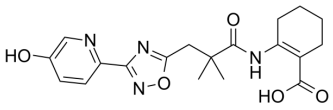
**Molecular Weight:** 386.4

**Target:** GPR109A

**Pathway:** GPCR/G Protein

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 50 mg/mL (129.40 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.5880 mL | 12.9400 mL | 25.8799 mL |
| 5 mM | 0.5176 mL | 2.5880 mL | 5.1760 mL |
| 10 mM | 0.2588 mL | 1.2940 mL | 2.5880 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 (6.47 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.47 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.47 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

MK-6892 is a potent, selective, and full agonist for the high affinity nicotinic acid (NA) receptor GPR109A. $K_i$ and GTPγS $EC_{50}$ of MK-6892 on the Human GPR109A is 4 nM and 16 nM, respectively.

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

Ki: 4 nM (GPR109A)[1]
EC50: 16 nM (GPR109A)[1]

**In Vitro**

MK-6892 evokes a potent internalization of GPR109A in U2OS β-arrestin2-RrGFP cells.MK-6892 shows an $EC_{50}$ value of 74 nM

| | |
|---|---|
| | on calcium mobilization assay[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | MK-6892 is orally administered to WT or nicotinic acid (NA) receptor null mice on the same C57Bl/6 genetic background. After 15 min of 100 mg/kg dosing of MK-6892 to fed WT or NA receptor null mice, the blood levels of MK-6892 at 15 min are 229 μM (~950-fold greater than the in vitro $EC_{50}$ determined in mouse NA receptor GTPγS assay, which is 240 nM) in WT mice and 148 μM (~620-fold greater than the in vitro $EC_{50}$) in NA receptor null mice. MK-6892 effectively suppresses plasma FFA in the WT but not in the NA receptor null animals, indicating that the FFA reduction of MK-6892 is NA receptor-dependent. MK-6892 is selected for the studies because of its good PK and activity profiles in these two species ($EC_{50}$=4.6 μM in the GTPγS assay for the rat NA receptor and 1.3 μM in the GTPγS assay for the dog NA receptor). Despite the significant weaker activity of MK-6892 in rat and dog with respect to that in human, MK-6892 shows good activity in reducing FFA in rat and dog models [1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Glia. 2018 Feb;66(2):256-278.
- Research Square Preprint. 2023 Jul 7.
- bioRxiv. 2023 Jul 3.
- bioRxiv. 2023 Mar 29.

See more customer validations on www.MedChemExpress.com

## REFERENCES

[1]. Shen HC, et al. Discovery of a biaryl cyclohexene carboxylic acid (MK-6892): a potent and selective high affinity niacin receptor full agonist with reduced flushing profiles in animals as a preclinical candidate. J Med Chem. 2010 Mar 25;53(6):2666-70.

[2]. Kim HY, et al. Discovery of 4-(phenyl)thio-1H-pyrazole derivatives as agonists of GPR109A, a high affinity niacin receptor. Arch Pharm Res. 2015 Jun;38(6):1019-32.



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