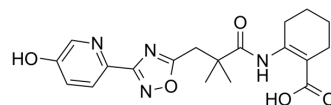


MK-6892

Cat. No.:	HY-10680		
CAS No.:	917910-45-3		
Molecular Formula:	C ₁₉ H ₂₂ N ₄ O 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	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

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

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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 EC₅₀ of MK-6892 on the Human GPR109A is 4 nM and 16 nM, respectively.

IC₅₀ & Target

K_i: 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 EC₅₀ value of 74 nM

on calcium mobilization assay^[2].

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 μ M (~950-fold greater than the in vitro EC_{50} determined in mouse NA receptor GTP γ S assay, which is 240 nM) in WT mice and 148 μ M (~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 μ M in the GTP γ S assay for the rat NA receptor and 1.3 μ M in the GTP γ S 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

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

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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