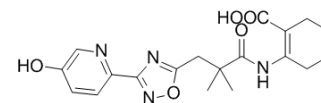


## MK-6892

<b>Cat. No.:</b>	HY-10680		
<b>CAS No.:</b>	917910-45-3		
<b>Molecular Formula:</b>	C <sub>19</sub> H <sub>22</sub> N <sub>4</sub> O <sub>5</sub>		
<b>Molecular Weight:</b>	386.4		
<b>Target:</b>	GPR109A		
<b>Pathway:</b>	GPCR/G Protein		
<b>Storage:</b>	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	Mass		
	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

- 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<sub>i</sub> and GTPγS EC<sub>50</sub> of MK-6892 on the Human GPR109A is 4 nM and 16 nM, respectively.

#### IC<sub>50</sub> & Target

K<sub>i</sub>: 4 nM (GPR109A)<sup>[1]</sup>  
EC<sub>50</sub>: 16 nM (GPR109A)<sup>[1]</sup>

#### In Vitro

MK-6892 evokes a potent internalization of GPR109A in U2OS β-arrestin2-RrGFP cells. MK-6892 shows an EC<sub>50</sub> value of 74 nM

on calcium mobilization assay<sup>[2]</sup>.

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  $\mu\text{M}$  (~950-fold greater than the in vitro  $\text{EC}_{50}$  determined in mouse NA receptor GTP $\gamma$ S assay, which is 240 nM) in WT mice and 148  $\mu\text{M}$  (~620-fold greater than the in vitro  $\text{EC}_{50}$ ) 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 ( $\text{EC}_{50}$ =4.6  $\mu\text{M}$  in the GTP $\gamma$ S assay for the rat NA receptor and 1.3  $\mu\text{M}$  in the GTP $\gamma$ 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.

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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.**

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