

# MK-6892

**Catalog No: tcsc1480**



## Available Sizes

---

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

---

**CAS No:**

917910-45-3

**Formula:**

$C_{19}H_{22}N_4O_5$

**Pathway:**

GPCR/G Protein

**Target:**

GPR109A

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Observed Molecular Weight:**

386.4

## Product 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-

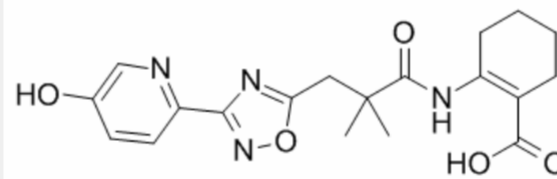
6892 on the Human GPR109A is 4 nM and 16 nM, respectively.

IC50 & Target: Ki: 4 nM (GPR109A)<sup>[1]</sup>

EC50: 16 nM (GPR109A)<sup>[1]</sup>

**In Vitro:** MK-6892 evokes a potent internalization of GPR109A in U2OS  $\beta$ -arrestin2-RrGFP cells. MK-6892 shows an EC<sub>50</sub> value of 74 nM on calcium mobilization assay<sup>[2]</sup>.

**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  $\mu$ M (~950-fold greater than the in vitro EC<sub>50</sub> determined in mouse NA receptor GTP $\gamma$ S assay, which is 240 nM) in WT mice and 148  $\mu$ M (~620-fold greater than the in vitro EC<sub>50</sub>) 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<sub>50</sub>=4.6  $\mu$ M in the GTP $\gamma$ S assay for the rat NA receptor and 1.3  $\mu$ 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<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!