Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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-

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

IC50 & 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].

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].