MK-8768

Cat. No.: HY-155088

CAS No.: 1432729-22-0

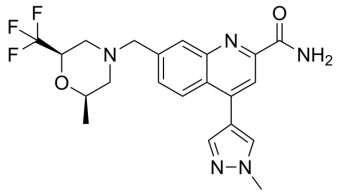
Molecular Formula: $C_{21}H_{22}F_3N_5O_2$

Molecular Weight: 433.43

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

MK-8768 is a highly potent, orally bioavailable and selective class of mGluR2 negative allosteric modulator (IC_{50} of 9 .6nM) with excellent brain permeability.

IC_{50} & Target

IC50:9.6 nM(mGluR2)[1]

In Vitro

MK-8768 (40 n M, 5 min) inhibits the viability of mGluR2 in the overexpress CHOdhfr- cells with a IC_{50} value of 0.9 nM.[1].

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

Cell Viability Assay

Cell Line:	CHOdhfr- cells expressing human mGluR2[1]
Concentration:	40 nM
Incubation Time:	5 min
Result:	Inhibits the viability of mGluR2.

In Vivo

MK-8768 has a preferred administration of intramuscular (i.m.)[1].

MK-8768 improves object retrieval following scopolamine impairment obviously which mains a good executive function and attention in the Rhesus monkey ORD model.[1].

Pharmacokinetic Analysis[1]

MK-8768 [illegible][1]

Species	Route	Dose (mg/kg)	$t_{1/2}$ (h)	Cl_{obs} (mL·min/kg)	V_{ss_obs} (mL/kg)	F (%)
Rat	i.v.	1	3.3	24	7.3	32
Dog	i.v.	0.25	3.3	24	7.3	34

Monkey	i.v.	0.5	1.7	22	3.2	/

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

Animal Model:	Rhesus monkey ORD model[2]
Dosage:	3 mg/kg
Administration:	Intramuscular (i.m.), 2 times weekly
Result:	Improved object retrieval following scopolamine impairment obviously at the concentration of 0.3 mg/ml.

REFERENCES

[1]. Michael T. Rudd, et al. Discovery of MK-8768, a Potent and Selective mGluR2 Negative Allosteric Modulator. ACS Med. Chem. Lett.. 2023□14□8.

[2]. Sean M Smith, et al. The novel phosphodiesterase 10A inhibitor THPP-1 has antipsychotic-like effects in rat and improves cognition in rat and rhesus monkey.Neuropharmacology, 2013 Jan;64:215-23.

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