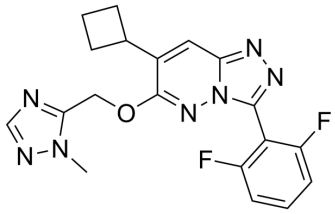
MK-0343

Cat. No.:	HY-101869
CAS No.:	233275-76-8
Molecular Formula:	$C_{19}H_{17}F_2N_7O$
Molecular Weight:	397.38
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
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 : 250 mg/mL (629.12 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5165 mL	12.5824 mL	25.1648 mL
5 mM	0.5033 mL	2.5165 mL	5.0330 mL
10 mM	0.2516 mL	1.2582 mL	2.5165 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

MK0343 (MRK-409) is an orally bioavailable $GABA_A$ receptor subtype-selective partial agonist. MK0343 is a non-sedating anxiolytic[1].

IC_{50} & Target

Ki: 0.22 nM ($rGABA_A$ α1), 0.4 nM ($rGABA_A$α2) , 0.21 nM ($rGABA_A$α3), 78 nM ($rGABA_A$α4), 0.23 nM ($rGABA_A$α5), 980 nM ($rGABA_A$α6) [1]

In Vivo

MK0343 readily penetrates the brain in rats and occupies the benzodiazepine site of GABAA receptors, measured using an in vivo [^{3}H]flumazenil binding assay, with an Occ_{50} of 2.2 mg/kg p.o. and a corresponding plasma EC_{50} of 115 ng/mL[1].

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

Animal Model:	Male Sprague-Dawley rats (approximately 250–300g) (pharmacokinetics)[1]
Dosage:	1, 2 or 3 mg/kg
Administration:	Oral administration

Result:	Readily penetrated the brain in rats and occupies the benzodiazepine site of GABAA receptors, with an Occ_{50} of 2.2 mg/kg p.o. and a corresponding plasma EC_{50} of 115 ng/mL.

REFERENCES

[1]. Atack JR, et al. MRK-409 (MK-0343), a GABAA receptor subtype-selective partial agonist, is a non-sedating anxiolytic in preclinical species but causes sedation in humans. J Psychopharmacol. 2011 Mar;25(3):314-28.

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