TAK-071

Cat. No.: HY-122190

CAS No.: 1820812-16-5

Molecular Formula: $C_{24}H_{24}FN_3O_3$

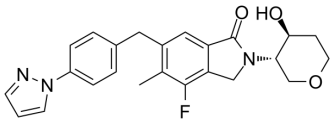
Molecular Weight: 421.46

Target: mAChR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 135 mg/mL (320.32 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3727 mL	11.8635 mL	23.7270 mL
	5 mM	0.4745 mL	2.3727 mL	4.7454 mL
	10 mM	0.2373 mL	1.1864 mL	2.3727 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.25 mg/mL (5.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.25 mg/mL (5.34 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.25 mg/mL (5.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TAK-071 is a novel, potent and highly selective muscarinic acetylcholine receptor 1 (M1R) positive allosteric modulator. EC_{50} of TAK-071 M1R agonist activities is 520 nM[1].

IC_{50} & Target

EC50: 520 nM (M1R)[1]

In Vivo

TAK-071 increase hippocampal inositol monophosphate production through M1R activation and improved DB00747-induced cognitive deficits in rats at 0.3 mg/kg[1].

TAK-071 also induce diarrhea at 10 mg/kg in rats[1].

Combining sub-effective doses of TAK-071 (3 mg/kg) with an acetylcholinesterase inhibitor significantly ameliorates DB00747-induced cognitive deficits in rats[1].

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

REFERENCES

[1]. Sako Y, et al. TAK-071, a novel M1 positive allosteric modulator with low cooperativity, improves cognitive function in rodents with few cholinergic side effects. Neuropsychopharmacology. 2018 Aug 1. doi: 10.1038/s41386-018-0168-8.

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