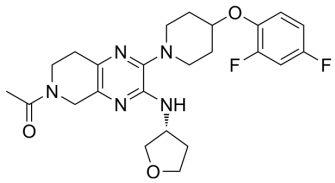
CVN424

Cat. No.:	HY-134661A
CAS No.:	2254706-21-1
Molecular Formula:	$C_{24}H_{29}F_2N_5O_3$
Molecular Weight:	473.52
Target:	GPR6
Pathway:	GPCR/G Protein

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 : 62.5 mg/mL (131.99 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1118 mL	10.5592 mL	21.1184 mL
5 mM	0.4224 mL	2.1118 mL	4.2237 mL
10 mM	0.2112 mL	1.0559 mL	2.1118 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: ≥ 2.08 mg/mL (4.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.39 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CVN424 is an orally active and selective GPR6 inverse agonist with a K_i of 9.4 nM and an EC_{50} of 38 nM. CVN424 is brain-penetrant and has the potential for Parkinson disease research[1][2].

IC_{50} & Target

Ki: 9.4 nM (GPR6)[1]
EC50: 38 nM (GPR6)[1]

In Vitro

CVN424 (Compound 6i) has 265 fold and 68 fold selectivities over GPR3 and GPR12[1].

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

REFERENCES

[1]. Huikai Sun, et al. First-Time Disclosure of CVN424, a Potent and Selective GPR6 Inverse Agonist for the Treatment of Parkinson's Disease: Discovery, Pharmacological Validation, and Identification of a Clinical Candidate. J Med Chem. 2021 Apr 16.

[2]. Nicola L Brice, et al. Development of CVN424: A Selective and Novel GPR6 Inverse Agonist Effective in Models of Parkinson Disease. J Pharmacol Exp Ther. 2021 Jun;377(3):407-416.

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