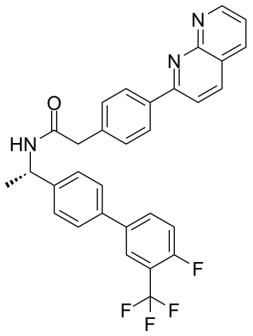
hGPR91 antagonist 1

Cat. No.:	HY-126217
CAS No.:	1314796-00-3
Molecular Formula:	$C_{31}H_{23}F_4N_3O$
Molecular Weight:	529.53
Target:	Succinate Receptor 1
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 : ≥ 125 mg/mL (236.06 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8885 mL	9.4423 mL	18.8847 mL
5 mM	0.3777 mL	1.8885 mL	3.7769 mL
10 mM	0.1888 mL	0.9442 mL	1.8885 mL

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

BIOLOGICAL ACTIVITY

Description

hGPR91 antagonist 1 (Compound 4c) is a potent and selective GPR91 antagonist with an IC_{50} of 7 nM for human GPR91[1].

IC_{50} & Target

IC50: 7 μM (HGPR91)[1]

In Vivo

HGPR91 antagonist 1 (Compound 4c) leads to 59, 76% inhibition of ΔMAP at 2, 4 hours and has shown rat plasma protein binding 99%. HGPR91 antagonist 1 has engaged the target under the in vivo condition. HGPR91 antagonist 1 has clearance (CL) of 0.2 nmol/min/mg of RLM[1].

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

Animal Model:	Wistar rats[1]
Dosage:	100 mg/kg

Administration:	I.p.; 2 and 4 hours
Result:	Led to 59 and 76% inhibition of ΔMAP at 2 and 4 hours.

REFERENCES

[1]. Bhuniya D, et al. Discovery of a potent and selective small molecule hGPR91 antagonist. Bioorg Med Chem Lett. 2011 Jun 15;21(12):3596-602.

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