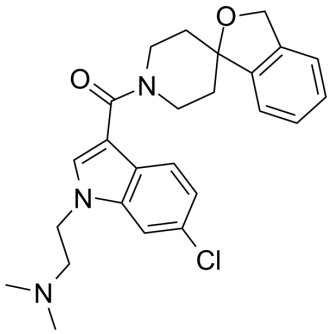
RG7713

Cat. No.:	HY-12981
CAS No.:	920022-47-5
Molecular Formula:	$C_{25}H_{28}ClN_3O_2$
Molecular Weight:	437.96
Target:	Vasopressin Receptor
Pathway:	GPCR/G Protein
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 : 20 mg/mL (45.67 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.2833 mL	11.4166 mL	22.8331 mL
5 mM	0.4567 mL	2.2833 mL	4.5666 mL
10 mM	0.2283 mL	1.1417 mL	2.2833 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 mg/mL (4.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (4.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (4.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RG7713 (RO5028442) is a highly potent and selective Brain-Penetrant Vasopressin 1a (V1a) receptor antagonist with K_is of 1 nM (hV1a) and 39 nM (mV1a).

IC_{50} & Target

Ki: 1 nM (hV1a receptor), 39 nM (mV1a receptor)[1]

In Vitro

RG7713 (RO5028442) (compound 8) has excellent binding in and functional affinity for hV1a, moderate mouse affinity, and excellent selectivity versus human V2 (hV2) and human oxytocin (hOT) receptors. RG7713 (RO5028442) shows high solubility.

RG7713 (RO5028442) is found to be highly selective against a panel of 89 targets. Finally, RG7713 (RO5028442) is identified as a suitable compound for clinical studies[1].

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

PROTOCOL

Cell Assay [1]

CHO cells are stably transfected with expression plasmids encoding human V1a and grown in F-12 K, containing 10% fetal bovine serum, 1% penicillin-streptomycin, 1% glutamate, and 200 μg/mL geneticin at 37 °C in a 10% CO_2 incubator at 95% humidity. Cells are plated for 24 h at 50,000 cells/well in clear bottomed 96 well plates and are dye loaded for 60 min with 2 μM Fluo-4-AM in assay buffer. After cell washing, the plate is loaded on a fluorometricimaging plate reader, compound dilution series added to the cells, and agonist activity measured[1].

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

CUSTOMER VALIDATION

- J Mol Med. 2021 Jan 7.
- Eberhard Karls Universität Tübingen. Medizin, Gesundheit. 2022 Oct.

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REFERENCES

[1]. Ratni H, et al. Discovery of highly selective brain-penetrant vasopressin 1a antagonists for the potential treatment of autism via a chemogenomic and scaffold hopping approach. J Med Chem. 2015 Mar 12;58(5):2275-89.

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