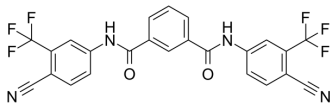
DJ-V-159

Cat. No.:	HY-114165
CAS No.:	2253744-53-3
Molecular Formula:	$C_{24}H_{12}F_6N_4O_2$
Molecular Weight:	502.37
Target:	Androgen Receptor
Pathway:	Vitamin D Related/Nuclear Receptor
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 : 25 mg/mL (49.76 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9906 mL	9.9528 mL	19.9056 mL
	5 mM	0.3981 mL	1.9906 mL	3.9811 mL
	10 mM	0.1991 mL	0.9953 mL	1.9906 mL

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

BIOLOGICAL ACTIVITY

Description

DJ-V-159 is an agonist for G protein-coupled receptor family C group 6 member A (GPRC6A).

IC_{50} & Target

GPRC6A[1].

In Vitro

DJ-V-159 activates ERK in HEK-293 transfected with GPRC6A but not in non-transfected HEK-293 cells, with potency similar to L-Arg. In addition, DJ-V-159 dose-dependently stimulates cAMP production in GPRC6A expressing HEK-293 cells, achieving a response a 0.2 nM concentrations in the media. DJ-V-159 stimulates insulin secretion in mouse beta-cell MIN-6 cells. The DJ-V-159 increased insulin stimulation index (SI) in MIN-6 cells similar to the effects of Ocn, known ligand of GPRC6A[1].

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

In Vivo

DJ-V-159 at the dose of 10 mg/kg reduces blood glucose levels in wildtype mice at 60 and 90 minutes after intraperitoneal administration of 10 mg/kg, whereas the vehicle (95% PEG + 5% DMSO) has no effect on blood glucose. DJ-V-159 reduces blood glucose levels in wild-type mice by 43.6% and 41.9% at 60 and 90 minutes, respectively, after intraperitoneal administration of 10 mg/kg. The mice tolerated this short-term exposure to DJ-V-159 without any overt side-effects. DJ-V-159, however, is almost in or on the boundary of the Lipinski's Rule of Five[1].

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PROTOCOL

Animal Administration [1]

Mice[1]

8 to 10 weeks ago wild type C57BL/6 mice are fasted for 5 hours, injected ip with ${DJ-V-159} (10 mg/kg body weight), or Metformin (300 mg/kg body weight), or vehicle (95% PEG + 5% DMSO; 10 µL/g body weight). Blood glucose levels are measured at 0, 30, 60 and 90 minutes after injection[1].

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

REFERENCES

[1]. Pi M, et al. Computationally identified novel agonists for GPRC6A. PLoS One. 2018 Apr 23;13(4):e0195980.

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