

# **Product** Data Sheet

### CCG273441

Cat. No.: HY-47573 CAS No.: 2750414-35-6 Molecular Formula:  $C_{26}H_{24}CIFN_4O_3$ 

Molecular Weight: 494.95

Target: G Protein-coupled Receptor Kinase (GRK)

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: 50 mg/mL (101.02 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.0204 mL	10.1020 mL	20.2041 mL
	5 mM	0.4041 mL	2.0204 mL	4.0408 mL
	10 mM	0.2020 mL	1.0102 mL	2.0204 mL

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

## **BIOLOGICAL ACTIVITY**

Description CCG273441 is a covalent inhibitor of G protein-coupled receptor (GPCR) kinase 5 (GRK5) with an IC<sub>50</sub> value of 3.8 nM. CCG273441 is highly selective to GRK5 over GRK2 (IC<sub>50</sub>=4.8 μM) by binding Cys474, a GRK5 subfamily-specific residue, as a

covalent handle<sup>[1]</sup>.

IC50: 3.8 nM (human GRK5), 19 nM (GRK5-C474S), 4.8 μM (bovine GRK2)<sup>[1]</sup>

Human GRKs (GRK1–GRK7) are classified into three subfamilies: GRK1 (GRK1 and GRK7), GRK2 (GRK2 and GRK3), and GRK4

(GRK4, GRK5, and GRK6)<sup>[1]</sup>.

 $CCG273441 \ (compound 9j) \ shows \ moderate \ potency \ (GRK5 \ IC_{50} = 3.8 \ nM) \ but \ 1000-fold \ selectivity \ over \ GRK2 \ (IC_{50} = 4.8 \ \mu M)^{[1]}.$ 

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

#### **REFERENCES**

In Vitro

1]. Rowlands RA, et al. Generati	ion of Highly Selective, Potent, and Covalent G Pro	tein-Coupled Receptor Kinase 5 Inhibitors. J Med Chem. 2	021 Jan 14;64(1):566-585.
	Caution: Product has not been fully validat	ed for medical applications. For research use only.	
	Tel: 609-228-6898 Fax: 609-228-59 Address: 1 Deer Park Dr, Suite (	E-mail: tech@MedChemExpress.com Q, Monmouth Junction, NJ 08852, USA	

Page 2 of 2 www.MedChemExpress.com