CCG258208 hydrochloride

Cat. No.: HY-109562A

Molecular Formula: $C_{24}H_{26}ClFN_4O_4$

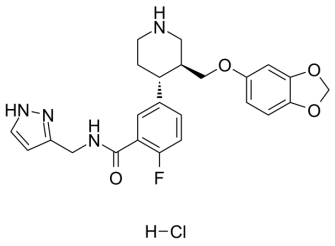
Molecular Weight: 488.94

Target: G Protein-coupled Receptor Kinase (GRK)

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (511.31 mM; Need ultrasonic)

H_2O : 50 mg/mL (102.26 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Mass (Solvent)	1 mg	5 mg	10 mg
1 mM	2.0452 mL	10.2262 mL	20.4524 mL
5 mM	0.4090 mL	2.0452 mL	4.0905 mL
10 mM	0.2045 mL	1.0226 mL	2.0452 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (102.26 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.25 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CCG258208 (GRK2-IN-1) hydrochloride is a potent and selective GRK2 (G protein-coupled receptor kinase 2) inhibitor (IC_{50} =30 nM) while maintaining 230-fold selectivity over GRK5 (IC_{50}=7.09 μM) and more than 2500-fold selectivity over GRK1 (IC_{50} =87.3 μM), PKA, and ROCK1. CCG258208 hydrochloride can be used in heart failure research[1].

IC_{50} & Target

GRK2	GRK5
30 nM (IC_{50})	7.1 μM (IC_{50})

In Vitro

CCG258208 (Compound 14as) (0-1 μM; 10 min) shows significant improvement in βAR-stimulated contractility in mouse cardiomyocytes[1].

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

Cell Viability Assay[1]

Cell Line:	Mouse cardiomyocytes
Concentration:	0, 0.1, 0.5, and 1 μM
Incubation Time:	10 min
Result:	Showed a significant increase in contractility at a concentration of only 0.1 μM.

In Vivo

CCG258208 (Compound 14as) (intraperitoneal injection; 10 mg/kg; once) treatment shows superior half-life in vivo[1].

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

Animal Model:	CD-1 mice[1]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection; 10 mg/kg; once
Result:	Showed total plasma drug levels after single IP administration that exceed the GRK2 IC_{50} for seven hours.

REFERENCES

[1]. Waldschmidt HV, et al. Structure-Based Design of Highly Selective and Potent G Protein-Coupled Receptor Kinase 2Inhibitors Based on Paroxetine. J Med Chem. 2017 Apr 13;60(7):3052-3069.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA