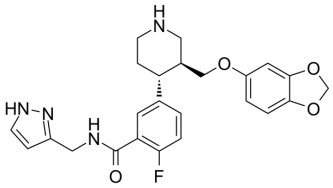
CCG258208

Cat. No.:	HY-109562
CAS No.:	2055990-90-2
Molecular Formula:	$C_{24}H_{25}FN_4O_4$
Molecular Weight:	452.48
Target:	G Protein-coupled Receptor Kinase (GRK)
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

CCG258208 (GRK2-IN-1) 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 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.

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