CCG258747

Cat. No.: HY-139690

CAS No.: 2615910-00-2

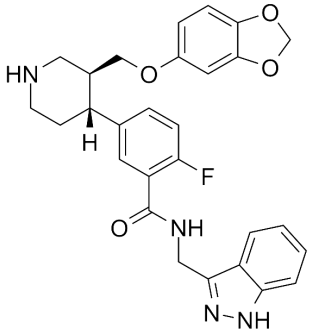
Molecular Formula: $C_{28}H_{27}FN_4O_4$

Molecular Weight: 502.54

Target: Opioid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (198.99 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9899 mL	9.9495 mL	19.8989 mL
	5 mM	0.3980 mL	1.9899 mL	3.9798 mL
	10 mM	0.1990 mL	0.9949 mL	1.9899 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: ≥ 2.5 mg/mL (4.97 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.97 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CCG258747 is a selective GRK2 inhibitor (IC_{50}=18 nM) with high selectivity over GRK1, GRK5, PKA, and ROCK1 (518, 83, >5500, and >550–fold, respectively).CCG258747 also blocks the internalization of the μ-opioid receptor. G protein-coupled receptor (GPCR) kinases (GRKs) are attractive targets for the research of heart failure[1].

REFERENCES

[1]. Renee A Bouley, et al. A New Paroxetine-Based GRK2 Inhibitor Reduces Internalization of the μ-Opioid Receptor. Mol Pharmacol. 2020 Jun;97(6):392-401.

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