

Data Sheet

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 Product Name
 :
 CG258747

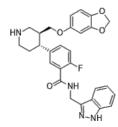
 Cat. No.
 :
 PC-23973

 CAS No.
 :
 2615910-00-2

 Molecular Formula
 :
 C₂₈H₂₇FN₄O₄

 Molecular Weight
 :
 502.55

Target : GRK (GPCRK)
Solubility : 10 mM in DMSO



Biological Activity

CCG258747 is a potent selective GRK2 inhibitor with IC50 of 18 nM, 83-fold selectivity over GRK5. CCG258747 is selective against GRK1 and PKA and shows little inhibition against ROCK1 at 10 μ M. CCG258747 demonstrated robust efficacy in blocking receptor internalization in HEK293 cells. CCG258747 reduced MOR phosphorylation of Ser375 in pSer375-MOR for both HEK293 and U2OS stable cells.

References

Bouley RA, et al. Mol Pharmacol. 2020 Jun;97(6):392-401.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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