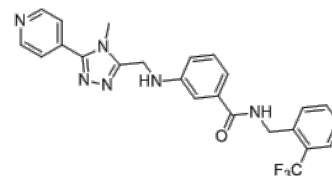


Product Name : Compound 101
Cat. No. : PC-60585
CAS No. : 865608-11-3
Molecular Formula : C₂₄H₂₁F₃N₆O
Molecular Weight : 466.468
Target : GRK (GPCRK)
Solubility : 10 mM in DMSO



Biological Activity

Compound 101 (GRK2 inhibitor 101, Takeda compound 101, Cmpd101) is a novel membrane-permeable, small-molecule inhibitor of **GRK2** and **GRK3** with IC₅₀ of 18 nM and 5.4 nM, respectively.

Compound 101 inhibits the desensitization of the G protein-activated inwardly-rectifying potassium current evoked by receptor-saturating concentrations of Met-Enk, DAMGO, endomorphin-2, and morphine in rat and mouse locus coeruleus neurons.

Compound 101 produces complete inhibition of DAMGO-induced MOPr phosphorylation at Ser(375), arrestin translocation, and MOPr internalization in HEK 293 cells.

References

Lowe JD, et al. *Mol Pharmacol*. 2015 Aug;88(2):347-56.

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

E-mail: tech@probechem.com