Inhibitors

Product Data Sheet

GRK5-IN-4

Cat. No.: HY-150022 CAS No.: 2410794-89-5 Molecular Formula: $C_{26}H_{25}N_7O_3$

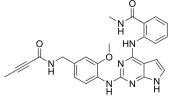
Molecular Weight: 483.52

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	GRK5-IN-4 (Compound 16d, CCG-265328) is a potent and and selective covalent GRK5 (G protein-coupled receptor kinase 5) inhibitor, with an IC $_{50}$ of 1.1 μ M. GRK5-IN-4 shows 90-fold selectivity over GRK2. GRK5-IN-4 can be used for heart failure research $^{[1]}$. GRK5-IN-4 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azidealkyne cycloaddition (CuAAc) with molecules containing Azide groups.
IC ₅₀ & Target	IC50: $1.1\pm0.4~\mu\text{M}$ (GRK5), $1.8\pm2~\mu\text{M}$ (GRK6), >100 μM (GRK2) $^{[1]}$
In Vitro	GRK5-IN-4 (Compound 16d) (0-4 h) displays a marked increase in apparent potency as a function of time $^{[1]}$. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Rowlands RA, et al. Structure-Based Design of Selective, Covalent G Protein-Coupled Receptor Kinase 5 Inhibitors. ACS Med Chem Lett. 2019 Nov 12;10(12):1628-1634.

Caution: Product has not been fully validated for medical applications. For research use only.

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