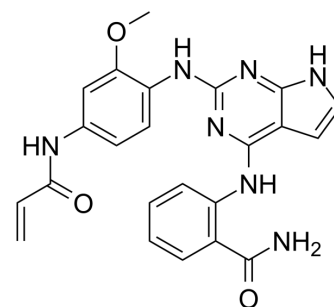


## GRK5-IN-3

<b>Cat. No.:</b>	HY-150021
<b>CAS No.:</b>	2410793-22-3
<b>Molecular Formula:</b>	C <sub>23</sub> H <sub>21</sub> N <sub>7</sub> O <sub>3</sub>
<b>Molecular Weight:</b>	443.46
<b>Target:</b>	G Protein-coupled Receptor Kinase (GRK)
<b>Pathway:</b>	GPCR/G Protein
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	GRK5-IN-3 is a covalent inhibitor of GRK5 (G Protein-Coupled Receptor Kinase 5). GRK5-IN-3 shows potent inhibitory effect to GRK5 and GRK6 with IC <sub>50</sub> s of 0.22 μM and 0.41 μM, respectively <sup>[1]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 0.22 μM (GRK5), 0.41 μM (GRK6) <sup>[1]</sup>
<b>In Vitro</b>	<p>GRK5 can be used to cancer, neurodegeneration, type 2 diabetes, heart failure and cardiovascular (CVD) research<sup>[1]</sup>.</p> <p>GRK5-IN-3 (Compound 5) (0-333 μM; 0-4 h) inhibits GRK5 in a time-dependent manner with IC<sub>50</sub>s of 59 μM (0 h), 11.3 μM (0.5 h), 6.2 μM (1 h), and 0.22 μM (4 h), respectively<sup>[1]</sup>.</p> <p>GRK5-IN-3 (0-333 μM; 0-4 h) also shows selectivity to human GRK5 over bovine GRK2 and bovine GRK1, with IC<sub>50</sub>s &gt;100 μM (GRK1/2), respectively<sup>[1]</sup>.</p> <p>GRK5-IN-3 (0-333 μM; 0-4 h) exerts inhibition against GRK5, while light activated ROS as substrate, with IC<sub>50</sub>s of &gt;100 μM (0 h), 4.2 μM (0.5 h), 3.4 μM (1 h), and 0.9 μM (4 h), respectively<sup>[1]</sup>.</p> <p>GRK5-IN-3 (0-333 μM; 4 h) shows no inhibitory effect on mutant GRK5 (GRK5-C474S, Cys474 mutated to serine), with IC<sub>50</sub> values &gt;100 μM<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

### 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.

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

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