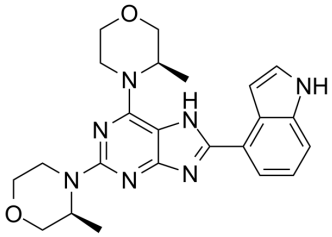
PI3K/mTOR Inhibitor-9

Cat. No.:	HY-147285
CAS No.:	1392421-71-4
Molecular Formula:	$C_{23}H_{27}N_7O_2$
Molecular Weight:	433.51
Target:	mTOR; PI3K
Pathway:	PI3K/Akt/mTOR
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PI3K/mTOR Inhibitor-9 (Compound 1) is a potent mTOR and PI3K inhibitor with IC_{50} values of 38 nM, 6.6 μM, 6.6 μM and 0.8 μM against mTOR (phospho-S6 cellular assay), PI3Kα, PI3Kγ and PI3Kδ, respectively[1].			
IC_{50} & Target	mTOR 16 nM (IC_{50}, Ser240/244 cellular assay)	mTOR 38 nM (IC_{50}, phospho-S6 cellular assay)	PI3Kδ 0.8 μM (IC_{50})	PI3Kα 6.6 μM (IC_{50})
	PI3Kγ 6.6 μM (IC_{50})	PI3Kβ >10 μM (IC_{50})		
In Vitro	PI3K/mTOR Inhibitor-9 (Compound 1) shows good selectivity over the related kinases PI3Kα, β and γ, although with lower selectivity over PI3Kδ[1]. PI3K/mTOR Inhibitor-9 inhibits CYP2D6 (IC_{50} = 1.98 μM) and shows time-dependent inhibition (TDI) of CYP3A4 (rate of enzyme inhibition, k_{obs} = 0.080 /min)[1]. PI3K/mTOR Inhibitor-9 shows good permeability with no sign of P-gp efflux (B-A/A-B: 0.95) [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

REFERENCES

[1]. Bonazzi S, et al. Discovery of a Brain-Penetrant ATP-Competitive Inhibitor of the Mechanistic Target of Rapamycin (mTOR) for CNS Disorders. J Med Chem. 2020 Feb 13;63(3):1068-1083.

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