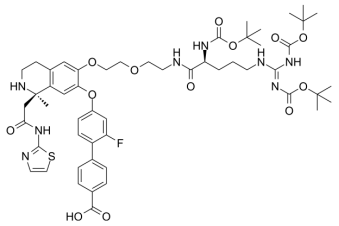
PCSK9 degrader 1

Cat. No.:	HY-130245
Molecular Formula:	$C_{53}H_{69}FN_8O_{13}S$
Molecular Weight:	1077.22
Target:	Ser/Thr Protease
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	PCSK9 degrader 1 (Compound 16) is a small molecule ligand for proprotein convertase substilisin-like/kexin type 9 (PCSK9) and shows high affinity to PCSK9 with a K_i of 107 nM. PCSK9 degrader 1 can involve in a protein-protein interaction with the low-density lipoprotein (LDL) receptor[1].
IC_{50} & Target	Ki: 107 nM (PCSK9)[1]
In Vitro	PCSK9 degrader 1 (Compound 16; 1.25-20 μM; 24 hours; HEK293 cells) treatment shows a clear concentration-dependent degradation of both the pro and mature form of PCSK9 yielding a half-maximal degradation concentration of 4.8 and 3.4 μM, as well as a maximum percentage of degradation at 20 μM of 58% and 61%, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	HEK293 cells
Concentration:	1.25 μM, 2.5 μM, 5 μM, 10 μM or 20 μM
Incubation Time:	24 hours
Result:	Showed a clear concentration-dependent degradation of both the pro and mature form of PCSK9 yielding a half-maximal degradation concentration of 4.8 and 3.4 μM, as well as a maximum percentage of degradation at 20 μM of 58% and 61%, respectively.

REFERENCES

[1]. Petrilli WL, et al. From Screening to Targeted Degradation: Strategies for the Discovery and Optimization of Small Molecule Ligands for PCSK9. Cell Chem Biol. 2019 Oct 22. pii: S2451-9456(19)30322-8.

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

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