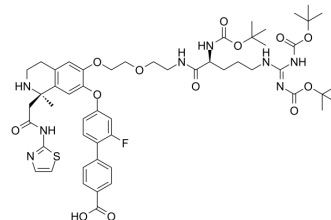


## PCSK9 degrader 1

<b>Cat. No.:</b>	HY-130245		
<b>Molecular Formula:</b>	C <sub>53</sub> H <sub>69</sub> FN <sub>8</sub> O <sub>13</sub> S		
<b>Molecular Weight:</b>	1077.22		
<b>Target:</b>	Ser/Thr Protease		
<b>Pathway:</b>	Metabolic Enzyme/Protease		
<b>Storage:</b>	Powder	-20°C	3 years
	In solvent	-80°C	6 months
		-20°C	1 month



### BIOLOGICAL ACTIVITY

<b>Description</b>	PCSK9 degrader 1 (Compound 16) is a small molecule ligand for proprotein convertase subtilisin-like/kexin type 9 (PCSK9) and shows high affinity to PCSK9 with a K <sub>i</sub> of 107 nM. PCSK9 degrader 1 can involve in a protein-protein interaction with the low-density lipoprotein (LDL) receptor <sup>[1]</sup> .								
<b>IC<sub>50</sub> &amp; Target</b>	Ki: 107 nM (PCSK9) <sup>[1]</sup>								
<b>In Vitro</b>	<p>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<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>HEK293 cells</td> </tr> <tr> <td>Concentration:</td> <td>1.25 μM, 2.5 μM, 5 μM, 10 μM or 20 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>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.</td> </tr> </table>	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.
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### 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.

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

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