

## VH 032, amine hydrochloride

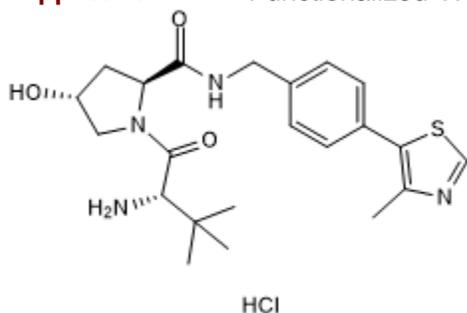
Cat. # PC-1007

### Background:

Small molecule-induced protein degradation is an attractive strategy for the development of chemical probes. Protein degraders have the power to abrogate all of the functions of a drug target at once, including scaffolding functions which are difficult to target with small molecule inhibitors. A novel class of PROTACs that incorporate small molecule VHL ligands to successfully degrade HaloTag7 fusion proteins is developed. HaloPROTACs will inspire the development of future PROTACs with more drug-like properties. In HEK 293 cells stably expressing GFP-HaloTag7, 24 hour treatment with HaloPROTAC1 leads to less than 20% degradation, the longer HaloPROTAC2 leads to nearly 70% degradation of GFP-HaloTag7 at 2.5  $\mu$ M. HaloPROTACs containing protein degrader 1 leads to nearly 70% degradation of GFP-HaloTag7, when sufficiently long linkers are used. Derivative of the von Hippel-Lindau (VHL) ligand, VH 032, commonly used as a precursor to PROTACs that hijack VHL as the E3 ubiquitin ligase component. Supplied with a primary amine functional handle at a position known not to significantly affect binding to VHL, for ready conjugation to a linker/target protein ligand.

### Application:

Functionalized VHL ligand for PROTACs



### Product Information

<b>Purity:</b>	>98%
<b>MW:</b>	467.02
<b>Formula:</b>	<b>C<sub>22</sub>H<sub>31</sub>ClN<sub>4</sub>O<sub>3</sub>S</b>
<b>CAS No.</b>	1448189-80-7
<b>Physical State:</b>	Lyophilized white powder
<b>Quantity:</b>	5 mg; 10 mg; 25 mg
<b>Solubility:</b>	40 mg/mL in DMSO
<b>Storage:</b>	Store desiccated as supplied at -20°C for up to 3 years. Store solutions at -80°C for up to 6 months or -20°C for up to 1 month.

### References

- Buckley DL** et al. HaloPROTACS: Use of Small Molecule PROTACs to Induce Degradation of HaloTag Fusion Proteins. *ACS Chem Biol.* 2015 Aug 21;10(8):1831-7.
- Zengerle et al** (2015) Selective small molecule induced degradation of the BET bromodomain protein BRD4. *ACS Chem.Biol.* **10** 1770 PMID: [26035625](https://pubmed.ncbi.nlm.nih.gov/26035625/)
- Galdeano et al** (2014) Structure-guided design and optimization of small molecules targeting the protein-protein interaction between the von Hippel-Lindau (VHL) E3 ubiquitin ligase and the hypoxia inducible factor (HIF) alpha subunit with *in vitro* nanomolar affinities. *J.Med.Chem.* **57** 8657

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