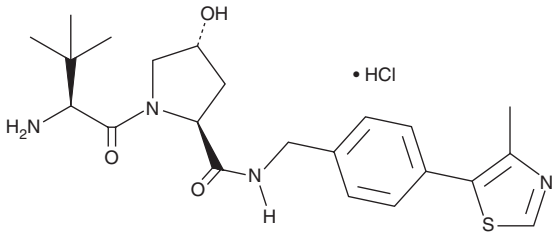
PRODUCT INFORMATION

VHL Ligand 1 (hydrochloride)

Item No. 21591

CAS Registry No.: 1448189-80-7
Formal Name: (4R)-3-methyl-L-valyl-4-hydroxy-N-[[4-(4-methyl-5-thiazolyl) phenyl]methyl]-L-prolinamide, monohydrochloride
MF: $C_{22}H_{30}N_4O_3S \bullet HCl$
FW: 467.0
Purity: ≥95%
UV/Vis.: λ_{max}: 270 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

VHL ligand 1 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the VHL ligand 1 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. VHL ligand 1 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of VHL ligand 1 (hydrochloride) in these solvents is approximately 20 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of VHL ligand 1 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of VHL ligand 1 (hydrochloride) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

VHL ligand 1 is a building block in the synthesis of proteolysis-targeting chimera technologies (PROTACs).[1] It is the von Hippel-Lindau (VHL) E3 ligase binding portion of some VHL-based PROTACs, including BET PROTAC MZ1 (Item No. 21622).[2]

Reference

1. Raina, K., Lu, J., Qian, Y., *et al*. PROTAC-induced BET protein degradation as a therapy for castration-resistant prostate cancer. *Proc. Natl. Acad. Sci. USA* **113(26)**, 7124-7129 (2016).
2. Zengerle, M., Chan, K.-H., and Ciulli, A. Selective small molecule induced degradation of the BET bromodomain protein BRD4. *ACS Chem. Biol.* **10(8),** 1770-1777 (2015).

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