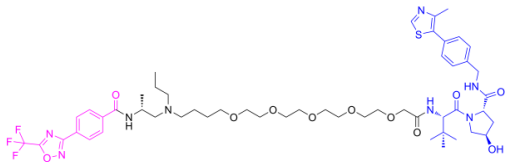
Product Data Sheet

Product Name: PROTAC HDAC4 Degrader-2
Cat. No.: GC80889

Chemical Properties

Cas. No.	3035189-46-6		
Formula	$C_{52}H_{73}F_3N_8O_{11}S$	M.Wt	1075.24
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Background

PROTAC HDAC4 Degrader-2 is a class IIa selective negative control for HDAC4 PROTAC degraders, with an IC_{50} of 0.15 μM against HDAC4 and a cellular IC_{50} of 0.18 μM. PROTAC HDAC4 Degrader-2 fails to effectively recruit the VHL E3 ligase, and thus does not induce significant degradation of HDAC4 protein [1]. (Pink: HDAC4 ligand; Blue: VHL ligand; Black: linker).

In Vitro, PROTAC HDAC4 Degrader-2 (Compound 12) inhibits recombinant HDAC4 catalytic activity with an IC_{50} of 0.15 μM[1]. PROTAC HDAC4 Degrader-2 (24 h) inhibits cellular class IIa HDAC

activity in Jurkat E6-1 cells with an IC_{50} of 0.18 μM and is selective over class I HDACs [1]. PROTAC HDAC4 Degrader-2 (0.05 nM-10 μM; 24 h) does not significantly degrade HDAC4 in Jurkat E6-1 cells, with a DC_{50} >10,000 nM and only 50% maximum degradation at 10 μM [1]. PROTAC HDAC4 Degrader-2 (0.05 nM-10 μM; 24 h) fails to induce HDAC4 degradation in Jurkat E6-1 cells across concentrations ranging from 0.05 nM to 10 μM after 24 hours of treatment[1].

References:

[1]. Macabuag N, Esmieu W, Breccia P, et al.. Developing HDAC4-Selective Protein Degraders To Investigate the Role of HDAC4 in Huntington's Disease Pathology. Journal of medicinal chemistry. 2022 Sep 22;65(18):12445-12459.