

Product Data Sheet

Product Name: PROTAC HDAC4 Degradar-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

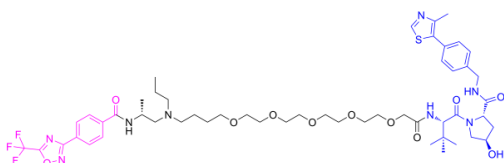
Solubility

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

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

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activity in Jurkat E6-1 cells with an IC₅₀ of 0.18 μ M and is selective over class I HDACs [1]. PROTAC HDAC4 Degradator-2 (0.05 nM-10 μ M; 24 h) does not significantly degrade HDAC4 in Jurkat E6-1 cells, with a DC₅₀ >10,000 nM and only 50% maximum degradation at 10 μ M [1]. PROTAC HDAC4 Degradator-2 (0.05 nM-10 μ M; 24 h) fails to induce HDAC4 degradation in Jurkat E6-1 cells across concentrations ranging from 0.05 nM to 10 μ M after 24 hours of treatment[1].

References:

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

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