

Product Data Sheet

Product Name: PROTAC HDAC6 degrader 7

Cat. No.: GC80922

Chemical Properties

Cas. No.

Formula C₄₉H₅₄N₈O₆

M.Wt 851

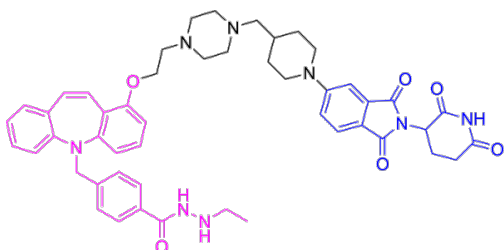
Solubility

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 HDAC6 degrader 7 is an orally active, highly efficient, and selective PROTAC degrader targeting histone deacetylase 6 (HDAC6) (IC₅₀ = 118 nM). PROTAC HDAC6 degrader 7 can eliminate both the catalytic and zinc-finger ubiquitin-binding domain. PROTAC HDAC6 degrader 7 inhibits NLRP3 inflammasome assembly and activation, as well as blocks NF-κB signaling, thereby reducing the transcription and release of key inflammatory factors. PROTAC HDAC6 degrader 7 can reduce the mRNA levels of NLRP3, pro-IL-1β, TNF-α, and IL-6. PROTAC HDAC6 degrader 7 can be

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

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used for the study of inflammatory bowel disease (IBD) [1]. (Pink: HDAC6 ligand; Blue: Cereblon ligand; Black: linker).

In Vivo, PROTAC HDAC6 degrader 7 (Compound 22f) (2-10 mg/kg, p.o., once daily for 9 days) can effectively alleviate Dextran sulfate sodium salt (MW 5000) (DSS)-induced acute colitis in mice and improve core symptoms (weight loss, diarrhea, bloody stool) and pathological damage (colon shortening, tissue inflammatory infiltration)[1].

In Vitro, PROTAC HDAC6 degrader 7 (Compound 22f) (0-2 μ M, 12 h) exhibits potent HDAC6 degradation activity in MV4-11 cells in a concentration-dependent manner (DC_{50} = 13.4 nM)[1]. PROTAC HDAC6 degrader 7 (25-200 nM, 12 h) shows only slight degradation of IKZF1 at 200 nM, but no degradation of GSPT1 in MV4-11 cells[1]. PROTAC HDAC6 degrader 7 (0-2 μ M) demonstrates high specificity toward HDAC6 (IC_{50} of 118 nM) and exhibits no appreciable inhibition against other HDAC isotypes at 10 μ M[1]. PROTAC HDAC6 degrader 7 (0.5 μ M, 0-24 h) induces HDAC6 degradation in MV4-11 cells, which was initiated within 3 hours and reached equilibrium within 12 hours, and this degradation could be rescued by CRBN ligands and proteasome inhibitors, indicating that the mechanism of action is the induction of HDAC6 degradation via the CRBN-mediated ubiquitin-proteasome pathway[1]. PROTAC HDAC6 degrader 7 (0-6 μ M, 0-24 h) degrades HDAC6 in J774A.1 cells in a time-dependent manner, with a concentration-dependent DC_{50} of 9.20 nM[1]. PROTAC HDAC6 degrader 7 (1.4-1000 nM, 8 h) significantly inhibits IL-1 β in LPS /ATP-stimulated J774A.1 cells in a concentration-dependent manner[1]. PROTAC HDAC6 degrader 7 (40-200 nM, 8 h) significantly reduces the levels of mature IL-1 β and p20 in J774A.1 cells at low concentrations, and downregulates the expression of intracellular NLRP3 and pro-IL-1 β proteins at high concentrations[1]. PROTAC HDAC6 degrader 7 (0.2-1 μ M) dose-dependently reduces the mRNA levels of NLRP3, pro-IL-1 β , TNF- α , and IL-6 in LPS-induced J774A.1 cells[1].

References:

[1]. Sun S, et al. Design, Synthesis, and Anti-inflammatory Activity Evaluation for Hydrazide-Based HDAC6 Targeted Protein Degradation. J Med Chem. 2025 Nov 27;68(22):24519-24545.

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