

Product Data Sheet

Product Name: LD-110 triTFA

Cat. No.: GC80877

Chemical Properties

Cas. No.

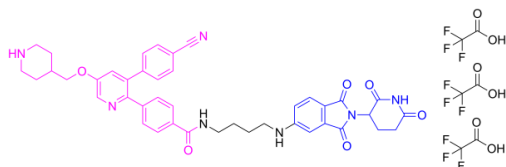
Formula $C_{48}H_{44}F_9N_7O_{12}$ M.Wt 1081.89

Solubility DMSO: 100 mg/mL (92.43 mM; Need ultrasonic) 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



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

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Background

LD-110 triTFA is a highly efficient and effective LSD1 PROTAC degrader ($DC_{50} = 0.44 \mu M$). LD-110 triTFA promotes LSD1 degradation and increases the level of H3K4 dimethylation in a ubiquitin-proteasome-dependent manner. LD-110 triTFA inhibits the growth and survival of multiple esophagus squamous cancer cell (ESCC) lines by inducing apoptosis. LD-110 triTFA can be used for the study of esophagus squamous cancer [1]. (Pink: LSD1 ligand; Blue: Cereblon ligand; Black: linker).

In Vivo, LD-110 (30 mg/kg, 100 mg/kg, i.p., once daily for 24 days) triTFA exhibits potent dose-dependent antitumor activity in the KYSE-150 xenograft mice model without causing significant toxicity[1].

In Vitro, LD-110 (1-10 μM) triTFA, with a linker containing four methylene groups, demonstrates good degradation activity, achieving degradation rates of 65, 70, and 84% against the LSD1 protein at concentrations of 1, 3, and 10 μM , respectively[1]. LD-110 (0.1-30 μM , 6-72 h) triTFA effectively and dose-dependently degrades LSD1 protein, achieving near-complete depletion within 48-72 hours with DC_{50} values of 0.44, 1.18, and 1.24 μM in KYSE-150, KYSE-30, and EC9706 ESCC cells, respectively; this degradation is highly specific with minimal effect on CoREST/HDAC1/HDAC2 levels, and consequently leads to a potent 2 to 7-fold accumulation of H3K4me2[1]. LD-110 (72 h) triTFA effectively suppresses the growth of ESCC cells with half-maximal inhibitory concentration (IC_{50}) values of 3.94, 3.35, and 3.08 μM in KYSE-150, KYSE-30, and EC9706, respectively [1]. LD-110 (3-10 μM , 10-14 days) triTFA can effectively inhibit the proliferation of ESCC KYSE-30 and EC9706 cells[1]. LD-110 (3-10 μM , 48 h) triTFA dose-dependently induces both early-stage and late-stage apoptosis and causes cleavage of PARP and caspase-3 in KYSE-30 and EC9706 cells[1].

References:

[1]. Zhuo J, et al. Discovery of LD-110 as an Effective LSD1 PROTAC Degradator for the Treatment of Esophagus Squamous Cancer. J Med Chem. 2025 Oct 23;68(20):21860-21877.

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