

Product Data Sheet

Product Name: ZX079
Cat. No.: GC80916

Chemical Properties

Cas. No. 3124583-65-6

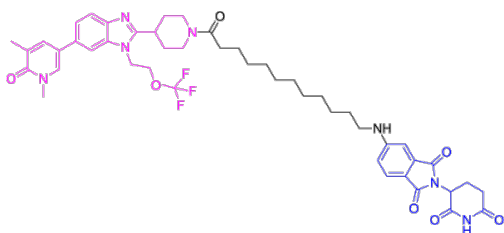
Formula $C_{47}H_{56}F_3N_7O_7$ M.Wt 887.99

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

ZX079 is a dual BRD4 and CBP PROTAC degrader with a BRD4 DC_{50} value of 0.035 nM and a CBP DC_{50} value of < 0.02 nM. ZX079 induces dose- and time-dependent degradation of BRD4 and CBP proteins through recruitment of the cereblon E3 ligase. ZX079 induces apoptosis in MV4-11 and MOLM-13 cells, reduces tumor growth in an acute myeloid leukemia xenograft model. ZX079 can be used for the research of acute myeloid leukemia [1]. (Pink: BRD4 (BD1) and BRD4 (BD2) and CBP and p300 ligand; Blue: Cereblon ligand; Black: linker).

In Vivo, ZX079 (10k) (2-10 mg/kg; intraperitoneal injection; twice weekly/weekly; 21 days) exhibits

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potent in vivo antitumor activity in an AML xenograft model, achieving 91-93% tumor growth inhibition with two distinct intraperitoneal dosing regimens, while maintaining tolerability^[1].

In Vitro, ZX079 (10k) (24 h) exhibits dose- and time-dependent degradation of BRD4 ($DC_{50} = 0.035$ nM), CBP, p300 and BRD3 ($DC_{50} < 0.02$ nM) without significant degradation of BRD2^[1]. ZX079 (0.0001-100 μ M) binds potently to BRD4 (1) ($IC_{50} = 0.9$ μ M), BRD4 (2) ($IC_{50} = 0.5$ μ M), and CBP bromodomains ($IC_{50} = 1.2$ μ M) and effectively promotes BRD4-PROTAC-CRBN and CBP-PROTAC-CRBN ternary complex assembly^[1]. ZX079 (0.02-200 nM; 96 h) exerts superior antiproliferative activity against MV4-11 cells ($IC_{50} = 0.86$ nM) and MOLM-13 cells, while it shows minimal cytotoxicity against normal HUVEC and L929 cells (tested at 0.001-10 μ M)^[1]. ZX079 (200 nM; 8 h) selectively depletes BRD4, BRD3, CBP, and p300 proteins in MV4-11 cells at the proteome-wide level. ZX079 (37-1000 nM; 48 h) induces apoptosis in MV4-11 and MOLM-13 cells in a dose-dependent manner, accompanied by increased cleavage of Caspase-3 and almost complete reduction of c-Myc protein expression at 2 nM^[1].

References:

[1]. Xiang Q, et al. Discovery of ZX079 as a Dual PROTAC Degradar Targeting BRD4/CBP in Acute Myeloid Leukemia. J Med Chem. 2026;69(4):4132-4159.

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