

Product Data Sheet

Product Name: CID-078
Cat. No.: GC78999

Chemical Properties

Cas. No. 3064485-16-8

Formula $C_{47}H_{63}ClF_6N_8O_6$

M.Wt 985.5

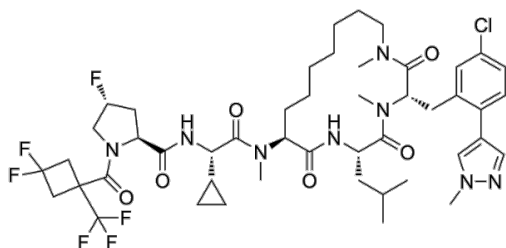
Solubility

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

CID-078 is an orally active macrocyclic cyclin A and cyclin B inhibitor. CID-078 binds cyclin hydrophobic patches, disrupting interactions of cyclin A-Cdk2 with E2F1 and cyclin B-Cdk1 with Myt1, and selectively targets RxL binding motifs to block complex-substrate interactions. CID-078 induces DNA damage, G2/M cell cycle arrest, apoptosis, mitotic catastrophe, spindle assembly checkpoint activation, and neomorphic cyclin B-CDK2 complex formation, driving synthetic lethality in E2F-driven cancer cells. CID-078 can be used for the research of small cell lung cancer, non-small cell lung cancer, triple negative breast cancer, advanced solid tumors, luminal HR + /HER 2- breast cancer, RB1-altered solid tumors, and neuroblastoma [1] [2] [3] [4].

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

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In Vivo, CID-078 (100 mg/kg; p.o.; twice daily) shows robust single-agent activity in a TNBC RB1 mutant PDX model and a Luminal HR+/HER2- post-CDK4/6 inhibitor PDX model^[3].

In Vitro, CID-078 induces antiproliferation in 46 SCLC and 104 NSCLC cell lines, with enhanced activity observed in cells with high E2F and G2M hallmark pathway scores and/or elevated cyclin B1 and separase expression^[1]. CID-078 (4-8 days) inhibits proliferation of a broad panel of solid tumor cell lines, with significantly greater potency (lower GI50 values) in RB1-altered cell lines, and heightened sensitivity correlated with high E2F targets and G2/M checkpoint pathway scores in SCLC, TNBC, and NSCLC cell lines^[3]. CID-078 binds to the hydrophobic patch/RxL binding site of cyclin-CDK complexes, inhibits E2F1-cyclin A-CDK2 and MYT1-cyclin B-CDK1 interactions, and induces replication stress, DNA damage, and mitotic catastrophe in E2F1-high tumor cells^[3]. CID-078 (72 h) inhibits growth of established neuroblastoma cell lines with GI50 values ranging from 0.001 μ M (SKNSH) to 10 μ M (SY5Y WT, GIMEN, TR14, SKNAS)^[4]. CID-078 (72 h) sensitizes CDKN2A-inactivated SH-SY5Y neuroblastoma cells, resulting in GI50 values of 55 nM (C7.3 clone) and 6 nM (C8.10 clone) compared to >10 μ M in wild-type SH-SY5Y cells^[4]. CID-078 (120 h) inhibits growth of patient-derived neuroblastoma organoid models with GI50 values ranging from 0.01 μ M to 1 μ M^[4]. CID-078 (100 nM; 24 h) induces G2/M-phase cell cycle arrest in neuroblastoma cell lines, with percentage increases in G2/M population ranging from 52% (SY5Y C7.3) to 632% (SKNSH) compared to DMSO control^[4]. CID-078 (3-200 nM; 24 h) induces spindle assembly checkpoint activation (phospho-BUBR1), DNA damage (phospho- γ H2AX), and G2/M phase marker expression (phospho-FoxM1) in neuroblastoma cell lines and hTERT-RPE1 healthy control cells^[4].

References:

- [1]. B. Levin, et al. EP.03F.03 Orally Bioavailable Cyclin A/B RxL Macrocycle has Antitumor Effect in SCLC & NSCLC Cell Line Derived (CDX) & Patient Derived Xenograft (PDX) Models. S455October 2024.
- [2]. Afshin Dowlati, et al. Abstract CT023: Early clinical activity from the phase 1 evaluation of CID-078, a novel Cyclin A/B-RxL inhibitor, in patients with advanced solid tumors. Cancer Res (2026) 86 (8_Supplement): CT023.
- [3]. Nehal J. Lakhani, et al. A Phase 1 study to evaluate the safety, pharmacokinetics, and efficacy of the first-in-class Cyclin A/B RxL inhibitor CID-078, an orally bioavailable, cell-permeable macrocycle.

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[4]. Dylan M.M. Jongerius, et al. CID-078, an orally bioavailable cyclin A/B-RxL inhibitor elicits anti-tumor activity in neuroblastoma models.

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