Apcin

Cat. No.: HY-110287

CAS No.: 300815-04-7

Molecular Formula: $C_{13}H_{14}Cl_3N_7O_4$

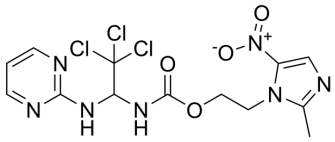
Molecular Weight: 438.65

Target: APC

Pathway: Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (284.97 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2797 mL	11.3986 mL	22.7972 mL
	5 mM	0.4559 mL	2.2797 mL	4.5594 mL
	10 mM	0.2280 mL	1.1399 mL	2.2797 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Apcin, a ligand of Cdc20, is a potent and competitive anaphase-promoting complex/cyclosome (APC/C(Cdc20)) E3 ligase activity inhibitor. Apcin competitively inhibits APC/C-dependent ubiquitylation by binding to Cdc20 and preventing substrate recognition. Apcin occupes the D-box-binding pocket on the side face of the WD40-domain and can prolong mitosis[1][2][3].

In Vitro

Apcin (25-50 μM; 48 hours) significantly increases MM apoptosis combining with proTAME (6, 12 μM)[3].
?Apcin (25 μM; 2-14 hours) induces slippage more slowly[2].

?Apcin (50-200 μM) stabilizes cycB1-NT and securin most effectively, with somewhat weaker effects against full-length cyclin B1[1].

?Apcin (1.5-200 μM; 18 hours) synergizes with proTAME (a cell-permeable TAME prodrug) to prolong mitotic duration in RPE1 cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[3]

Cell Line:	HMCLs LP-1 and RPMI-8226 cells
Concentration:	25, 50 μM
Incubation Time:	48 hours
Result:	Had minor effects on multiple myeloma (MM) cells alone and significantly increased MM apoptosis combining with proTAME (6, 12 μM).

Western Blot Analysis[2]

Cell Line:	HeLa cells with Nocodazole (100 nM)
Concentration:	25 μM
Incubation Time:	2-14 hours
Result:	Induced slippage more slowly, as indicated by Cdc27 dephosphorylation and a reduction in cyclin B1, securin and phosphoH3 levels beginning 4-6h after mitotic entry.

CUSTOMER VALIDATION

- Exp Hematol Oncol. 2023 Aug 1;12(1):67.
- Biochim Biophys Acta Mol Basis Dis. 2023 Feb 9;1869(4):166663.
- Bioengineered. 2021 Nov 9.

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REFERENCES

[1]. Katharine L Sackton, et al. Synergistic blockade of mitotic exit by two chemical inhibitors of the APC/C. Nature. 2014 Oct 30;514(7524):646-9.

[2]. Katherine V Richeson, et al. Paradoxical mitotic exit induced by a small molecule inhibitor of APC/C Cdc20. Nat Chem Biol. 2020 May;16(5):546-555.

[3]. Susanne Lub, et al. Inhibiting the anaphase promoting complex/cyclosome induces a metaphase arrest and cell death in multiple myeloma cells. Oncotarget. 2016 Jan 26;7(4):4062-76.

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