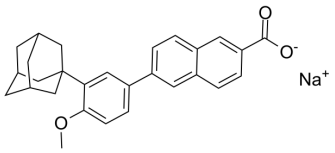
Adapalene sodium salt

Cat. No.:	HY-B0091A
CAS No.:	911110-93-5
Molecular Formula:	$C_{28}H_{27}NaO_3$
Molecular Weight:	434.5
Target:	RAR/RXR; Autophagy; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Autophagy; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Adapalene (CD271) sodium salt, a third-generation synthetic retinoid, is widely used for the research of acne. Adapalene sodium salt is a potent RAR agonist, with AC_{50}s of 2.3 nM, 9.3 nM, and 22 nM for RARβ, RARγ, RARα, respectively. Adapalene sodium salt also inhibits the enzymatic activity of GOT1 in a non-competitive manner. Adapalene sodium salt exhibits anti-tumor activity[1][2][3].

IC_{50} & Target

AC50: 2.3 nM (RARβ), 9.3 nM (RARγ), and 22 nM (RARα)[1]

In Vitro

Adapalene sodium salt (1-200 μM; 24 h) inhibits the viability of ES-2, HOV-7, MCF-7 , Hela, SW1990, HT1080, and MM-468 cells, with IC_{50}s of 10.36 μM, 10.81 μM, 12.00 μM, 19.08 μM, 19.52 μM, 21.70 μM, and 31.47 μM, respectively[2].
Adapalene sodium salt (10-40 μM; 24 h) induces ES-2 cells apoptosis and inhibits proliferation in vitro[2].
Adapalene sodium salt (3-30 μM; 6-24 h) significantly increases the G1-phase population in LoVo or DLD1 cells[3].
Adapalene sodium salt (1-200 μM) inhibits GOT1 activity, with an IC_{50} of 21.79 μM[2].
Adapalene sodium salt (10^{-6}-10^{-3} nM) inhibits the expression of plasma membrane-associated enzyme transglutaminase Type I, with an IC_{50} of 2.5 nM[1].

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

Cell Viability Assay[2]

Cell Line:	Pancreatic cancer (SW1990, Aspc-1), breast cancer (mm-231, mm-468, MCF-7), liver cancer (Hep3B), cervical cancer (Hela), ovarian cancer (HOV-7, ES-2), normal cells (CHO, L929)
Concentration:	1-200 μM
Incubation Time:	24 hours
Result:	Inhibited the viability of cancer cells with higher GOT1 protein expression.

Apoptosis Analysis[2]

Cell Line:	ES-2 cells
Concentration:	10, 20, 40 μM
Incubation Time:	24 hours
Result:	Showed a significant increase in apoptosis compared with the control group.

	Down regulated the expression of anti-apoptotic protein Bcl-2 and PARP.

Cell Cycle Analysis[3]

Cell Line:	LoVo or DLD1 cells
Concentration:	3, 10, 30 μM
Incubation Time:	6, 12, 24 hours
Result:	Caused cell cycle arrest in G1 phase in a dose- and time-dependent manner.

In Vivo

Adapalene sodium salt (15-100 mg/kg; p.o. daily for 21 days) inhibits the growth of DLD1 cell-derived xenograft tumors in BALB/C nude mice[3].

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Animal Model:	Female BALB/C nude mice (15 g, 4-5 weeks) were injected with DLD1 cells[3]
Dosage:	15, 20, 65, 100 mg/kg
Administration:	P.o. daily for 21 days
Result:	Significantly reduced tumor weight and volume.

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2021 Jan 12;118(2):e2009539118.
- Eur J Pharmacol. 2019 May 15;851:174-185.
- Fundam Clin Pharmacol. 2020 Jun;34(3):380-388.
- Katedra farmakologie a toxikologie. 2020 Jul.

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REFERENCES

[1]. Shroot B, et, al. Pharmacology and chemistry of adapalene. J Am Acad Dermatol. 1997 Jun;36(6 Pt 2):S96-103.

[2]. Wang Q, et, al. Adapalene inhibits ovarian cancer ES-2 cells growth by targeting glutamic-oxaloacetic transaminase 1. Bioorg Chem. 2019 Dec;93:103315.

[3]. Shi XN, et, al. Adapalene inhibits the activity of cyclin-dependent kinase 2 in colorectal carcinoma. Mol Med Rep. 2015 Nov;12(5):6501-8.

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