Anticancer agent 201

Cat. No.: HY-163435

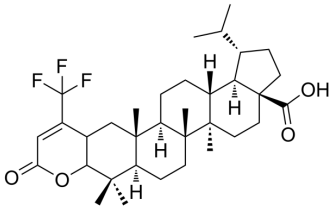
Molecular Formula: $C_{34}H_{49}F_3O_4$

Molecular Weight: 578.75

Target: Apoptosis; Caspase; PARP; Bcl-2 Family

Pathway: Apoptosis; Cell Cycle/DNA Damage; Epigenetics

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Anticancer agent 201 (Compound 2f) has IC_{50} values in the low micromolar range for multiple tumor cell lines. Anticancer agent 201 is highly cytotoxic to CCRF-CEM cells in vitro, inducing apotosis by activating caspase-3 in the intrinsic mitochondrial pathway and lysis of PARP, as well as reducing the expression of Bcl-2 and Bcl-XL proteins. Anticancer agent 201 can be used in cancer research[1].

IC_{50} & Target	Caspase-3	Bcl-2	Bcl-xL

In Vitro

Anticancer agent 201 (Compound 2f) (3.5 μM, 17.5 μM; 24h) significantly induces cytotoxic reactions, increases the number of apoptotic cells, and leads to a dose-dependent reduction of mitochondrial membrane potential in CCRF-CEM cells[1].
Anticancer agent 201 (3.5 μM, 17.5 μM; 24h) blocks or slows down the G0/G1 phase cell cycle in CCRF-CEM cells, reduces the proportion of S-phase cells, and inhibits RNA synthesis[1].

Cytotoxic activities of Anticancer agent 201 against eight tumor (including multidrug resistant variants) and two normal fibroblast cell lines[1]

Cell lines	CCRF-CEM	CEM-DNR	K562	K562-TAX	A549	HCT116	HCT116p53 -/-	U20S	BJ	MRC-5
IC_{50} (μM)	3.5	4.9	23	17	11	10	18	19	⊠50	27

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

Apoptosis Analysis[1]

Cell Line:	CCRF-CEM cancer cell line
Concentration:	3.5 μM, 17.5 μM
Incubation Time:	24h
Result:	Induced the strongest cytotoxic reaction and the number of apoptotic cells increased significantly. Resulted in a significant decrease in mitochondrial membrane potential of CCRF-CEM cells in a dose-dependent manner.

Cell Cycle Analysis[1]

Cell Line:	CCRF-CEM cancer cell line
Concentration:	3.5 μM, 17.5 μM
Incubation Time:	24h
Result:	Caused the cell cycle to be blocked or slowed down in the G0/G1 phase, while the proportion of S phase cells decreases. At 17.5 μM, the mitosis rate of the cells decreased. At 3.5 μM increased the proportion of BRDU-positive cells. At 17.5μM, the proportion of BRDU-positive cells decreased. At 17.5μM, RNA synthesis almost completely stopped.

Western Blot Analysis[1]

Cell Line:	CCRF-CEM cancer cell line
Concentration:	3.5 μM, 17.5 μM
Incubation Time:	24h
Result:	Leaded to decreased expression of both Bcl-2 and Bcl-XL. At 17.5μM, caused the activation of caspase-3 and the cleavage of PARP.

REFERENCES

[1]. Kazakova A, et al. Novel triterpenoid pyrones, phthalimides and phthalates are selectively cytotoxic in CCRF-CEM cancer cells - Synthesis, potency, and mitochondrial mechanism of action. Eur J Med Chem. 2024;269:116336.

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