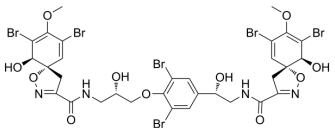
Isofistularin-3

Cat. No.:	HY-19826
Molecular Formula:	$C_{31}H_{30}Br_6N_4O_{11}$
Molecular Weight:	1114.01
Target:	DNA Methyltransferase; Autophagy; Apoptosis; ADC Cytotoxin
Pathway:	Epigenetics; Autophagy; Apoptosis; Antibody-drug Conjugate/ADC Related
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Isofistularin-3 is a direct, DNA-competitive DNMT1 inhibitor, with an IC_{50} of 13.5 μM. Isofistularin-3, as a DNA demethylating agent, induces cell cycle arrest and sensitization to TRAIL in cancer cells. Isofistularin-3 can be used as an ADC cytotoxin[1].

IC_{50} & Target

DNMT1 13.5 μM (IC_{50})	Traditional Cytotoxic Agents

In Vitro

Isofistularin-3 (1-50 μM; 24-72 hours) shows a marked reduction of cell proliferation[1].
Isofistularin-3 (5-50 μM; 24 hours) increases arrests cancer cells in G0/G1 cell cycle phase[1].
Isofistularin-3 (25 μM; 72 hours) increases AHR expression[1]. Isofistularin-3 induces AHR promoter demethylation.
Isofistularin-3 (72 hours) inhibits a broader panel of cancer cell lines proliferation, with the GI_{50}s ranging from 7.3-14.8 μM.
Isofistularin-3 induces morphological changes and autophagy in RAJI cells. Isofistularin-3 induces caspase-dependent and -independent cell death. Isofistularin-3 sensitizes lymphoma cells to TRAIL-induced apoptosis[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	RAJI and U-937 lymphoma cells
Concentration:	1, 5, 15, 25, 50 μM
Incubation Time:	24 and 72 hours
Result:	A marked reduction of cell proliferation.

Cell Cycle Analysis[1]

Cell Line:	RAJI and U-937 cells
Concentration:	5, 50 μM
Incubation Time:	24 hours
Result:	An arrest in the G0/G1 phase of the cell cycle for both cell lines.

RT-PCR[1]

Cell Line:	RAJI cells
Concentration:	25 μM
Incubation Time:	72 h
Result:	AHR mRNA expression level was 5.1 times higher.

REFERENCES

[1]. Florean C, et al. Discovery and characterization of Isofistularin-3, a marine brominated alkaloid, as a new DNA demethylating agent inducing cell cycle arrest and sensitization to TRAIL in cancer cells. Oncotarget. 2016 Apr 26;7(17):24027-49.

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