ARN5187 trihydrochloride

Cat. No.: HY-103691A

CAS No.: 1700693-96-4

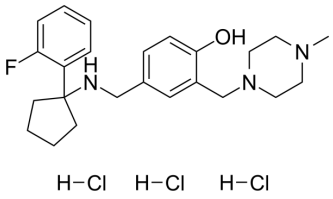
Molecular Formula: $C_{24}H_{35}Cl_3FN_3O$

Molecular Weight: 506.91

Target: Autophagy; Apoptosis

Pathway: Autophagy; Apoptosis

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



BIOLOGICAL ACTIVITY

Description

ARN5187 trihydrochloride is a lysosomotropic REV-ERBβ ligand with a dual inhibitory activity toward REV-ERB-mediated transcriptional regulation and autophagy. ARN5187 trihydrochloride shows lysosomotropic potency and cytotoxicity. ARN5187 trihydrochloride induces apoptosis[1][2].

IC_{50} & Target

REV-ERBβ[1]

In Vitro

ARN5187 trihydrochloride (compound 1) (0-100 μM; 48 h) shows cytotoxicity with EC_{50} of 23.5 μM in BT-474 cells and IC_{50} of 30.14 μM, >100 μM for BT-474 and HMEC cells, respectively[1][2].
ARN5187 trihydrochloride (0-100 μM) activates the RevRE reporter in a concentration-dependent manner in HEK-293 cells[1].
ARN5187 trihydrochloride (25, 50 μM) is a lysosomotropic-independent REV-ERB antagonistic activity[1].
ARN5187 trihydrochloride (50 μM; 24 h) shows autophagy inhibition[1].
ARN5187 trihydrochloride (50 μM; 2, 8, 24 h) effects autophagy formation and maturation[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	BT-474 cells
Concentration:	0-100 μM
Incubation Time:	48 h
Result:	Showed cytotoxicity with EC_{50} of 23.5 μM.

Western Blot Analysis[1]

Cell Line:	BT-474 cells
Concentration:	50 μM
Incubation Time:	24 h
Result:	Significantly increased the expression of α-LC3-II, α-p62, α-Cleaved PARP.

RT-PCR[1]

Cell Line:	BT-474 cells
Concentration:	25, 50 μM
Incubation Time:	
Result:	Significantly enhanced the expression of BMAL1, PER1 and PEPCK in a dose-dependent manner.

REFERENCES

[1]. De Mei C, et al. Dual inhibition of REV-ERBβ and autophagy as a novel pharmacological approach to induce cytotoxicity in cancer cells. Oncogene. 2015 May 14;34(20):2597-608.

[2]. Torrente E, et al. Synthesis and in Vitro Anticancer Activity of the First Class of Dual Inhibitors of REV-ERBβ and Autophagy. J Med Chem. 2015 Aug 13;58(15):5900-15.

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