A011

Cat. No.: HY-149291

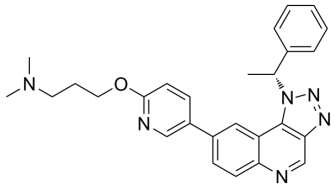
Molecular Formula: $C_{27}H_{28}N_6O$

Molecular Weight: 452.55

Target: ATM/ATR; Apoptosis

Pathway: Cell Cycle/DNA Damage; PI3K/Akt/mTOR; Apoptosis

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



BIOLOGICAL ACTIVITY

Description

A011 is a potent and selective ataxia-telangiectasia mutated (ATM) inhibitor with an IC_{50} value of 1.0 nM. A011 induces Apoptosis and cell cycle arrest at G2/M phase when combinanted with CPT-11 (HY-16562). A011 combines with CPT-11 shows antitumor activity[1].

IC_{50} & Target

ATM
1.0 nM (IC_{50})

In Vitro

A011 (compound 8d) (10, 30, 100 nM; 5 days) increases the sensitivity of SW620 and HCT116 cells to CPT-11 (HY-16562) (100 nM)[1].
A011 (0-100 nM; 24, 72 h) induces apoptosis and cell cycle arrest at G2/M phase when combinanted with CPT-11[1].
A011 (3, 9, 27, 83, 250 nM) decreases the expression of p-ATM and p-Chk2 in a dose-dependent manner under 1.5 or 3 Gy irradiation conditions in SW620 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	SW620, HCT116 cells
Concentration:	10, 30, 100 nM
Incubation Time:	5 days
Result:	Increased the sensitivity of SW620 and HCT116 cells to CPT-11 (100 nM).

Cell Cycle Analysis[1]

Cell Line:	SW620, HCT116 cells
Concentration:	0-100 nM
Incubation Time:	24 h
Result:	Dose-dependent increased in G2/M arrest was noted when A011 was combined with CPT-11 in SW620 and HCT116 cells.

Apoptosis Analysis[1]

Cell Line:	SW620, HCT116 cells
Concentration:	0-100 nM
Incubation Time:	72 h
Result:	Significantly increased the apoptotic cell populations when combined with CPT-11.

Western Blot Analysis[1]

Cell Line:	SW620, HCT116 cells
Concentration:	3, 10, 30 nM
Incubation Time:	24 h
Result:	Reduced the expression of p-Chk2 when combined with CPT-11.

In Vivo

A011 (5 mg/kg; i.p.; once daily for 23 days) combines with CPT-11 (5 mg/kg, i.p.; once a week) shows antitumor activity in mice[1].

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

Animal Model:	Female nude mice (SW620 tumor xenograft model)[1]
Dosage:	5 mg/kg; CPT-11 (5 mg/kg, i.p.; once a week)
Administration:	I.p.; once daily for 23 days
Result:	Increased the antitumor activity of CPT-11.

REFERENCES

[1]. Shiyu Zhang, et al. Discovery of [1,2,3]Triazolo[4,5-c]quinoline Derivatives as a New Class of Ataxia-Telangiectasia Mutated Kinase Inhibitors. ACS Med. Chem. Lett. 2023.

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