BTK-IN-7

Cat. No.: HY-143900

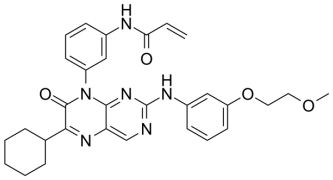
Molecular Formula: $C_{30}H_{32}N_6O_4$

Molecular Weight: 540.61

Target: Btk; Apoptosis

Pathway: Protein Tyrosine Kinase/RTK; Apoptosis

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



BIOLOGICAL ACTIVITY

Description

BTK-IN-7 is a potent and selective inhibitor of BTK (IC_{50}=4.0 nM). BTK-IN-7 has high selectivity in both enzymatic (ITK >250-fold, EGFR >2500-fold) and cellular levels(ITK >227-fold, EGFR 27-fold). BTK-IN-7 also has potent antitumor activity[1].

In Vitro

BTK-IN-7 (compound 24a; 10, 100, 1000, 10000 nM; 48 hours) displays the antiproliferative effects in U-937 cells (IC_{50}=3.6 μM) [1].BTK-IN-7 (10, 100, 1000, 10000 nM; 48 hours; U937 cells) induces cell cycle arrest at the G1 phase in a concentration-dependent manner[1].BTK-IN-7 (1-5 μM; 48 hours) induces apoptosis in U-937 cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	U937, Ramos, Pfeiffer, Jeko-1 cells
Concentration:	10, 100, 1000, 10000 nM
Incubation Time:	48 hours
Result:	Displayed antiproliferative effects in U-937 (IC_{50}=3.6 μM) cells.

Cell Cycle Analysis[1]

Cell Line:	U937 cells
Concentration:	10, 100, 1000, 10000 nM
Incubation Time:	48 hours
Result:	Cells were arrested atthe G1 phase in a concentration-dependent manner.

Apoptosis Analysis[1]

Cell Line:	U937 cells
Concentration:	1, 2.5, 5 μM
Incubation Time:	48 hours
Result:	An apoptosis rate was increased to 22.75% at a concentration of 5 μM.

In Vivo

BTK-IN-7 (25 and 50 mg/kg; intraperitoneal injection; daily for 14 days) inhibits tumor growth in a dose-dependent manner in U-937 xenograft mouse model, and 50 mg/kg dosage displays a better antitumor effect. BTK-IN-7 does not show significant parenchymal injury or inflammatory cell infiltration in organs[1].

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Animal Model:	Male BALB/c nude mice (U397 xenograft mouse model) [1]
Dosage:	25, 50 mg/kg
Administration:	Intraperitoneal injection; daily for 14 days
Result:	Inhibited tumor growth in a dose-dependent manner and did not reveal significant parenchymal injury or inflammatory cell infiltration in organs.

REFERENCES

[1]. Dou D, et al. Discovery of Pteridine-7(8H)-one Derivatives as Potent and Selective Inhibitors of Bruton's Tyrosine Kinase (BTK). J Med Chem. 2022;65(3):2694-2709.

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