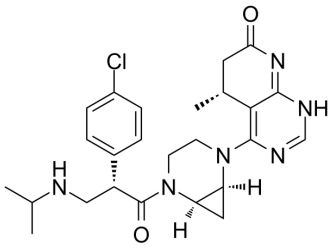
NTQ1062

Cat. No.:	HY-147935
CAS No.:	2459489-66-6
Molecular Formula:	$C_{25}H_{31}ClN_6O_2$
Molecular Weight:	483.01
Target:	Akt
Pathway:	PI3K/Akt/mTOR
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

NTQ1062 is a potent and orally active Akt inhibitor with IC_{50}s of 0.4 nM, 6.3 nM and 0.1 nM for Akt1, Akt2 and Akt3, respectively. NTQ1062 induces cell apoptosis and arrests the cell cycle at G0/G1 phase. NTQ1062 exhibits antiproliferation activity against various cancer cells. NTQ1062 exhibits potent antitumor efficacy in LNCap xenograft mouse model[1].

IC_{50} & Target

Akt1	Akt2	Akt3
0.4 nM (IC_{50})	6.3 nM (IC_{50})	0.1 nM (IC_{50})

In Vitro

NTQ1062 (compound 22b) (0-4 μM; 72 h) has antiproliferative activity against various cancer cell lines[1].
NTQ1062 (2.5 μM; 72 h) induces LNCap cells apoptosis[1].
NTQ1062 (0.2 μM; 24 h) arrest LNCap cells at G0-G1 phase[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	CAL-51, T-47D, COLO-704, TOV-21G, C-33A, and RL-95-2 cell lines
Concentration:	0-4μM
Incubation Time:	72 h
Result:	Exhibited a strong inhibitory effect on the CAL-51, T-47D, COLO-704, TOV-21G, C-33A, and RL-95-2 cell lines with IC_{50}s<300 nM.

Apoptosis Analysis[1]

Cell Line:	LNCap cells
Concentration:	2.5 μM
Incubation Time:	72 h
Result:	Caused the total number of apoptotic cells reaching 38.97%, while the control group was only 3.95%.

Cell Cycle Analysis[1]

Cell Line:	LNCap cells
Concentration:	0.2 μM
Incubation Time:	24 h
Result:	Caused 82.42% of cells in the G0-G1 phase, and decreased the populations of the S phase and G2-M phase.

In Vivo

NTQ1062 (12.5, 25, and 50 mg/kg; PO; once daily for 18-21 days) exhibits tumor inhibitory effect[1].
NTQ1062 (5 mg/kg for IV, 10 mg/kg for IG; single dosage) exhibits good pharmacokinetic characteristics[1].
Pharmacokinetic Parameters of NTQ1062 (compound 22b) in male Sprague-Dawley rats[1].

	IV (5 mg/kg)	IG (10 mg/kg)
T_{max} (h)		2
$t_{1/2}$ (ng/mL)	2.97	3.28
C_{max} (ng/mL)	1691	705
AUC_{0-t} (ng/mL·h)	1761	3877
$AUC_{0-\infty}$ (ng/mL·h)	1801	3891
V_{SS} (L/kg)	7.76	
F (%)		110

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Animal Model:	Male NCG mice (24-30 g; inoculated subcutaneously with LNCap in the right hind flank at the density of 1×10^7 cells/mL, 100 μL per mouse)[1]
Dosage:	12.5, 25, and 50 mg/kg
Administration:	PO; once daily for 18-21 days
Result:	Exhibited a dose-dependent tumor suppressive effect, with TGIs of 58.4%, 84.1%, and 95.5% at 12.5, 25, and 50 mg/kg, respectively.

REFERENCES

[1]. Ma C, et al. Discovery of Clinical Candidate NTQ1062 as a Potent and Bioavailable Akt Inhibitor for the Treatment of Human Tumors. J Med Chem. 2022 Jun 23;65(12):8144-8168.

Caution: Product has not been fully validated for medical applications. For research use only.

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