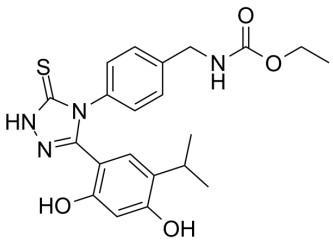
BX-2819

Cat. No.:	HY-157085
CAS No.:	1184181-50-7
Molecular Formula:	$C_{21}H_{24}N_4O_4S$
Molecular Weight:	428.5
Target:	HSP
Pathway:	Cell Cycle/DNA Damage; Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

BX-2819 is a Hsp90 inhibitor with an IC_{50} value of 41 nM. BX-2819 inhibits the proliferation of cancer cells. BX-2819 can significantly inhibit the growth of NCI-N87 and HT-29 tumors in nude mice[1].

IC_{50} & Target

HSP90	HSP70
41 nM (IC_{50})	

In Vitro

BX-2819 (0.001-10 μM, 16 h) can inhibit the expression of ErbB2 protein and significantly enhances the expression of Hsp70 in HT-29, OVCAR3 and SKBR3[1].

BX-2819 (0.001-10 μM, 72 h) can inhibit cell proliferation in SKBR3 (IC_{50}=14 nM), SKOV3 (IC_{50} = 36 nM), 50 MKN45 (IC_{50}=72 nM), NCI-N87 (IC_{50}= 7 nM) tumor cancer cells[1].

BX-2819 (100 μM) has no significant cytotoxic effects on cells in HepG2 and RPTEC cells[1] .

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

Western Blot Analysis[1]

Cell Line:	NCI-N87, MKN-45
Concentration:	0.001 μM, 0.01 μM, 0.1 μM, 1 μM, 10 μM
Incubation Time:	16 h
Result:	Significantly inhibited the expression of ErbB2, Raf1 and c-Met proteins.

In Vivo

BX-2819 (100 mg/kg, intraperitoneal injection (i.p.), twice a week) significantly inhibits ErbB2 protein expression in NCI-N87 and SKOV3 tumor mice, and significantly reduces tumor growth and final tumor load in HT-29 and NCI-N87 tumor mice[1].

BX-2819 (2 mk/kg, intravenous injection (i.v.), single dose) shows relatively short serum half-life ($t_{1/2}$=0.8 h), high clearance rate (CL=159 mL/min/kg), and large distribution volume (Vss=4.5 L) in rats[1].

Pharmacokinetic parameters of BX-2819 in rats (n = 4) [1]

Dose（mg/kg）	Route	$T_{1/2}$(h)	AUC_{inf}(μg h/mL)	CL (mL/min)	$V_{ss(L/kg)}$
2	iv	0.8	0.23	159	4.5

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Animal Model:	SKOV3, HT-29 and NCI-N87 xenograft models in nude mice[1]
Dosage:	100 mg/kg
Administration:	Intraperitoneal injection (i.p.), twice a week
Result:	Significantly inhibited ErbB2 expression, effectively inhibited Hsp90 for a long time, and enhanced Hsp70 expression. Could enter the plasma after intraperitoneal injection. Significantly decreased in tumor growth and final tumor burden.

REFERENCES

[1]. Feldman RI, et al. Potent triazolothione inhibitor of heat-shock protein-90. Chem Biol Drug Des. 2009 Jul;74(1):43-50.

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