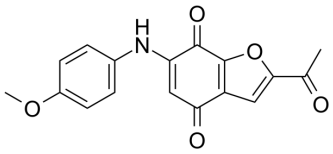
STAT3-IN-10

Cat. No.:	HY-146728
CAS No.:	2499491-04-0
Molecular Formula:	$C_{17}H_{13}NO_5$
Molecular Weight:	311.29
Target:	STAT; Apoptosis
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	STAT3-IN-10 is a STAT3 inhibitor with an IC_{50} value of 5.18 μM. STAT3-IN-10 directly binds to STAT3 SH2 domain, induces cancer cells apoptosis[1].
IC_{50} & Target	STAT3 5.18 μM (IC_{50})
In Vitro	STAT3-IN-10 (A11) (48 h) shows IC_{50} values of 0.67, 0.77, 1.24 μM against MDA-MB-231, MDA-MB-468, HepG2 cells, respectively[1]. STAT3-IN-10 (A11) directly binds to the STAT3 SH2 domain [1]. STAT3-IN-10 (A11) (0-3 μM, 24 h) inhibits the phosphorylation of STAT3 and its downstream target proteins and has a good selectivity against the tumor suppressor STAT1 [1]. STAT3-IN-10 (A11) (0-4 μM, 24 h) induces apoptosis in cancer cells[1]. STAT3-IN-10 (A11) (0-4 μM, 24 h) dose-dependently causes a significant S phase arrest in MDA-MB-231 cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Breast cancer cell lines: MDA-MB-231 and MDA-MB-468; human liver carcinoma cell line: HepG2.
Concentration:	
Incubation Time:	48 h, re-incubated for 4 h (MDA-MB-468, MDA-MB-231) and 1h (HepG2).
Result:	Showed IC_{50} values of 0.67, 0.77, 1.24 μM against MDA-MB-231, MDA-MB-468, HepG2 cells, respectively.

Western Blot Analysis[1]

Cell Line:	MDA-MB-231.
Concentration:	0, 0.75, 1.5 and 3.0 μM.
Incubation Time:	24 h.
Result:	Decreased the STAT3-Y705 phosphorylation without affecting the total amount of STAT3

	protein and decreased the expression of STAT3 target genes, including C-Myc and Cyclin D1 in a dose-dependent manner. Had little impact on the level of STAT1 and its phosphorylation on Tyr701.

Apoptosis Analysis[1]

Cell Line:	MDA-MB-231.
Concentration:	0, 1, 2, and 4 μM.
Incubation Time:	24 h.
Result:	Induced the apoptosis in MDA-MB-231 cells in a concentration-dependent manner

Cell Cycle Analysis[1]

Cell Line:	MDA-MB-231.
Concentration:	0, 1, 2, and 4 μM.
Incubation Time:	24 h.
Result:	Could dose-dependently cause a significant S phase arrest in MDA-MB-231 cells

In Vivo

STAT3-IN-10 (A11) (i.p.; 5, 10 mg/kg; once a day, 21 days) inhibits the growth of human xenograft tumor in vivo[1].

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Animal Model:	Five weeks old female BALB/c nude mice (16–18g) bearing inoculation of human breast cancer cells MDA-MB-231[1].
Dosage:	5 and 10 mg/kg
Administration:	IP, once a day, 21 days
Result:	Inhibited the growth of human xenograft tumor in vivo without apparent body-weight loss for treated mice and inhibited the levels of p-STAT3 in tumor tissues.

REFERENCES

[1]. Kai-Rui Feng, et al. Design, synthesis and biological evaluation of novel potent STAT3 inhibitors based on BBI608 for cancer therapy. Eur J Med Chem. 2020 Sep 1;201:112428.

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