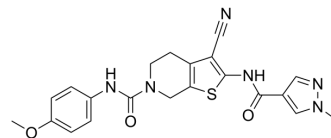


## STAT3-IN-13

Cat. No.:	HY-150603		
CAS No.:	2248552-86-3		
Molecular Formula:	C <sub>21</sub> H <sub>20</sub> N <sub>6</sub> O <sub>3</sub> S		
Molecular Weight:	436.49		
Target:	STAT; Apoptosis; Bcl-2 Family		
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt; Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

In Vitro	DMSO : 125 mg/mL (286.38 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.2910 mL	11.4550 mL	22.9100 mL
		5 mM	0.4582 mL	2.2910 mL	4.5820 mL
10 mM		0.2291 mL	1.1455 mL	2.2910 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: 6.25 mg/mL (14.32 mM); Clear solution; Need ultrasonic				
	2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: 6.25 mg/mL (14.32 mM); Clear solution; Need ultrasonic				

### BIOLOGICAL ACTIVITY

Description	STAT3-IN-13 (compound 6f) is a potent STAT3 inhibitor. STAT3-IN-13 has anti-proliferative effects and binds to the STAT3 SH2 domain with a K <sub>D</sub> of 0.46 μM. STAT3-IN-13 inhibits the phosphorylation of STAT3 Y705 and downstream target gene expression. STAT3-IN-13 induces apoptosis in vitro and suppresses the growth and metastasis of tumor in vivo. STAT3-IN-13 can be used for cancer research <sup>[1]</sup> .
In Vitro	STAT3-IN-13 (compound 6f) (48 hours) has anti-proliferative activity with IC <sub>50</sub> values of 0.25, 0.11 and 0.55 μM for 143B, HOS and MG63 cells, respectively <sup>[1]</sup> . STAT3-IN-13 (compound 6f) (0.001-100 μM) binds to STAT3 and interacts with STAT <sup>3586-685</sup> in a concentration dependent manner with a K <sub>D</sub> of 0.96 μM <sup>[1]</sup> . STAT3-IN-13 (compound 6f) (0-1.0 μM; 24 hours; 143B and HOS cells) inhibits STAT3 Y705 phosphorylation and suppresses

STAT3 in tumor cells<sup>[1]</sup>.

STAT3-IN-13 (compound 6f) (0-1.0  $\mu$ M; 48 hours; 143B cells) induces apoptosis in a dose-dependent manner<sup>[1]</sup>.

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

#### Apoptosis Analysis<sup>[1]</sup>

Cell Line:	143B cells
Concentration:	0, 0.2, 0.5, and 1 $\mu$ M
Incubation Time:	48 hours
Result:	Increased the percentage of apoptosis rates of 3.4%, 8.7%, 10.7%, and 23.3% at 0, 0.2, 0.5 and 1 $\mu$ M, respectively.

#### Western Blot Analysis<sup>[1]</sup>

Cell Line:	143B and HOS cells
Concentration:	0, 0.2, 0.5 and 1.0 $\mu$ M
Incubation Time:	24 hours
Result:	Inhibited STAT3 Y705 phosphorylation and downstream target gene expression including Bcl-2 and VEGF, retained good antitumor activities against control tumor cells without STAT3 knockdown.

#### In Vivo

STAT3-IN-13 (compound 6f) (10-20 mg/kg; i.p.; twice daily, for 4 weeks; nude mice) blocks osteosarcoma growth and metastasis in vivo<sup>[1]</sup>.

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Animal Model:	Nude mice
Dosage:	10 and 20 mg/kg
Administration:	Intraperitoneal injection; twice daily, for 4 weeks
Result:	Suppressed tumor weight at a dose of 10 mg/kg.

## REFERENCES

[1]. Jin W, et, al. Discovery of 2-Amino-3-cyanothiophene Derivatives as Potent STAT3 Inhibitors for the Treatment of Osteosarcoma Growth and Metastasis. J Med Chem. 2022 May 12;65(9):6710-6728.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA