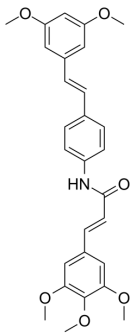
STAT3-IN-1



Cat. No.:	HY-100753
CAS No.:	2059952-75-7
Molecular Formula:	$C_{28}H_{29}NO_6$
Molecular Weight:	475.53
Target:	STAT; Apoptosis
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (262.86 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1029 mL	10.5146 mL	21.0292 mL
	5 mM	0.4206 mL	2.1029 mL	4.2058 mL
	10 mM	0.2103 mL	1.0515 mL	2.1029 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 (13.14 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

STAT3-IN-1 (compound 7d) is an excellent, selective and orally active STAT3 inhibitor, with IC_{50} values of 1.82 μM and 2.14 μM in HT29 and MDA-MB 231 cells, respectively. STAT3-IN-1 (compound 7d) induces tumor cells apoptosis[1].

IC_{50} & Target		
	Stat-3 1.82 μM (IC_{50}, in HT29 cells)	Stat-3 2.14 μM (IC_{50}, in MDA-MB 231 cells)

In Vitro

STAT3-IN-1 (compound 7d: 0-10 μM, 48 h) inhibits the STAT3 acetylation at lysine 685 and affected its specific genes expressions[1].

STAT3-IN-1 (compound 7d: 0-10 μM, 48 h) induces tumor cells apoptosis in MDA-MB-231 cells[1].

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

Apoptosis Analysis[1]

Cell Line:	MDA-MB-231 cell lines.
Concentration:	0-10 μM.
Incubation Time:	48 hours.
Result:	The induced apoptosis rates (early and late apoptosis) at 1, 2, 5, 8 and 10 μM were 9.0%, 11.2%, 20.9%, 43.3% and 85.2% versus control 3.0%.

Western Blot Analysis[1]

Cell Line:	MDA-MB-231 and HT-29 cell lines.
Concentration:	0-10 μM.
Incubation Time:	48 hours.
Result:	Inhibited STAT3 acetylation and STAT3 tyrosine phosphorylation in MDA-MB-231 cells. Increased the expressions of these tumor-suppressor genes (PTPN6 (SHP-1), CDKN2A and DLEC1) which were related to STAT3 acetylation at Lys685.

In Vivo

STAT3-IN-1 (compound 7d: 10, 20 mg/kg, two weeks) arrests tumor growth with low toxicity in mouse-xenograft model[1].

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Animal Model:	Mouse-xenograft model bearing inoculation of mice breast cancer 4T1 cells[1].
Dosage:	10, 20 mg/kg.
Administration:	Oral administration once every other day for two weeks.
Result:	Arrested tumor growth with no obvious body weight loss.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 2023 Mar 31.
- Redox Biol. 3 September 2022, 102461.
- Cell Biol Toxicol. 2023 Mar 31.
- Biochem Pharmacol. 2020 Oct;180:114126.
- Int Immunopharmacol. 2023, 114: 109561.

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REFERENCES

[1]. Li S, et al. Discovery of oral-available resveratrol-caffeic acid based hybrids inhibiting acetylated and phosphorylated STAT3 protein. Eur J Med Chem. 2016 Nov 29;124:1006-1018.

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

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