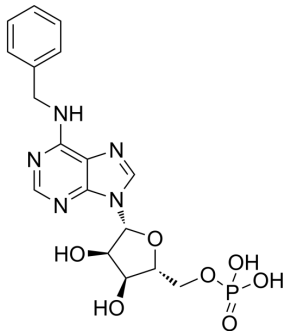
IST5-002

Cat. No.:	HY-19527
CAS No.:	13484-66-7
Molecular Formula:	$C_{17}H_{20}N_5O_7P$
Molecular Weight:	437.34
Target:	STAT; Apoptosis
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt; Apoptosis
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (285.82 mM; Need ultrasonic)

H_2O : 125 mg/mL (285.82 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2866 mL	11.4328 mL	22.8655 mL
5 mM	0.4573 mL	2.2866 mL	4.5731 mL
10 mM	0.2287 mL	1.1433 mL	2.2866 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

IST5-002, a potent Stat5a/b inhibitor, selectively inhibits transcriptional activity of Stat5a/b (IC_{50}s: 1.5 μM for Stat5a, 3.5 μM for Stat5b). IST5-002 inducs cell apoptotic and death of prostate cancer cells and chronic myeloid leukemia (CML) cells. IST5-002 can be used in the research of prostate cancer and chronic myeloid leukemia (CML)[1].

IC_{50} & Target

STAT5a	STAT5b
1.5 μM (IC_{50})	3.5 μM (IC_{50})

In Vitro

IST5-002 (1.5-25 μM, 2 h) inhibits transcriptional activity of Stat5a and Stat5b in a dose-dependent manner[1].
IST5-002 (0-40 μM, 3 h) inhibits Bcr-Abl-induced Stat5a/b phosphorylation in K562 cells[1].
IST5-002 (5-100 μM, 2 h) inhibits Stat5a/b phosphorylation in T47D cells, and inhibits dimerization in PC-3 cells[1].
IST5-002 (5-100 μM, 2 h) suppresses Stat5 nuclear translocation in PC-3 cells, and inhibits DNA binding of Stat5 target genes and COS-7 cells[1].
IST5-002 (2-50 μM, 48 h) reduces expression of Stat5a/b target genes (Bcl-xL and cyclin D1) in CWR22Rv1 and LNCaP cells[1].
IST5-002 (3.1-50 μM, 72 h) inhibits cell growth through induction of apoptosis in human prostate cancer cells[1].
IST5-002 (25-100 μM, 7 days) induces epithelial cell death in patient-derived prostate cancers ex vivo in organ explant

cultures[1].
IST5-002 (5 μM, 24-72h) inhibits Stat5a/b phosphorylation and induces apoptosis of Imatinib (HY-15463)-sensitive and -resistant CML cells[1].

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

Cell Viability Assay[1]

Cell Line:	CWR22Rv1, LNCaP, and DU145 cells
Concentration:	3.1, 6.3, 12.5, 25, 50 μM
Incubation Time:	72 h
Result:	Decreased viable cells by 50% to 80% at 12.5 μM.

Cell Cycle Analysis[1]

Cell Line:	LNCaP and CWR22Rv1 cells
Concentration:	6, 12, 25 μM
Incubation Time:	72 h
Result:	Increased the fraction of dead cells (sub-G1) and decreased the fraction of living cells (G2–M).

Western Blot Analysis[1]

Cell Line:	Bcr-Abl–positive K562 cells
Concentration:	0, 1, 5, 10, 20, 40 μM
Incubation Time:	3 h
Result:	Inhibited Bcr-Abl-induced Stat5a/b phosphorylation at 5 μM, without affecting Bcr-Abl tyrosine phosphorylation levels.

Immunofluorescence[1]

Cell Line:	PC-3 cells
Concentration:	5, 10, 15. 20, 40 μM
Incubation Time:	2 h
Result:	Inhibited Prl (Prolactin)-induced nuclear translocation of Stat5.

In Vivo

RORγt inverse agonist 29 (intraperitoneal injection, 25-100 mg/kg, daily for 10 days) inhibits tumor growth in prostate cancer xenograft model[1].

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

Animal Model:	Prostate cancer (CWR22Rv1) xenograft model[1]
Dosage:	25, 50, and 100 mg/kg
Administration:	Intraperitoneal injection, daily for 10 days
Result:	Induced massive loss of viable tumor cells and dead rounded cells accumulation.

	Induced cell death through apoptosis (shown by fragmented DNA in tumor sections). Decreased nuclear Stat5a/b content by 60%, 78%, and 90% at 25, 50, and 100 mg/kg, respectively.

REFERENCES

[1]. Zhiyong Liao, et al. Structure-Based Screen Identifies a Potent Small Molecule Inhibitor of Stat5a/b with Therapeutic Potential for Prostate Cancer and Chronic Myeloid Leukemia. Mol Cancer Ther. 2015 Aug;14(8):1777-93.

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