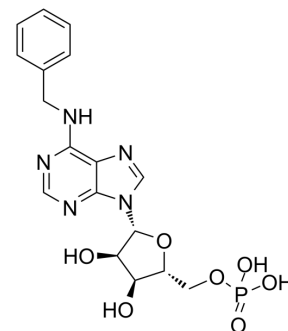


## IST5-002

<b>Cat. No.:</b>	HY-19527		
<b>CAS No.:</b>	13484-66-7		
<b>Molecular Formula:</b>	C <sub>17</sub> H <sub>20</sub> N <sub>5</sub> O <sub>7</sub> P		
<b>Molecular Weight:</b>	437.34		
<b>Target:</b>	STAT; Apoptosis		
<b>Pathway:</b>	JAK/STAT Signaling; Stem Cell/Wnt; Apoptosis		
<b>Storage:</b>	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<sub>2</sub>O : 125 mg/mL (285.82 mM; Need ultrasonic)

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	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<sub>50</sub>s: 1.5 μM for Stat5a, 3.5 μM for Stat5b). IST5-002 induces 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)<sup>[1]</sup>.

#### IC<sub>50</sub> & Target

STAT5a 1.5 μM (IC <sub>50</sub> )	STAT5b 3.5 μM (IC <sub>50</sub> )
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#### In Vitro

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

cultures<sup>[1]</sup>.

IST5-002 (5  $\mu$ M, 24-72h) inhibits Stat5a/b phosphorylation and induces apoptosis of Imatinib (HY-15463)-sensitive and -resistant CML cells<sup>[1]</sup>.

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

#### Cell Viability Assay<sup>[1]</sup>

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

#### Cell Cycle Analysis<sup>[1]</sup>

Cell Line:	LNCaP and CWR22Rv1 cells
Concentration:	6, 12, 25 $\mu$ M
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<sup>[1]</sup>

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

#### Immunofluorescence<sup>[1]</sup>

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

#### In Vivo

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

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

Animal Model:	Prostate cancer (CWR22Rv1) xenograft model <sup>[1]</sup>
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.

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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.

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## REFERENCES

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

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