PRODUCT INFORMATION



STAT5 Inhibitor

Item No. 15784

CAS Registry No.:	285986-31-4	
Formal Name:	2-[(4-oxo-4H-1-benzopyran-3-yl)methylene]	
	hydrazide 3-pyridinecarboxylic acid	
Synonym:	Signal Transducers and Activators of	
	Transcription 5	
MF:	$C_{16}H_{11}N_3O_3$	
FW:		
Purity:	≥95% 0 []	
UV/Vis.:	λ _{max} : 220, 275, 307 nm	
Supplied as:	A crystalline solid	
Storage:	-20°C	
Stability:	≥4 years	
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Laboratory Procedures

STAT5 Inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the STAT5 Inhibitor in the solvent of choice, which should be purged with an inert gas. STAT5 Inhibitor is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of STAT5 Inhibitor in these solvents is approximately 5 and 2 mg/ml, respectively.

STAT5 Inhibitor is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, STAT5 Inhibitor should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. STAT5 Inhibitor has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Signal Transducers and Activators of Transcriptions (STATs) are a family of cytoplasmic latent transcription factors that are activated to regulate gene expression in response to a large number of extracellular signaling polypeptides including cytokines, interferons, and growth factors.¹⁻³ STAT5, which consists of two isoforms STAT5 α and STAT5 β , is known to be overactive in several kinds of leukemias and various solid tumors. STAT5 Inhibitor is a cell-permeable, nonpetidic nicotinoyl hydrazone that suppresses STAT5 by targeting its SH2 domain (IC₅₀ = 47 μ M against STAT5 β SH2 domain EPO peptide binding activity).⁴ Comparatively, this compound exhibits reduced potency towards inhibiting the SH2 domain of STAT1, STAT3, or Lck $(IC_{50} > 500 \ \mu M)$.⁴ STAT5 Inhibitor has been shown to block STAT5/STAT5 DNA binding in K562 nuclear extracts.4

References

- 1. Leonard, W.J. and O'Shea, J.J. JAKS AND STATS: Biological implications. Annu. Rev. Immunol. 16, 293-322 (1998).
- 2. Schindler, C. and Darnell, J.E., Jr. Transcriptional responses to polypeptide ligands: The JAK-STAT pathway. Annu. Rev. Biochem. 64, 621-52 (2011).
- 3. Darnell, J.E., Jr. STATs and gene regulation. Science 277(5332), 1630-1635 (1997).
- Müller, J., Sperl, B., Reindl, W., et al. Discovery of chromone-based inhibitors of the transcription factor 4 STAT5. ChemBioChem 9(5), 723-727 (2008).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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