Small Molecules

JAK Inhibitor I

JAK/STAT pathway inhibitor; Inhibits JAK1, JAK2, and JAK3

Catalog #	74022	500 µg
	74024	1 mg

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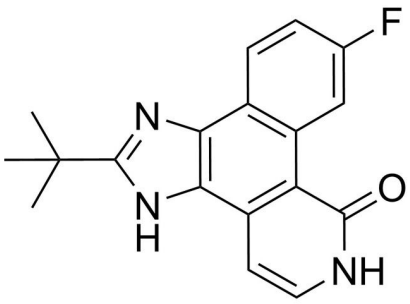
Product Description

Janus Associated Kinase (JAK) Inhibitor I is a pyridine-containing tetracycle that disrupts JAK activity by interacting with the ATP binding domain. This inhibitor inhibits mouse JAK3 with Ki = 5 nM, JAK family members TYK2 and JAK2 with IC_{50} = 1 nM, and mouse JAK1 with IC_{50} = 15 nM, while showing weaker inhibition of other kinases (Thompson et al.).

Molecular Name:	JAK Inhibitor I
Alternative Names:	CMP 6; Janus-associated kinase inhibitor I; Pyridone 6
CAS Number:	457081-03-7
Chemical Formula:	$C_{18}H_{16}FN_3O$
Molecular Weight:	309.3 g/mol
Purity:	≥ 98%
Chemical Name:	2-(1,1-dimethylethyl)-9-fluoro-1,6-dihydro-7H-benz[h]imidazo[4,5-f]isoquinolin-7-one
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect product from prolonged exposure to light. For long-term storage store with a desiccant. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 45 mM · Absolute ethanol ≤ 3 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 0.5 mg in 162 µL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

REPROGRAMMING
· Inhibits the generation of mouse induced pluripotent stem cells (Efe et al.; Kim et al.).
IMMUNOLOGY
· Inhibits anti-viral response associated with JAK/STAT pathway activation (Xu et al.).

References

Efe JA et al. (2011) Conversion of mouse fibroblasts into cardiomyocytes using a direct reprogramming strategy. Nat Cell Biol 13(3): 215–22.
Kim J et al. (2011) Direct reprogramming of mouse fibroblasts to neural progenitors. Proc Natl Acad Sci USA 108(19): 7838–43.
Thompson JE et al. (2002) Photochemical preparation of a pyridone containing tetracycle: a Jak protein kinase inhibitor. Bioorg Med Chem Lett 12(8): 1219–23.
Xu L et al. (2016) IFN regulatory factor 1 restricts hepatitis E virus replication by activating STAT1 to induce antiviral IFN-stimulated genes. FASEB J 30(10): 3352–67.

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