PRODUCT INFORMATION



CC-930

Item No. 22466

CAS Registry No.: Formal Name:	899805-25-5 <i>trans</i> -4-[[9-[(3S)-tetrahydro-3-furanyl]-8- [(2,4,6-trifluorophenyl)amino]-9H-purin-	F
	2-yl]amino]-cyclohexanol	F
Synonym:	Tanzisertib	
MF:	$C_{21}H_{23}F_{3}N_{6}O_{2}$	HONNN
FW:	448.4	│
Purity:	≥98%	H H
UV/Vis.:	λ _{max} : 247, 336 nm	
Supplied as:	A crystalline solid	Ĥ
Storage:	-20°C	
Stability:	≥4 years	
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Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CC-930 is supplied as a crystalline solid. A stock solution may be made by dissolving the CC-930 in the solvent of choice. CC-930 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of CC-930 in ethanol and DMF is approximately 30 mg/ml and approximately 20 mg/ml in DMSO.

CC-930 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CC-930 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. CC-930 has a solubility of approximately 0.05 mg/ml in a 1:20 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CC-930 is an orally bioavailable and potent inhibitor of JNKs (IC₅₀s = 61, 7, and 6 nM for JNK1, JNK2, and JNK3, respectively).¹ It is selective for MAP kinases over a panel of 240 kinases, showing greater than 50% inhibition at only one non-MAP kinase target, EGFR (IC₅₀ = 380 nM). Within the MAPK family, it is selective for JNKs having IC₅₀ values of 3,400 and 480 nM for $p38\alpha$ and ERK1, respectively. CC-930 inhibits JNK in a cell lysate assay with an IC₅₀ value of 200 nM and blocks the phosphorylation of c-Jun in stimulated human peripheral blood mononuclear cells (PBMCs). In rats, CC-930 (10 and 30 mg/kg) inhibits TNF- α production induced by LPS. It also reduces lung fibrosis in a dose-dependent manner in a mouse pulmonary fibrosis model.

Reference

1. Krenitsky, V.P., Nadolny, L., Delgado, M., et al. Discovery of CC-930, an orally active anti-fibrotic JNK inhibitor. Bioorg. Med. Chem. Lett. 22(3), 1433-1438 (2012).

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

SAFETY 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.

WARRANTY AND LIMITATION OF REMEDY

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