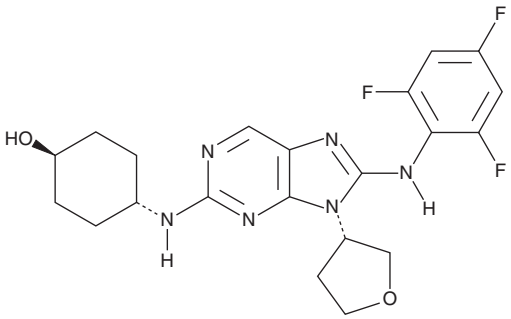
PRODUCT INFORMATION

CC-930

Item No. 22466

CAS Registry No.:	899805-25-5
Formal Name:	*trans*-4-[[9-[(3S)-tetrahydro-3-furanyl]-8-[(2,4,6-trifluorophenyl)amino]-9H-purin-2-yl]amino]-cyclohexanol
Synonym:	Tanzisertib
MF:	$C_{21}H_{23}F_3N_6O_2$
FW:	448.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 247, 336 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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 (IC_{50}s = 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 (IC_{50} = 380 nM). Within the MAPK family, it is selective for JNKs having IC_{50} values of 3,400 and 480 nM for p38α and ERK1, respectively. CC-930 inhibits JNK in a cell lysate assay with an IC_{50} 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM