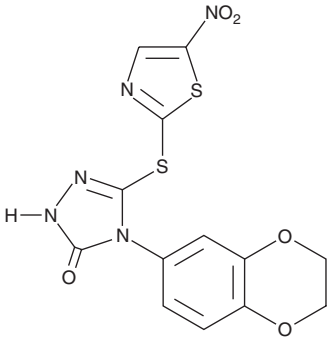
PRODUCT INFORMATION

BI-78D3

Item No. 21183



CAS Registry No.:	883065-90-5
Formal Name:	4-(2,3-dihydro-1,4-benzodioxin-6-yl)-2,4-dihydro-5-[(5-nitro-2-thiazolyl)thio]-3H-1,2,4-triazol-3-one
Synonyms:	JNK Inhibitor X, c-Jun N-terminal Kinase Inhibitor X
MF:	$C_{13}H_9N_5O_5S_2$
FW:	379.4
Purity:	≥98%
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

BI-78D3 is supplied as a crystalline solid. A stock solution may be made by dissolving the BI-78D3 in the solvent of choice. BI-78D3 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of BI-78D3 in these solvents is approximately 33 mg/ml.

BI-78D3 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BI-78D3 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BI-78D3 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

BI-78D3 is an inhibitor of JNK (IC_{50} = 280 nM in a TR-FRET assay) that is competitive for JNK1 binding with pepJIP1, a JNK-interacting protein, with an IC_{50} value of 500 nM.[1] It is selective for JNK, being 100-fold less active for the structurally similar MAPK family protein p38α and inactive at mammalian target of rapamycin (mTOR) or phosphatidylinositol 3-kinase α (PI3Kα). In a cell-based kinase assay, BI-78D3 inhibits c-Jun phosphorylation induced by TNF-α (EC_{50} = 12.4 µM). In a mouse model of type 2 diabetes, BI-78D3 (25 mg/kg) restores insulin sensitivity, reducing blood glucose levels when administered 30 minutes prior to insulin. BI-78D3 (30 µM) reduces contractions in human prostate strips induced by phenylephrine (Item Nos. 17205 | 18619) or norepinephrine (Item No. 16673) and reduces phosphorylation of c-Jun, a JNK substrate.[2]

References

1. Stebbins, J.L., De, S.K., Machleidt, T., *et al.* Identification of a new JNK inhibitor targeting the JNK-JIP interaction site. *Proc. Natl. Acad. Sci. U.S.A.* **105(43)**, 16809-16813 (2008).
2. Strittmatter, F., Walther, S., Gratzke, C., *et al.* Inhibition of adrenergic human prostate smooth muscle contraction by the inhibitors of c-Jun N-terminal kinase, SP600125 and BI-78D3. *Br. J. Pharmacol.* **166(6)**, 1926-1935 (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