

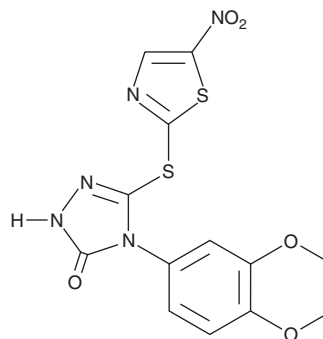
PRODUCT INFORMATION



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: C₁₃H₉N₅O₅S₂
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 p38 α and inactive at mammalian target of rapamycin (mTOR) or phosphatidylinositol 3-kinase α (PI3K α). In a cell-based kinase assay, BI-78D3 inhibits c-Jun phosphorylation induced by TNF- α ($EC_{50} = 12.4$ μ M). 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 μ M) 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).

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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