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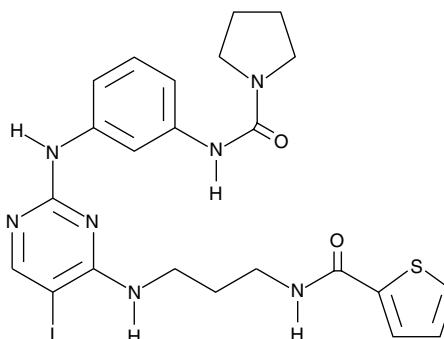
Product Information



BX-795

Item No. 14932

CAS Registry No.: 702675-74-9
Formal Name: N-[3-[[5-iodo-4-[[3-[(2-thienylcarbonyl)amino]propyl]amino]-2-pyrimidinyl]amino]phenyl]-1-pyrrolidinecarboxamide
MF: C₂₃H₂₆IN₇O₂S
FW: 591.5
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 247 nm



Laboratory Procedures

For long term storage, we suggest that BX-795 be stored as supplied at -20°C. It should be stable for at least two years.

BX-795 is supplied as a crystalline solid. A stock solution may be made by dissolving the BX-795 in the solvent of choice. BX-795 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of BX-795 in these solvents is approximately 11 and 12.5 mg/ml, respectively.

BX-795 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BX-795 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BX-795 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

3-Phosphoinositide-dependent protein kinase 1 (PDK1) is a serine-threonine kinase that phosphorylates and activates a range of other kinases, including PKB, PKA, and certain isoforms of PKC.¹ BX-795 is a potent, ATP-competitive inhibitor of PDK1 *in vitro* (IC₅₀ = 11 nM) and in cells (IC₅₀ = 300 nM).² At comparable concentrations, BX-795 also inhibits ERK8, MAPK-interacting kinase 2, Aurora B, Aurora C, MAP/microtubule affinity-regulating kinases 1-4, TNF receptor-associated factor-associated NF-κB activator-binding kinase 1, IκB kinase ε, and additional kinases.³⁻⁵

References

1. Peifer, C. and Alessi, D.R. Small-molecule inhibitors of PDK1. *ChemMedChem* **3**(12), 1810-1838 (2008).
2. Feldman, R.I., Wu, J.M., Polokoff, M.A., *et al.* Novel small molecule inhibitors of 3-phosphoinositide-dependent kinase-1. *J. Biol. Chem.* **280**(20), 19867-19874 (2005).
3. Bain, J., Plater, L., Elliot, M., *et al.* The selectivity of protein kinase inhibitors: A further update. *Biochem. J.* **408**, 297-315 (2007).
4. Tamgüney, T., Zhang, C., Fiedler, D., *et al.* Analysis of 3-phosphoinositide-dependent kinase-1 signaling and function in ES cells. *Exp. Cell Res.* **314**(11-12), 2299-2312 (2008).
5. Clark, K., Plater, L., Pegg, M., *et al.* Use of the pharmacological inhibitor BX795 to study the regulation and physiological roles of TBK1 and IκB kinase ε: A distinct upstream kinase mediates Ser-172 phosphorylation and activation. *J. Biol. Chem.* **284**(21), 14136-14146 (2009).

Related Products

For a list of related products please visit: www.caymanchem.com/catalog/14932

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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