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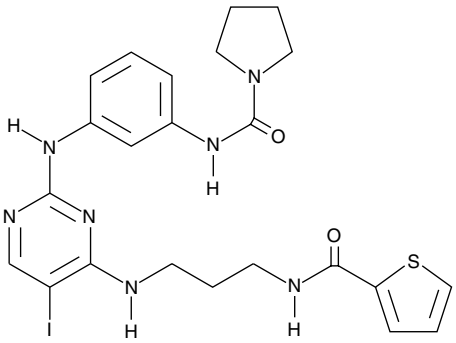
Product Information

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:	$C_{23}H_{26}IN_7O_2S$
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* (IC_{50} = 11 nM) and in cells (IC_{50} = 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., Peggie, 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).

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