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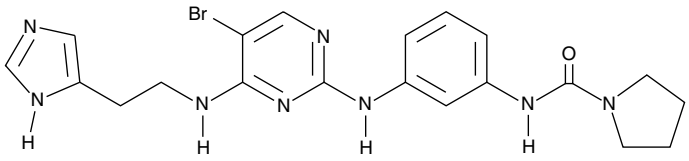
Product Information

BX-912

Item No. 14708

CAS Registry No.: 702674-56-4
Formal Name: N-[3-[[5-bromo-4-[[2-(1H-imidazol-5-yl)ethyl]amino]-2-pyrimidinyl]amino]phenyl]-1-pyrrolidinecarboxamide
MF: $C_{20}H_{23}BrN_8O$
FW: 471.4
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 238, 274 nm



Laboratory Procedures

For long term storage, we suggest that BX-912 be stored as supplied at -20°C. It should be stable for at least two years.

BX-912 is supplied as a crystalline solid. A stock solution may be made by dissolving the BX-912 in the solvent of choice. BX-912 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of BX-912 in ethanol and DMSO is approximately 5 mg/ml and approximately 12 mg/ml in DMF.

BX-912 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BX-912 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BX-912 has a solubility of approximately 0.5 mg/ml in a 1:1 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 protein kinase (PK)B, PKA, and certain isoforms of PKC.[1] BX-912 is a potent, ATP-competitive inhibitor of PDK1 (IC_{50} = 26 nM).[2] It less effectively inhibits a panel of related serine-threonine kinases.[2] BX-912 has been used to evaluate the role of PDK1 in kinase activation and cell survival.[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. Kloo, B., Nagel, D., Pfeifer, M., *et al.* Critical role of PI3K signaling for NF-κB-dependent survival in a subset of activated B-cell-like diffuse large B-cell lymphoma cells. *Proc. Natl. Acad. Sci. USA* **108(1)**, 272-277 (2011).
4. Mashukova, A., Forteza, R., Wald, F.A., *et al.* PDK1 in apical signaling endosomes participates in the rescue of the polarity complex atypical PKC by intermediate filaments in intestinal epithelia. *Mol. Biol. Cell* **23(9)**, 1664-1674 (2012).
5. Shibata, E., Kanno, T., Tsuchiya, A., *et al.* Free fatty acids inhibit protein tyrosine phosphatase 1B and activate Akt. *Cell Physiol. Biochem.* **32(4)**, 871-879 (2013).

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