

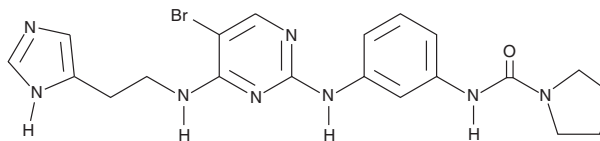
PRODUCT INFORMATION



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: C₂₀H₂₃BrN₈O
FW: 471.4
Purity: ≥98%
UV/Vis.: λ_{max}: 238, 274 nm
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

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

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.

Description

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 (IC₅₀ = 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).

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.

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