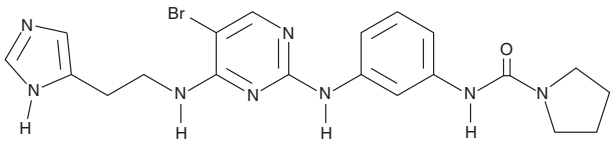
PRODUCT INFORMATION

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%
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 (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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