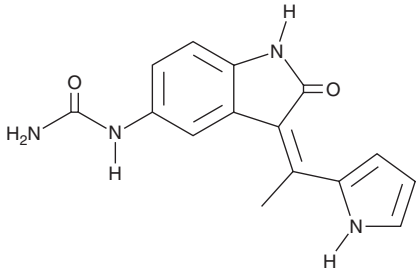
PRODUCT INFORMATION

BX-517

Item No. 29238

CAS Registry No.:	850717-64-5
Formal Name:	N-[2,3-dihydro-2-oxo-3-[1-(1H-pyrrol-2-yl)ethylidene]-1H-indol-5-yl]-urea
Synonym:	PDK1 Inhibitor II
MF:	$C_{15}H_{14}N_4O_2$
FW:	282.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 221, 279, 297, 308, 396 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-517 is supplied as a crystalline solid. A stock solution may be made by dissolving the BX-517 in the solvent of choice, which should be purged with an inert gas. BX-517 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of BX-517 in these solvents is approximately 20 and 14 mg/ml, respectively. BX-517 is also slightly soluble in ethanol.

BX-517 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BX-517 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BX-517 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BX-517 is an inhibitor of the serine/threonine kinase 3-phosphoinositide-dependent protein kinase 1 (PDK1; IC_{50} = 6 nM).[1] It binds to the ATP binding pocket of PDK1, inhibits Akt2 activation induced by PDK1 in a cell-free assay (IC_{50} = 20 nM), and inhibits Akt phosphorylation in PC3 cells.[2]

References

1. Islam, I., Bryant, J., Chou, Y.-L., *et al.* Indolinone based phosphoinositide-dependent kinase-1 (PDK1) inhibitors. Part 1: Design, synthesis and biological activity. *Bioorg. Med. Chem. Lett.* **17(14)**, 3814-3818 (2007).
2. Islam, I., Brown, G., Bryant, J., *et al.* Indolinone based phosphoinositide-dependent kinase-1 (PDK1) inhibitors. Part 2: Optimization of BX-517. *Bioorg. Med. Chem. Lett.* **17(14)**, 3819-3825 (2007).

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