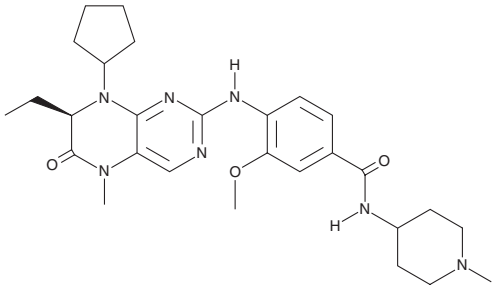
PRODUCT INFORMATION

BI-2536

Item No. 17385

CAS Registry No.:	755038-02-9
Formal Name:	4-[[(7R)-8-cyclopentyl-7-ethyl-5,6,7,8-tetrahydro-5-methyl-6-oxo-2-pteridinyl]amino]-3-methoxy-N-(1-methyl-4-piperidinyl)-benzamide
MF:	$C_{28}H_{39}N_7O_3$
FW:	521.7
Purity:	≥95%
UV/Vis.:	λ_{max}: 210, 249, 345 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

BI-2536 is supplied as a crystalline solid. A stock solution may be made by dissolving the BI-2536 in the solvent of choice. BI-2536 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of BI-2536 in these solvents is approximately 30 mg/ml.

BI-2536 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BI-2536 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. BI-2536 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Polo-like kinases (Plks) are serine/threonine kinases with key roles in cell cycling.[1] BI-2536 is a 2-aminopyrimidine-containing inhibitor of Plk1 (IC_{50} = 0.83 nM).[2,3] It displays 4- and 11-fold selectivity for Plk1 over Plk2 and Plk3, respectively. BI-2536 induces mitotic arrest and apoptosis in diverse human cancer cell lines and drives regression of human tumor xenografts in nude mice.[2] It shows good blood-brain barrier permeability and causes mitotic arrest in glioblastoma-derived neural stem cells but not normal neural stem cells.[4] BI-2536 is also an inhibitor of BRD4 (IC_{50} = 25 nM), suppressing BRD4-dependent c-Myc expression in MM.1S multiple myeloma cells.[5]

References

1. Schöffski, P. Polo-like kinase (PLK) inhibitors in preclinical and early clinical development in oncology. *Oncologist* **14(6)**, 559-570 (2009).
2. Steegmaier, M., Hoffmann, M., Baum, A., *et al*. BI 2536, a potent and selective inhibitor of polo-like kinase 1, inhibits tumor growth *in vivo*. *Curr. Biol.* **17(4)**, 316-322 (2007).
3. Peifer, C. and Alessi, D.R. Small-molecule inhibitors of PDK1. *ChemMedChem* **3(12)**, 1810-1838 (2008).
4. Danovi, D., Folarin, A., Gogolok, S., *et al*. A high-content small molecule screen identifies sensitivity of glioblastoma stem cells to inhibition of polo-like kinase 1. *PLoS One* **8(10)**, 1-13 (2013).
5. Ciceri, P., Müller, S., O'Mahony, A., *et al*. Dual kinase-bromodomain inhibitors for rationally designed polypharmacology. *Nat. Chem. Biol.* **10(4)**, 305-312 (2014).

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