

PRODUCT INFORMATION

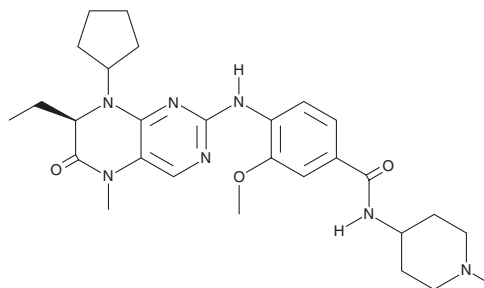


BI-2536

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-pteridiny]amino]-3-methoxy-N-(1-methyl-4-piperidinyl)-benzamide

MF: C₂₈H₃₉N₇O₃
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 (IC₅₀ = 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 (IC₅₀ = 25 nM), suppressing BRD4-dependent c-Myc expression in MM.1S multiple myeloma cells.⁵

References

- Schöffski, P. Polo-like kinase (PLK) inhibitors in preclinical and early clinical development in oncology. *Oncologist* **14(6)**, 559-570 (2009).
- Steehmaier, 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).
- Peifer, C. and Alessi, D.R. Small-molecule inhibitors of PDK1. *ChemMedChem* **3(12)**, 1810-1838 (2008).
- 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).
- 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).

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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