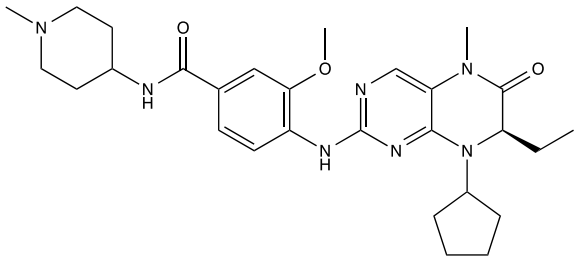
Catalog # 10-4121

BI 2536

CAS# 755038-02-9

4-[[(7R)-8-cyclopentyl-7-ethyl-5-methyl-6-oxo-7H-pteridin-2-yl]amino]-3-methoxy-N-(1-methylpiperidin-4-yl)benzamide

Lot # FBS2086



BI 2536 was originally reported as a potent (IC_{50}'s Plk1 = 0.83nM, Plk2 = 3.5nM and Plk3 = 9.0nM)[1] and selective[2] Polo-like kinase inhibitor that caused mitotic arrest and apoptosis induction in various human cancer cell lines.[1] It was later found to be a potent inhibitor (IC_{50} = 100nM) of BET family member BRD4 and able to potently suppress c-Myc expression in MM.1S multiple myeloma cells.[3] BI 2536 destabilizes N-Myc by inhibiting the deactivation of the ubiquitin E3 ligase Fbw7 by Plk1.[4]

1) Steegmaier *et al*. (2007), *BI 2536, a Potent and Selective Inhibitor of Polo-like Kinase 1, Inhibits Tumor Growth In Vivo*; Curr.Biol., **17** 316
2) Davis *et al*. (2011), *Comprehensive analysis of kinase inhibitor selectivity*; Nat.Biotechnol., **29** 1046
3) Ciceri *et al*. (2014), *Dual kinase-bromodomain inhibitors for rationally designed polypharmacology*; Nat.Chem.Biol., **10** 305
4) Xiao *et al*. (2016), *Polo-like Kinase-1 Regulates Myc Stabilization and Activates a Feedforward Circuit Promoting Tumor Cell Survival*; Mol.Cell, **64** 493

PHYSICAL DATA

Molecular Weight:	521.67
Molecular Formula:	$C_{28}H_{39}N_7O_3$
Purity:	>97% by HPLC NMR: (Conforms)
Solubility:	DMSO (20 mg/ml) and ethanol (>25 mg/mL)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 3 months.

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