

DATA SHEET

Product Name: BI-2536

Catalog #: CV-1035

Alt: CAS # 755038-02-9; 4-[[[(7R)-8-cyclopentyl-7-ethyl-5-methyl-6-oxo-7H-pteridin-2yl]amino]-3-methoxy-N-(1-methylpiperidin-4-yl)benzamide

Molecular Mass: 521.7

Analysis: >97% (HPLC); NMR (Conforms)

Supplied As: Off-white powder

Resuspension: May be dissolved in DMSO (20 mg/ml); or Ethanol (25 mg/ml)

Storage: Store desiccated as supplied at -20°C for up to 2 years. Store solutions at -20°C for up to 3 months.

Description: BI-2536 was originally reported as a potent (IC₅₀'s PIK1=0.83 nM, PIK2=3.5 nM and PIK3=9.0 nM)¹ and selective² Polo-like kinase inhibitor that caused mitotic arrest and apoptosis induction in various human cancer cell lines¹. It was later found to be a potent inhibitor (IC₅₀=100 nM) of BET family member BRD4 and able to potently suppress c-Myc expression in MM.1S multiple myeloma cells³. BI-2536 destabilizes N-Myc by inhibiting the deactivation of the ubiquitin E3 ligase Fbw7 by PIK1⁴.

References:

1. Steegmaier, M., et al., (2007) *Curr. Biol.*, *17*: 316
2. Davis, M.I., et al., (2011) *Nat. Biotechnol.*, *29*: 1046
3. Ciceri, P., et al., (2014) *Nat. Chem. Biol.*, *10*: 305
4. Xiao, D., et al., (2016) *Mol. Cell*, *64*: 493

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