NEUROMICS

BI-2536 Data Sheet

Catalog Number:	MC11119	**Product Type:**	Small Molecule
Bio-Activity:	Dual Plk/BRD4 inhibitor; Destabilizes Myc	**CAS #:**	755038-02-9
Research Categories:	Epigenetics, ubiquitin/proteasome, cell death, cancer	**Chemical Name:**	4-[[(7R)-8-cyclopentyl-7-ethyl-5-methyl-6-oxo-7H-pteridin-2-yl]amino]-3-methoxy-N-(1-methylpiperidin-4-yl)benzamide
Solubility:	Soluble in DMSO (up to 20 mg/ml) or in Ethanol (up to 25 mg/ml)	**Molecular Formula:**	C28H39N7O3
Purity:	> 97%	**Molecular Weight:**	521.67
Format:	Powder	**Ship Temp:**	Ambient
Storage:	-20°C		

Application Notes

Description/Data:
BI 2536 was originally reported as a potent (IC50's Plk1 = 0.83nM, Plk2 = 3.5nM and Plk3 = 9.0nM) and selective [2]. Polo-like kinase inhibitor (IC50's Plk1 = 0.83nM, Plk2 = 3.5nM and Plk3 = 9.0nM) that caused mitotic arrest and apoptosis induction in various human cancer cell lines [1]. It was later found to be a potent inhibitor (IC50 = 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].

References:

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

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