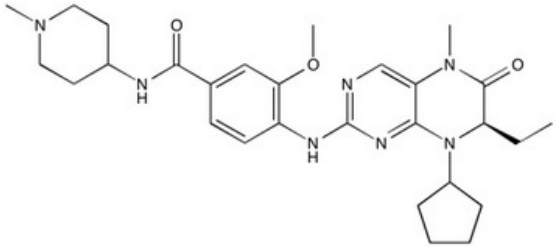
Tribioscience

BI-2536, Dual Plk/BRD4 inhibitor; Destabilizes Myc

Catalog	Unit
TBI4121-5MG	5 mg
TBI4121-25MG	25 mg

Product Details

Formal Name: 4-[[(7R)-8-cyclopentyl-7-ethyl-5-methyl-6-oxo-7H-pteridin-2-yl]amino]-3-methoxy-N-(1-methylpiperidin-4-yl)benzamide
Molecular Formula: $C_{28}H_{39}N_7O_3$
Formula Weight: 521.67
CAS Number: 755038-02-9
Purity: >97%
Formulation: powder
Solubility: Soluble in DMSO (up to 20 mg/ml) or in Ethanol (up to 25 mg/ml)
Storage: -20°C
Stability: ≥ 1 year.



Applications

Dual Plk/BRD4 inhibitor; Destabilizes Myc

Functions

BI 2536 was originally reported as a potent (IC50's Plk1 = 0.83nM, Plk2 = 3.5nM and Plk3 = 9.0nM) and selective 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. 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. BI 2536 destabilizes N-Myc by inhibiting the deactivation of the ubiquitin E3 ligase Fbw7 by Plk1.

Application Procedures

First dissolved in DMSO (up to 20 mg/ml) or in Ethanol (up to 25 mg/ml), then diluted to aqueous buffer. Solutions in DMSO or ethanol may be stored at -20°C for up to 3 months.

For research use only.

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