

BI-2536

Cat# C20244B– 25 、 50 mg

Storage under -20°C for 2 years

INTFORMATION

Product Name	BI-2536
Cat NO.	C20244B
Size	25 、 50 mg
Description	<p>BI2536 is a potent Plk1 inhibitor with IC50 of 0.83 nM, BI2536 shows 4- and 11-fold greater selectivity against Plk2 and Plk3; BI2536 also is a BRD4 inhibitor(IC50= 25 nM).</p> <p>IC50 Value: 0.83 nM (Plk1); 25 nM (BRD4)</p> <p>Target: PLK; BRD4</p> <p>in vitro: BI 2536 blocks the activities of Plk2 and Plk3 to a slightly lesser extent with IC50 of 3.5 nM and 9.0 nM, respectively. In HeLa cells, BI 2536 treatment ranging from 10-100 nM leads to the blocking of the recruitment of γ-tubulin and phosphorylation of Apc6 at mitotic centrosomes, inhibition of cohesin release from chromosome arms, induction of monopolar spindles, as well as a range of other mitotic processes that are known to depend on Plk1. BI 2536 treatment leads to the HeLa cells arrested in G2/M, subsequently a sub-G1 DNA peak indicative of DNA breakdown and apoptosis, and accumulated cleaved PARP p85 fragments in a concentration-dependent manner. BI 2536 inhibits the growth of a panel of 32 human cancer cell lines with EC50 of 2-25 nM, while blocking the proliferation of exponentially growing hTERT-RPE1, human umbilical vein endothelial cells (HUVECs), and normal rat kidney (NRK) cells with EC50 of 12-31 nM.</p> <p>in vivo: BI 2536 given i.v. once or twice per week is highly efficacious in diverse xenograft models with acceptable tolerability by inhibiting cell proliferation through a mitotic arrest, and subsequently induction of tumor-cell death. Administration of BI 2536 at 50 mg/kg once or twice per week significantly inhibits growth of HCT 116 xenografts with T/C of 15% and 0.3%, respectively. BI 2536 treatment twice-weekly also leads to excellent tumor-growth in BxPC-3 and A549 models with T/C of 5% and 14%, respectively.</p>
Cas No.	755038-02-9
Purity	> 98%
Molecular Formulation	C ₂₈ H ₃₉ N ₇ O ₃
Molecular Weight	521.65
Solubility	DMSO : \geq 100 mg/mL Ethanol : \geq 100 mg/mL

	Water : <1.2mg/mL
Image	<chem>CCN(C)C(=O)N1C=NC2=C1N(C)C(=O)N2C3CCCC3NC4=CC=C(C(=O)N5CCN(C)CC5)C=C4OC</chem>

PRODUCT USE LIMITATION

These products are intended for research use only.