Revision 2

Store at -20C

BI 2536

#26744

5 mg

Cell Signaling TECHNOLOGY®

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Background

BI 2536 is a small molecule inhibitor of mammalian polo-like kinases (PLKs) with a strong selectivity for PLK1 (IC_{50} = 0.83 nM) (1). PLK1 is important in regulating mitosis and is often overexpressed in cancers, contributing to excessive cell proliferation and loss of key checkpoint functions (1,2). Research indicates that BI 2536 causes mitotic arrest and apoptosis in 32 unique human cancer cell lines and inhibits the growth of human tumor xenografts in nude mice, with little to no effect on healthy cells (1,3). This along with the fact that BI 2536 exhibits high permeability through the blood-brain barrier, makes it an important therapeutic tool (4). BI 2536 inhibits BRD4 (IC_{50} = 100 nM) and BRD4-dependent c-Myc expression in MM.1S multiple myeloma cells (5). Recently, BRD4 inhibition has shown anti-viral activity and increased host resistance to several DNA and RNA viruses, making BRD4 disruptors important compounds to study in relation to viral diseases (6).

Molecular Formula

$C_{28}H_{39}N_7O_3$

Molecular Weight

521.7 g/mol

Purity

>97%

CAS

755038-02-9

Solubility

Soluble in DMSO at 20 mg/ml or ethanol at 25 mg/ml.

Storage

Store lyophilized at -20ºC, desiccated. In lyophilized form, the chemical is stable for 24 months. Once in solution, store at -20ºC and use within 3 months to prevent loss of potency. *Aliquot to avoid multiple freeze/thaw cycles.*

Directions for Use

BI 2536 is supplied as a lyophilized powder. For a 10 mM stock, reconstitute 5 mg of powder in 958 µl of DMSO. Working concentrations and length of treatment can vary depending on the desired effect.

Background References

1. Steegmaier, M. et al. (2007) *Curr Biol* 17, 316-22.
2. Lee, S.Y. et al. (2014) *Dev Reprod* 18, 65-71.
3. Liu, X. et al. (2006) *Mol Cell Biol* 26, 2093-108.
4. Danovi, D. et al. (2013) *PLoS One* 8, e77053.
5. Ciceri, P. et al. (2014) *Nat Chem Biol* 10, 305-12.
6. Wang, J. et al. (2020) *PLoS Pathog* 16, e1008429.

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