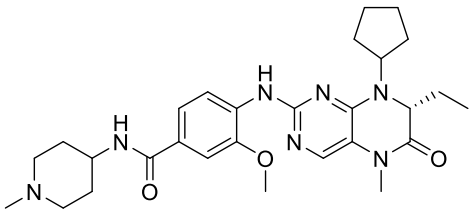
Product data sheet

MedKoo Cat#: 200493 Name: BI-2536 CAS#: 755038-02-9 Chemical Formula: $C_{28}H_{39}N_7O_3$ Exact Mass: 521.31144 Molecular Weight: 521.65	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
BI-2563 is a small molecule compound with potential antineoplastic activities. BI 2536 binds to and inhibits Polo-like kinase 1 (Plk1), resulting in mitotic arrest, disruption of cytokinesis, and apoptosis in susceptible tumor cell populations. Plk1, a serine/threonine-protein kinase, is a key regulator of multiple processes fundamental to mitosis and cell division.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	65	124.60

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.92 mL	9.58 mL	19.17 mL
5 mM	0.38 mL	1.92 mL	3.83 mL
10 mM	0.19 mL	0.96 mL	1.92 mL
50 mM	0.04 mL	0.19 mL	0.38 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Steegmaier M, Hoffmann M, Baum A, Lénárt P, Petronczki M, Krssák M, Gürtler U, Garin-Chesa P, Lieb S, Quant J, Grauert M, Adolf GR, Kraut N, Peters JM, Rettig WJ. BI 2536, a potent and selective inhibitor of polo-like kinase 1, inhibits tumor growth in vivo. Curr Biol. 2007 Feb 20;17(4):316-22. doi: 10.1016/j.cub.2006.12.037. Epub 2007 Feb 8. PMID: 17291758.

2. Li Z, Yang C, Li X, Du X, Tao Y, Ren J, Fang F, Xie Y, Li M, Qian G, Xu L, Cao X, Wu Y, Lv H, Hu S, Lu J, Pan J. The dual role of BI 2536, a small-molecule inhibitor that targets PLK1, in induction of apoptosis and attenuation of autophagy in neuroblastoma cells. J Cancer. 2020 Mar 5;11(11):3274-3287. doi: 10.7150/jca.33110. PMID: 32231733; PMCID: PMC7097946.

In vivo study

1. Steegmaier M, Hoffmann M, Baum A, Lénárt P, Petronczki M, Krssák M, Gürtler U, Garin-Chesa P, Lieb S, Quant J, Grauert M, Adolf GR, Kraut N, Peters JM, Rettig WJ. BI 2536, a potent and selective inhibitor of polo-like kinase 1, inhibits tumor growth in vivo. Curr Biol. 2007 Feb 20;17(4):316-22. doi: 10.1016/j.cub.2006.12.037. Epub 2007 Feb 8. PMID: 17291758.

7. Bioactivity
Biological target:

BI 2536 is a dual PLK1 and BRD4 inhibitor with IC50s of 0.83 and 25 nM, respectively.

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In vitro activity

Exceeding a 100-fold concentration range starting at 10 nM, BI 2536 causes HeLa cells to accumulate with a 4N DNA content, indicative of a cell-cycle block in either G2 phase or mitosis. In addition to HeLa cells, BI 2536 potently inhibits the proliferation of a panel of 32 human cancer cell lines, representing diverse organ derivations (including carcinomas of the breast, colon, lung, pancreas, and prostate, melanomas, and hematopoietic cancers) and varied patterns of tumor suppressor or oncogene mutations (including RB1, TP53, PTEN, andKRAS status). The half-maximal effective concentration (EC50) values in this cell panel ranged 2-25 nM, whereas a concentration of 100 nM of BI 2536 is typically sufficient for inducing a complete mitotic arrest. The proliferation of exponentially growing hTERT-RPE1, human umbilical vein endothelial cells (HUVECs), and normal rat kidney (NRK) cells is blocked at EC50values ranging 12-31 nM, indicating a comparable sensitivity of cycling nontransformed cells to BI 2536.

Reference: Curr Biol. 2007 Feb 20;17(4):316-22. https://linkinghub.elsevier.com/retrieve/pii/S0960-9822(06)02671-6

In vivo activity

BI 2536 (40-50 mg/kg, i.v.) blocks the growth of human cancer xenografts in immunodeficient, nu/nu mice. Consecutive cycles of 40-50 mg/kg BI 2536 given i.v. once or twice per week are found to be highly efficacious in diverse xenograft models, such as the HCT 116 colon cancer with complete tumor suppression with the twice per week schedule (treated versus the control (T/C) value 0.3%) and a T/C value of 16% with once per week treatment; both schedules are well-tolerated, as judged by clinical signs and absence of major body-weight changes.

Reference: Curr Biol. 2007 Feb 20;17(4):316-22. https://linkinghub.elsevier.com/retrieve/pii/S0960-9822(06)02671-6

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.