# PLK1/BRD4-IN-1

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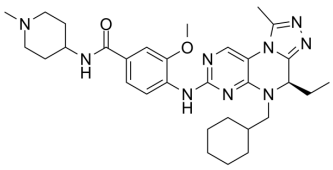
| **Cat. No.:** | HY-143471 |
| **CAS No.:** | 2412707-81-2 |
| **Molecular Formula:** | $C_{31}H_{43}N_9O_2$ |
| **Molecular Weight:** | 573.73 |
| **Target:** | Polo-like Kinase (PLK); Epigenetic Reader Domain; Apoptosis |
| **Pathway:** | Cell Cycle/DNA Damage; Epigenetics; Apoptosis |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |



## BIOLOGICAL ACTIVITY

**Description**

PLK1/BRD4-IN-1 (9b) is an orally active dual PLK1 and BRD4 inhibitor with $IC_{50}$ values of 22 nM and 109 nM against PLK1 and BRD4, respectively. PLK1/BRD4-IN-1 induces cell cycle arrest and apoptosis, downregulates the transcription of several proliferation-related oncogenes, and exhibits favorable in vivo antitumor activity[1].

**$IC_{50}$ & Target**

| BRD4 | PLK1 |
|---|---|
| 109 nM ($IC_{50}$) | 22 nM ($IC_{50}$) |

**In Vitro**

PLK1/BRD4-IN-1 (9b) (72 h) shows broad-spectrum antiproliferative activities[1].
PLK1/BRD4-IN-1 (0-9 μM, 24 h) induces cell cycle arrest[1].
PLK1/BRD4-IN-1 (0-9 μM, 48 h) induces cell apoptosis[1].
PLK1/BRD4-IN-1 inhibits the proliferative of cancer cells by exerting its inhibitory activity on both PLK1 and BRD4[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

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| Cell Line: | MV4-11, LnCap, HT-29, A375, SKOV-3 |
| Concentration: | Cells were maintained in RPMI 1640 or DMEM medium supplemented with 10% FBS (v/v) in 5% $CO_2$, except for MV4-11 cells, which were cultured in IMDM medium. |
| Incubation Time: | 72 h |
| Result: | Showed broad-spectrum antiproliferative activities with $IC_{50}$ values of 0.13, 0.14, 1.10, 2.82 and 2.51 μM against MV4-11, LnCap, SKOV-3, A375 and HT29 cells, respectively. |

Cell Cycle Analysis[1]

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| Cell Line: | MV4-11 |
| Concentration: | 0.1, 0.3, 1, 3, 9 μM |
| Incubation Time: | 24 h |
| Result: | Induced obvious G2/M arrest in a concentration-dependent manner |

Apoptosis Analysis[1]

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| Cell Line: | MV4-11 |
| Concentration: | 0.1, 0.3, 1, 3, 9 μM |
| Incubation Time: | 48 h |
| Result: | Significantly increased the number of Annexin V/PI-positive MV4-11 cells in a concentration-dependent manner. |

RT-PCR[1]

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|---|---|
| Cell Line: | MV4-11 |
| Concentration: | 0.1, 0.3, 1, 3, 9 μM |
| Incubation Time: | 24 h |
| Result: | Reduced the transcription of c-MYC and MYCN as well as BCL-2, in a concentration-dependent manner. |

Western Blot Analysis[1]

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| Cell Line: | MV4-11 |
| Concentration: | 0.1, 0.3, 1, 3, 9 μM |
| Incubation Time: | 48 h |
| Result: | Decreased the expression of c-Myc and Bcl-2 in a concentration dependent-manner and upregulated cleaved caspase-3 and cleaved PARP. |

**In Vivo**

PLK1/BRD4-IN-1 (9b) (60 mg/kg/d; IG; 18 days) results in a significant decrease in average tumor size, with no obvious toxicity[1].

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| Animal Model: | Five weeks old male NOD-SCID mice[1]. |
| Dosage: | 60 mg/kg/d |
| Administration: | Oral gavage, 18 days; tumor xenograft models were established by subcutaneously injecting 100 μL of $1\times10^8$ cell/mL MV4-11 cell suspension into NOD-SCID mice. |
| Result: | Resulted in a significant decrease in average tumor size, with 66% tumor growth inhibition, and didn't obviously affect the body weight of mice. |

## REFERENCES

[1]. Ning-Yu Wang, et al. Design, synthesis, and biological evaluation of 4,5-dihydro-[1,2,4]triazolo[4,3-f]pteridine derivatives as novel dual-PLK1/BRD4 inhibitors. Eur J Med Chem. 2020 Apr 1;191:112152.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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