# Mps1-IN-1 dihydrochloride

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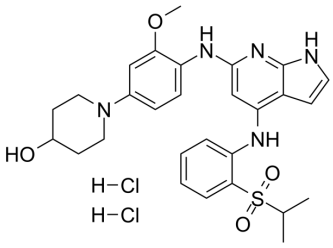
| **Cat. No.:** | HY-110347 |
| **CAS No.:** | 1883548-93-3 |
| **Molecular Formula:** | $C_{28}H_{35}Cl_2N_5O_4S$ |
| **Molecular Weight:** | 608.58 |
| **Target:** | Mps1 |
| **Pathway:** | Cell Cycle/DNA Damage; Cytoskeleton |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |




## BIOLOGICAL ACTIVITY

**Description**

Mps1-IN-1 dihydrochloride is a potent and ATP-competitive Mps1 kinase inhibitor with an $IC_{50}$ of 367 nM. Mps1-IN-1 dihydrochloride inhibit Mps1 mitotic kinase activity and abrogates spindle assembly checkpoint (SAC) function. Mps1-IN-1 dihydrochloride decreases the viability of both cancer and 'normal' cells[1].

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

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| Mps1<br>367 nM ($IC_{50}$) | Mps1<br>27 nM (Kd) | ALK<br>21 nM (Kd) | LTK<br>29 nM (Kd) |
| PYK2<br>280 nM (Kd) | FAK<br>440 nM (Kd) | IGF1R<br>750 nM (Kd) | INSR<br>470 μM (Kd) |
| CLK1<br>1900 nM (Kd) | ERK2<br>2900 nM (Kd) | INSRR<br>1200 nM (Kd) | TNK1<br>2600 nM (Kd) |
| TNK2<br>3100 nM (Kd) | GAK<br>1100 nM (Kd) | | |

**In Vitro**

Mps1-IN-1 dihydrochloride (2-10 μM; 96 hours) inhibits the proliferative capacity of HCT116 cells to 33% that of DMSO control[1].

Mps1-IN-1 dihydrochloride (0.3-10 μM; 4 hours) induces bypass of a checkpoint-mediated mitotic arrest in a dose-dependent manner. Mps1-IN-1 dihydrochloride (10 μM) administration results in a dose-dependent decrease in the time spent in mitosis with nearly 100% U2OS cells initiating anaphase within 20 minutes[1].

Mps1-IN-1 dihydrochloride (0.5, 2, 10 μM) causes a dose-dependent reduction in hyper-phosphorylated Mps1 as demonstrated by a decrease in phosphorylation-induced mobility shift in UTRM10 LAP-Mps1 WT cells[1].

Mps1-IN-1 (5, 10 μM) arrested in mitosis using Nocodazole, results in a dose-dependent accumulation of 4c pHistone H3 negative cells in U2OS cells[1].

Acceleration of mitosis kinetics in Mps1-IN-1-treated cells had direct consequences on genomic stability with cells exhibiting significant signs of chromosome mis-alignment and chromosome mis-segregation[1].

Mps1-IN-1 dihydrochloride demonstrates greater than 1000-fold selectivity relative to the 352 member kinase panel with the major exceptions of Alk and Ltk[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

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| Cell Line: | HCT116 cells |

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| Concentration: | 2, 5, 10 μM |
| Incubation Time: | 96 hours |
| Result: | The proliferative capacity of HCT116 cells was reduced to 33% that of DMSO control. |

Cell Cycle Analysis[1]

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| Cell Line: | U2OS cells |
| Concentration: | 0.3, 0.5, 1, 2, 5, 10 μM |
| Incubation Time: | 4 hours |
| Result: | Dropped levels of cyclin B protein, which accumulate in G2 and are sustained during an activated spindle checkpoint. |

Western Blot Analysis[1]

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| Cell Line: | Hela and U2OS cells |
| Concentration: | 10 μM |
| Incubation Time: | Pretreatment 1 hour before taxol and MG132 |
| Result: | Caused a dose-dependent reduction in the phosphorylation status of Aurora B at threonine-232 (Thr232). |

## REFERENCES

[1]. Nicholas Kwiatkowski, et al. Small-molecule kinase inhibitors provide insight into Mps1 cell cycle function. Nat Chem Biol. 2010 May;6(5):359-68.



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