# RS6212

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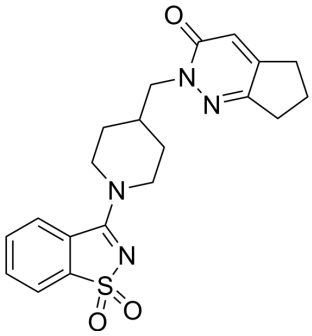
| **Cat. No.:** | HY-150753 |
| **CAS No.:** | 2097925-52-3 |
| **Molecular Formula:** | $C_{20}H_{22}N_4O_3S$ |
| **Molecular Weight:** | 398.48 |
| **Target:** | Lactate Dehydrogenase; Oxidative Phosphorylation |
| **Pathway:** | Metabolic Enzyme/Protease |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |



## BIOLOGICAL ACTIVITY

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| **Description** | RS6212 is a specific LDH (lactate dehydrogenase) inhibitor with an $IC_{50}$ value of 12.03 μM . RS6212 inhibits tumor growth and exhibits potent anticancer activity in multiple cancer cell lines[1]. |
| **$IC_{50}$ & Target** | IC50: 12.03 μM (LDHA)[1] |

**In Vitro**

Most cancer cells switch metabolism from mitochondrial oxidative phosphorylation to aerobic glycolysis, which is catalyzed by lactate dehydrogenase (LDH). Sonic Hedgehog (SHH) pathway aberrant activation is related to metabolism shifting to glycolysis[1].

RS6212 (compound 18) (80 μM; 0-72 h) exhibits significantly anti-proliferative activity against cancer cells and (1 μM, 10 μM, 100 μM; 24 h) inhibits Med-MB (SHH MB, medulloblastoma) with an $IC_{50}$ value of 81 μM[1].

RS6212 (80 μM; 6 h) decreases LDH activity, glycolytic level, and ECAR (extracellular acidification rate), and (12.03 μM; 6 h) increases NADH level[1].

RS6212 (0-320 μM; 48 h) inhibits cell growth in HCT116 cells without PARP cleavage nor LC3B–I lipidation[1].

RS6212 (50 nM and 100 nM; 24 h) increases inhibitory effect against HCT116 cells in combination with 50 nM or 100 nM rotenone. RS6212-Rotenone causes significant cleavage of PARP, thus activates programmed cell death of cancer cells[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 CRC cells lacking LDHA |
| Concentration: | 12.03 μM |
| Incubation Time: | 24 hours |
| Result: | Failed to inhibit cell proliferation without LDHA, indicating anticancer proliferation by specifically inhibiting LDHA activity. |

Cell Proliferation Assay[1]

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| Cell Line: | Hct116, SW480, A549, PANC-1 |
| Concentration: | 80 μM |
| Incubation Time: | 0, 24, 48, 72 hours |

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| Result: | Inhibited cancer cells growth, characterized by increasing glycolytic metabolism. |

## REFERENCES

[1]. Di Magno L, et al. Discovery of novel human lactate dehydrogenase inhibitors: Structure-based virtual screening studies and biological assessment. Eur J Med Chem. 2022 Jul 14. 240:114605.



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