# LY3410738

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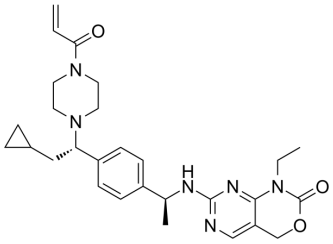
| **Cat. No.:** | HY-131312 |
| **CAS No.:** | 2230263-60-0 |
| **Molecular Formula:** | $C_{28}H_{36}N_6O_3$ |
| **Molecular Weight:** | 504.62 |
| **Target:** | Isocitrate Dehydrogenase (IDH) |
| **Pathway:** | Metabolic Enzyme/Protease |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |



## BIOLOGICAL ACTIVITY

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| **Description** | Mutant IDH1-IN-6 is a potent, selective and orally active mutant isocitrate dehydrogenase (IDH) inhibitor with $IC_{50}$s of 6.27 nM, 3.71 nM, 36.9 nM and 11.5 nM for IDH1 R132H, IDH1 R132C, IDH2 R140Q and IDH2 R172K mutant enzymes, respectively. Mutant IDH1-IN-6 is less active at inhibiting the IDH wild-type enzymes[1]. |

| **$IC_{50}$ & Target** | IDH1 | IDH2 |
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**In Vitro**

Mutant IDH1-IN-6 (example 2) inhibits production of 2-hydroxyglutarate in HT1080 cells with an IC50 of 1.28 nM, indicating the inhibition of mutant IDHl R132C in cells. aKG, a metabolite generated by wild-type IDHl is not affected by Mutant IDH1-IN-6, indicating Mutant IDH1-IN-6 is selective for mutant IDHl over wild type IDHl in cells[1].

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

**In Vivo**

Mutant IDH1-IN-6 (Example 2; 0-32 mg/kg; oral gavage; twice daily; for 3 days) treatment inhibitis of 2-hydroxyglutarate in a dose-dependent manner in IDHl mutant xenograft mice[1].

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

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| Animal Model: | Athymic nude mice (20-22 g) injected with TB08 cells[1] |
| Dosage: | 1 mg/kg, 2 mg/kg, 4 mg/kg, 8 mg/kg, 16 mg/kg, 32 mg/kg |
| Administration: | Oral gavage; twice daily; for 3 days |
| Result: | Inhibitied of 2-hydroxyglutarate in IDHl mutant xenograft mice. |

## REFERENCES

[1]. Renato Alejandro BAUER, et al. 7-phenylethylamino-4h-pyrimido[4,5-d][1,3]oxazin-2-one compounds as mutant idh1 and idh2 inhibitors. WO2018111707A1.

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

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