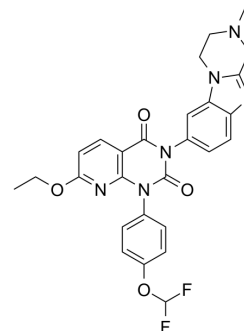


MAT2A-IN-10

| | |
|---------------------------|---|
| Cat. No.: | HY-149915 |
| CAS No.: | 2924825-23-8 |
| Molecular Formula: | C ₂₇ H ₂₄ F ₂ N ₆ O ₄ |
| Molecular Weight: | 534.51 |
| Target: | Methionine Adenosyltransferase (MAT) |
| Pathway: | Epigenetics; Metabolic Enzyme/Protease |
| Storage: | Please store the product under the recommended conditions in the Certificate of Analysis. |



BIOLOGICAL ACTIVITY

| | | | | | | | | | |
|-------------------------------------|---|---------------|---|----------------|--------------|------------------|---------------------------|---------|--|
| Description | MAT2A-IN-10 (Compound 28) is an orally active MAT2A inhibitor with an IC ₅₀ of 26 nM. MAT2A-IN-10 can be used for the research of cancer ^[1] . | | | | | | | | |
| IC₅₀ & Target | IC ₅₀ : 26 nM (MAT2A) ^[1] | | | | | | | | |
| In Vitro | <p>MAT2A-IN-10 (Compound 28; 0.1 nM-10 μM; 4 days) inhibits HCT-116 (MTAP^{-/-}) cell proliferation with an IC₅₀ of 75 ± 5 nM but not inhibits HCT-116 (WT) proliferation^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>HCT-116 (WT) and HCT-116 (MTAP^{-/-})</td> </tr> <tr> <td>Concentration:</td> <td>0.1 nM-10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>4 days</td> </tr> <tr> <td>Result:</td> <td>Inhibited cell proliferation with IC₅₀s of 75 ± 5 nM and >10000 nM against HCT-116 (MTAP^{-/-}) and HCT-116 (WT) cells, respectively.</td> </tr> </table> | Cell Line: | HCT-116 (WT) and HCT-116 (MTAP ^{-/-}) | Concentration: | 0.1 nM-10 μM | Incubation Time: | 4 days | Result: | Inhibited cell proliferation with IC ₅₀ s of 75 ± 5 nM and >10000 nM against HCT-116 (MTAP ^{-/-}) and HCT-116 (WT) cells, respectively. |
| Cell Line: | HCT-116 (WT) and HCT-116 (MTAP ^{-/-}) | | | | | | | | |
| Concentration: | 0.1 nM-10 μM | | | | | | | | |
| Incubation Time: | 4 days | | | | | | | | |
| Result: | Inhibited cell proliferation with IC ₅₀ s of 75 ± 5 nM and >10000 nM against HCT-116 (MTAP ^{-/-}) and HCT-116 (WT) cells, respectively. | | | | | | | | |
| In Vivo | <p>MAT2A-IN-10 (Compound 28; p.o.; once daily for 6 days) results in tumor regression in the HCT-116 (MTAP^{-/-}) xenograft tumor model in BALB/c mice^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>BALB/c mice, HCT-116(MTAP^{-/-}) xenograft mouse tumor model^[1]</td> </tr> <tr> <td>Dosage:</td> <td>50 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>PO, once daily for 6 days</td> </tr> <tr> <td>Result:</td> <td>Resulted in tumor regression. Induced a continuous decrease in tumor volume after day 6, and the tumor regression reached -52% at the end of treatment (day 18).</td> </tr> </table> | Animal Model: | BALB/c mice, HCT-116(MTAP ^{-/-}) xenograft mouse tumor model ^[1] | Dosage: | 50 mg/kg | Administration: | PO, once daily for 6 days | Result: | Resulted in tumor regression. Induced a continuous decrease in tumor volume after day 6, and the tumor regression reached -52% at the end of treatment (day 18). |
| Animal Model: | BALB/c mice, HCT-116(MTAP ^{-/-}) xenograft mouse tumor model ^[1] | | | | | | | | |
| Dosage: | 50 mg/kg | | | | | | | | |
| Administration: | PO, once daily for 6 days | | | | | | | | |
| Result: | Resulted in tumor regression. Induced a continuous decrease in tumor volume after day 6, and the tumor regression reached -52% at the end of treatment (day 18). | | | | | | | | |

Animal Model: Male ICR Mice^[1]

Dosage: 10 mg/kg

Administration: Oral (Pharmacokinetic Analysis)

Result: Pharmacokinetic Properties of MAT2A-IN-10 (Compound 28) in Male ICR Mice (n = 3)^a

| route | dose [mg/kg] | T _{max} [h] | T _{1/2} [h] | MRT [h] | C _{max} [ng/mL] | AUC [ng•h/mL] |
|-------|-----------------|----------------------|----------------------|---------|-----------------------------|------------------|
| po | 10 | 0.67 | 2.98 | 4.71 | 6733 | 41192 |

^aT_{max}, time for maximum plasma concentration; T_{1/2}, elimination half-life; MRT, mean residue time; C_{max}, maximum plasma concentration; AUC, area under drug time curve.

REFERENCES

[1]. Zhang S, et al. Design and Structural Optimization of Methionine Adenosyltransferase 2A (MAT2A) Inhibitors with High In Vivo Potency and Oral Bioavailability. J Med Chem. 2023 Apr 13;66(7):4849-4867.

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

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