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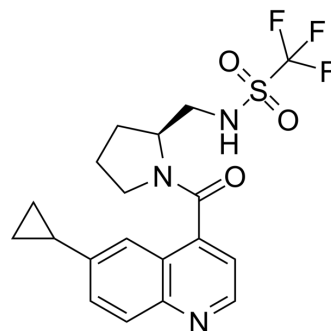
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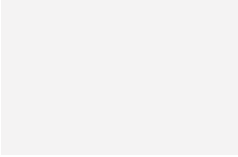
URAT1 inhibitor 10

Cat. No.:	HY-163431
CAS No.:	3012586-38-5
Molecular Formula:	C ₁₉ H ₂₀ F ₃ N ₃ O ₃ S
Molecular Weight:	427.44
Target:	URAT1
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	URAT1 inhibitor 10 (Compound 23a) is a URAT1 inhibitor. URAT1 inhibitor 10 has oral efficacy and low cytotoxicity. URAT1 inhibitor 10 has high selectivity for OAT1 [1].																								
In Vitro	<p>URAT1 inhibitor 10 shows low cytotoxicity (IC₅₀ > 64 µg/mL) in HepG2 cells^[1].</p> <p>URAT1 inhibitor 10 (5 µM; 30 min) inhibits OAT1 activity in MDCK-hOAT cells (IC₅₀ = 9.17 µM)^[1].</p> <p>URAT1 inhibitor 10 (24 h) shows moderate inhibition of IL-6 (IR = 25.6%) in RAW264.7 cells, which may alleviate symptoms associated with MSU crystal-mediated inflammatory cytokines^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																								
In Vivo	<p>URAT1 inhibitor 10 (10 mg/kg; p.o. once a day for 7 days) shows a significant reduction in the activity of serum uric acid (SUA) in a mouse model of hyperuricemia induced by potassium oxybate with a reduction of 53.9%^[1].</p> <p>URAT1 inhibitor 10 (50 mg/kg; p.o.) has a favorable pharmacokinetic profile^[1].</p> <p>Pharmacokinetic Analysis in URAT1 inhibitor 10 Model^[1]</p> <table border="1"> <thead> <tr> <th>Route</th> <th>Dose (mg/kg)</th> <th>t_{1/2} (h)</th> <th>t_{max} (h)</th> <th>C_{max} (ng/mL)</th> <th>AUC_(0-t) (ng·h/mL)</th> <th>AUC_(0-∞) (ng·h/mL)</th> <th>MRT_(0-∞) (h)</th> </tr> </thead> <tbody> <tr> <td>p.o.</td> <td>50</td> <td>1.9</td> <td>0.25</td> <td>8187</td> <td>10050</td> <td>10255</td> <td>1.43</td> </tr> </tbody> </table> <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>hyperuricemia mice ^[1]</td> </tr> <tr> <td>Dosage:</td> <td>10 mg/kg Once a day for seven days</td> </tr> <tr> <td>Administration:</td> <td>p.o.</td> </tr> <tr> <td>Result:</td> <td>Exhibited significant serum uric acid-lowering activity. The serum uric acid (SUA) levels decreased to 424 µM, achieving a reduction ratio of 53.9%. This performance was</td> </tr> </table>	Route	Dose (mg/kg)	t _{1/2} (h)	t _{max} (h)	C _{max} (ng/mL)	AUC _(0-t) (ng·h/mL)	AUC _(0-∞) (ng·h/mL)	MRT _(0-∞) (h)	p.o.	50	1.9	0.25	8187	10050	10255	1.43	Animal Model:	hyperuricemia mice ^[1]	Dosage:	10 mg/kg Once a day for seven days	Administration:	p.o.	Result:	Exhibited significant serum uric acid-lowering activity. The serum uric acid (SUA) levels decreased to 424 µM, achieving a reduction ratio of 53.9%. This performance was
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comparable to that of the positive control, Lesinurad (HY-15258), which also demonstrated significant SUA-lowering effects at the same dose.

REFERENCES

[1]. Li S et al. Proline-derived quinoline formamide compounds as human urate transporter 1 inhibitors with potent uric acid-lowering activities. Eur J Med Chem. 2024 Apr 5;269:116327

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