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URAT1/GLUT9-IN-1

Cat. No.: HY-158056

CAS No.: 2883011-18-3

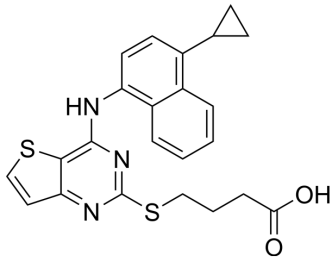
Molecular Formula: $C_{23}H_{21}N_3O_2S_2$

Molecular Weight: 435.56

Target: GLUT; URAT1

Pathway: Membrane Transporter/Ion Channel

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

URAT1/GLUT9-IN-1(compound 29) can inhibit both uric acid transporter 1(URAT1)(IC_{50}=2.01 μM) and glucose transporter 9(GLUT9)(IC_{50}=18.21 μM). URAT1/GLUT9-IN-1 exhibits favorable pharmacokinetic properties and oral bioavailability. URAT1/GLUT9-IN-1 can be uesd for gout and hyperuricemia research[1].

IC_{50} & Target

GLUT9
18.21 μM (IC_{50})

In Vitro

URAT1/GLUT9-IN-1 possesses the most effective inhibition of URAT1-mediated 14C-uric acid uptake (IC_{50}= 2.01 μM), which is about three times more potent than Lesinurad(HY-15258) (IC_{50}= 5.54 μM)[1].
URAT1/GLUT9-IN-1 (5 μM) compares to Benzbromarone(HY-B1135) at a concentration of 5 μM and demonstrated an IC_{50} value of 18.21 ± 1.03 μM[1].
URAT1/GLUT9-IN-1 (10 μM) inhibits to xanthine oxidase(XOD) less than 20%, indicating its negligible inhibitory activity[1].
URAT1/GLUT9-IN-1 inhibits the inhibitory potential of CYP drug metabolizing enzymes(CYP2C9 (IC_{50}= 2.00 μM) and CYP2C19 (IC_{50}= 5.93 μM)) and exhibits a low potential for inducing hepatotoxicity[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

URAT1/GLUT9-IN-1 (0.25, 0.5, 1mg/kg, po.) has the smallest effective dose of reduce serum uric acid(SUA) activity is about 0.5 mg/kg in the mouse model of acute hyperuricemia[1].
URAT1/GLUT9-IN-1 (2mg/kg, po.) exhibits significant potential as a SUA reduction drug, demonstrating approximately 1.8-fold higher potency compared to Lesinurad(HY-15258) in a stable hyperuricemia rat model[1].
URAT1/GLUT9-IN-1 (100 mg/kg, po.; every other day for a period of 14 days) displays significantly enhanced safety profiles compared to Lesinurad(HY-15258) in Mice with Chronic Hyperuricemia[1].
Pharmacokinetic Analysis in AD rats[1]

Route	Dose (mg/kg)	AUC_{0_t} (ng•h/mL)	AUC_{0_INF} (ng•h/mL)	MRT_{0_INF} (h)	$T_{1/2}$ (h)	T_{max} (h)	C_{max} (ng/mL)	Cl (L•h/kg)	F (%)
p.o.	2	1813.4	7929.1	2.5	1.8	0.25	1272.7	/	20.1
i.v.	2	1903.7	1922.9	0.5	1.6	0.083	6591.8	17.5	/

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

Animal Model:	stable hyperuricemia rat model
Dosage:	2 mg/kg
Administration:	Oral gavage (p.o.)
Result:	Had 90.12% titer ratio of decreasing sua activity.

Animal Model:	14-day model of chronic hyperuricemia
Dosage:	
Administration:	i.g.
Result:	Exhibited superior therapeutic efficacy (76.33%) in chronic hyperuricemia in mice compared to lesinurad (33.56%)

Animal Model:	Healthy Mice
Dosage:	100 mg/kg every other day for a period of 14 days
Administration:	Oral gavage (p.o.)
Result:	Caused slight weight loss and mild kidney damage.

REFERENCES

[1]. Shi X, et al. Discovery of a Novel Thienopyrimidine Compound as a Urate Transporter 1 and Glucose Transporter 9 Dual Inhibitor with Improved Efficacy and Favorable Druggability[J]. Journal of Medicinal Chemistry, 2024, 67(6): 5032-5052.

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