SZABO SCANDIC

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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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ERG240

Cat. No.: HY-W193545A

CAS No.: 1415683-79-2

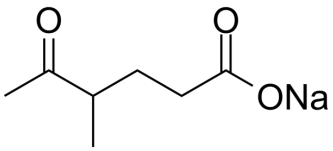
Molecular Formula: $C_7H_{11}NaO_3$

Molecular Weight: 166.15

Target: Others

Pathway: Others

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (601.87 mM; Need ultrasonic)
H_2O : 100 mg/mL (601.87 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	6.0187 mL	30.0933 mL	60.1866 mL
5 mM	1.2037 mL	6.0187 mL	12.0373 mL
10 mM	0.6019 mL	3.0093 mL	6.0187 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

ERG240 is an oral active branched-chain amino acid aminotransferase 1 (BCAT1) inhibitor. ERG240 can be used for the research of cancer, rheumatoid arthritis, and bone disease[1].

In Vitro

ERG240 (20 μM, 3 hours) significantly reduces Irg1 mRNA and protein levels, along with itaconate production, in human monocyte-derived macrophages[2].
ERG240 (5 μM and 10 μM, 24 hours) significantly inhibits migration in bone marrow-derived macrophages (BMDMs)[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	Human monocyte-derived macrophages (hMDMs)
Concentration:	20 μM
Incubation Time:	3 hours
Result:	Resulted in a significant reduction in IRG1 and IL-1β protein levels, with no significant

	change in HIF1A and ACTB expression.

Cell Migration Assay [2]

Cell Line:	Bone Marrow-Derived Macrophages (BMDMs)
Concentration:	5 μM and 10 μM
Incubation Time:	24 hours
Result:	Inhibited BMDM migration in a dose-dependent manner without affecting cell viability.

Real Time qPCR[2]

Cell Line:	Human monocyte-derived macrophages (hMDMs)
Concentration:	20 μM
Incubation Time:	3 hours
Result:	Significantly reduced Irg1 mRNA levels, along with itaconate production, without affecting HIF1A and IL1B mRNA levels.

In Vivo

ERG240 (500 mg/kg, i.p., administered 30 min before and 8 h after LPS injection) significantly decreases pro-inflammatory response and increases anti-inflammatory transcriptomic features in LPS (HY-D1056)-induced acute inflammation C57BL/6 mouse model[2].

ERG240 (720 mg/kg and 1000 mg/kg, p.o., once daily for 3 weeks (720 mg/kg) and 4 weeks (1000 mg/kg)) significantly alleviates inflammation and joint destruction in the collagen-induced arthritis DBA/1 mouse model[2].

ERG240 (500 mg/kg, p.o., once daily for 10 days) significantly reduces the severity of inflammation and interstitial fibrosis in the NTN WKY rat model induced by nephrotoxic serum[2].

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

Animal Model:	LPS (HY-D1056)-induced acute inflammation C57BL/6 mouse model[2]
Dosage:	500 mg/kg
Administration:	Intraperitoneal injection (i.p.), administered 30 min before and 8 h after LPS injection
Result:	Reduced Irg1 mRNA and protein levels along with itaconate production.

Animal Model:	Collagen (HY-NP102)-induced arthritis (CIA) DBA/1 mouse model[2]
Dosage:	720 and 1000 mg/kg
Administration:	Oral gavage (p.o.), once daily for 3 weeks (720 mg/kg) and 4 weeks (1000 mg/kg)
Result:	Significantly reduced inflammation, cartilage damage, pannus formation, and bone resorption in CIA model; decreased serum levels of pro-inflammatory markers TNF and RANKL.

Animal Model:	Nephrotoxic nephritis (NTN) WKY rat model induced by nephrotoxic serum (NTS) injection [2]
Dosage:	500 mg/kg

Administration:	Oral gavage (p.o.), once daily for 10 days
Result:	Reduced glomerular crescent formation, proteinuria, serum creatinine, and collagen type I levels.

CUSTOMER VALIDATION

- Oral Dis. 2024 Jul 26.
- bioRxiv. 2024 July 05.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Papathanassiu, et al. Methods for treatment of cancer, inflammatory autoimmune disorders and bone diseases using branched-chain amino acid aminotransferase-1 (BCAT1) inhibitors. Patent. WO2012173987.

[2]. Papathanassiu AE, et al. BCAT1 controls metabolic reprogramming in activated human macrophages and is associated with inflammatory diseases. Nat Commun. 2017 Jul 12;8:16040.

[3]. Papathanassiu A E, et al. Inhibition of BCAT1 suppresses the expression of pro-metastatic proteins and reduces cancer metastasis[J]. Cancer Research, 2014, 74(19_Supplement): 2683-2683.

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