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Produktinformation

- Forschungsprodukte & Biochemikalien
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- 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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PKM2-IN-3

Cat. No.: HY-139667

CAS No.: 2408841-19-8

Molecular Formula: $C_{21}H_{22}O_4$

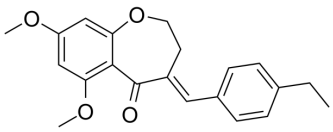
Molecular Weight: 338.4

Target: Pyruvate Kinase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (591.02 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9551 mL	14.7754 mL	29.5508 mL
5 mM	0.5910 mL	2.9551 mL	5.9102 mL
10 mM	0.2955 mL	1.4775 mL	2.9551 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (14.78 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (14.78 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PKM2-IN-3 is an inhibitor of PKM2 kinase with an IC_{50} value of 4.1 μM. PKM2-IN-3 exhibits an anti-neuroinflammatory effect by inhibiting PKM2-mediated glycolysis and NLRP3 activation[1].

IC_{50} & Target

PKM2
4.1 μM (IC_{50})

In Vitro

PKM2-IN-3 (compound 10i) inhibits the TNF-α release of LPS-stimulated RAW264.7 macrophages, with an IC_{50} value of 5.2 μM. PKM2-IN-3 exhibits the lowest toxicity with a CC_{50} value of 43.6 μM[1].
PKM2-IN-3 (0.1-100 μM; 20 min) inhibits PKM2 kinase activity in a cell-free molecular level with an IC_{50} value of 4.1 μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PKM2-IN-3 (1, 10 mg/kg; i.p.; daily for 3 days) significantly reverses the LPS-induced mice behavior changes in open field test [1].

PKM2-IN-3 (1, 10 mg/kg; i.v.; injected at 4 hours and 24 hours after ischemia onset) reduces the infarct volume and improves neurological deficits of tMCAO rats[1].

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

Animal Model:	LPS-induced mice (male 6-8 weeks old; 20.0-22.0 g)[1]
Dosage:	1, 10 mg/kg
Administration:	i.p.; daily for 3 days
Result:	Reversed the LPS-induced mice behavior changes in open field test.

Animal Model:	tMCAO Sprague-Dawley rats (Male 8-10 weeks old; 250.0-280.0 g)[1]
Dosage:	1, 10 mg/kg
Administration:	i.v.; injected at 4 hours and 24 hours after ischemia onset
Result:	Reduced the infarct volume and improved neurological deficits of tMCAO rats.

REFERENCES

[1]. Gao CL, et al. Synthesis and Target Identification of Benzoxepane Derivatives as Potential Anti-Neuroinflammatory Agents for Ischemic Stroke. Angew Chem Int Ed Engl. 2020;59(6):2429-2439.

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