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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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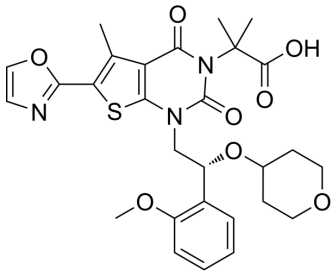
Firsocostat

Cat. No.:	HY-16901
CAS No.:	1434635-54-7
Molecular Formula:	$C_{28}H_{31}N_3O_8S$
Molecular Weight:	569.63
Target:	Acetyl-CoA Carboxylase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (87.78 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7555 mL	8.7776 mL	17.5553 mL
	5 mM	0.3511 mL	1.7555 mL	3.5111 mL
	10 mM	0.1756 mL	0.8778 mL	1.7555 mL

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

In Vivo

1. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: 0.5 mg/mL (0.88 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Firsocostat (ND-630; GS-0976; NDI-010976) is an acetyl-CoA carboxylase (ACC) inhibitor; inhibits human ACC1 and ACC2 with IC_{50} values of 2.1 and 6.1 nM, respectively.

IC_{50} & Target

IC50: 2.1 nM (hACC1); 6.1 nM (hACC2)[1]

In Vitro

Firsocostat (ND-630) inhibits hACC1 (IC_{50}=2.1±0.2 nM) and hACC2 (IC_{50}=6.1±0.8 nM). Inhibition is reversible and highly specific for ACC. Firsocostat inhibits ACC activity by interacting within the phosphopeptide-acceptor and dimerization site of the enzyme to prevent dimerization. Firsocostat inhibits fatty acid synthesis with an EC_{50} of 66 nM in HepG2 cells without altering the total cell number, cellular protein concentration, and incorporation of acetate into cholesterol[1].

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

In Vivo	Chronical administration of Firsocostat (ND-630) to rats with diet-induced obesity reduces hepatic steatosis, improves insulin sensitivity, reduces weight gain without affecting food intake, and favorably affects dyslipidemia. Chronical administration of Firsocostat Zucker diabetic fatty rats, Firsocostat reduces hepatic steatosis, improves glucose-stimulated insulin secretion, and reduces hemoglobin A1c (0.9% reduction). Firsocostat exhibits an aqueous solubility of 594 μM and human and rat plasma protein binding of 98.5% and 98.6%, respectively. Pharmacokinetic evaluation of Firsocostat in male Sprague-Dawley rats [i.v. 3 mg/kg; orally (p.o.) 10 mg/kg] yields a plasma $t_{1/2}$ of 4.5 h, bioavailability of 37%, clearance of 33 mL/min/kg, volume of distribution of 1.9 L/kg, oral time of maximum plasma concentration of 0.25 h[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats: Firsocostat is prepared in aqueous saline solution containing 1% Tween 80 and 0.5% methyl cellulose. Eight-week-old male ZDF rats are given either vehicle or Firsocostat (0.5, 1.5, 5 mg/kg) in vehicle by oral gavage b.i.d. for 37 d. Blood glucose is measured by glucometer at baseline and weekly just before dosing. Blood is collected at baseline, after 3 wk of treatment, and at the end of the study, 6 h after dosing and after a 6-h fast, for measurement of the indicated parameters. After 3 wk of treatment, animals received an oGTT (1 g/kg glucose). At the end of the study animals are killed, and liver cholesterol, triglycerides, and free fatty acids are determined[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2020 Sep 3;5(1):187.
- Adv Sci (Weinh). 2023 Jun 6;e2301094.
- Sci Adv. 2023 Oct 20;9(42):eadj4198.
- Int J Biol Sci. 2023 Jun 14; 19(10): 3143-3158.
- Cell Rep. 2021 Jul 27;36(4):109460.

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REFERENCES

[1]. Harriman G, et al. Acetyl-CoA carboxylase inhibition by ND-630 reduces hepatic steatosis, improves insulin sensitivity, and modulates dyslipidemia in rats. Proc Natl Acad Sci U S A. 2016 Mar 29;113(13):E1796-805.

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

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