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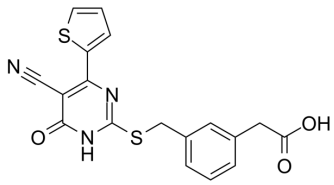
TES-1025

Cat. No.:	HY-111365
CAS No.:	1883602-21-8
Molecular Formula:	$C_{18}H_{13}N_3O_3S_2$
Molecular Weight:	383.44
Target:	Others
Pathway:	Others
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (260.80 mM; Need warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6080 mL	13.0399 mL	26.0797 mL
	5 mM	0.5216 mL	2.6080 mL	5.2159 mL
	10 mM	0.2608 mL	1.3040 mL	2.6080 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: ≥ 2.5 mg/mL (6.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TES-1025 is a potent and selective human α-amino-β-carboxymuconate-ε-semialdehyde decarboxylase (ACMSD) inhibitor with an IC_{50} of 13 nM[1].

IC_{50} & Target

IC50: 13±3 nM (human ACMSD)[1]

In Vitro

TES-1025 is a low nanomolar human ACMSD inhibitor, which increases NAD^+ levels in cellular systems[1].

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

In Vivo

TES-1025 is subjected to in vivo pharmacokinetic studies, following intravenous (IV) and oral (PO) dosings of male CD-1 mice. After the intravenous administration of 0.5 mg/kg, TES-1025 shows low blood clearance, with low volumes of

	distribution and half-lives ($t_{1/2}$) of about 5.33 h, although after oral administration at 5 mg/kg, the blood concentration of TES-1025 is quantifiable for up to 8 h. A good systemic exposure is recorded for TES-1025, with a C_{max} of 2570 ng/mL reaches at 2 h after dosing. The greater oral exposure of TES-1025 is further confirmed in the liver and kidneys with AUC_{0-8h} of 19 200 h•ng/mL and 36 600 h•ng/mL, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	Recombinant hACMSD is expressed in Pichia pastoris and purified. Its enzyme activity is assayed by a coupled spectrophotometric assay. Briefly, in a pre-assay mixture, the ACMS substrate is generated from 10 μM 3-hydroxyanthranilic acid by recombinant 3-hydroxyanthranilate 3,4-dioxigenase from Ralstonia metallidurans. ACMS formation is monitored at 360 nm, and after the reaction is complete, an appropriate amount of ACMSD is added. Activity is calculated from the initial rate of the absorbance decrease subtracted from that of a control reaction mixture in the absence of ACMSD. The effects of the various compounds (e.g., TES-1025) on the enzyme activity are tested by adding the compounds to the assay mixture along with ACMSD. For the IC_{50} evaluations for each compound, a serial dilution from a stock solution prepared in DMSO is tested, maintaining a DMSO concentration in all the reaction mixtures of 1.0%. One unit is defined as the amount of enzyme that consume 1 μmol of ACMS per minute at 37°C[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] Male CD-1 mice are used.The study is conducted in 3 phases. Phase 1: 18 mice receive an oral administration of TES-1025 at a target dose level of 5 mg/kg. Blood, brain and liver are collected at intervals up to 8 h after dose administration (n=3 animals per each time point). Phase 2: 3 mice receive each an intravenous administration of TES-1025 at a target dose of 0.5 mg/kg. Blood samples are collected from the lateral tail vein at intervals up to 24 h after dose administration. Phase 3: 3 mice receive a single intravenous administration of Elacridar (5 mg/kg) shortly before an oral administration of TES-1025 at a target dose of 5 mg/kg. Blood and brain samples are collected 0.5 h after dose administration. Brain, liver and kidney are collected from all animals of the study[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nano Res. 2023 Apr 18.
- Biomed Pharmacother. 2020 Dec;132:110836.
- Toxicol Lett. 2021 Jun 2;S0378-4274(21)00145-4.

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REFERENCES

[1]. Pellicciari R, et al. α-Amino-β-carboxymuconate-ε-semialdehyde Decarboxylase (ACMSD) Inhibitors as Novel Modulators of De Novo Nicotinamide Adenine Dinucleotide (NAD+) Biosynthesis. J Med Chem. 2018 Feb 8;61(3):745-759.

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