Thiacetazone

Cat. No.: HY-B1526

CAS No.: 104-06-3

Molecular Formula: $C_{10}H_{12}N_4OS$

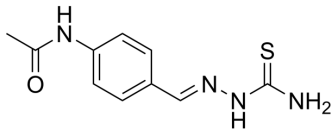
Molecular Weight: 236.29

Target: Bacterial; Antibiotic

Pathway: Anti-infection

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 (423.21 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.2321 mL	21.1604 mL	42.3209 mL
5 mM	0.8464 mL	4.2321 mL	8.4642 mL
10 mM	0.4232 mL	2.1160 mL	4.2321 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 (10.58 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.58 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Thiacetazone (Thioacetazone) is a thiourea-containing antitubercular agent and is an orally active antibiotic. Thiacetazone has antibacterial action, which inhibits growth of ***Mycobacterium tuberculosis H37Rv*** with a MIC value of 0.1 μg/mL[1].

IC_{50} & Target

MIC: 0.1 μg/mL (Mycobacterium tuberculosis H37Rv)[1]

In Vitro

Thiacetazone is a prodrug that is activated by the mycobacterial monooxygenase EthA, which is also the activator of two other anti-tuberculosis agents, Ethionamide and Isoxyl[3].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The K_m and V_{max} values for the N-deacetylation of Thiacetazone are 0.57 mM and 0.123 nmol of p-aminobenzaldehydethiosemicarbazone formed/min/mg cytosolic protein, respectively. The ability to metabolize Thiacetazone is the same in the livers of cat, mouse and human, but lagged significantly in that of rat[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. J L Stigliani, et al. New Insights Into the Chemical Behavior of S-oxide Derivatives of Thiocarbonyl-Containing Antitubercular Drugs and the Influence on Their Mechanisms of Action and Toxicity. Ann Pharm Fr. 2019 Mar;77(2):126-135.

[2]. P Khanna, et al. Characteristics of a Cytosolic Arylacylamidase Metabolizing Thiacetazone. J Pharmacol Exp Ther. 1992 Sep;262(3):1225-31.

[3]. Anuradha Alahari, et al. Thiacetazone, an Antitubercular Drug That Inhibits Cyclopropanation of Cell Wall Mycolic Acids in Mycobacteria. PLoS One. 2007 Dec 19;2(12):e1343.

[4]. C A Peloquin, et al. Pharmacokinetic Evaluation of Thiacetazone. Pharmacotherapy. Sep-Oct 1996;16(5):735-41.

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