Amustaline dihydrochloride

Cat. No.: HY-106991A

CAS No.: 210584-54-6

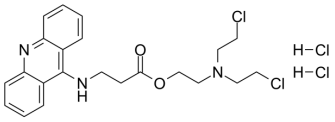
Molecular Formula: $C_{22}H_{27}Cl_4N_3O_2$

Molecular Weight: 507.28

Target: HIV; Bacterial

Pathway: Anti-infection

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (197.13 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9713 mL	9.8565 mL	19.7130 mL
	5 mM	0.3943 mL	1.9713 mL	3.9426 mL
	10 mM	0.1971 mL	0.9856 mL	1.9713 mL

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

BIOLOGICAL ACTIVITY

Description

Amustaline (S-303) dihydrochloride, a nucleic acid-targeted alkylator, is an efficient pathogen inactivation agent for blood components containing red blood cells. Amustaline dihydrochloride has three components: an acridine anchor (an intercalator that targets nucleic acids non-covalently), an effector (a bis-alkylator group that reacts with nucleophiles), and a linker (a small flexible carbon chain containing a labile ester bond that hydrolyzes at neutral pH to yield non-reactive breakdown products)[1][2].

In Vitro

S303 (200 μM; 20 h) and glutathione (GSH; 20 mM) inactivates high titres of Chikungunya virus (CHIKV) in red blood cells (RBCs)[1].

S-303 (200 μM; 20 h) and GSH (2 mM) inactivates >6.5 logs of HIV, >5.7 logs of Bluetongue virus, >7.0 logs of Yersinia enterocolitica, 4.2 logs of Serratia marcescens, and 7.5 logs of Staphylococcus epidermidis in whole blood experiments[2].

S-303 (200 μM; 20 h) and GSH (20 mM) inactivates approximately 5 logs or greater of Y. enterocolitica, E. coli, S. marcescens, S. aureus, HIV, bovine viral diarrhoea virus, bluetongue virus and human adenovirus 5 in RBC[2].

S-303 (200 μM; 20 h) retains in vitro parameters of RBC function and physiology (including total ATP, extracellular potassium, hemolysis, glucose consumption, lactate production, and pH at 37 °C) compared to conventional RBC[2].

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

In Vivo

S303 RBCs (a single transfusion) is well tolerated in rats (50 μmol/kg) and dogs (70 μmol/kg)[3].

S303 RBCs (repeated transfusions) is well tolerated in rats (10 μmol/kg) and dogs (10 μmol/kg) with no histopathologic evidence of organ toxicity[3].

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

REFERENCES

[1]. Aubry M, et, al. Amustaline (S-303) treatment inactivates high levels of Chikungunya virus in red-blood-cell components. Vox Sang. 2018 Apr;113(3):232-241.

[2]. Mufti NA, et, al. Treatment of whole blood (WB) and red blood cells (RBC) with S-303 inactivates pathogens and retains in vitro quality of stored RBC. Biologicals. 2010 Jan;38(1):14-9.

[3]. North A, et, al. Preclinical pharmacokinetic and toxicology assessment of red blood cells prepared with S-303 pathogen inactivation treatment. Transfusion. 2011 Oct;51(10):2208-18.

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