Bleomycin hydrochloride

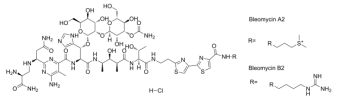
Cat. No.: HY-17565A

CAS No.: 67763-87-5

Target: DNA/RNA Synthesis; Antibiotic

Pathway: Cell Cycle/DNA Damage; Anti-infection

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



SOLVENT & SOLUBILITY

In Vitro	H_2O : 100 mg/mL (Need ultrasonic) DMSO : 50 mg/mL (ultrasonic and warming and heat to 60°C)
In Vivo	1. Add each solvent one by one: PBS Solubility: 100 mg/mL (Infinity mM); Clear solution; Need ultrasonic and warming and heat to 60°C 2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (Infinity mM); Clear solution 3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (Infinity mM); Clear solution 4. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (Infinity mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Bleomycin hydrochloride is a DNA synthesis inhibitor. Bleomycin hydrochloride is a DNA damaging agent. Bleomycin hydrochloride is an antitumor antibiotic[1].
In Vitro	Bleomycin hydrochloride is chosen as the best-studied micronucleus inducers in human lymphocytes with different mechanisms of genotoxicity. The most frequent Bleomycin-induced DNA lesions are single and double strand breaks and single apuinic/apyrimidinic sites. At the same time Bleomycin is true radiomimetic compound, resembling almost completely the genetic effect of ionizing radiation[1]. The IC_{50} value of Bleomycin hydrochloride for UT-SCC-19A cell line is 4.0±1.3 nM. UT-SCC-12A and UT-SCC-12B are both more resistant to Bleomycin; IC_{50} values are 14.2±2.8 nM and 13.0±1.1 nM, respectively[2]. Bleomycin hydrochloride (50, 100 μM; for 24, 48 h) induce pulmonary fibrosis in RLE-6TN cell (50 μM) and A549 cell (100 μM)[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Bleomycin hydrochloride can be used in animal modeling to construct animal models of pulmonary fibrosis. Bleomycin hydrochloride treatment (3.5-4.0 mg/kg; intra-tracheal) on day 0, body weights decreases by day 4 then

increases by Day 7 through the end of the study[3].

Bleomycin hydrochloride (3.5-4.0 mg/kg; intra-tracheal) produces a statistically significant increase in lung hydroxyproline levels, and also increases right caudal lung lobe mass[3].

Bleomycin hydrochloride (intratracheal instillation; 5.0 mg/kg/day) induces pulmonary fibrosis in eighty 8-week-old male BALB/c mice with weight about 20-30 g. Bleomycin induces the expression levels of α-SMA and collagen I[4].

Bleomycin hydrochloride (intratracheally; 2.5 mg/kg; 1.25 mg/ml, approximately 50 μl per mouse) induces pulmonary fibrosis in male C57BL/6 mice (8 weeks old, average weight approximately 24.5 g)[5].

Bleomycin sulfate is quickly absorbed following intramuscular, subcutaneous, intraperitoneal, or intrapleural administration and reaches peak plasma concentrations in approximately 60 min. Less than 1% of the drug given intravenously binds to plasma proteins, leading to high bioavailability. Additionally, a mean plasma drug clearance approaching 70 mL/min/m^2 has been calculated for Bleomycin sulfate. Bleomycin sulfate possesses a high plasma elimination rate and high urinary excretion rate[6].

Bleomycin sulfate can be used to construct model of pulmonary fibrosis.

Induction of Pulmonary Fibrosis[7]

- Background

  Bleomycin sulfate can lead to lung patchy parenchymal inflammation, epithelial cell injury with reactive hyperplasia, epithelial-mesenchymal transition, activation and differentiation of fibroblasts to myofibroblasts, and basement membrane and alveolar epithelium injures. The experimental use of Bleomycin sulfate is to induce pulmonary fibrosis animal models.

- Specific Mmodeling Methods

  Mice: C57BL/6 • 12-week-old

  Administration: 3-5 mg/kg • intratracheal administration • sprays on day one

  Note

  The mice were housed in separate stainless-steel cages (six mice per cage) in a temperature-controlled environment (20-24°C) on 12 h light-dark cycles with unrestricted access to food and water.

- Modeling Indicators

  Body quality changes: The appetite activity is reduced, with the fur less shiny, the spirits being lethargic, and the bodyweight decreasing. Showed shortness of breath, coughing, and noisy.

  Lung changes: Increased fibrotic consolidations, non-aerated lung area, and high-density lung area. Pulmonary function decreased.

Molecular changes: Increased indicators: TGF-β1, TNF-α, IL-6, and GM-CSF in bronchoalveolar lavage fluid.

- Correlated Product(s): Bleomycin hydrochloride (HY-17565A)
- Opposite Product(s): Neotuberostemonine (HY-N3196)

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

Animal Model:	Male Fischer 344 rats, 8-10 week old, weighing 150-250 g[3]
Dosage:	3.5-4 mg/kg
Administration:	Intra-tracheal
Result:	Body weights decreased by day 4 then increased by Day 7 through the end of the study.

CUSTOMER VALIDATION

- Nat Metab. 2021 Dec 6.
- Small. 2021 Oct 8;e2103919.
- Redox Biol. 2021 Jul 26;46:102082.
- J Control Release. 2023 Aug;360:365-375.
- Cancer Lett. 2022 Feb 9;532:215588.

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REFERENCES

[1]. Kang Miao, et al. Scutellarein inhibits BLM-mediated pulmonary fibrosis by affecting fibroblast differentiation, proliferation, and apoptosis. Ther Adv Chronic Dis. 2020 Jul 30;11:2040622320940185.

[2]. Ling Peng, et al. Scutellarin ameliorates pulmonary fibrosis through inhibiting NF-κB/NLRP3-mediated epithelial-mesenchymal transition and inflammation. Cell Death Dis. 2020 Nov 13;11(11):978.

[3]. Hovhannisyan G, et al. Comparative analysis of individual chromosome involvement in micronuclei induced by bleomycin in human leukocytes. Mol Cytogenet. 2016 Jun 21;9:49.

[4]. Jaaskela-Saari HA, et al. Squamous cell cancer cell lines: sensitivity to bleomycin and suitability for animal xenograft studies. Acta Otolaryngol Suppl. 1997;529:241-4.

[5]. Corboz MR, et al. Therapeutic administration of inhaled INS1009, a LRX-15 prodrug formulation, inhibits bleomycin-induced pulmonary fibrosis in rats. Pulm Pharmacol Ther. 2018 Apr;49:95-103.

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