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Zuschläge

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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

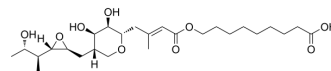
mail@szabo-scandic.com

www.szabo-scandic.com

[linkedin.com/company/szaboscandic](https://www.linkedin.com/company/szaboscandic) 

Mupirocin

Cat. No.:	HY-B0958		
CAS No.:	12650-69-0		
Molecular Formula:	C ₂₆ H ₄₄ O ₉		
Molecular Weight:	500.62		
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 (199.75 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	1.9975 mL	9.9876 mL	19.9752 mL
	5 mM	0.3995 mL	1.9975 mL	3.9950 mL
	10 mM	0.1998 mL	0.9988 mL	1.9975 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (4.99 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (4.99 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (4.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mupirocin (BRL-4910A, Pseudomonic acid) is an orally active antibiotic isolated from *Pseudomonas fluorescens*. Mupirocin apparently exerts its antimicrobial activity by reversibly inhibiting isoleucyl-transfer RNA, thereby inhibiting bacterial protein and RNA synthesis^{[1][2]}.

In Vitro

Mupirocin (BRL-4910A, Pseudomonic acid) (0-100 μM; 48 h) shows antibacterial effect against staphylococci, streptococci and certain gram-negative bacteria, with MIC values range from 0.06-0.25 μg/mL (MIC₅₀ = 0.12 μg/mL, MIC₉₀ = 0.25 μg/mL)^[1].

Mupirocin is highly bound (95% bound) to human serum protein, thus results in activity inhibition in the presence of human serum^[1].

Mupirocin apparently exerts its antimicrobial activity by reversibly inhibiting isoleucyl-transfer RNA, thereby inhibiting bacterial protein and RNA synthesis^[2].

Mupirocin (2% ointment) reduces pro-inflammatory cytokines IL-1 β and IL-17 level, decreases tumor necrosis factor-alpha (TNF- α) expression, and increases the level of vascular endothelial growth factor (VEGF)^[4].

Mupirocin inhibits MS (*S. epidermidis* ATCC 12228), MR (*S. epidermidis* (Se56-99)), and VIR (*S. epidermidis* (Se43-98)) with MICs of 0.25, 1.26, 1.59 mg/L^[5].

Note: MIC, the minimum inhibition concentration.

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

Cell Viability Assay^[1]

Cell Line:	Staphylococcus aureus
Concentration:	0-100 μ M/mL
Incubation Time:	24, 48 hours
Result:	Resulted in a 90 to 99% reduction at 24 h, with MIC values ranged from 0.12-1.0 μ M/mL and MBC values ranged from 4.0-32 μ M/mL at 48 h.

In Vivo

MRSA: Meticillin-resistant *Staphylococcus aureus*

Mupirocin (BRL-4910A, Pseudomonic acid) is well absorbed after oral and parenteral administration but serum antibiotic concentrations were short-lived as a result of extensive degradation to the antibacterially inactive metabolite, monic acid A^[1].

Mupirocin (2% ointment; external administration; twice daily; 3-6 d) decreases the total bacterial loads in the skin lesions with either topical treatment^[3].

Mupirocin (2% ointment; external administration; 4 d) alleviates MRSA-infected pressure ulcers in mice^[4].

Mupirocin (100 mg/mL; s.c.; 7 d) exerts prevention efficacy against vascular prosthetic graft infection due to *Staphylococcus epidermidis*^[5].

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

Animal Model:	MRSA skin infection model in mice (10-12 weeks old) ^[3]
Dosage:	2% ointment
Administration:	External administration; twice daily; 3-6 days
Result:	Reduced the total bacterial loads in the skin lesions, and decreased by 2.0, 5.1 log ₁₀ CFU on day 3 and 6, respectively.

Animal Model:	Diabetic pressure ulcer mouse model (33.2-39.2 g) ^[4]
Dosage:	2% ointment
Administration:	External administration; 4 days
Result:	Resulted less superficial mats of bacterial colonies, and improved histopathology evaluation.

Animal Model:	Adult male Wistar rats (weight 275-325 g) ^[5]
Dosage:	Impregnated with 100 μ g of mupirocin/mL; segments:1.5 cm *1 cm ²

Administration:	Subcutaneous implantation; 7 days
Result:	Resulted in preventing <i>S. epidermidis</i> infection of the graft in a rat model with spontaneously bound to collagen-sealed Dacron grafts.

REFERENCES

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- [2]. Mohammad H, Abutaleb NS, Dieterly AM, Lyle LT, Seleem MN. Investigating auranofin for the treatment of infected diabetic pressure ulcers in mice and dermal toxicity in pigs. *Sci Rep*. 2021 May 25;11(1):10935.
- [3]. Giacometti A, et al. Mupirocin prophylaxis against methicillin-susceptible, methicillin-resistant, or vancomycin-intermediate *Staphylococcus epidermidis* vascular-graft infection. *Antimicrob Agents Chemother*. 2000 Oct. 44(10):2842-4.
- [4]. Sutherland R, et al. Antibacterial activity of mupirocin (pseudomonic acid), a new antibiotic for topical use. *Antimicrob Agents Chemother*. 1985 Apr;27(4):495-8.
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Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA