



# SZABO SCANDIC

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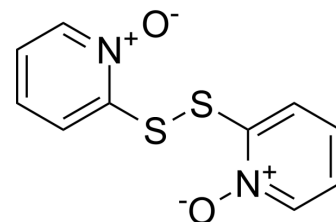
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## Dipyrrithione

<b>Cat. No.:</b>	HY-N8432		
<b>CAS No.:</b>	3696-28-4		
<b>Molecular Formula:</b>	C <sub>10</sub> H <sub>8</sub> N <sub>2</sub> O <sub>2</sub> S <sub>2</sub>		
<b>Molecular Weight:</b>	252.31		
<b>Target:</b>	Apoptosis; Bacterial; Fungal		
<b>Pathway:</b>	Apoptosis; Anti-infection		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 10 mg/mL (39.63 mM); ultrasonic and warming and heat to 60°C				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	<b>Preparing Stock Solutions</b>	1 mM	3.9634 mL	19.8169 mL	39.6338 mL
		5 mM	0.7927 mL	3.9634 mL	7.9268 mL
10 mM		0.3963 mL	1.9817 mL	3.9634 mL	
Please refer to the solubility information to select the appropriate solvent.					
<b>In Vivo</b>	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 1 mg/mL (3.96 mM); Clear solution  2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 1 mg/mL (3.96 mM); Clear solution				

### BIOLOGICAL ACTIVITY

<b>Description</b>	Dipyrrithione is a potent antimicrobial agent. Dipyrrithione shows antifungal activity and antiproliferative activity. Dipyrrithione induces apoptosis and cycle arrest at G1 phase. Dipyrrithione shows anti-inflammatory activity in vivo. Dipyrrithione shows anti-tumor activity. Dipyrrithione has the potential for the research of dermatophytosis <sup>[1][2][3]</sup> .
<b>In Vitro</b>	Dipyrrithione (20 µg/mL) shows antifungal activity with MIC values of 6.03 µM for <i>Trichophyton rubrum</i> <sup>[1]</sup> . Dipyrrithione (72 h) shows cytotoxic activity against 293 T cells with an IC <sub>50</sub> value of 0.22 µM <sup>[1]</sup> . Dipyrrithione (1-5 µM; 8.5 h) inhibits LPS (100 ng/ml)-induced up-regulation of iNOS and COX-2 in RAW264.7 cells in a dose-dependent manner <sup>[2]</sup> . Dipyrrithione (1 µM; 8.5 h) suppresses LPS-induced increase of iNOS but not COX-2 mRNA level, inhibits LPS-increased NO production <sup>[2]</sup> .

Dipyrrithione (3  $\mu$ M; 2, 5 h) decreases phosphorylation of STAT1 induced by LPS and does not influence LPS-induced MAPK and NF- $\kappa$ B activation in RAW 246.7 cells<sup>[2]</sup>.

Dipyrrithione (0-5  $\mu$ g/mL; 48 h) shows antiproliferative activity for KB, 231, U937 and K562 cells in a dose dependent manner<sup>[3]</sup>.

Dipyrrithione (2.5  $\mu$ g/ml) induces apoptosis and cycle arrest at G1 phase<sup>[3]</sup>.

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

#### Western Blot Analysis<sup>[2]</sup>

Cell Line:	RAW264.7 cells
Concentration:	1-5 $\mu$ M
Incubation Time:	8.5 h
Result:	Inhibited the expression of LPS (100 ng/ml)-induced up-regulation of iNOS and COX-2 in a dose-dependent manner.

#### Cell Proliferation Assay<sup>[2]</sup>

Cell Line:	KB, 231, U937, K562 cells
Concentration:	2.5 $\mu$ g/ml
Incubation Time:	24 h
Result:	Induced cell cycle arrest at G1 phase with induced p21 accumulation, CyclinD1 and CyclinE1 expressions were downregulated.

#### Apoptosis Analysis<sup>[3]</sup>

Cell Line:	KB, 231, U937, K562 cells
Concentration:	2.5 $\mu$ g/ml
Incubation Time:	36 h
Result:	Induced apoptosis by induced cleavage of caspase-9, caspase-3 and PARP.

#### Western Blot Analysis<sup>[3]</sup>

Cell Line:	RAW264.7 cells
Concentration:	1-5 $\mu$ M
Incubation Time:	8.5 h
Result:	Inhibited the expression of LPS (100 ng/ml)-induced up-regulation of iNOS and COX-2 in a dose-dependent manner.

#### In Vivo

Dipyrrithione (0.2 mg/cm<sup>2</sup>; externally once daily for 10 days) shows great anti-dermatophyte activity effects in guinea pig<sup>[1]</sup>.

Dipyrrithione (1, 2.5, 5 mg/kg; i.p.) shows anti-inflammatory activity in mouse<sup>[2]</sup>. Dipyrrithione (5 mg/kg; i.p.; daily for 10 days) shows anti-tumor activity in mouse<sup>[3]</sup>.

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

Animal Model:	Guinea pig (infected with <i>Trichophyton rubrum</i> ) <sup>[1]</sup>
Dosage:	0.2 mg/cm <sup>2</sup>

Administration:	Externally once daily for 10 days
Result:	Showed normal hair growth, with no scaly skin.
Animal Model:	18-22g male ICR mice <sup>2</sup>
Dosage:	1, 2.5, 5 mg/kg
Administration:	I.p.
Result:	Raised the survival rate from 10% to 30%, 60% and 90%, respectively.
Animal Model:	6 weeks, 18-20 g male ICR mice (hepatoma 22 (H22) cells) <sup>[3]</sup>
Dosage:	2.5 mg/kg
Administration:	I.p.; daily for 10 days
Result:	Inhibited the growth of tumor.

## REFERENCES

- [1]. Song X, et al. In vivo antifungal activity of dipyrithione against *Trichophyton rubrum* on guinea pig dermatophytosis models. *Biomed Pharmacother.* 2018 Dec;108:558-564.
- [2]. Liu Z, et al. Dipyrithione inhibits lipopolysaccharide-induced iNOS and COX-2 up-regulation in macrophages and protects against endotoxic shock in mice. *FEBS Lett.* 2008 May 28;582(12):1643-50.
- [3]. Fan Y, et al. Dipyrithione induces cell-cycle arrest and apoptosis in four cancer cell lines in vitro and inhibits tumor growth in a mouse model. *BMC Pharmacol Toxicol.* 2013 Oct 21;14:54.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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