## Diminazene aceturate

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®

Cat. No.:	HY-12404	
CAS No.:	908-54-3	ŅН
Molecular Formula:	$C_{22}H_{29}N_9O_6$	H <sub>2</sub> N
Molecular Weight:	515.52	Ľ N <sup>N</sup> ∑N ⊥ H
Target:	Parasite; Angiotensin-converting Enzyme (ACE)	0 0 
Pathway:	Anti-infection; Metabolic Enzyme/Protease	
Storage:	4°C, sealed storage, away from moisture	0
	* In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)	

## SOLVENT & SOLUBILITY

In Vitro H <sub>2</sub> O : DMSO	H <sub>2</sub> O : 50 mg/mL (96.99 mM; Need ultrasonic) DMSO : 25 mg/mL (48.49 mM; Need ultrasonic)						
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg		
		1 mM	1.9398 mL	9.6989 mL	19.3979 mL		
		5 mM	0.3880 mL	1.9398 mL	3.8796 mL		
		10 mM	0.1940 mL	0.9699 mL	1.9398 mL		
	Please refer to the solubility information to select the appropriate solvent.						
In Vivo	<ol> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 2.08 mg/mL (4.03 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (4.03 mM); Clear solution</li> </ol>						

BIOLOGICAL ACTIVITY				
Description	Diminazene aceturate (Diminazene diaceturate) is an anti-trypanosome agent for livestock. The main biochemical mechanism of the trypanocidal actions of Diminazene aceturate is by binding to trypanosomal kinetoplast DNA (kDNA) in a non-intercalative manner through specific interaction with sites rich in adenine-thymine base pairs. Diminazene aceturate is also an angiotensin-converting enzyme 2 (ACE2) activator and has strong and potent anti-inflammatory properties <sup>[1][2][3]</sup> .			
IC <sub>50</sub> & Target	Trypanosoma			
In Vitro	Pre-treatment of bone marrow-derived macrophages (BMDM) and dendritic cells (BMDC) with Diminazene aceturate (Berenil) downregulats LPS-, CpG- and Poly I:C-induced proinflammatory cytokine production, suggesting that it may be affecting common pathways through which these molecules stimulate proinflammatory cytokine production. Indeed,			

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	Diminazene aceturate does not alter the expression of different TLRs (including TLR2, TLR4 and TLR9), on macrophages and DCs when assessed by flow cytometry. Instead, Diminazene aceturate dramatically downregulats the phosphorylation of MAPKs (ERK, p38 and JNK), STATs (STAT1 and STAT3) and NFκB p65 subunit, which are key signaling molecules and transcription factors involved in the production of proinflammatory cytokines <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	Diminazene aceturate (14 mg/kg; intraperitoneal injection; female BALB/c mice and C57BL/6 mice) treatment significantly reduces the percentages of CD25 <sup>+</sup> cells, a concomitant reduction in the percentage of regulatory (CD4 <sup>+</sup> Foxp3 <sup>+</sup> ) T cells and a striking reduction in serum levels of disease exacerbating pro-inflammatory cytokines including IL-6, IL-12, TNF and IFN-γ <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only. Animal Model: Female BALB/c mice and C57BL/6 mice (6-8 week old) infected with T. congolense variant antigenic type TC1 <sup>[1]</sup>			
	Dosage:	14 mg/kg		
	Administration:	Intraperitoneal injection		
	Result:	Significantly reduced the percentages of CD25 <sup>+</sup> cells, a concomitant reduction in the percentage of regulatory (CD4 <sup>+</sup> Foxp3 <sup>+</sup> ) T cells and a striking reduction in serum levels of disease exacerbating pro-inflammatory cytokines including IL-6, IL-12, TNF and IFN-γ.		

## **CUSTOMER VALIDATION**

- Cell Metab. 2022 Feb 7;34(3):424-440.e7.
- J Inflamm Res. 2023 Apr 17;16:1639-1652.
- Endocrine. 2021 Mar 30;1-9.
- Radiat Res. 2022 Jul 29.
- Research Square Preprint. 2021 Mar.

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## REFERENCES

[1]. Kuriakose S, et al. Diminazene aceturate (Berenil) modulates the host cellular and inflammatory responses to Trypanosoma congolense infection. PLoS One. 2012;7(11):e48696.

[2]. Kuriakose S, et al. Diminazene aceturate (Berenil), a new use for an old compound? Int Immunopharmacol. 2014 Aug;21(2):342-5.

[3]. Castardeli C, et al. The ACE 2 activator diminazene aceturate (DIZE) improves left ventricular diastolic dysfunction following myocardial infarction in rats. Biomed Pharmacother. 2018 Nov;107:212-218.

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

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