Dapsone

Cat. No.: HY-B0688

CAS No.: 80-08-0

Molecular Formula: $C_{12}H_{12}N_2O_2S$

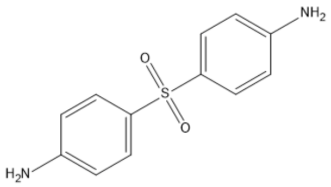
Molecular Weight: 248.3

Target: Antibiotic; Parasite; Bacterial; Reactive Oxygen Species

Pathway: Anti-infection; Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (201.37 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.0274 mL	20.1369 mL	40.2739 mL
	5 mM	0.8055 mL	4.0274 mL	8.0548 mL
	10 mM	0.4027 mL	2.0137 mL	4.0274 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.07 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.07 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dapsone (4,4′-Diaminodiphenyl sulfone) is an orally active and blood-brain penetrant sulfonamide antibiotic with bacteriostatic, antimycobacterial and antiprotozoal activities[1]. Dapsone exerts effective antileprosy activity and inhibits folate synthesis in cell extracts of M. leprae. Dapsone is used for dermatologic disorder research, including leprosy, dermatitis herpetiformis, acne vulgaris et al[2][3].

IC_{50} & Target

IC50: bacteriostatic; folate synthesis[3]

In Vitro	Dapsone are added to cell lysates (100 μg of protein) and DHPS activity assay is tested. Dapsone exhibits an IC_{50} of 3.0 μg/ml for E. coli C600 in DHPS activity assay, but the growth of E. coli C600 is not inhibited at 256 μg/ml Dapsone. For the recombinant strain carrying M. leprae folP1 (pML101), Dapsone shows an IC_{50} of 0.06 μg/ml and a MIC of 1 μg/ml[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In L. major-infected BALB/c MiceDapsone (topical treatment; 50 mg/kg; twice daily; 30 days) leads to sizes lesions around 186 mm^2 compared to 125 mm^2 for control mice. Furthermore, the amount of DAP quantified in the lesions treated with DAP cream is 9.6±8.5 μg of DAP/mg of skin. However, the number of parasites found in the spleen is significantly lower in mice treated with the cream than in non-treated mice[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Y I Zhu, et al. Dapsone and sulfones in dermatology: overview and update. J Am Acad Dermatol

[2]. Dapsone, Drug.com

[3]. D Voeller, et al. Interaction of Pneumocystis carinii dihydropteroate synthase with sulfonamides and diaminodiphenyl sulfone (dapsone).J Infect Dis. 1994 Feb;169(2):456-9.

[4]. Esther Moreno, et al. Evaluation of Skin Permeation and Retention of Topical Dapsone in Murine Cutaneous Leishmaniasis Lesions.Pharmaceutics. 2019 Nov 13;11(11):607.

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