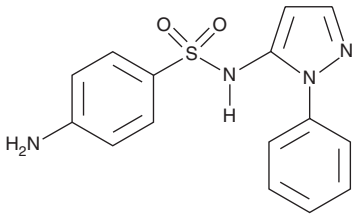
PRODUCT INFORMATION

Sulfaphenazole

Item No. 14844

CAS Registry No.: 526-08-9
Formal Name: 4-amino-N-(1-phenyl-1H-pyrazol-5-yl)-benzenesulfonamide
Synonyms: Depocid, Depotsulfonamide, Plisulfan, Raziosulfa
MF: $C_{15}H_{14}N_4O_2S$
FW: 314.4
Purity: ≥98%
UV/Vis.: λ_{max}: 269 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Sulfaphenazole is supplied as a crystalline solid. A stock solution may be made by dissolving the sulfaphenazole in the solvent of choice, which should be purged with an inert gas. Sulfaphenazole is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of sulfaphenazole in ethanol is approximately 0.3 mg/ml and approximately 50 mg/ml in DMSO and DMF.

Sulfaphenazole is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, sulfaphenazole should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Sulfaphenazole has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CYP2C9 is a major cytochrome P450 enzyme that is involved in the metabolic clearance of various therapeutic agents. Disruption of this enzyme's activity can lead to adverse drug reactions.[1] Sulfaphenazole is an inhibitor of CYP2C9 (K_i = 0.3 µM) that demonstrates at least 100-fold selectivity over other CYP450 isoforms (K_is = 63 and 29 µM for CYP2C8 and CYP2C18, respectively, and no activity at CYP1A1, CYP1A2, CYP3A4, CYP2C19).[2,3] At 10 µM, sulfaphenazole has been shown to inhibit endothelium-derived hyperpolarizing factor synthase, a CYP450 isozyme in the porcine coronary artery homologous to CYP2C8/9 that generates reactive oxygen species in coronary endothelial cells and modulates vascular tone and homeostasis.[4]

References

1. Rettie, A.E. and Jones, J.P. Clinical and toxicological relevance of CYP2C9: Drug-drug interactions and pharmacogenetics. *Annu. Rev. Pharmacol. Toxicol.* **45**, 477-494 (2005).
2. Mancy, A., Dijols, S., Poli, S., *et al.* Interaction of sulfaphenazole derivatives with human liver cytochromes P450 2C: Molecular origin of the specific inhibitory effects of sulfaphenazole on CYP 2C9 and consequences for the substrate binding site topology of CYP 2C9. *Biochemistry* **35(50)**, 16205-16212 (1996).
3. Sai, Y., Dai, R., Yang, T.J., *et al.* Assessment of specificity of eight chemical inhibitors using cDNA-expressed cytochromes P450. *Xenobiotica* **30(4)**, 327-343 (2000).
4. Fleming, I., Michaelis, U.R., Bredenkötter, D., *et al.* Endothelium-derived hyperpolarizing factor synthase (cytochrome P450 2C9) is a functionally significant source of reactive oxygen species in coronary arteries. *Circ. Res.* **88(1)**, 44-51 (2001).

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