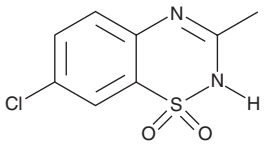
PRODUCT INFORMATION

Diazoxide

Item No. 14576

CAS Registry No.: 364-98-7
Formal Name: 7-chloro-3-methyl-1,1-dioxide-2H-1,2,4-benzothiadiazine
Synonyms: NSC 64198, NSC 76130, SRG 95213
MF: $C_8H_7ClN_2O_2S$
FW: 230.7
Purity: ≥99%
UV/Vis.: λ_{max}: 267 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Diazoxide is supplied as a crystalline solid. A stock solution may be made by dissolving the diazoxide in the solvent of choice, which should be purged with an inert gas. Diazoxide is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of diazoxide in these solvents is approximately 30 mg/ml.

Description

Diazoxide is an activator of sulfonylurea receptor 1 (SUR1) linked to ATP-sensitive potassium channel $K_{ir}6.2$ (EC_{50} = 14.1 µM in a FLIPR assay using HEK293 cells).[1] It also activates SUR2A/$K_{ir}6.2$ and SUR2B/$K_{ir}6.2$ channels in HEK293T cells in a patch-clamp assay when used at concentrations of 30 and 300 µM.[2] Diazoxide inhibits glucose-induced insulin release from isolated rat pancreatic β cells and induces relaxation of isolated rat aortic rings precontracted with potassium chloride (IC_{50}s = 22.6 and 22.4 µM, respectively).[3] It reduces mean arterial pressure and cerebral blood flow in spontaneously hypertensive rats when administered intravenously as a 5 mg/kg bolus dose.[4] Diazoxide (50 mg/kg, i.p.) increases blood glucose levels in mice.[5] Formulations containing diazoxide have been used in the treatment of hypoglycemia.

References

1. Gopalakrishnan, M., Molinari, E.J., Char-Change, S., *et al.* Pharmacology of human sulphonylurea receptor SUR1 and inward rectifier K^+ channel Kir6.2 combination expressed in HEK-293 cells. *Br. J. Pharmacol.* **129(7)**, 1323-1332 (2000).
2. Matsuoka, T., Matsushita, K., Katayama, Y., *et al.* C-Terminal tails of sulfonylurea receptors control ADP-induced activation and diazoxide modulation of ATP-sensitive K^+ channels. *Circ. Res.* **87(10)**, 873-880 (2000).
3. de Tullio, P., Becker, B., Boverie, S., *et al.* Toward tissue-selective pancreatic B-cells K_{ATP} channel openers belonging to 3-alkylamino-7-halo-4*H*-1,2,4-benzothiadiazine 1,1-dioxides. *J. Med. Chem.* **46(15)**, 3342-3353 (2003).
4. Barry, D.I., Strandgaard, S., Graham, D.I., *et al.* Effect of diazoxide-induced hypotension on cerebral blood flow in hypertensive rats. *Eur. J. Clin. Invest.* **13(3)**, 201-207 (1983).
5. Foy, J.M. and Furman, B.L. Effect of single dose administration of diuretics on the blood sugar of alloxan-diabetic mice or mice made hyperglycaemic by the acute administration of diazoxide. *Br. J. Pharmacol.* **47(1)**, 124-132 (1973).

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