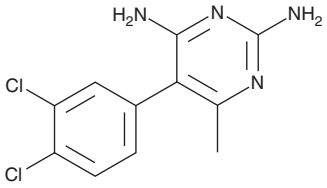
PRODUCT INFORMATION

Metoprine

Item No. 43098

CAS Registry No.:	7761-45-7
Formal Name:	5-(3,4-dichlorophenyl)-6-methyl-2,4-pyrimidinediamine
Synonyms:	DDMP, NSC 7364, NSC 19494
MF:	$C_{11}H_{10}Cl_2N_4$
FW:	269.1
Purity:	≥98%
Supplied as:	A 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

Metoprine is supplied as a solid. A stock solution may be made by dissolving the metoprine in the solvent of choice, which should be purged with an inert gas. Metoprine is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in ethanol.

Description

Metoprine is an inhibitor of histamine N-methyltransferase (HNMT; IC_{50} = 91 nM).[1] It is also an inhibitor of dihydrofolate reductase (Dhfr; IC_{50}s = 1, 1.6, and 60 µM for the chicken, rat, and *T. equiperdum* enzymes, respectively).[2] Metoprine (10 mg/kg) increases the levels of histamine in mouse cerebral cortex, diencephalon, midbrain, and pons medulla.[3] It decreases the duration of tonic and clonic convulsions in a mouse model of electroshock-induced seizures when administered at doses of 5 or 10 mg/kg. Metoprine (2 or 5 mg/kg) inhibits scopolamine-induced learning deficits in the passive avoidance test in mice.[4]

References

1. Horton, J.R., Sawada, K., Nishibori, M., *et al.* Structural basis for inhibition of histamine *N*-methyltransferase by diverse drugs. *J. Mol. Biol.* **353(2),** 334-344 (2005).
2. McCormack, J.J. and Jaffe, J.J. Dihydrofolate reductase from *Trypanosoma equiperdum*. II. Inhibition by 2,4-diaminopyrimidines and related heterocycles. *J. Med. Chem.* **12(4),** 662-668 (1969).
3. Yokoyama, H., Onodera, K., Maeyama, K., *et al.* Histamine levels and clonic convulsions of electrically-induced seizure in mice: the effects of α-fluoromethylhistidine and metoprine. *Naunyn Schmiedebergs Arch. Pharmacol.* **346(1),** 40-45 (1992).
4. Malmberg-Aiello, P., Ipponi, A., Bartolini, A., *et al.* Antiamnesic effect of metoprine and of selective histamine H_1 receptor agonists in a modified mouse passive avoidance test. *Neurosci. Lett.* **288(1),** 1-4 (2000).

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