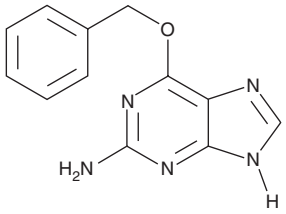
PRODUCT INFORMATION

O^6-Benzylguanine

Item No. 16332

CAS Registry No.:	19916-73-5
Formal Name:	6-(phenylmethoxy)-9H-purin-2-amine
Synonym:	NSC 637037
MF:	$C_{12}H_{11}N_5O$
FW:	241.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 242, 284 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

O^6-Benzylguanine is supplied as a crystalline solid. A stock solution may be made by dissolving the O^6-benzylguanine in the solvent of choice. O^6-Benzylguanine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of O^6-benzylguanine in ethanol is approximately 5 mg/ml and approximately 30 mg/ml in DMSO and DMF.

O^6-Benzylguanine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, O^6-benzylguanine should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. O^6-Benzylguanine 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

O^6-Alkylguanine-DNA alkyltransferase (AGT, also known as methylguanine DNA methyltransferase, or MGMT) is a DNA repair protein that directly removes alkyl groups located on the O^6-position of guanine from DNA, restoring DNA integrity in a single step.[1] In the process, AGT is alkylated and irreversibly inactivated.[1] O^6-Benzylguanine is a guanine analog with antineoplastic activity. It serves as a pseudosubstrate for AGT, transferring a benzyl moiety to the active site cysteine of the enzyme. This leads to irreversible inactivation, with 40% reduction in alkyltransferase activity of recombinant human AGT achieved at 0.06 µM.[2,3] O^6-Benzylguanine is effective *in vivo* as well as *in vitro*.[4] Inactivation of AGT increases the chemotherapeutic effectiveness of chloroethylating and methylating agents.[5]

References

1. Pegg, A.E. Multifaceted roles of alkyltransferase and related proteins in DNA repair, DNA damage, resistance to chemotherapy, and research tools. *Chem. Res. Toxicol.* **24(5)**, 618-639 (2011).
2. Goodtzova, K., Crone, T.M., and Pegg, A.E. Activation of human O^6-alkylguanine-DNA alkyltransferase by DNA. *Biochemistry* **33(28)**, 8385-8390 (1994).
3. Elder, R.H., Margison, G.P., and Rafferty, J.A. Differential inactivation of mammalian and *Escherichia coli* O^6-alkylguanine-DNA alkyltransferases by O^6-benzylguanine. *Biochem. J.* **298**, 231-235 (1994).
4. Kreklau, E.L., Kurpad, C., Williams, D.A., *et al.* Prolonged inhibition of O^6-methylguanine DNA methyltransferase in human tumor cells by O^6-benzylguanine *in vitro* and *in vivo*. *J. Pharmacol. Exp. Ther.* **291(3)**, 1269-1275 (1999).
5. Dolan, M.E. and Pegg, A.E. O^6-Benzylguanine and its role in chemotherapy. *Clin. Cancer Res.* **3**, 837-847 (1997).

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