

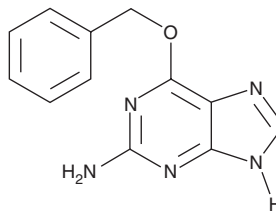
PRODUCT INFORMATION



O⁶-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 ₅ O
FW:	241.2
Purity:	≥98%
UV/Vis.:	λ _{max} : 242, 284 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

O⁶-Benzylguanine is supplied as a crystalline solid. A stock solution may be made by dissolving the O⁶-benzylguanine in the solvent of choice. O⁶-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 O⁶-benzylguanine in ethanol is approximately 5 mg/ml and approximately 30 mg/ml in DMSO and DMF.

O⁶-Benzylguanine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, O⁶-benzylguanine should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. O⁶-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

O⁶-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 O⁶-position of guanine from DNA, restoring DNA integrity in a single step.¹ In the process, AGT is alkylated and irreversibly inactivated.¹ O⁶-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} O⁶-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 O⁶-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* O⁶-alkylguanine-DNA alkyltransferases by O⁶-benzylguanine. *Biochem. J.* **298**, 231-235 (1994).
4. Kreklau, E.L., Kurpad, C., Williams, D.A., et al. Prolonged inhibition of O⁶-methylguanine DNA methyltransferase in human tumor cells by O⁶-benzylguanine *in vitro* and *in vivo*. *J. Pharmacol. Exp. Ther.* **291**(3), 1269-1275 (1999).
5. Dolan, M.E. and Pegg, A.E. O⁶-Benzylguanine and its role in chemotherapy. *Clin. Cancer Res.* **3**, 837-847 (1997).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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