

Certificate of Analysis

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Product Name: Lomeguatrib

Catalog No.: 4359

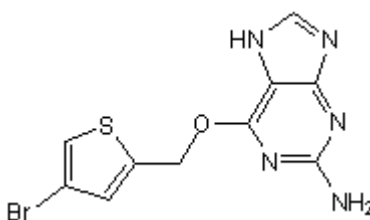
Batch No.: 1

CAS Number: 192441-08-0

IUPAC Name: 6-[(4-Bromo-2-thienyl)methoxy]-9H-purin-2-amine

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₁₀H₈BrN₅OS
Batch Molecular Weight: 326.17
Physical Appearance: Off-white solid
Solubility: DMSO to 100 mM
Storage: Store at +4°C
Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 98.8% purity
¹H NMR: Consistent with structure
Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	36.82	2.47	21.47
Found	36.7	2.39	21.47

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Inhibitor of O⁶-methylguanine-DNA methyltransferase (MGMT); (IC₅₀ = 0.009 μM in cell-free extracts from HeLa S3 cells); attenuates MGMT activity in vitro and in vivo. Enhances the antitumor activity of temozolomide (Cat. No. 2706) in both human melanoma and MCF-7 xenografts.

Physical and Chemical Properties:

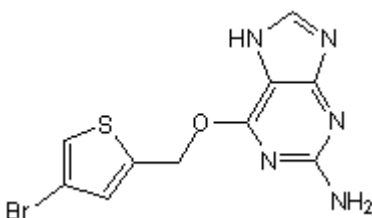
Batch Molecular Formula: C₁₀H₈BrN₅OS

Batch Molecular Weight: 326.17

Physical Appearance: Off-white solid

Minimum Purity: >98%

Batch Molecular Structure:



References:

Reinhard et al (2001) Monosaccharide-linked inhibitors of O⁶-methylguanine-DNA methyltransferase (MGMT): synthesis, molecular modeling, and structure-activity relationships. *J. Med. Chem.* **44** 4050. PMID: 11708909.

Barvaux et al (2004) Sensitization of a human ovarian cancer cell line to temozolomide by simultaneous attenuation of the Bcl-2 antiapoptotic protein and DNA repair by O⁶-alkylguanine-DNA alkyltransferase. *Mol. Cancer Ther.* **3** 1215. PMID: 15486188.

Clemons et al (2005) O⁶-(4-bromophenyl)guanine reverses temozolomide resistance in human breast tumor MCF-7 cells and xenografts. *Br. J. Cancer* **93** 1152. PMID: 16278661.

Storage: Store at +4°C

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

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