

Certificate of Analysis

Print Date: Feb 22nd 2022

www.tocris.com

Product Name: Lomeguatrib Catalog No.: 4359 Batch No.: 1

CAS Number: 192441-08-0

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



Product Information

Print Date: Feb 22nd 2022

www.tocris.com

Product Name: Lomeguatrib Catalog No.: 4359 Batch No.: 1

CAS Number: 192441-08-0

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

Description:

Lomeguatrib (LomG) is a potent inhibitor of $O^6\text{-methylguanine-DNA}$ methyltransferase (MGMT); (IC $_{50}$ = 0.009 μM in cell-free extracts from HeLa S3 cells); LomG attenuates MGMT activity in vitro and in vivo. LomG enhances the antitumor activity of temozolomide (Cat. No. 2706) in both human melanoma and MCF-7 xenografts. LomG acts as a pseudosubstrate for MGMT and can be used to induce rapid ubiquitin proteasome pathway degradation of proteins that have a MGMT fusion.

Physical and Chemical Properties:

Batch Molecular Formula: C₁₀H₈BrN₅OS

Batch Molecular Weight: 326.17 Physical Appearance: Off-white solid

Minimum Purity: ≥98%

Batch Molecular Structure:

Br S NH

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.

References:

Murawska *et al* (2022) Repurposing the damage repair protein methyl guanine methyl transferase as a ligand inducible fusion degron. ACS Chem.Biol. **17** 24. PMID: 34982531.

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

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

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