

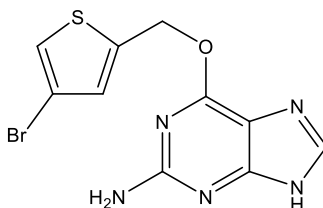
**Catalog # 10-4017**

**Lomeguatrib**

CAS# 192441-08-0

2-Amino-6-[(4-bromo-2-thienyl)methoxy]-9H-purine; O<sup>6</sup>-(4-Bromothienyl)guanine

Lot # FBA6054



Lomeguatrib is a potent (IC<sub>50</sub> = 9 nM) inhibitor of O<sup>6</sup>-Methylguanine-DNA Methyltransferase (MGMT), an important DNA repair protein.<sup>1</sup> It is currently being investigated in cancers that have acquired resistant to the chemotherapeutic temozolomide<sup>2-5</sup>, as well as other chemotherapeutics<sup>6,7</sup>.

- 1) Reinhard *et al.* (2001), *Monosaccharide-Linked Inhibitors of O<sup>6</sup>-Methylguanine-DNA Methyltransferase (MGMT): Synthesis, Molecular Modeling, and Structure-Activity Relationships*; J. Med. Chem. **44** 4050
- 2) 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 O<sup>6</sup>-alkylguanine-DNA alkyltransferase*: Mol. Cancer Ther. **3** 1215
- 3) Gumbrell *et al.* (2006), *Lomeguatrib, a potent inhibitor of O<sup>6</sup>-alkylguanine-DNA-alkyltransferase: phase I safety, pharmacodynamic, and pharmacokinetic trial and evaluation in combination with temozolomide in patients with advanced solid tumors*: Clin. Cancer Res. **12** 1577
- 4) Watson *et al.* (2009), *O(6)-methylguanine-DNA methyltransferase depletion and DNA damage in patients with melanoma treated with temozolomide alone or with lomeguatrib*: Br. J. Cancer **100** 1250
- 5) Taspinar *et al.* (2013), *Effect of lomeguatrib-temozolomide combination of MGMT promoter methylation and expression in primary glioblastoma tumor cells*: Tumour Biol. **34** 1935
- 6) Sabharwal *et al.* (2010), *A phase I trial of lomeguatrib and irinotecan in metastatic colorectal cancer*: Cancer Chemother. Pharmacol. **66** 829
- 7) Tawbi *et al.* (2011), *Inhibition of DNA repair with MGMT pseudosubstrates: phase I study of lomeguatrib in combination with dacarbazine in patients with advanced melanoma and other solid tumors*: Br. J. Cancer **105** 773

**PHYSICAL DATA**

Molecular Weight:	326.17
Molecular Formula:	C <sub>10</sub> H <sub>8</sub> BrN <sub>5</sub> O <sub>3</sub> S
Purity:	>98% by TLC
	NMR: (Conforms)
Solubility:	DMSO (10 mg/mL with warming)
Physical Description:	Off-white to beige solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.