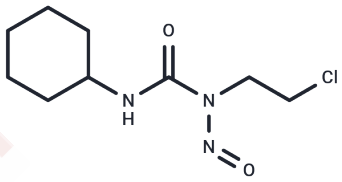
Data Sheet (Cat.No.T1601)

TargetMol

Lomustine

Chemical Properties



CAS No. : 13010-47-4

Formula: C9H16ClN3O2

Molecular Weight: 233.7

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Lomustine (NSC-79037) is an alkylating agent of value against both hematologic malignancies and solid tumors.
Targets(IC50)	Apoptosis,Autophagy,DNA Alkylation,DNA Alkylator/Crosslinker
In vitro	Lomustine inhibits the growth of ZR-75-1 and U373 with IC50 of 12 μM and 15 μM, respectively. Lomustine reduces the level of expression of the DNA repair protein O6-alkylguanine-DNA alkyltransferase. [1] Lomustine (420 μM) triggers apoptosis through the mitochondrial pathway via decrease in the level of the anti-apoptosis proteins Bcl-2 and Bcl-xl, respectively, in both medulloblastoma and normal human epithelial and fibroblast cells. Lomustine induces cell cycle delay in G2/M phase in medulloblastoma cells and up-regulates p21 protein level in a p53-independent manner in HFSN1 cells. [2]
In vivo	Lomustine can cause delayed, cumulative dose-related, chronic hepatotoxicity that is irreversible and can be fatal. [4] Lomustine could result in infrequent severe hematological toxicity in cats with spontaneously arising tumors, and the incidence of either grade III or IV neutropenia and thrombocytopenia is 4.1% and 1.0%, respectively. Lomustine trends toward a greater likelihood for progressive neutropenia and statistically significant higher response rates in cats with spontaneously arising tumors. [5]
Cell Research	Cell lines are routinely grown as monolayers in DMEM supplemented with 10% foetal calf serum, 25 mm HEPES, glutamine and penicillin/streptomycin. Cytotoxicity studies are carried out in HEPES-free medium in a 5% CO2 atmosphere. 750-1000 cells/well are plated in 96 well plates and after overnight incubation are treated for 2 hours with or without 33 μM BG. Temozolomide or CCNU is then added for 1 hour in the same medium, the final DMSO concentration not exceeding 1%. The cells are grown for a further 7 days in fresh medium and assayed for protein content by the NCI sulphorhodamine assay; growth studies show that cells are in log phase growth during the assay period. For the repeat temozolomide dosing schedule cells are given consecutive 24 hours treatments, with fresh medium each day. Assays are carried out at least in duplicate.(Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 50 mg/mL (213.95 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.279 mL	21.395 mL	42.7899 mL
5 mM	0.8558 mL	4.279 mL	8.558 mL
10 mM	0.4279 mL	2.1395 mL	4.279 mL
50 mM	0.0856 mL	0.4279 mL	0.8558 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Baer JC, et al. Br J Cancer, 1993, 67(6), 1299-12302.
Shinwari Z, et al. J Neurooncol, 2008, 87(2), 123-132.
Rassnick KM, et al. J Vet Intern Med, 1999, 13(6), 601-605.
Kristal O, et al. J Vet Intern Med, 2004, 18(1), 75-80.
Fan TM, et al. J Am Anim Hosp Assoc, 2002, 38(4), 357-363.
Wang P, et al. Oncometabolite D-2-Hydroxyglutarate Inhibits ALKBH DNA Repair Enzymes and Sensitizes IDH Mutant Cells to Alkylating Agents. Cell Rep. 2015 Dec 22;13(11):2353-2361.
Harvey KA, et al. Enhanced anticancer properties of lomustine in conjunction with docosahexaenoic acid in glioblastoma cell lines. J Neurosurg. 2015 Mar;122(3):547-56.
Yagiz K, et al. Toca 511 plus 5-fluorocytosine in combination with lomustine shows chemotoxic and immunotherapeutic activity with no additive toxicity in rodent glioblastoma models. Neuro Oncol. 2016 Oct;18(10):1390-401.