Product Data Sheet

Product Name: N-Oxalylglycine
Cat. No.: GC12458

Chemical Properties

Cas. No.	5262-39-5		
Chemical Name	N-(carboxycarbonyl)-glycine		
SMILES	OC(C(NCC(O)=O)=O)=O		
Formula	$C_4H_5NO_5$	M.Wt	147.1
Solubility	10mg/ml in ethanol;10mg/ml in DMSO;5mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	N-Oxalylglycine		

Background

The jumonji domain-containing protein 2 (JMJD2) subfamily of histone demethylases have been shown to catalyze demethylation of the methylated forms of histone 3 lysine 9 (H3K9) and H3K36 in vitro and in cells.[1] Because histone demethylases are implicated in certain diseases, including cancer, selective inhibitors are candidate anticancer agents as well as potential tools for elucidating the biological functions of JMJDs.2 N-Oxalylglycine, the amide analog of α-ketoglutarate, is a cell permeable inhibitor of α-ketoglutarate-dependent enzymes, including JMJD2A, JMJD2C, and JMJD2E (IC50s = 250, 500, and 24 μM, respectively).[3],[4],[5],[6] It can also inhibit the prolyl hydroxylase domain-containing proteins PHD1 and PHD2 with IC50 values of 2.1 and 5.6 μM, respectively.[4],[5],[6]

Reference:
[1]. Krishnan, S., Horowitz, S., and Trievel, R.C. Structure and function of histone H3

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

lysine 9 methyltransferases and demethylases. ChemBioChem 12(2), 254-263 (2011).
[2]. Tian, X., and Fang, J. Current perspectives on histone demethylases. Acta Biochimica et Biophysica Sinica 39(2), 81-88 (2007).
[3]. Hamada, S., Kim, T.D., Suzuki, T., et al. Synthesis and activity of N-oxalylglycine and its derivatives as Jumonji C-domain-containing histone lysine demethylase inhibitors. Bioorganic & Medicinal Chemistry Letters 19, 2852-2855 (2009).
[4]. Hamada, S., Suzuki, T., Mino, K., et al. Design, synthesis, enzyme-inhibitory activity, and effect on human cancer cells of a novel series of Jumonji domain-containing protein 2 histone demethylase inhibitors. Journal of Medicinal Chemistry 53, 5629-5638 (2010).
[5]. Rose, N.R., Ng, S.S., Mecinovic, J., et al. Inhibitor scaffolds for 2-oxoglutarate-dependent histone lysine demethylases. Journal of Medicinal Chemistry 51, 7053-7056 (2008).
[6]. Rose, N.R., Woon, E.C.Y., Kingham, G.L., et al. Selective inhibitors of the JMJD2 histone demethylases: Combined nondenaturing mass spectrometric screening and crystallographic approaches. Journal of Medicinal Chemistry 53, 1810-1818 (2010).

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA