Product Data Sheet

Product Name: Batimastat sodium salt
Cat. No.: GC35470

Chemical Properties

Cas. No.	130464-84-5		
SMILES	[O-]NC([C@@H](CSC1=CC=CS1)[C@@H](CC(C)C)C(N[C@@H](CC2=CC=CC=C2)C(NC)=O)=O)=O.[Na+]		
Formula	$C_{23}H_{30}N_3NaO_4S_2$	M.Wt	499.62
Solubility	Soluble in DMSO	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	Batimastat sodium salt		

Protocol

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Animal experiment:

Mice[5] Six-weeks-old female BALB/c mice are used. Mice are treated i.p. with Batimastat (BB-94, 50 mg/kg) 1 h before and 24 h post-infection. Batimastat is suspended at 50 mg/mL in DMSO and stored frozen at -20°C. Prior to use, it is diluted 20-fold in phosphate buffered saline (PBS), and 500 µL are injected into animals. Control mice are injected with 500 µL of 5% DMSO in PBS. Animals are sacrificed 48 h after i.c. challenge. Rats[6] Female Sprague-Dawley rats are administered a single physiological dose of E2 (40 µg/kg in a 0.9% NaCl, 0.4% EtOH vehicle) by intraperitoneal (i.p.) injection at the indicated time intervals prior to tissue collection at necropsy. This in vivo dose level of E2 has been shown to induce changes in uterine wet weight, tissue architecture, and gene expression characteristic of estrogen receptor activation. For all other experiments, animals are i.p. administered a single 40 µg/kg bolus of E2 4 h prior to tissue harvest, while control animals receive vehicle only in all studies. Batimastat is administered i.p. at a dose level (40 mg/kg in a 1× PBS, 0.1% Tween-20 vehicle) shown to be effective at inhibiting MMPs in vivo 4 h prior to E2 or saline control.

References:

[1]. Yin Z, et al. Increased MMPs expression and decreased contraction in the rat myometrium during pregnancy and in response to prolonged stretch and sex hormones. Am J Physiol Endocrinol Metab. 2012 Jul

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1;303(1):E55-70.
[2]. Botos I, et al. Batimastat, a potent matrix mealloproteinase inhibitor, exhibits an unexpected mode of binding. Proc Natl Acad Sci U S A. 1996 Apr 2;93(7):2749-54.
[3]. Hansen HP, et al. Inhibition of metalloproteinases enhances the internalization of anti-CD30 antibody Ki-3 and the cytotoxic activity of Ki-3 immunotoxin. Int J Cancer. 2002 Mar 10;98(2):210-5.
[4]. Giavazzi R, et al. Batimastat, a synthetic inhibitor of matrix metalloproteinases, potentiates the antitumor activity of cisplatin in ovarian carcinoma xenografts. Clin Cancer Res. 1998 Apr;4(4):985-92.

[5]. Ricci S, et al. Inhibition of matrix metalloproteinases attenuates brain damage in experimental meningococcal meningitis. BMC Infect Dis. 2014 Dec 31;14:726.
[6]. Russo LA, et al. Regulated expression of matrix metalloproteinases, inflammatory mediators, and endometrial matrix remodeling by 17beta-estradiol in the immature rat uterus. Reprod Biol Endocrinol. 2009 Nov 4;7:124.

Background

Batimastat is a broad spectrum inhibitor of matrix metalloproteinases (MMP), with IC_{50} values of 1-5 nM for all MMPs tested, including MMP-1, -2, -3, -7, -9, -13, and -14.[1,2,3,4] It also potently inhibits TNFα-converting enzyme (IC_{50} = 14.9 nM).[2] Because of its action on MMPs, batimastat has anti-proliferative, anti-invasive, and anti-metastatic actions that are relevant, in particular, to cancer.[5] Batimastat less effectively inhibits the processing of the low affinity IgE receptor CD23 (IC_{50} = 100 nM).[6]

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1.Fray, M.J., Burslem, M.F., and Dickinson, R.P.Selectivity of inhibition of matrix metalloproteases MMP-3 and MMP-2 by succinyl hydroxamates and their carboxylic acid analogues is dependent on P3' group chiralityBioorg. Med. Chem. Lett.11(4)567-570(2001) 2.Fray, M.J., Dickinson, R.P., Huggins, J.P., et al.A potent, selective inhibitor of matrix metalloproteinase-3 for the topical treatment of chronic dermal ulcersJ. Med. Chem.46(16)3514-3525(2003) 3.Sheppard, G.S., Florjancic, A.S., Giesler, J.R., et al.Aryl ketones as novel replacements for the C-terminal amide bond of succinyl hydroxamate MMP inhibitorsBioorg. Med. Chem. Lett.8(22)3251-3256(1998) 4.Yamamoto, M., Tsujishita, H., Hori, N., et al.Inhibition of membrane-type 1 matrix metalloproteinase by hydroxamate inhibitors: An examination of the subsite pocketJ. Med. Chem.41(8)1209-1217(1998) 5.Chaudhary, A.K., Pandya, S., Ghosh, K., et al.Matrix metalloproteinase and its drug targets therapy in solid and hematological malignancies: An overviewMutat. Res.753(1)7-23(2013) 6.Bailey, S., Bolognese, B., Buckle, D.R., et al.Selective inhibition of low affinity IgE receptor (CD23) processingBioorg. Med. Chem. Lett.8(1)29-34(1998)

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