

Product Data Sheet

Product Name: KGP94
Cat. No.: GC65153

Chemical Properties

Cas. No. 1131456-28-4

Formula C₁₄H₁₂BrN₃OS

M.Wt 350.23

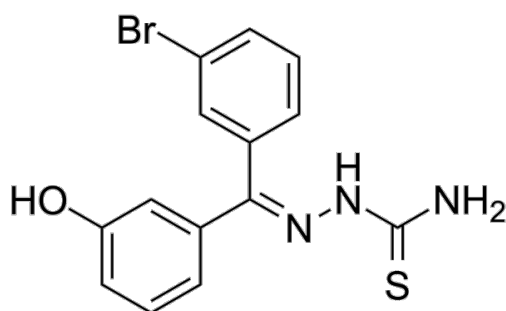
Solubility

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

KGP94 is a selective inhibitor of cathepsin L with an IC₅₀ of 189 nM[1]. KGP94 inhibits migration and invasion of metastatic carcinoma and shows low cytotoxicity (GI₅₀=26.9 μM) against various human cell lines[2].

KGP94 (10 or 20 μM, 24 h) reduces expression of M2 (macrophage) markers (Arginase-1 and CD206) and cells invasion of primary bone marrow-derived macrophages or Raw264.7[3].

KGP94 (25 μM, 24 h) impairs the invasive capacities of both prostate and breast cancer cells by 53% and 88%, respectively[4]. KGP94 (25 μM, 24 h) suppresses secreted CTSL activity by 94% and 92%

Caution: Product has not been fully validated for medical applications. For research use only.

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in PC-3ML and MDA-MB-231, respectively[4].

KGP94 (i.p.; 20 mg/kg; once daily for 3 days) exhibits anti-metastatic and anti-bone resorptive efficacy in a prostate cancer bone metastasis model[5].

[1]. Parker EN, et al. Synthesis and biological evaluation of a water-soluble phosphate prodrug salt and structural analogues of KGP94, a lead inhibitor of cathepsin L. Bioorg Med Chem Lett. 2017 Mar 1. 27(5):1304-1310.

[2]. Munikishore R, et al. An efficient and concise synthesis of a selective small molecule non-peptide inhibitor of cathepsin L: KGP94. Bioorg Chem. 2021 Nov. 116:105317.

[3]. Dykes SS, et al. Cathepsin L secretion by host and neoplastic cells potentiates invasion. Oncotarget. 2019 Sep 17. 10(53):5560-5568.

[4]. Sudhan DR, et al. Cathepsin L inhibition by the small molecule KGP94 suppresses tumor microenvironment enhanced metastasis associated cell functions of prostate and breast cancer cells. Clin Exp Metastasis. 2013 Oct. 30(7):891-902.

[5]. Sudhan DR, et al. Cathepsin L inactivation leads to multimodal inhibition of prostate cancer cell dissemination in a preclinical bone metastasis model. Int J Cancer. 2016 Jun 1;138(11):2665-77.

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