Product Data Sheet

Product Name: Pirinixic Acid Aminothiazole
Cat. No.: GC14323

Chemical Properties

Cas. No.	1492060-44-2		
Chemical Name	2-[[4-chloro-6-[[4-(2-naphthalenyl)-2-thiazolyl]amino]-2-pyrimidinyl]thio]-octanoic acid		
SMILES	ClC1=NC(SC(CCCCCC)C(O)=O)=NC(NC2=NC(C3=CC=C(C=CC=C4)C4=C3)=CS2)=C1		
Formula	$C_{25}H_{25}ClN_4O_2S$	M.Wt	513.1
Solubility	≤20mg/ml in DMSO;25mg/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	Pirinixic Acid Aminothiazole		

Background

Pirinixic Acid Aminothiazole is a dual inhibitor of 5-lipoxygenase (5-LO) and microsomal prostaglandin E2 synthase 1 (mPGES-1) with IC50 values of 0.3 and 0.4 μM, respectively [1].

5-lipoxygenase (5-LO) and microsomal prostaglandin E2 synthase-1 (mPGES-1) are critical enzymes involved in the metabolism of arachidonic acid (AA). They are key enzymes in the synthesis of leukotrienes (LTs) and PGE2, respectively [1][2][3]. Dual inhibition of 5-LO and mPGES-1 is currently pursued as potential pharmacological strategy for treatment of inflammation and cancer [1].

Pirinixic Acid Aminothiazole is a dual inhibitor of 5-LO and mPGES-1. Pirinixic Acid Aminothiazole hardly inhibited cyclooxygenase (COX)-1/2 activities and failed to inhibit 12/15-LOs. In cell-free assay, Pirinixic Acid Aminothiazole was highly potent against both 5-LO and mPGES-1 with IC50 values of 0.3 and 0.4 μM, respectively. In the cell-based assay, Pirinixic Acid Aminothiazole inhibited 5-LO directly with IC50 value of 0.2 μM [1].

In zymosan-induced peritonitis in mice, Pirinixic Acid Aminothiazole (10 mg/kg) reduced vascular permeability by 57% and inhibited neutrophil infiltration by 45%, accompanied by significantly impaired levels of cysLTs (84% reduction) and PGE2 (46% reduction). These results suggested

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

that Pirinixic Acid Aminothiazole dually inhibited LT and PGE2 synthesis in vivo connected to anti-inflammatory effectiveness [1].

References:

[1]. Hanke T, Dehm F, Liening S, et al. Aminothiazole-featured pirinixic acid derivatives as dual 5-lipoxygenase and microsomal prostaglandin E2 synthase-1 inhibitors with improved potency and efficiency in vivo. J Med Chem. 2013 Nov 27;56(22):9031-44.
[2]. Funk CD. Prostaglandins and leukotrienes: advances in eicosanoid biology. Science. 2001 Nov 30;294(5548):1871-5.
[3]. Samuelsson B, Morgenstern R, Jakobsson PJ. Membrane prostaglandin E synthase-1: a novel therapeutic target. Pharmacol Rev. 2007 Sep;59(3):207-24.