Product Data Sheet

Product Name: FR122047 (hydrate)
Cat. No.: GC13132

Chemical Properties

Cas. No.			
Chemical Name	1-[[4,5-*bis*(4-methoxyphenyl)-2-thiazolyl]carbonyl]-4-methyl-piperazine, monohydrochloride, monohydrate		
SMILES	O=C(N1CCN(C)CC1)C2=NC(C3=CC=C(OC)C=C3)=C(S2)C4=CC=C(OC)C=C4.Cl		
Formula	$C_{23}H_{25}N_3O_3S$ • HCl [H_2O]	M.Wt	478.0
Solubility	≤1mg/ml in DMSO;10mg/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	FR122047 (hydrate)		

Background

FR122047 is a selective inhibitor of cyclooxygenase (COX)-1 [1].

Cyclooxygenase (COX)-1 is constitutively expressed in almost all tissues. COX-1 gene has been considered to be a “housekeeping” gene. COX-1 has been responsible for the production of prostaglandins (PG) that are important for homeostatic functions, such as mediating normal platelet function, maintaining the integrity of the gastric mucosa, and regulating renal blood flow [2].

In recombinant human cyclooxygenase enzyme assays, FR122047 inhibited the activity of recombinant human cyclooxygenase-1 and cyclooxygenase-2 with the IC50 values of 0.028 ± 0.009 and 65 ± 19 μM for cyclooxygenase-1 and cyclooxygenase-2, respectively [1]. In MCF-7 cells, FR122047 treatment suppressed cell growth. Treatment with FR122047 apparently increased the ratio of Bax to Bcl-2, mitochondrial cytochrome c release, and apoptosis [3]. In rat type II collagen-induced arthritis (CIA) and adjuvant-induced arthritis (AIA), oral administration of FR122047 showed anti-inflammatory effect

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in a dose-dependent manner with ED50 value of 0.56 mg/kg [4]. In guinea-pigs, oral administration of FR122047 inhibited arachidonic acid- and collagen-induced aggregation with an ED50 value of 280 μg/kg and 530 μg/kg, respectively [5].

References:

[1] Ochi T, Motoyama Y, Goto T. The analgesic effect profile of FR122047, a selective cyclooxygenase-1 inhibitor, in chemical nociceptive models[J]. European journal of pharmacology, 2000, 391(1): 49-54.
[2] Morita I. Distinct functions of COX-1 and COX-2[J]. Prostaglandins & other lipid mediators, 2002, 68: 165-175.
[3] Jeong H S, Kim J H, Choi H Y, et al. Induction of cell growth arrest and apoptotic cell death in human breast cancer MCF-7 cells by the COX-1 inhibitor FR122047[J]. Oncology reports, 2010, 24(2): 351.
[4] Ochi T, Goto T. Differential effect of FR122047, a selective cyclo-oxygenase-1 inhibitor, in rat chronic models of arthritis[J]. British journal of pharmacology, 2002, 135(3): 782-788.
[5] Dohi M, Sakata Y, Seki J, et al. The anti-platelet actions of FR122047, a novel cyclooxygenase inhibitor[J]. European journal of pharmacology, 1993, 243(2): 179-184.

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