Product Data Sheet

Product Name: FK-448 Free base
Cat. No.: GC31563

Chemical Properties

Cas. No.	85858-76-0		
SMILES	O=C(C1CCCC2=C1C=CC=C2)OC3=CC=C(C(N4CCN(C(C)C)CC4)=O)C=C3		
Formula	$C_{25}H_{30}N_2O_3$	M.Wt	406.52
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	FK-448 Free base		

Protocol

Kinase experiment: The rates of hydrolysis of TAME by trypsin (0.5 μg/mL), plasmin (0.1 U/mL), plasma kallikrein (0.9 U/mL), pancreatic kallikrein (4 U/mL), and thrombin (6 U/mL), and that of ATEE by chymotrypsin (2 μg/mL), are determined at a substrate concentration of 10 mM. Caseinolysis of chymotrypsin is determined. The final concentration of casein is 1%. For measurement of inhibitory effects, mixtures of enzyme solution and inhibitor are preincubated at 37°C for 10 min and then the residual enzyme activity is determined. Km and Ki values are determined[1].

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

Product Data Sheet

Animal experiment:

Rats[2]Rats are anaesthetized with ethylcarbamate (0.9 g/kg, intraperitoneally), and a front midline incision is made to expose the viscera. A hypodermic needle attached to a syringe containing the test solution is then carefully inserted into the lumen of the jejunum 2cm under the pylons. Insulin is dissolved in saline (and 0.1 M HCl if necessary) and injected at 2 mL/kg. Although most inhibitors tested are soluble in water, chymostatin is insoluble, and so it is dissolved in DMSO (final concentration, 10%). For measurement of blood glucose, samples of 0.2 mL of blood are drawn from the inferior vena cava of rats before, and 1 h after treatment or before, 0.5, 1, 1.5,2, 3 and 4 h after that, and are centrifuged at 3000 rev/min for 10 min. The plasma glucose concentration of samples is determined, and indicated as relative percents of the blood glucose level at each period compared with that before administration (as 100%).Dogs[2]Enteric-coated gelatin capsules containing insulin and FK-448 are administered orally to the dogs and samples of 1 mL of blood are drawn from the median cubital vein before, and 0.5, 1, 1.5, 2, 2.5, 3, 4 and 5 h after treatment, are centrifuged at 3000 rev/min for 10min. The plasma glucose concentration of samples is also determined andindicated. Plasma IRI level is determined by double antibody radioimmunoassay using the Insulin-RIA kit[2].

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

References:

[1]. Fujii S, et al. New synthetic inhibitors of chymotrypsin. J Biochem. 1984 Feb;95(2):319-22.
[2]. Fujii S, et al. Promoting effect of the new chymotrypsin inhibitor FK-448 on the intestinal absorption of insulin in rats and dogs. J Pharm Pharmacol. 1985 Aug;37(8):545-9.

Background

FK-448 Free base is an effective and specific inhibitor of chymotrypsin, with an IC50 of 720 nM.

FK-448 Free base is an effective and specific inhibitor of chymotrypsin, with an IC50 of 720 nM. FK-448 Free base slightly inhibits esterolysis of Trypsin and Thrombin, with

Product Data Sheet

IC50s of 780 and 35 μM, respectively, but shows no effects on esterolysis of plasmin, plasma kallikrein or pancreas kallikrein, with IC50s of all >1 mM[1]. FK-448 moderately inhibits hydrolytic activities of cathepsin G with an IC50 of 15 μM[2].

FK-448 (20 mg/kg, i.p.) results in a decrease in the blood glucose level, and inhibits the degradation of insulin by pancreatic enzymes in rats. FK-448 (20 mg/kg, p.o.) also decreases the blood glucose level, and increases plasma IRI level in dogs[2].

[1]. Fujii S, et al. New synthetic inhibitors of chymotrypsin. J Biochem. 1984 Feb;95(2):319-22. [2]. Fujii S, et al. Promoting effect of the new chymotrypsin inhibitor FK-448 on the intestinal absorption of insulin in rats and dogs. J Pharm Pharmacol. 1985 Aug;37(8):545-9.

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