Product Data Sheet

Product Name: SP-13786
Cat. No.: GC19425

Chemical Properties

Cas. No.	1448440-52-5		
SMILES	O=C(C1=CC=NC2=CC=CC=C12)NCC(N3[C@H](C#N)CC(F)(F)C3)=O		
Formula	$C_{17}H_{14}F_2N_4O_2$	M.Wt	344.32
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	SP-13786		

Protocol

Cell experiment [1]:

Cell lines	MGC803 cells
Preparation Method	For cell cytotoxicity assay, cells were previously seeded on 96-well plates at a density of 1 × 10^4 per well in 200μL of culture medium; after 16h, the culture medium was removed, and fresh serum-free culture medium containing different dosages of cresyl violet (CV)-FAP, cresyl violet, or SP-13786 together with CV-FAP was added. After incubation for 24h, 20μL of cell counting kit-8 (CCK-8) was added to each well and incubated at 37℃ for 2h; the absorbance intensity at 450nm was recorded using a multifunctional microplate reader.
Reaction Conditions	10μM; 24h

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

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Applications	Co-incubation with SP-13786 significantly reduced the antitumor activity of CV-FAP.

Animal experiment [2]:

Animal models	BALB/c mice
Preparation Method	BALB/c mice were divided into two groups randomly and anesthetized with 3% isoflurane in 97% oxygen gas. To estimate the distribution and clearance of probe CFCL and the inhibition effect of SP-13786 on FAPα in the whole animal level, the mice were treated with probe CFCL intravenously (200μM, 100μL) with or without the pretreating of SP-13786 in saline (10mg/kg, i.v.). The images of each mouse were recorded with 1min exposure time.
Dosage form	10mg/kg; iv; signle injection
Applications	SP-13786 targets and inhibits FAPα activity.

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References:
[1]. Liu S Y, Wang H, Nie G. Ultrasensitive fibroblast activation protein-?-activated fluorogenic probe enables selective imaging and killing of melanoma in vivo[J]. ACS sensors, 2022, 7(7): 1837-1846.
[2]. Fu A, Wang H, Huo T, et al. A novel chemiluminescence probe for sensitive detection of fibroblast activation protein-alpha in vitro and in living systems[J]. Analytical Chemistry, 2021, 93(16): 6501-6507.

Background

SP-13786 is a potent and highly selective inhibitor of fibroblast activation protein (FAP) and prolyl oligopeptidase (PREP) (FAP: IC_{50} = 3.2nM; PREP: IC_{50} = 1.8μM) [1]. SP-13786 inhibits FAP's serine protease activity by binding to its catalytic site, thereby inhibiting FAP's function in tumor stroma or fibrotic tissue [2]. SP-13786 is used to study the role of FAP in tumors, fibrosis, and other pathological processes [3-4].

In MGC803 cells, Co-incubation with SP-13786 (10μM; 24h) significantly reduced the antitumor activity of cresyl violet (CV)-FAP [5]. In U87MG-Fluc cells, SP-13786 (50μM, 100μM; 30min) reduces intracellular FAP levels [6].

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In BALB/c mice, SP-13786 (10mg/kg; iv; signle injection) targets and inhibits FAPα activity [7]. In myocardial infarction (MI) mouse model, SP-13786 (0.5mg/kg; ip; 7d) inhibits FAP and significantly improves cardiac function and promotes angiogenesis after MI [8].

References:

[1]. Jansen K, Heirbaut L, Verkerk R, et al. Extended structure–activity relationship and pharmacokinetic investigation of (4-quinolinoyl) glycyl-2-cyanopyrrolidine inhibitors of fibroblast activation protein (FAP)[J]. Journal of medicinal chemistry, 2014, 57(7): 3053-3074.
[2]. Kiani M, Jokar S, Hassanzadeh L, et al. Recent clinical implications of FAPI: imaging and therapy[J]. Clinical nuclear medicine, 2022: 10.1097.
[3]. Butuzova D A, Kulebyakina M A, Basalova N A, et al. Fibroblast Activation Protein Alpha (FAPα) as a Promising Target in the Diagnostics and Treatment of Cancer and Fibrotic Diseases: Recent Approaches to Imaging and Assessment of Functional Activity[J]. Biochemistry (Moscow), 2025, 90(Suppl 1): S135-S145.
[4]. Zhou Y, Yin K, Dong H, et al. Long-lasting bioluminescence imaging of the fibroblast activation protein by an amphiphilic block copolymer-based probe[J]. Analytical Chemistry, 2021, 93(8): 3726-3732.
[5]. Liu S Y, Wang H, Nie G. Ultrasensitive fibroblast activation protein-α-activated fluorogenic probe enables selective imaging and killing of melanoma in vivo[J]. ACS sensors, 2022, 7(7): 1837-1846.
[6]. Lin Y, Ma Z, Li Z, et al. Bioluminescent probe for monitoring endogenous fibroblast activation protein-alpha[J]. Analytical Chemistry, 2019, 91(23): 14873-14878.
[7]. Fu A, Wang H, Huo T, et al. A novel chemiluminescence probe for sensitive detection of fibroblast activation protein-alpha in vitro and in living systems[J]. Analytical Chemistry, 2021, 93(16): 6501-6507.
[8]. Sun Y, Ma M, Cao D, et al. Inhibition of fap promotes cardiac repair by stabilizing BNP[J]. Circulation research, 2023, 132(5): 586-600.

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