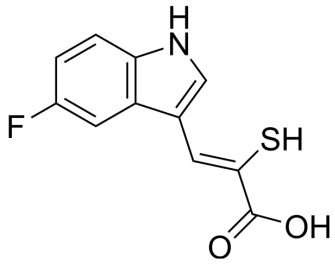
PD 151746

Cat. No.:	HY-19749
CAS No.:	181765-30-0
Molecular Formula:	$C_{11}H_8FNO_2S$
Molecular Weight:	237.25
Target:	Proteasome
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (210.75 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.2150 mL	21.0748 mL	42.1496 mL
	5 mM	0.8430 mL	4.2150 mL	8.4299 mL
	10 mM	0.4215 mL	2.1075 mL	4.2150 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (8.77 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PD151746 is a calpain inhibitor, shows a 20-fold selectivity for u-calpain (Ki = 0.26 ± 0.03 μM) over m-calpain (Ki = 5.33 ± 0.77 μM).IC50 value: 0.26 ± 0.03 μM (Ki, for μ-calpain), 5.33 ± 0.77 μM (Ki, for m-calpain) [1]Target: calpainin vitro: The μ-calpain inhibitor PD 151746 decreases oxLDL-induced cytotoxicity. [2]

PROTOCOL

Cell Assay

HMEC-1 cells cultured in 60-mm-diameter culture dishes were left untreated or were exposed to 200 μg/ml oxLDL for 20 h or to 200 nM staurosporine (STS) for 4 h. Along with oxLDL, some cells were co-treated with PD 151746 (20 μM) or BAF (50 μM).

Thereafter, the cells were harvested and processed for Western blotting as described in the Experimental section. The PVDF membrane was probed with an anti-α-fodrin mAb. The absorbance (A) of the 150 and 120 kDa bands was scanned, and the ratio of the two values (A 150/A 120) is indicated below relevant samples. The illustrated blot is representative of five separate experiments[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Basic Res Cardiol. 2022 Aug 23;117(1):40.
- CNS Neurosci Ther. 2023 Jul 23.
- J Cell Mol Med. 2020 Aug;24(16):9287-9299.
- Thorac Cancer. 2022 May 10.
- Research Square Preprint. 2020 Sep.

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REFERENCES

[1]. Wang KK, et al. An alpha-mercaptoacrylic acid derivative is a selective nonpeptide cell-permeable calpain inhibitor and is neuroprotective. Proc Natl Acad Sci U S A. 1996 Jun 25;93(13):6687-92.

[2]. P?rn-Ares MI, et al. Oxidized low-density lipoprotein induces calpain-dependent cell death and ubiquitination of caspase 3 in HMEC-1 endothelial cells. Biochem J. 2003 Sep 1;374(Pt 2):403-11.

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