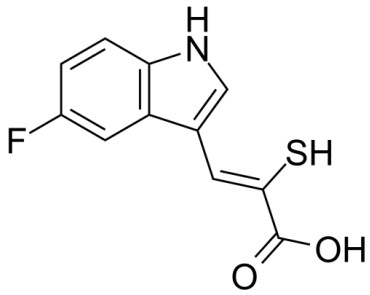
Data Sheet

Product Name:	PD 151746
Cat. No.:	CS-5401
CAS No.:	179461-52-0
Molecular Formula:	C11H8FNO2S
Molecular Weight:	237.25
Target:	Proteasome
Pathway:	Metabolic Enzyme/Protease
Solubility:	DMSO : ≥ 100 mg/mL (421.50 mM); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

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: calpain in vitro: The μ-calpain inhibitor PD 151746 decreases oxLDL-induced cytotoxicity. [2]

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

2-Propenoic acid, 3-(5-fluoro-1H-indol-3-yl)-2-mercapto-

SMILES:

O=C(O)/C(S)=C/C1=CNC2=C1C=C(F)C=C2

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA