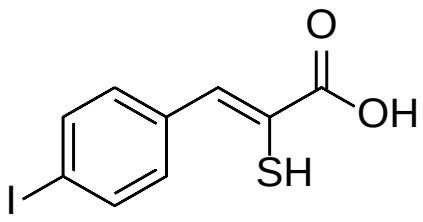
Product data sheet

MedKoo Cat#: 531245 Name: PD 150606 CAS: 179528-45-1 Chemical Formula: $C_9H_7IO_2S$ Exact Mass: 305.9211 Molecular Weight: 306.1175	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
PD 150606 is calpain inhibitor. PD150606 protects against ischemia/reperfusion injury by preventing μ-calpain-induced mitochondrial apoptosis. PD150606 attenuates glutamate induced spiral ganglion neuron apoptosis through apoptosis inducing factor pathway in vitro. PD150606) inhibits selective motor neuron death via inhibition of kainate-induced Ca2+ influx and not via calpain inhibition.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	20.0	65.33
DMSO	26.20	85.60
DMSO:PBS (pH 7.2) (1:1)	0.5	1.63
Ethanol	8.66	28.27

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.27 mL	16.33 mL	32.67 mL
5 mM	0.65 mL	3.27 mL	6.53 mL
10 mM	0.33 mL	1.63 mL	3.27 mL
50 mM	0.07 mL	0.33 mL	0.65 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Luo T, Yue R, Hu H, Zhou Z, Yiu KH, Zhang S, Xu L, Li K, Yu Z. PD150606 protects against ischemia/reperfusion injury by preventing μ-calpain-induced mitochondrial apoptosis. Arch Biochem Biophys. 2015 Nov 15;586:1-9. doi: 10.1016/j.abb.2015.06.005. Epub 2015 Jun 16. PMID: 26091952.
2. Wang KK, Nath R, Posner A, Raser KJ, Buroker-Kilgore M, Hajimohammadreza I, Probert A W Jr, Marcoux FW, Ye Q, Takano E, Hatanaka M, Maki M, Caner H, Collins JL, Fergus A, Lee KS, Lunney EA, Hays SJ, Yuen P. 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. doi: 10.1073/pnas.93.13.6687. PMID: 8692879; PMCID: PMC39087.

In vivo study

1. Zhang L, Zheng D, Yan Y, Yu Y, Chen R, Li Z, Greer PA, Peng T, Wang Q. Myeloid cell-specific deletion of Capns1 prevents macrophage polarization toward the M1 phenotype and reduces interstitial lung disease in the bleomycin model of systemic sclerosis. Arthritis Res Ther. 2022 Jun 21;24(1):148. doi: 10.1186/s13075-022-02833-7. PMID: 35729674; PMCID: PMC9210712.

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2. Yamaguchi T, Yoneyama M, Ogita K. Calpain inhibitor alleviates permanent hearing loss induced by intense noise by preventing disruption of gap junction-mediated intercellular communication in the cochlear spiral ligament. Eur J Pharmacol. 2017 May 15;803:187-194. doi: 10.1016/j.ejphar.2017.03.058. Epub 2017 Mar 31. PMID: 28366808.

7. Bioactivity

Biological target:

PD 150606 is a selective, cell-permeable non-peptide calpain inhibitor with Ki values of 0.21 μM and 0.37 μM.

In vitro activity

Alpha-mercaptoacrylate derivatives (exemplified by PD150606), with potent and selective inhibitory actions against calpain, have been identified. PD150606 exhibits the following characteristics: (i) Ki values for mu- and m-calpains of 0.21 microM and 0.37 microM, respectively, (ii) high specificity for calpains relative to other proteases, (iii) uncompetitive inhibition with respect to substrate, and (iv) it does not shield calpain against inactivation by the active-site inhibitor trans-(epoxysuccinyl)-L-leucyl-amido-3-methylbutane, suggesting a nonactive site action for PD150606. The recombinant calcium-binding domain from each of the large or small subunits of mu-calpain was found to interact with PD150606. In low micromolar range, PD15O6O6 inhibited calpain activity in two intact cell systems. The neuroprotective effects of this class of compound were also demonstrated by the ability of PD150606 to attenuate hypoxic/hypoglycemic injury to cerebrocortical neurons in culture and excitotoxic injury to Purkinje cells in cerebellar slices.

Reference: Proc Natl Acad Sci U S A. 1996 Jun 25;93(13):6687-92. https://pubmed.ncbi.nlm.nih.gov/8692879/

In vivo activity

In a separate experiment, a pharmacological inhibitor of calpain PD150606 (Biomol, USA, 3 mg/kg/day, i.p.) daily for 30 days was given to mice after bleomycin injection on daily basis. Calpain activities increased in SSc-mouse lungs. Both deletion of Capns1 and administration of PD150606 attenuated dermal sclerosis as evidenced by a reduction of skin thickness and reduced interstitial fibrosis and inflammation in bleomycin model of SSc mice.

Reference: Arthritis Res Ther. 2022 Jun 21;24(1):148. https://pubmed.ncbi.nlm.nih.gov/35729674/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.