PRODUCT INFORMATION



PD 151746

Item No. 23417

CAS Registry No.: 179461-52-0

Formal Name: 3-(5-fluoro-1H-indol-3-yl)-2-

mercapto-2-propenoic acid

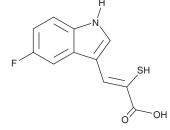
MF: C₁₁H₈FNO₂S

FW: 237.2 **Purity:**

 λ_{max} : 226, 280, 338 nm A crystalline solid UV/Vis.: Supplied as:

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

PD 151746 is supplied as a crystalline solid. A stock solution may be made by dissolving the PD 151746 in the solvent of choice, which should be purged with an inert gas. PD 151746 is soluble in organic solvents such as methanol and DMSO. The solubility of PD 151746 in these solvents is approximately 5 and 30 mg/ml, respectively.

Description

PD 151746 is an inhibitor of calpain $1/\mu$ -calpain ($K_i = 0.26 \mu M$).¹ It is 20-fold selective for calpain 1 over calpain 2/m-calpain (K_i = 5.33 μM). It is also selective over cathepsin B, papain, trypsin, and thermolysin, (K,s = >200, >500, >500, and >500 μ M, respectively) and does not inhibit basal calcineurin activity $(K_i = 200 \mu M)$ but does inhibit calmodulin-induced calcineurin activity $(K_i = 84.54 \mu M)$. It reduces glycogen synthesis induced by insulin in HepG2 cells when used at a concentration of 5.33 μ M.² PD 151746 (10 μ M) also increases intracellular calcium in isolated human neutrophils and HEK293 cells expressing human formyl peptide receptor-like 1 (hFPRL1).3

References

- 1. Wang, K.K.W., Nath, R., Posner, A., 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. 93(13), 6687-6692 (1996).
- 2. Meier, M., Klein, H.H., Kramer, J., et al. Calpain inhibition impairs glycogen syntheses in HepG2 hepatoma cells without altering insulin signaling. J. Endocrinol. 193(1), 45-51 (2007).
- 3. Fujita, H., Kato, T., Watanabe, N., et al. Calpain inhibitors stimulate phagocyte functions via activation of human formyl peptide receptors. Arch. Biochem. Biophys. 513(1), 51-60 (2011).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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