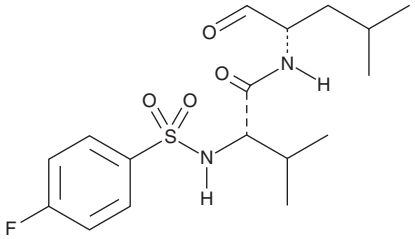
PRODUCT INFORMATION

Calpain Inhibitor VI

Item No. 23942

CAS Registry No.:	190274-53-4
Formal Name:	2S-[[(4-fluorophenyl)sulfonyl]amino]-N-[(1S)-1-formyl-3-methylbutyl]-3-methyl-butanamide
Synonym:	SJA 6017
MF:	$C_{17}H_{25}FN_2O_4S$
FW:	372.5
Purity:	≥95%
Supplied as:	A lyophilized solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

Calpain inhibitor VI is supplied as a lyophilized solid. A stock solution may be made by dissolving the calpain inhibitor VI in the solvent of choice, which should be purged with an inert gas. Calpain inhibitor VI is soluble in the organic solvent DMSO at a concentration of approximately 5 mg/ml.

Description

Calpain inhibitor VI is an inhibitor of the calcium-dependent cysteine proteases µ-calpain (calpain-1; IC_{50} = 7.5 nM) and m-calpain (calpain-2; IC_{50} = 78 nM). It also inhibits cathepsins B and L (IC_{50}s = 15 and 1.6 nM, respectively).[1] It is selective for these calpains and cathepsins over other cysteine and serine proteases, factor VIIa, factor Xa, trypsin, chymotrypsin, and proteasome. In an *in vitro* porcine model of cataract, calpain inhibitor VI decreases the degree of cataract by 40% when used at a concentration of 0.8 µM.[2] It also prevents cataract formation induced by selenite in rats when administered at a dose of 100 mg/kg for 4 days.[3] Calpain inhibitor VI improves functional outcome and reduces apoptotic cell death in a rat model of spinal cord injury.[4]

References

1. Inoue, J., Nakamura, M., Cui, Y.-S., *et al.* Structure-activity relationship study and drug profile of N-(4-fluorophenylsulfonyl)-L-valyl-L-leucinal (SJA6017) as a potent calpain inhibitor. *J. Med. Chem.* **46(5)**, 868-871 (2003).
2. Biswas, S., Harris, F., Singh, J., *et al.* The *in vitro* retardation of porcine cataractogenesis by the calpain inhibitor, SJA6017. *Mol. Cell Biochem.* **261(1-2)**, 169-173 (2004).
3. Tamada, Y., Fukiage, C., Mizutani, K., *et al.* Calpain inhibitor, SJA6017, reduces the rate of formation of selenite cataract in rats. *Curr. Eye Res.* **22(4)**, 280-285 (2001).
4. Akdemir, O., Uçankale, M., Karaoğlan, A., *et al.* Therapeutic efficacy of SJA6017, a calpain inhibitor, in rat spinal cord injury. *J. Clin. Neurosci.* **15(10)**, 1130-1136 (2008).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM