

# PRODUCT INFORMATION



**K777**

Item No. 19114

**CAS Registry No.:** 233277-99-1  
**Formal Name:** 4-methyl-N-[(1S)-2-oxo-2-[[[(1S)-1-(2-phenylethyl)-3-(phenylsulfonyl)-2-propen-1-yl]amino]-1-(phenylmethyl)ethyl]-1-piperazinecarboxamide

**Synonyms:** APC-3316, CRA-3316, K11777, MePip-Phe-hPhe-VSφ

**MF:** C<sub>32</sub>H<sub>38</sub>N<sub>4</sub>O<sub>4</sub>S

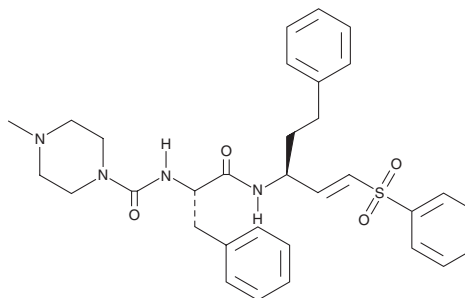
**FW:** 574.7

**Purity:** ≥98% (mixture of isomers)

**Supplied as:** A 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

K777 is supplied as a solid. A stock solution may be made by dissolving the K777 in the solvent of choice, which should be purged with an inert gas. K777 is soluble in DMSO.

## Description

K777 is a cysteine protease inhibitor.<sup>1</sup> It inhibits human cathepsin S ( $K_i = 0.002 \mu\text{M}$ ) and human cathepsin L ( $K_i = 0.05 \mu\text{M}$ ), which cleaves the severe acute respiratory coronavirus 2 (SARS-CoV-2) spike glycoprotein, also known as the surface glycoprotein. K777 is selective for these proteases over human cathepsin K, -B, and -C ( $K_{iS} = 0.4, 3, >100 \mu\text{M}$ , respectively), as well as the SARS-CoV-2 cysteine proteases papain-like protease (PL<sup>pro</sup>) and main protease (M<sup>pro</sup>), also known as the 3C-like protease (3CL<sup>pro</sup>;  $K_{iS} = >100 \mu\text{M}$  for both). It prevents cleavage of the spike protein S1 subunit *in vitro* and reduces the cytopathic effect of SARS-CoV-2 in infected Vero E6, HeLa/ACE2, and A549/ACE2 cells ( $EC_{50S} = <0.074, 0.004, \text{ and } <0.080 \mu\text{M}$ , respectively). K777 induces mortality in *T. b. brucei* trypanosomes ( $IC_{50} = 0.1 \mu\text{M}$ ) and reduces myocardial damage in a canine model of *T. cruzi* infection when administered at a dose of 50 mg/kg twice per day.<sup>2,3</sup> It also inhibits chemokine (C-C motif) ligand 17 (CCL17) binding to, and CCL17-induced chemotaxis of, HuT 78 cells ( $IC_{50S} = 0.057 \text{ and } 0.0089 \mu\text{M}$ , respectively), as well as induces chemokine (C-C motif) receptor 4 (CCR4) internalization.<sup>4</sup>

## References

1. Mellott, D.M., Tseng, C.-T., Drelich, A., *et al.* A clinical-stage cysteine protease inhibitor blocks SARS-CoV-2 infection of human and monkey cells. *ACS Chem. Biol.* **16**(4), 642-650 (2021).
2. Troeberg, L., Morty, R.E., Pike, R.N., *et al.* Cysteine proteinase inhibitors kill cultured bloodstream forms of *Trypanosoma brucei brucei*. *Exp. Parasitol.* **91**(4), 349-355 (1999).
3. Barr, S.C., Warner, K.L., Kornreic, B.G., *et al.* A cysteine protease inhibitor protects dogs from cardiac damage during infection by *Trypanosoma cruzi*. *Antimicrob. Agents Chemother.* **49**(12), 5160-5161 (2005).
4. Sato, T., Iwase, M., Miyama, M., *et al.* Internalization of CCR4 and inhibition of chemotaxis by K777, a potent and selective CCR4 antagonist. *Pharmacology* **91**(5-6), 305-313 (2013).

### WARNING

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

### SAFETY DATA

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.

### WARRANTY AND LIMITATION OF REMEDY

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