

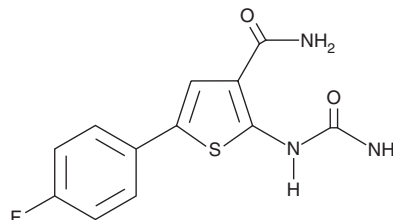
# PRODUCT INFORMATION



## TPCA-1

Item No. 15115

**CAS Registry No.:** 507475-17-4  
**Formal Name:** 2-[(aminocarbonyl)amino]-5-(4-fluorophenyl)-3-thiophenecarboxamide  
**Synonym:** IKK2 Inhibitor IV  
**MF:** C<sub>12</sub>H<sub>10</sub>FN<sub>3</sub>O<sub>2</sub>S  
**FW:** 279.3  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 232, 312 nm  
**Supplied as:** A crystalline 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

TPCA-1 is supplied as a crystalline solid. A stock solution may be made by dissolving the TPCA-1 in the solvent of choice, which should be purged with an inert gas. TPCA-1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of TPCA-1 in ethanol is approximately 0.5 mg/ml and approximately 16.6 mg/ml in DMSO and DMF.

TPCA-1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TPCA-1 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. TPCA-1 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

TPCA-1 is a selective inhibitor of IκB kinase-2 (IC<sub>50</sub>s = 17.9 nM and 0.40 μM for IKK2 and IKK1, respectively).<sup>1</sup> Furthermore, it displays greater than 550-fold selectivity over other kinases and enzymes including, p38, MAPK, COX, and JNK.<sup>1</sup> TPCA-1 inhibits the production of LPS-induced TNF-α, IL-6, and IL-8 with IC<sub>50</sub> values equal to 0.17, 0.29, and 0.32 μM, respectively.<sup>1</sup> At 20 mg/kg, TPCA-1 has been used to reduce the severity and onset of collagen-induced arthritis in mice and at 30 mg/kg to block cytokine release in an antigen-driven rat model of lung inflammation.<sup>1,2</sup>

### References

1. Podolin, P.L., Callahan, J.F., Bolognese, B.J., *et al.* Attenuation of murine collagen-induced arthritis by a novel, potent, selective small molecule inhibitor of IκB kinase 2, TPCA-1 (2-[(aminocarbonyl)amino]-5-(4-fluorophenyl)-3-thiophenecarboxamide), occurs via reduction of proinflammatory cytokines and antigen-induced T cell proliferation. *J. Pharmacol. Exp. Ther.* **312**(1), 373-381 (2005).
2. Birrell, M.A., Hardaker, E., Wong, S., *et al.* IκB kinase-2 inhibitor blocks inflammation in human airway smooth muscle and a rat model of asthma. *Am. J. Respir. Crit. Care Med.* **172**(8), 962-971 (2005).

#### 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.

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