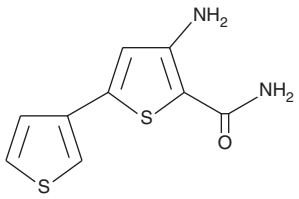
PRODUCT INFORMATION

SC-514

Item No. 10010267

CAS Registry No.: 354812-17-2
Formal Name: 4-amino-[2,3'-bithiophene]-5-carboxamide
Synonym: GK 01140
MF: $C_9H_8N_2OS_2$
FW: 224.3
Purity: ≥98%
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

SC-514 is supplied as a crystalline solid. A stock solution may be made by dissolving the SC-514 in the solvent of choice, which should be purged with an inert gas. SC-514 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of SC-514 in these solvents is approximately 25 mg/ml.

SC-514 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SC-514 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SC-514 has a solubility of approximately 0.1 mg/ml in a 1:10 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SC-514 is a selective and reversible inhibitor of IκB kinase 2 (IKK2) (IC_{50} = 3-12 µM) that displays greater than 10-fold selectivity over 28 other kinases, including JNK, p38, MK2, and ERK.[1,2] In synovial fibroblasts stimulated with interleukin (IL)-1β, SC-514 attenuates NF-κB-mediated expression of IL-6, IL-8, and cyclooxygenase-2 (IC_{50}s = 20, 20, and 8 µM, respectively).[1] SC-514 also reduces NF-κB-mediated expression of other genes, including iNOS in LPS-stimulated smooth muscle cells and TLR2 in TNF-activated astrocytes.[3,4]

References

1. Kishore, N., Sommers, C., Mathialagan, S., *et al.* A selective IKK-2 inhibitor blocks NF- kB-dependent gene expression in interleukin-1β-stimulated synovial fibroblasts. *J. Biol. Chem.* **278(35)**, 32861-32871 (2003).
2. Baxter, A., Brough, S., Cooper, A., *et al.* Hit-to-lead studies: The discovery of potent, orally active, thiophenecarboxamide IKK-2 inhibitors. *Bioorg. Med. Chem. Lett.* **14(11)**, 2817-2822 (2004).
3. Gomez, A.B., MacKenzie, C., Paul, A., *et al.* Selective inhibition of inhibitory kappa B kinase-β abrogates induction of nitric oxide synthase in lipopolysaccharide-stimulated rat aortic smooth muscle cells. *Br. J. Pharmacol.* **146(2)**, 217-225 (2005).
4. Phulwani, N.K., Esen, N., Syed, M.M., *et al.* TLR2 expression in astrocytes is induced by TNF-α-and NF-kB-dependent pathways. *J. Immunol.* **181(6)**, 3841-3849 (2008).

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