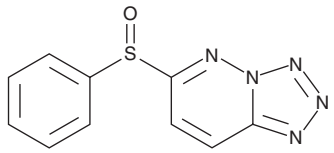
PRODUCT INFORMATION

Ro 106-9920

Item No. 15373

CAS Registry No.:	62645-28-7
Formal Name:	6-(phenylsulfinyl)-tetrazolo[1,5-b] pyridazine
MF:	$C_{10}H_7N_5OS$
FW:	245.3
Purity:	≥95%
UV/Vis.:	λ_{max}: 205, 231 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

Ro 106-9920 is supplied as a crystalline solid. A stock solution may be made by dissolving the Ro 106-9920 in the solvent of choice. Ro 106-9920 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of Ro 106-9920 in these solvents is approximately 20 and 25 mg/ml, respectively.

Ro 106-9920 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Ro 106-9920 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Ro 106-9920 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Transcriptional activation by NF-κB is limited by IκBα binding, which masks the NF-κB nuclear localization signal that initiates nuclear translocation. Cytokines trigger a signaling cascade of kinases that target IκBα for degradation, enabling NF-κB to promote gene expression. Because NF-κB regulates the transcription of inflammatory mediators, blocking its signaling activity is regarded as an effective means to treat inflammatory diseases. Ro 106-9920 is a small molecule inhibitor of NF-κB-dependent expression of TNF-α, interleukin-1β, and interleukin-6 (IC_{50} < 1 µM in human peripheral blood mononuclear cells).[1] It selectively inhibits the ubiquitination of activated IκBα with an IC_{50} value of 2.3 µM demonstrating little activity against the ubiquitin-activating enzyme E1 (IC_{50}s >100 µM), the ubiquinating-conjugating enzyme E2UBCH7, nonspecific ubiquitination of cellular proteins, and 97 other molecular targets.[1] In two different models of acute inflammation, Ro 106-9920 at 10-100 mg/kg has been shown to dose-dependently inhibit cytokine production in rat.[1]

Reference

1. Swinney, D.C., Xu, Y.Z., Scarafia, L.E., *et al*. A small molecule ubiquitination inhibitor blocks NF-κB-dependent cytokine expression in cells and rats. *J. Biol. Chem.* **277(26)**, 23573-23581 (2002).

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