Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

SC-514

Catalog No: tcsc1388

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:
354812-17-2

Formula:
$C_9H_8N_2OS_2$

Pathway:
NF-κB

Target:
IKK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 53 mg/mL (236.29 mM)

Alternative Names:
GK 01140

Observed Molecular Weight:
224.3

Product Description

SC-514 is a selective **IKK-2** inhibitor (**IC_{50}**=11.2±4.7 μM), which does not inhibit other IKK isoforms or other serine-threonine and tyrosine kinases.

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IC50 & Target: IC50: 11.2±4.7 μM (IKK-2), 2.7±0.7 μM (Recombinant human IKK-1/IKK-2 heterodimer), 6.1±2.2 μM (Native IKK complex), 61 μM (CDK2/A), 71 μM (AUR2), 75 μM (PRAK), 123 μM (MSK), >200 μM (IKK-1), >200 μM (TBK-1)[1]

In Vitro: SC-514 inhibits the native IKK complex or recombinant human IKK-1/IKK-2 heterodimer with IC_{50}s of 6.1±2.2 μM and 2.7±0.7 μM, respectively. IKK-2 inhibition by SC-514 is selective, reversible, and competitive with ATP. SC-514 inhibits transcription of NF-κB-dependent genes in IL-1β-induced rheumatoid arthritis-derived synovial fibroblasts in a dose-dependent manner. SC-514 inhibits all forms of recombinant human IKK-2 including rhIKK-2 homodimer, rhIKK-1/rhIKK-2 heterodimer, as well as the constitutively active form of rhIKK-2 with comparable IC_{50} values in the 3-12 μM range[1]. To evaluate whether the reactive oxygen species (ROS)-inducing IKKβ inhibitor increases the sensitivity of melanoma cells to nitrosourea. The responses of melanoma cells are first assessed to SC-514/Fotemustine co-treatment. Melanoma cell lines are treated with 50 μM of SC-514 and Fotemustine alone and in combination for 48 h and growth inhibition is assessed. Co-treatment with SC-514 significantly enhances Fotemustine-induced cytotoxicity in all melanoma cell lines tested[2].

In Vivo: SC-514 is efficacious in an acute model of inflammation, namely LPS-induced serum TNFα production in the rat. SC-514 shows a dose-dependent inhibition of TNFα production, validating IKK-2 as a potential anti-inflammatory drug target in vivo[1]. To obtain in vivo evidence for the implication of SC-514 in the response of cancer cells to Fotemustine, the xenograft mouse model of melanoma is used. Nude mice engrafted with A375 or G361 tumors are treated with vehicle control and 25 mg/kg SC-514 and/or 25 mg/kg Fotemustine daily for 13-15 consecutive days and the tumor behavior is monitored. Fotemustine treatment with SC-514 shows a clear combined effect and reduces the size of tumors in mice[2].