

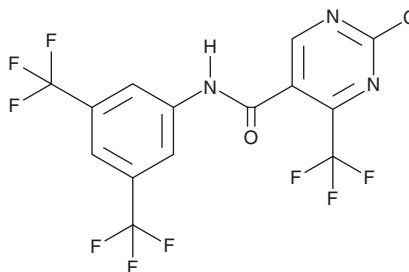
PRODUCT INFORMATION



SP100030

Item No. 42898

CAS Registry No.: 154563-54-9
Formal Name: N-[3,5-bis(trifluoromethyl)phenyl]-2-chloro-4-(trifluoromethyl)-5-pyrimidinecarboxamide
MF: C₁₄H₅ClF₉N₃O
FW: 437.7
Purity: ≥98%
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

SP100030 is supplied as a solid. A stock solution may be made by dissolving the SP100030 in the solvent of choice, which should be purged with an inert gas. SP100030 is sparingly soluble (1-10 mg/ml) in ethanol and DMSO.

Description

SP100030 is an inhibitor of gene transcription mediated by NF-κB and activator protein-1 (AP-1).¹ It inhibits NF-κB- and AP-1-mediated transcription in a reporter assay using Jurkat T cells (IC₅₀ = 0.05 μM for both) and decreases IL-2 and IL-8 levels in Jurkat T cells (IC₅₀ = 0.03 μM for both). SP100030 (20 mg/kg per day for three days) reduces eosinophil and T cell infiltration into bronchoalveolar lavage fluid (BALF) and reduces Ap-1 and phosphorylated Ap-1 levels in isolated lung tissue in an ovalbumin-sensitized rat model of asthma.² It prevents tumor-induced decreases in skeletal muscle, brown adipose tissue, spleen, kidney, and heart mass in a rat model of cachexia when administered at a dose of 1 mg/kg per day.³ SP100030 (10 mg/kg per day) inhibits bleomycin-induced decreases in body weight, increases in wet-dry lung weight ratio, and increases in lung total protein, myeloperoxidase (Mpo), elastase, collagen, and IL-1β levels in a mouse model of pulmonary fibrosis.⁴

References

1. Sullivan, R.W., Bigam, C.G., Erdman, P.E., *et al.* 2-Chloro-4-(trifluoromethyl)pyrimidine-5-N-(3',5'-bis(trifluoromethyl)phenyl)-carboxamide: A potent inhibitor of NF-κB- and AP-1-mediated gene expression identified using solution-phase combinatorial chemistry. *J. Med. Chem.* **41(4)**, 413-419 (1998).
2. Huang, T.J., Adcock, I.M., and Chung, K.F. A novel transcription factor inhibitor, SP100030, inhibits cytokine gene expression, but not airway eosinophilia or hyperresponsiveness in sensitized and allergen-exposed rat. *Br. J. Pharmacol.* **134(5)**, 1029-1036 (2001).
3. Moore-Carrasco, R., Busquets, S., Almendro, V., *et al.* The AP-1/NF-κB double inhibitor SP100030 can revert muscle wasting during experimental cancer cachexia. *Int. J. Oncol.* **30(5)**, 1239-1245 (2007).
4. Fujimoto, H., D'Alessandro-Gabazza, C.N., Palanki, M.S.S., *et al.* Inhibition of nuclear factor-κB in T cells suppresses lung fibrosis. *Am. J. Respir. Crit. Care Med.* **176(12)**, 1251-1260 (2007).

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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