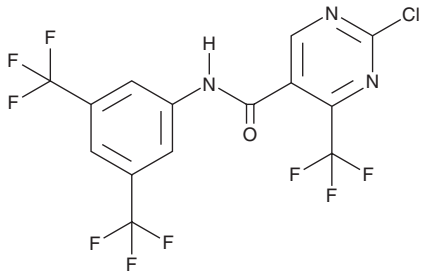
PRODUCT INFORMATION

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:	$C_{14}H_5ClF_9N_3O$
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 (IC_{50} = 0.05 µM for both) and decreases IL-2 and IL-8 levels in Jurkat T cells (IC_{50} = 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)-carboxamid e: 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).

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