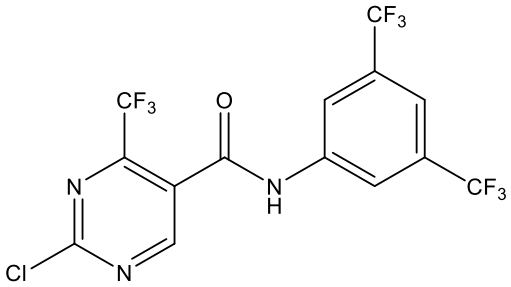
Catalog #10-3996

SP100030

CAS# 154563-54-9

N-[3,5-Bis(trifluoromethyl)phenyl]-2-chloro-4-(trifluoromethyl)pyrimidine-5-carboxamide; 2-Chloro-4-(trifluoromethyl)pyrimidine-5-N-(3',5'-bis(trifluoromethyl)phenyl)carboxamide

Lot # FBA9284



SP100030 is a potent dual inhibitor of NF-kB- and AP-1-mediated gene expression ($IC_{50's}$ = 50 nM for both in transfected Jurkat T-cells).[1] It was able to specifically block IL-2 and IL-8 in Jurkat T-cells ($IC_{50's}$ = 30 nM for both).[1] SP100030 treatment significantly decreased arthritis severity in DBA/1J mice.[2] It selectively inhibited CD8(+) T-cells and mRNA expression of TH1 and Th2 cytokines in a rat model of asthma but did not inhibit allergen-induced eosinophilia and bronchial hyperresponsiveness.[3] SP100030 ameliorated muscle wasting in a cancer cachexia rat model.[4] SP100030 suppression of T cell activation has been attributed to targeting of XPO1 (with minimal impact on nuclear export and cell viability).[5]

1) Sullivan *et al.* (1998), *2-Chloro-4-(trifluoromethyl)pyrimidine-5-N-(3',5'-bis(trifluoromethyl)phenyl)carboxamide: A Potent Inhibitor of NF-kB- and AP-1-Mediated Gene Expression Identified Using Solution-Phase Combinatorial Chemistry*; J. Med. Chem. **41** 413
2) Gerlag *et al.* (2000), *The effect of a T cell-specific NF-kappa B inhibitor on in vitro cytokine production and collagen-induced arthritis*; J. Immunol. **165** 1652
3) Huang *et al.* (2001), *A novel transcription factor inhibitor, SP100030, inhibits cytokine gene expression, but not airway eosinophilia or hyperresponsiveness in sensitized and allergen-exposed rats*; Br. J. Pharmacol. **134** 1029
4) Moore-Carrasco *et al.* (2007), *The AP-1/NF-kappaB double inhibitor SP100030 can revert muscle wasting during experimental cancer cachexia*; Int. J. Oncol. **30** 1239
5) Chen *et al.* (2024), *Targeting the chromatin binding of exportin-1 disrupts NFAT and T cell activation*; Nat. Chem. Biol. **20** 1260

PHYSICAL DATA

Molecular Weight:	437.65
Molecular Formula:	$C_{14}H_5ClF_9N_3O$
Purity:	>98% (HPLC)
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/mL)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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