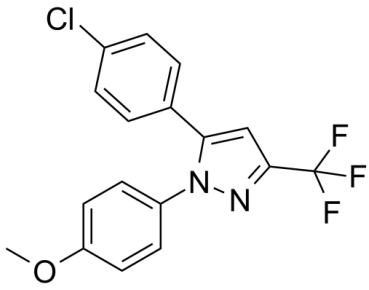
Data Sheet

Product Name:	SC-560
Cat. No.:	CS-7835
CAS No.:	188817-13-2
Molecular Formula:	C17H12ClF3N2O
Molecular Weight:	352.74
Target:	COX
Pathway:	Immunology/Inflammation
Solubility:	H2O : < 0.1 mg/mL (insoluble); DMSO : ≥ 100 mg/mL (283.49 mM)



BIOLOGICAL ACTIVITY:

SC-560 is a potent and selective **COX-1** inhibitor with an **IC_{50}** of 9 nM. IC50 & Target: IC50: 9 nM (COX-1), 6.3 μM (COX-2)[1] **In Vitro:** Preincubation of COX-1 with SC-560 inhibits the conversion of arachidonic acid to PGE2 in a concentration-dependent manner. The IC_{50} of SC-560 for COX-2 is 6.3 μM, nearly 1,000-fold higher than with COX-1[1]. SC-560 shows a dose and time dependent inhibitory effect on HCC cell growth. SC-560 also inhibits colony formation in soft agar and induces apoptosis in HCC cells in a dose-dependent manner. Moreover, SC-560 decreases the levels of the anti-apoptotic proteins survivin and XIAP and activates caspase 3 and 7 in a dose and time dependent fashion[2]. **In Vivo:** Oral dosing with either 10 or 30 mg/kg SC-560 1 hour before assay completely inhibits ionophore-stimulated TxB2production, indicating that SC-560 is orally bioavailable and inhibits COX-1 in vivo[1]. SC-560 extensively distributes into rat tissues, and has a CL approaching hepatic plasma flow. The drug displays low less than 15% and formulation dependent bioavailability after oral administration and demonstrates kidney toxicity[3].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: SC-560 is dissolved in DMSO[2].[2]HuH-6 and HA22T/VGH cells (5000/well) are treated with various concentrations of SC-560 (5, 10, 25, 50, 100, 200 μM) and cultured for 72 h. At the end of treatment, cell viability is assessed by MTS assay[2]. **Animal Administration:** [3]Rat: The pharmacokinetics of SC-560 is studied in Sprague-Dawley rats after a single intravenous (i.v.) and oral dose (10 mg/kg) in polyethylene glycol (PEG) 600 and a single oral dose (10 mg/kg) in 1% methylcellulose (MC). Serial blood samples are collected via a catheter inserted in the right jugular vein and serum samples are analysed for SC-560 using reverse phase HPLC. After oral administration of SC-560 in PEG, urine is also collected for 24 h and analyzed for urinary sodium, chloride, and potassium as well as NAG[3].

References:

[1]. Smith CJ, et al. Pharmacological analysis of cyclooxygenase-1 in inflammation. Proc Natl Acad Sci U S A. 1998 Oct 27;95(22):13313-8.

[2]. Lampiasi N, et al. The selective cyclooxygenase-1 inhibitor SC-560 suppresses cell proliferation and induces apoptosis in human hepatocellular carcinoma cells. Int J Mol Med. 2006 Feb;17(2):245-52.

[3]. Teng XW, et al. Formulation dependent pharmacokinetics, bioavailability and renal toxicity of a selective cyclooxygenase-1 inhibitor SC-560 in the rat. J Pharm Pharm Sci. 2003 May-Aug;6(2):205-10.

CAIndexNames:

1H-Pyrazole,5-(4- chlorophenyl)-1-(4-methoxyphenyl)-3-(trifluoromethyl)-

SMILES:

FC(C1=NN(C2=CC=C(OC)C=C2)C(C3=CC=C(Cl)C=C3)=C1)(F)F

Caution: Product has not been fully validated for medical applications. For research use only.

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