SR-31747 free base

Cat. No.: HY-13751A

CAS No.: 132173-06-9

Molecular Formula: $C_{23}H_{34}ClN$

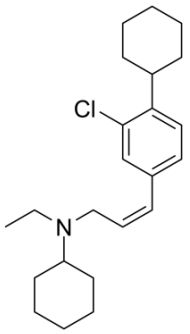
Molecular Weight: 359.98

Target: Sigma Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Pure form	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (13.89 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7779 mL	13.8897 mL	27.7793 mL
	5 mM	0.5556 mL	2.7779 mL	5.5559 mL
	10 mM	0.2778 mL	1.3890 mL	2.7779 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.5 mg/mL (1.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.5 mg/mL (1.39 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.5 mg/mL (1.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SR-31747 free base is a sigma ligand with immunosuppressive and anti-inflammatory properties. SR-31747 blocks cell proliferation by inhibiting sterol isomerase[1][2].

IC_{50} & Target

Sigma ligand[1]

In Vitro

SR-31747 blocks the proliferation of lymphocytes at a concentration of 10 nM. SR-31747 is capable of inhibiting T-cell proliferation when added as late as 24 h after activation. SR-31747 arrests proliferation in yeast cells in a dose-dependent manner[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

In vivo, SR-31747 dramatically blocks lipopolysaccharide-induced production of IL-1, IL-6 and TNF-α in a dose-dependent manner (ED_{50}, 2 mg/kg). SR-31747 probably abrogated monokine production through an indirect mechanism that involves endogenous corticosteroids. This conclusion was supported by in vivo experiments that shows that: 1) ablation of corticosteroids by use of Mifepristone or adrenalectomy suppress the effect of SR-31747; 2) administration of SR-31747 induces an enhancement of the corticosterone level. SR-31747 improves the survival of animals with endotoxinic shock as a result of monokine inhibition[1].

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PROTOCOL

Animal Administration [1]

IL-1, IL-6 and TNF-a are induced by i.p. injection of LPS into BALBIc mice. SR 31747 or reference substances are administered i.p. at the indicated doses together with LPS (0.5 mg/kg). Control animals are treated with LPS and vehicle. Blood samples are collected from the retro-orbital sinus 1 hr or 4 hr after LPS injection for the determination of TNF-α, IL-1 and IL-6. Plasma is prepared and stored frozen until experiments. The IL-1 plasma level is determined by a competitive radioreceptor assay with the use of the murine NOBEL4 cell line and [^{125}I]-IL-1. The IL-6 assay is conducted with the B9 murine IL-6-dependent cell line. The TNF-a plasma level is evaluated by the cytolytic assay with the dactinomycin-treated LM6 cell line, derived from the murine fibroblastic L929 cell line. Each determination is performed on a pool of three different plasma samples. None of the molecules administered affect these assays even at the highest dose (10^{-5} M), which thereby rules out the possibility of any direct effect caused by the presence ofdrugs in treated-animal sera. In the various tests, one unit is defined as the amount of cytokines able to induce 50% of the maximal effect.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Derocq JM, et al. In vivo inhibition of endotoxin-induced pro-inflammatory cytokines production by the sigma ligand SR 31747. J Pharmacol Exp Ther. 1995 Jan;272(1):224-30.

[2]. Silve S, et al. The immunosuppressant SR 31747 blocks cell proliferation by inhibiting a steroid isomerase in Saccharomyces cerevisiae. Mol Cell Biol. 1996 Jun;16(6):2719-27.

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