TC-SP 14

Cat. No.: HY-108492

CAS No.: 1257093-40-5

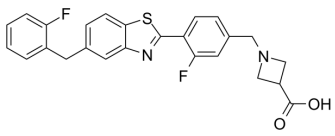
Molecular Formula: $C_{25}H_{20}F_2N_2O_2S$

Molecular Weight: 450.5

Target: LPL Receptor

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

TC-SP 14 (compound 14) is an orally active and potent S1P1 agonist (EC_{50} = 0.042 μM) with minimal activity at S1P3 (EC_{50} = 3.47 μM). TC-SP 14 significantly reduces blood lymphocyte counts and attenuates a delayed type hypersensitivity (DTH) response to antigen challenge[1].

IC_{50} & Target

S1PR1	S1PR3
0.042 μM (EC50)	3.47 μM (EC50)

In Vitro

TC-SP 14 (compound 14) neither inhibits nor induces human cytochrome P450 enzymes, is nonmutagenic, and dose not significantly inhibit the hERG channel[1].

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

In Vivo

TC-SP 14 (compound 14) (0-3 mg/kg, Orally, once) produces a dose-dependent reduction in circulating blood lymphocytes 24 h postdose[1].

TC-SP 14 (0-3 mg/kg, Orally, daily for 10 days) significant reduces ovalbumin (OVA)-induced ear swelling[1].

TC-SP 14 (2-15 mg/kg, IV or PO, once) possesses acceptable characteristics[1].

Pharmacokinetic Parameters of TC-SP 14 in female Sprague-Dawley rats and male Cynomolgus [1].

species	rat	NHP
CL (L/h/kg)	0.33	0.50
Vss (L/kg)	3.3	1.6
$T_{1/2}$ (h)	7.5	35.2
MRT (h)	10	3.3
% F	68	23

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

Animal Model:	Lewis rats (female, n = 5/group)[1]
Dosage:	0.3, 1.0, and 3.0 mg/kg
Administration:	Orally, once
Result:	Produced a dose-dependent reduction in circulating blood lymphocytes 24 h postdose, resulted in near maximal lymphopenia at 3.0 mg/kg (74% reduction in lymphocytes vs vehicle).

Animal Model:	OVA-immunized Lewis rats (female, n = 8/group)[1]
Dosage:	0.1, 0.3, 1.0, and 3.0 mg/kg
Administration:	Orally, daily for 10 days
Result:	Significant reduced OVA-induced ear swelling at doses of 0.3 mg/kg and higher.

Animal Model:	Female Sprague-Dawley rats, Male Cynomolgus (NHP (nonhuman primates)) (n=3/group) [1]
Dosage:	2 (IV, rat), 4 (IV, NHP), 10 (PO, NHP), 15 mg/kg (PO, rat)
Administration:	IV, PO, once (Pharmacokinetic Analysis)
Result:	Possessed acceptable characteristics, demonstrated low clearance, moderate steady state volumes of distribution, moderate-to-long mean residence times, and acceptable oral bioavailability.

REFERENCES

[1]. Lanman BA, et al. Discovery of a Potent, S1P3-Sparing Benzothiazole Agonist of Sphingosine-1-Phosphate Receptor 1 (S1P1). ACS Med Chem Lett. 2010 Nov 9;2(2):102-6.

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