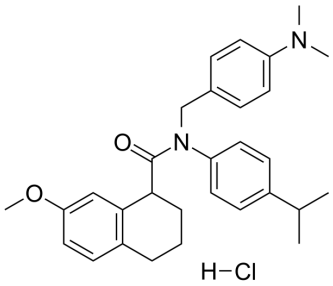
W-54011

Cat. No.:	HY-16992A
CAS No.:	405098-33-1
Molecular Formula:	$C_{30}H_{37}ClN_2O_2$
Molecular Weight:	493.08
Target:	Complement System; Reactive Oxygen Species
Pathway:	Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 28 mg/mL (56.79 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0281 mL	10.1403 mL	20.2807 mL
5 mM	0.4056 mL	2.0281 mL	4.0561 mL
10 mM	0.2028 mL	1.0140 mL	2.0281 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: ≥ 2.5 mg/mL (5.07 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.07 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

W-54011 is a potent and orally active non-peptide C5a receptor antagonist. W-54011 inhibits the binding of ^{125}I-labeled C5a to human neutrophils with a K_i value of 2.2 nM. W-54011 also inhibits C5a-induced intracellular Ca^{2+} mobilization, chemotaxis, and generation of ROS in human neutrophils with IC_{50}s of 3.1 nM, 2.7 nM, and 1.6 nM, respectively[1].

IC_{50} & Target

Ki: 2.2 nM (C5a)sup>[1]
IC50: 3.1 nM (Ca^{2+} mobilization,), 2.7 nM (Chemotaxis), and 1.6 nM (ROS)[1]

In Vitro

In C5a-induced intracellular Ca^{2+} mobilization assay with human neutrophils, W-54011 does not show agonistic activity at

up to 10 μM and shifts rightward the concentration-response curves to C5a without depressing the maximal responses, indicating that W-54011 is a full antagonist. At concentrations up to 10 μM, W-54011 does not affect Ca2+ mobilization stimulated with sub-maximally effective concentrations of fMLP (1 nM), plateletactivating factor (0.3 nM), and IL-8 (0.1 nM). This result demonstrates that W-54011 is highly specific for C5a receptor[1].

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

In Vivo

W-54011 (3-30 mg/kg; oral administration; for 4 hours; male mongolian gerbils) treatment inhibited C5a-induced neutropenia in a dose-dependent manner in gerbils[1].

The species selectivity of W-54011 is examined in rhC5a-induced intracellular Ca^{2+} mobilization of neutrophils in various species. The W-54011 is able to inhibit the response in cynomolgus monkeys and gerbils with IC_{50} values of 1.7 nM and 3.2 nM, respectively, but not in mice, rats, guinea pigs, rabbits, and dogs[1].

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

Animal Model:	Male mongolian gerbils (6-12 weeks) injected with rhC5a[1]
Dosage:	3 mg/kg, 10 mg/kg, 30 mg/kg
Administration:	Oral administration; for 4 hours
Result:	Inhibited C5a-induced neutropenia in a dose-dependent manner.

CUSTOMER VALIDATION

- Aging (Albany NY). 2021 Mar 10;13(6):8588-8598.
- J Cell Mol Med. 2021 Jan;25(2):960-974.
- FEBS Open Bio. 2023 Feb 2.

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REFERENCES

[1]. Sumichika H, et al. Identification of a potent and orally active non-peptide C5a receptor antagonist. J Biol Chem. 2002 Dec 20;277(51):49403-49407.

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