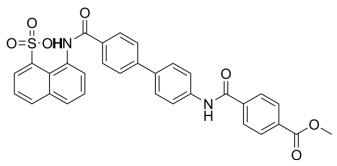
DRI-C21045

Cat. No.:	HY-120323
CAS No.:	2101765-81-3
Molecular Formula:	$C_{32}H_{24}N_2O_7S$
Molecular Weight:	580.61
Target:	TNF Receptor
Pathway:	Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 2 mg/mL (3.44 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7223 mL	8.6116 mL	17.2233 mL
5 mM	---	---	---
10 mM	---	---	---

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 0.5 mg/mL (0.86 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

DRI-C21045 (compound 10) is a potent and selective inhibitor of the CD40-CD40L costimulatory protein-protein interaction (PPI) with an IC_{50} of 0.17 μM. DRI-C21045 shows concentration-dependent inhibition of the activation of NF-κB and B cell proliferation all induced by CD40L with IC_{50}s of 17.1 μM and 4.5 μM, respectively[1].

IC_{50} & Target

IC50: 0.17 μM (CD40)[1]

In Vitro

DRI-C21045 (3.2-100 μM; 18 h) concentration-dependently inhibits the CD40L-induced NF-κB activation in CD40 sensor cells [1].

DRI-C21045 (0.6-50 μM; 48 h) blocks CD40L-induced functional activation of primary B cells[1].

DRI-C21045 (0.4-50 μM; 48 h) inhibits CD40L-induced MHC-II upregulation in THP-1 cells[1].

DRI-C21045 (2-100 μM; 48 h) inhibits CD40L-induced B cell proliferation[1].

DRI-C21045 shows no signs of cytotoxicity for concentrations of up to 100 and 200 μM and has no genotoxic potential for

	concentrations of up to 500 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	DRI-C21045 (30 mg/kg; daily, s.c.; in 20% HPβCD) prolongs graft survival in a murine allogeneic skin transplant model[1]. DRI-C21045 (20-60 mg/kg; twice daily s.c.; in 20% HPβCD) inhibits alloantigen-induced T cell expansion in the draining lymph nodes (DLNs)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Full-thickness ear skins from BALB/c were transplanted onto the dorsal thorax of C57BL/6 [1]
Dosage:	30 mg/kg
Administration:	Daily s.c; administered in 20% w/v hydroxypropyl-β-cyclodextrin (HPβCD) solution
Result:	Caused prolongation of skin allograft survival.

REFERENCES

[1]. Bojadzic D, et, al. Toward Small-Molecule Inhibition of Protein-Protein Interactions: General Aspects and Recent Progress in Targeting Costimulatory and Coinhibitory (Immune Checkpoint) Interactions. Curr Top Med Chem. 2018;18(8):674-699.

[2]. Chen J, et al. Small-Molecule Inhibitors of the CD40-CD40L Costimulatory Protein-Protein Interaction. J Med Chem. 2017 Nov 9;60(21):8906-8922.

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