PF-4878691

Cat. No.: HY-100176

CAS No.: 532959-63-0

Molecular Formula: $C_{17}H_{23}N_5O_2S$

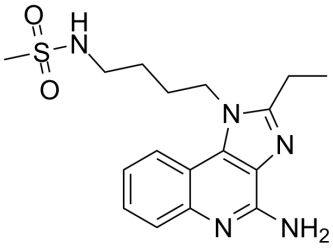
Molecular Weight: 361.46

Target: Toll-like Receptor (TLR); Apoptosis; TNF Receptor; HCV; Interleukin Related

Pathway: Immunology/Inflammation; Apoptosis; Anti-infection

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 : 19.23 mg/mL (53.20 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7666 mL	13.8328 mL	27.6656 mL
	5 mM	0.5533 mL	2.7666 mL	5.5331 mL
	10 mM	0.2767 mL	1.3833 mL	2.7666 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: ≥ 1.92 mg/mL (5.31 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.92 mg/mL (5.31 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.92 mg/mL (5.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-4878691 (3M-852A) is an orally active TLR7 agonist. PF-4878691 has the innate immune response activity, antiviral efficacy against HCV, and can be used for the research of cancer[1][2].

IC_{50} & Target

TLR7	IL-6	IL-8	IL-1β
IL-2			

In Vitro

PF-4878691 (10 μM, 4 h) induces a complex transcription network responsible for activating plasmacytoid dendritic cells for

innate antiviral immune responses with optimized responses towards RNA viruses, increases co-stimulatory capacity, and increases survival in plasmacytoid dendritic cells[2].

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

In Vivo

PF-4878691 (10-150 mg, Oral gavage, single dose) induces pharmacology in BALB/c mice and C57bl/6 J mice[1].

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

Animal Model:	BALB/c mice , C57bl/6 J mice[3]
Dosage:	30 mg/kg, 60 mg/kg, 90 mg/kg, 150 mg/kg
Administration:	Oral gavage (p.o.)
Result:	Induced dose and time dependant lymphopenia and 2′5′oligoadenylate synthetase (2′5′ OAS). Caused cardiovascular changes. Significantly increased TLR7 receptor RNA.

REFERENCES

[1]. Birmachu W, et al. Transcriptional networks in plasmacytoid dendritic cells stimulated with synthetic TLR 7 agonists [J]. BMC immunology, 2007, 8: 1-19.

[2]. Fidock MD, et al. The innate immune response, clinical outcomes, and ex vivo HCV antiviral efficacy of a TLR7 agonist (PF-4878691). Clin Pharmacol Ther. 2011 Jun;89(6):821-9.

[3]. Horscroft NJ, et al. Antiviral applications of Toll-like receptor agonists. J Antimicrob Chemother. 2012 Apr;67(4):789-801.

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