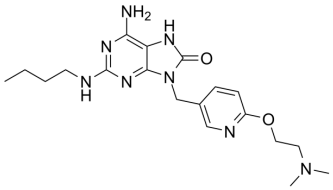
DSR-6434

Cat. No.:	HY-110120
CAS No.:	1059070-10-8
Molecular Formula:	$C_{19}H_{28}N_8O_2$
Molecular Weight:	400.48
Target:	Toll-like Receptor (TLR)
Pathway:	Immunology/Inflammation
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 : 125 mg/mL (312.13 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4970 mL	12.4850 mL	24.9700 mL
	5 mM	0.4994 mL	2.4970 mL	4.9940 mL
	10 mM	0.2497 mL	1.2485 mL	2.4970 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 mg/mL (2.50 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (2.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DSR-6434 is a potent and selective Toll-like receptor 7 (TLR7) agonist, with EC_{50}s of 7.2 nM and 4.6 nM for human and mice TLR7, respectively. DSR-6434 has a strong antitumor effect[1][2].

IC_{50} & Target

TLR7 7.2 nM (EC50, Human)	TLR7 4.6 nM (EC50, Mice)

In Vitro

To assess the specificity of DSR-6434 toward TLR7, an NF-κB-driven reporter assay is performed in HEK293 cells engineered to express either hTLR7, TLR8 or TLR9. In this assay, successful binding of DSR-6434 to the specific receptor leads to NF-κB activation. DSR-6434 is capable of stimulating reporter gene activity only in HEK293 cells expressing hTLR7 and not in HEK293 cells expressing the structurally similar hTLR8 or hTLR9[2].

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

In Vivo

DSR-6434 treatment (Compound 20; 0.1-1 mg/kg; intravenous injection; biweekly; for 4 weeks; B6C3F1 mice) suppresses the lung metastasis significantly, 78% inhibition at 0.1 mg/kg dosing (with no tumor metastasis at the 1 mg/kg group)[1].

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

Animal Model:	B6C3F1 mice injected with HM-1 ovarian cancer cells[1]
Dosage:	0.1 mg/kg, 1 mg/kg
Administration:	Intravenous injection; biweekly; for 4 weeks
Result:	Suppressed the lung metastasis significantly, 78% inhibition was seen at 0.1 mg/kg dosing (with no tumor metastasis at the 1 mg/kg group).

REFERENCES

[1]. Nakamura T, et al. Synthesis and evaluation of 8-oxoadenine derivatives as potent Toll-like receptor 7 agonists with high water solubility. Bioorg Med Chem Lett. 2013 Feb 1;23(3):669-72.

[2]. Adlard AL, et al. A novel systemically administered Toll-like receptor 7 agonist potentiates the effect of ionizing radiation in murine solid tumor models. Int J Cancer. 2014 Aug 15;135(4):820-9.

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