TLR7/8/9 antagonist 2

Cat. No.: HY-153460

CAS No.: 2920729-91-3

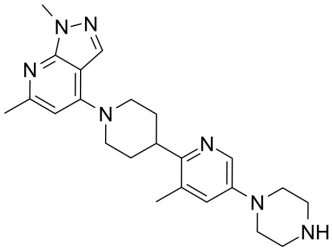
Molecular Formula: $C_{23}H_{31}N_7$

Molecular Weight: 405.54

Target: Toll-like Receptor (TLR)

Pathway: Immunology/Inflammation

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



BIOLOGICAL ACTIVITY

Description

TLR7/8/9 antagonist 2 is an orally active TLR7/8/9 antagonist. TLR7/8/9 antagonist 2 has inhibitory activities for HEK/hTLR7, HEK/hTLR8 and HEK/hTLR9 with IC_{50} values of 0.011 μM, 0.029 μM and 0,052 μM, respectively.TLR7/8/9 antagonist 2 has high bioavailability in vivo.TLR7/8/9 antagonist 2 can be used for the research of auto-inflammatory diseases such as systemic lupus erythematosus or lupus nephritis[1].

IC_{50} & Target

IC50: 0.011 μM (HEK/hTLR7); 0.029 μM (HEK/hTLR8); 0.052 μM (HEK/hTLR9); 420 nM (hPBMC/TLR9)[1].

In Vitro

TLR7/8/9 antagonist 2 (example 7) has inhibitory activities for HEK/hTLR7, HEK/hTLR8 and HEK/hTLR9 with IC_{50} values of 0.011 μM, 0.029 μM and 0,052 μM, respectively[1].

TLR7/8/9 antagonist 2 has inhibitory activities for hPBMC/TLR9 with IC_{50} value of 420 nM[1].

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

In Vivo

TLR7/8/9 antagonist 2 (example 7) has high bioavailability in vivo[1].

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

Animal Model:	Male Wister-Han Rats[1]
Dosage:	2 mg/kg, 10 mg/kg
Administration:	p.o., i.v.; single dose

Result:

PO C_{max} (ng/mL)	PO $AUC_{0\text{-last}}$ (h*ng/mL)	IV $AUC_{0\text{-last}}$ (h*ng/mL)	CL (mL/min/kg)	Vss (L/kg)	$T_{1/2}$(h)	F(%)
465	7514	1516	16	16.8	14.7	100

REFERENCES

[1]. Dongdong Chen, et al. Pyrazolo[3,4-b]pyridine compounds for the treatment of autoimmune disease. Patent WO2023046806A1.

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