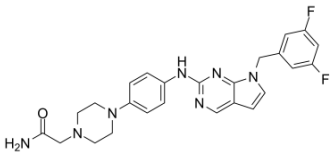
AS1810722

Cat. No.:	HY-134772
CAS No.:	909561-15-5
Molecular Formula:	$C_{25}H_{25}F_2N_7O$
Molecular Weight:	477.51
Target:	STAT; Cytochrome P450
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt; Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (130.89 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0942 mL	10.4710 mL	20.9420 mL
	5 mM	0.4188 mL	2.0942 mL	4.1884 mL
	10 mM	0.2094 mL	1.0471 mL	2.0942 mL

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: 2.08 mg/mL (4.36 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

AS1810722 is an orally active and potent STAT6 inhibitor with an IC_{50} of 1.9 nM. AS1810722 shows a good profile of CYP3A4 inhibition. AS1810722, a derivative of fused bicyclic pyrimidine, has the potential for allergic diseases such as asthma and atopic diseases research[1].

IC_{50} & Target	STAT6 1.9 nM (IC_{50})	CYP3A4

In Vitro

AS1810722 (compound 24) inhibits production of IL-4 with an IC_{50} of 2.4 nM, but shows no effect on production of IFN-γ[1].

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

In Vivo

AS1810722 (compound 24; 0.03-0.3 mg/kg; orally; 30 min before, and 24h and 48 h after OVA exposure) suppress eosinophil infiltration in the lung in a dose-dependent manner in an antigen-induced mouse asthmatic model[1].

AS1810722 inhibits in vitro Th2 differentiation with an IC_{50} of 2.4 nM without affecting type 1 helper T (Th1) cell differentiation and eosinophil infiltration in an antigen-induced mouse asthmatic model after oral administration[1].

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

Animal Model:	Female Balb/c mice asthmatic model by intraperitoneal injection of ovalbumin (OVA)-containing aluminum hydroxide gel[1]
Dosage:	0.03-0.3 mg/kg
Administration:	Orally; 30 min before, and 24 and 48 h after OVA exposure
Result:	Suppressed eosinophil infiltration in the lung in a dose-dependent manner.

REFERENCES

[1]. Shinya Nagashima, et al. Novel 7H-pyrrolo[2,3-d]pyrimidine derivatives as potent and orally active STAT6 inhibitors. Bioorg Med Chem. 2009 Oct 1;17(19):6926-36.

Caution: Product has not been fully validated for medical applications. For research use only.

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