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Zuschläge

- Mindermengenzuschlag
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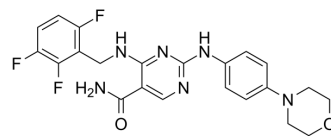
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YM-341619

Cat. No.:	HY-134771		
CAS No.:	643082-52-4		
Molecular Formula:	C ₂₂ H ₂₁ F ₃ N ₆ O ₂		
Molecular Weight:	458.44		
Target:	STAT		
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt		
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 : 50 mg/mL (109.07 mM; ultrasonic and warming and heat to 60°C)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.1813 mL	10.9066 mL	21.8131 mL
		5 mM	0.4363 mL	2.1813 mL	4.3626 mL
10 mM		0.2181 mL	1.0907 mL	2.1813 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: 2.5 mg/mL (5.45 mM); Suspended solution; Need ultrasonic Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.45 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.45 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	YM-341619 (AS1617612) is a potent and orally active STAT6 inhibitor with an IC ₅₀ of 0.70 nM. YM-341619 inhibits Th2 differentiation in mouse spleen T cells induced by IL-4 (IC ₅₀ =0.28 nM) without affecting Th1 cell differentiation ^[1] . YM-341619 is a promising compound for the the research of allergic diseases, such as allergic asthma ^[2] .
IC₅₀ & Target	STAT6 0.70 nM (IC ₅₀)

In Vitro	<p>YM-341619 (0.1-100 nM; pretreatment 30 min before IL-4) inhibits IL-4-increased STAT6 luciferase gene activity in a concentration dependent manner, exhibiting an IC₅₀ value of 1.5 nM in FW4 cells^[2].</p> <p>YM-341619 (0.1-10 nM; pretreatment 30 min before IL-4) concentration-dependently decreases the production of IL-4 and the expression of GATA-3 mRNA in T cells cultured with IL-4. And it has no effects on the production of IFN-γ or the expression of T-bet (a Th1 transcription factor) mRNA in T cells cultured with IL-12^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>RT-PCR^[2]</p>								
	<table border="1"> <tr> <td>Cell Line:</td> <td>T cells</td> </tr> <tr> <td>Concentration:</td> <td>0.1 nM, 1 nM, 10 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>Pretreatment 30 min before IL-4, then IL-4 treated for 16 hours</td> </tr> <tr> <td>Result:</td> <td>Decreased IL-4 and GATA-3 mRNA expression.</td> </tr> </table>	Cell Line:	T cells	Concentration:	0.1 nM, 1 nM, 10 nM	Incubation Time:	Pretreatment 30 min before IL-4, then IL-4 treated for 16 hours	Result:	Decreased IL-4 and GATA-3 mRNA expression.
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	Concentration:	0.1 nM, 1 nM, 10 nM							
	Incubation Time:	Pretreatment 30 min before IL-4, then IL-4 treated for 16 hours							
Result:	Decreased IL-4 and GATA-3 mRNA expression.								
In Vivo	<p>YM-341619 (intravenous injection; 1 mg/kg) exhibits CL_{tot}, t_{1/2}, V_d values of 36.1 mL/min/kg, 1.0 hour, 3117 mL/kg, respectively. And it exhibits C_{max}, T_{max}, AUC, and F% values of 80 ng/mL, 0.5h, 114 ng h/mL and 25%, respectively in 8-week-old female balb/c mice^[1].</p> <p>YM-341619 (oral administration; 0.003-0.03 mg/kg) suppresses the IgE level in a dose-dependent manner, but not the IgG2a level, and the ED₅₀ value of YM-341619 for the suppression of IgE production is 0.026 mg/kg. YM-341619 tends to decrease IL-4 production and decrease IL-13 production in a dose-dependent manner (both 57%), but does not affect IFN-γ production in DNP-Ascaris-sensitized rats^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
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REFERENCES

- [1]. Shinya Nagashima, et al. Identification of 4-benzylamino-2-[(4-morpholin-4-yl)phenyl]amino]pyrimidine-5-carboxamide derivatives as potent and orally bioavailable STAT6 inhibitors. *Bioorg Med Chem*. 2008 Jul 1;16(13):6509-21. 9.15
- [2]. Keiko Ohga, et al. YM-341619 suppresses the differentiation of spleen T cells into Th2 cells in vitro, eosinophilia, and airway hyperresponsiveness in rat allergic models. *Eur J Pharmacol*. 2008 Aug 20;590(1-3):409-16.

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

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