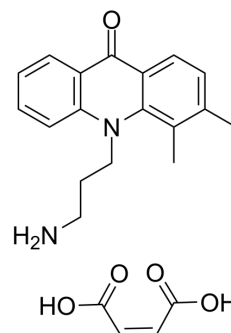


ER-27319 maleate

Cat. No.:	HY-108489		
CAS No.:	1204480-26-1		
Molecular Formula:	C ₂₂ H ₂₄ N ₂ O ₅		
Molecular Weight:	396.44		
Target:	Syk		
Pathway:	Protein Tyrosine Kinase/RTK		
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 : 125 mg/mL (315.31 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.5224 mL	12.6122 mL	25.2245 mL
	5 mM	0.5045 mL	2.5224 mL	5.0449 mL
	10 mM	0.2522 mL	1.2612 mL	2.5224 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

ER-27319 (maleate), an acridone derivative, is a potent and selective SKY inhibitor, and inhibits the tyrosine phosphorylation of SYK and its activity. ER-27319 (maleate) inhibits the release of antigen-induced allergic mediators from human and rat mast cells with an IC₅₀ of 10 μM and can be used for study in allergic diseases^{[1] [2]}.

IC₅₀ & Target

10 μM (Syk) in human and rat mast cells^[1]

In Vitro

ER-27319 (maleate) (24 h) inhibits antigen-induced generation of inositol phosphates, release of arachidonic acid, and secretion of histamine and tumor necrosis factor α in RBL-2H3 cells, rat peritoneal and human cultured mast cells, and with IC₅₀ value of 10 μM, approximately^[1].

ER-27319 (maleate) (10-30 μM, 10 min) selectivity inhibits the tyrosine phosphorylation of SYK induced by the phosphorylated immunoreceptor tyrosine-based activation motif of the FcεRI γ in RBL-2H3 cells^[1].

ER-27319 (maleate) (up to 100 μM, 60 min) does not inhibit the the tyrosine phosphorylation of ZAP-70 in response to anti-CD3 stimulation in the Jurkat cells^[1].

ER-27319 (maleate) (100 μM, 10 min) inhibits the tyrosine phosphorylation of two proteins (38, 70 kD) and decreases the tyrosine phosphorylation of the other two proteins (62, 80 kD) in anti-IgG stimulation Canine cutaneous mastocytoma-

derived cells^[2].

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

Western Blot Analysis^[1]

Cell Line:	RBL-2H3 cells
Concentration:	10, 30 and 100 μ M
Incubation Time:	10 min
Result:	Inhibited the Tyrosine Phosphorylation of SYK in Mast Cells (the inhibition of 57% and 87% at 10 and 30 μ M). Inhibited the tyrosine phosphorylation of SYK induced by the phospho- γ ITAM of the Fc ϵ RI γ but not the tyrosine phosphorylation of Syk induced by the phospho-Ig β immunoreceptor tyrosine-based activation motif at 10 and 30 μ M. Had no effect on the Ig β immunoreceptor tyrosine-based activation motif-induced phosphorylation of SYK at 100 μ M.

Western Blot Analysis^[1]

Cell Line:	Jurkat cells
Concentration:	3, 10, 30, 100 μ M
Incubation Time:	10, 30, 60 min
Result:	Did not inhibit the the tyrosine phosphorylation of ZAP-70 in response to anti-CD3 stimulation.

REFERENCES

[1]. Katsuhiro Moriya, et al. ER-27319, an acridone-related compound, inhibits release of antigen-induced allergic mediators from mast cells by selective inhibition of Fc ϵ receptor I-mediated activation of Syk. Proc Natl Acad Sci U S A. 1997 Nov 11; 94(23): 12539–12544.

[2]. Yoshitaka Sato, et al. IgG-mediated signal transduction in canine mastocytoma-derived cells. Int Arch Allergy Immunol. 2002 Dec;129(4):305-13.

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

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