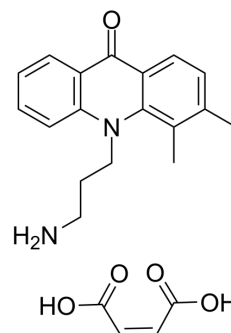


ER-27319 maleate

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



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 IC ₅₀ 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	<p>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].</p> <p>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].</p> <p>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].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>RBL-2H3 cells</td> </tr> <tr> <td>Concentration:</td> <td>10, 30 and 100 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>10 min</td> </tr> <tr> <td>Result:</td> <td> <p>Inhibited the Tyrosine Phosphorylation of SYK in Mast Cells (the inhibition of 57% and 87% at 10 and 30 μM).</p> <p>Inhibited the tyrosine phosphorylation of SYK induced by the phospho-γ ITAM of the FcεRI γ but not the tyrosine phosphorylation of Syk induced by the phospho-Ig β immunoreceptor tyrosine-based activation motif at 10 and 30 μM.</p> <p>Had no effect on the Igβ immunoreceptor tyrosine-based activation motif-induced phosphorylation of SYK at 100 μM.</p> </td> </tr> </table> <p>Western Blot Analysis^[1]</p>	Cell Line:	RBL-2H3 cells	Concentration:	10, 30 and 100 μM	Incubation Time:	10 min	Result:	<p>Inhibited the Tyrosine Phosphorylation of SYK in Mast Cells (the inhibition of 57% and 87% at 10 and 30 μM).</p> <p>Inhibited the tyrosine phosphorylation of SYK induced by the phospho-γ ITAM of the FcεRI γ but not the tyrosine phosphorylation of Syk induced by the phospho-Ig β immunoreceptor tyrosine-based activation motif at 10 and 30 μM.</p> <p>Had no effect on the Igβ immunoreceptor tyrosine-based activation motif-induced phosphorylation of SYK at 100 μM.</p>
Cell Line:	RBL-2H3 cells								
Concentration:	10, 30 and 100 μM								
Incubation Time:	10 min								
Result:	<p>Inhibited the Tyrosine Phosphorylation of SYK in Mast Cells (the inhibition of 57% and 87% at 10 and 30 μM).</p> <p>Inhibited the tyrosine phosphorylation of SYK induced by the phospho-γ ITAM of the FcεRI γ but not the tyrosine phosphorylation of Syk induced by the phospho-Ig β immunoreceptor tyrosine-based activation motif at 10 and 30 μM.</p> <p>Had no effect on the Igβ immunoreceptor tyrosine-based activation motif-induced phosphorylation of SYK at 100 μM.</p>								

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]. Katsuhiko 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.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA