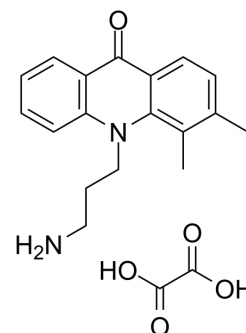


## ER-27319

<b>Cat. No.:</b>	HY-138961
<b>CAS No.:</b>	201010-95-9
<b>Molecular Formula:</b>	C <sub>20</sub> H <sub>22</sub> N <sub>2</sub> O <sub>5</sub>
<b>Molecular Weight:</b>	370.4
<b>Target:</b>	Syk
<b>Pathway:</b>	Protein Tyrosine Kinase/RTK
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	ER-27319, an acridone derivative, is a potent and selective SYK inhibitor, and inhibits the tyrosine phosphorylation of SYK and its activity. ER-27319 inhibits the release of antigen-induced allergic mediators from human and rat mast cells with an IC <sub>50</sub> of 10 μM and can be used for study in allergic diseases <sup>[1][2]</sup> .								
<b>IC<sub>50</sub> &amp; Target</b>	10 μM (Syk) in human and rat mast cells <sup>[1]</sup>								
<b>In Vitro</b>	<p>ER-27319 (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 IC<sub>50</sub> value of 10 μM, approximately<sup>[1]</sup>.</p> <p>ER-27319 (10-30 μM, 10 min) selectively inhibits the tyrosine phosphorylation of SYK induced by the phosphorylated immunoreceptor tyrosine-based activation motif of the FcεRI γ in RBL-2H3 cells<sup>[1]</sup>.</p> <p>ER-27319 (up to 100 μM, 60 min) does not inhibit the tyrosine phosphorylation of ZAP-70 in response to anti-CD3 stimulation in the Jurkat cells<sup>[1]</sup>.</p> <p>ER-27319 (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<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis<sup>[1]</sup></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<sup>[1]</sup></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>
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Cell Line:	Jurkat cells
Concentration:	3, 10, 30, 100 $\mu$ M
Incubation Time:	10, 30, 60 min
Result:	IDid not inhibit the the tyrosine phosphorylation of ZAP-70 in response to anti-CD3 stimulation.

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## REFERENCES

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- [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 $\epsilon$  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.
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**Caution: Product has not been fully validated for medical applications. For research use only.**

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