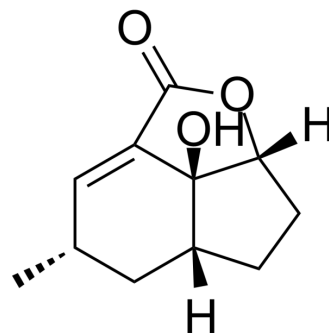


Galiellalactone

Cat. No.:	HY-125170
CAS No.:	133613-71-5
Molecular Formula:	C ₁₁ H ₁₄ O ₃
Molecular Weight:	194.23
Target:	STAT
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Galiellalactone is a small non-toxic and non-mutagenic fungal metabolite, a selective inhibitor of STAT3 signaling, with an IC ₅₀ of 250-500 nM. Galiellalactone can be used to research castration-resistant prostate cancer ^{[1][2][3]} .																
IC₅₀ & Target	STAT3 250-500 nM (IC ₅₀)																
In Vitro	<p>Galiellalactone (2.5-25 μM; 24-72 hour) induces apoptosis of prostate cancer (PCa) cell lines expressing p-Stat3^[1].</p> <p>Galiellalactone (2.5-25 μM; 24-72 hour) decreases viability of DU145 cells^[1].</p> <p>Galiellalactone (10 μM) inhibits STAT3 signaling activity as assessed by luciferase reporter gene assay in IL-6-stimulated LNCaP cells^[2].</p> <p>Galiellalactone (10-100 μM; 1 hour) binds directly to STAT3 in DU145 cells^[2].</p> <p>Galiellalactone (5-50 μM; 1 hour) interferes with STAT3 DNA binding without inhibiting phosphorylation in DU145 cells^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Apoptosis Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>DU145, PC-3, and LNCaP cells</td> </tr> <tr> <td>Concentration:</td> <td>0, 2.5, 5, 10, 25 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24, 48, 72 hours</td> </tr> <tr> <td>Result:</td> <td>Induced an apoptotic response in PC-3 and DU145 cells.</td> </tr> </table> <p>Cell Viability Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>DU145 cells</td> </tr> <tr> <td>Concentration:</td> <td>0, 2.5, 5, 10, 17.5, 25 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24, 48, 72 hours</td> </tr> <tr> <td>Result:</td> <td>Decreased DU145 cells viability in a dose- and time-dependent manner.</td> </tr> </table> <p>Western Blot Analysis^[1]</p>	Cell Line:	DU145, PC-3, and LNCaP cells	Concentration:	0, 2.5, 5, 10, 25 μM	Incubation Time:	24, 48, 72 hours	Result:	Induced an apoptotic response in PC-3 and DU145 cells.	Cell Line:	DU145 cells	Concentration:	0, 2.5, 5, 10, 17.5, 25 μM	Incubation Time:	24, 48, 72 hours	Result:	Decreased DU145 cells viability in a dose- and time-dependent manner.
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Cell Line:	DU145 cells
Concentration:	0, 10, 25 μ M
Incubation Time:	48 hours
Result:	Induced expression of the apoptotic marker cleaved caspase-3 and increased expression of cleaved PARP.

Western Blot Analysis^[2]

Cell Line:	DU145 cells
Concentration:	0, 10, 25, 50, 100 μ M
Incubation Time:	1 hour
Result:	Prevented the binding of the biotinylated analogue of galiellalactone (GL-biot) to STAT3 in a dose-dependent manner demonstrating competitive binding.

Western Blot Analysis^[2]

Cell Line:	DU145 cells
Concentration:	0, 5, 10, 25, 50 μ M
Incubation Time:	1 hour
Result:	Inhibited STAT3 binding to DNA in a dose-dependent manner. Did not affect phosphorylation of STAT3 Tyr-705 and Ser-727 or the expression of total STAT3.

In Vivo

Galiellalactone (1, 3 mg/kg; daily i.p. for 3 weeks) inhibits PCa tumor growth in vivo^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male nude NMR1 mice are injected PCa cell ^[1]
Dosage:	0, 1, 3 mg/kg
Administration:	Daily i.p. injections for 3 weeks
Result:	Reduced the tumor growth rate in DU145 xenografts by 41-42% and was well tolerated.

REFERENCES

- [1]. Hellsten R, et, al. Galiellalactone is a novel therapeutic candidate against hormone-refractory prostate cancer expressing activated Stat3. *Prostate*. 2008 Feb 15; 68(3): 269-80.
- [2]. Doncow DN, et, al. Galiellalactone is a direct inhibitor of the transcription factor STAT3 in prostate cancer cells. *J Biol Chem*. 2014 Jun 6; 289(23): 15969-78.
- [3]. Weidler M, et, al. Inhibition of interleukin-6 signaling by galiellalactone. *FEBS Lett*. 2000 Oct 27; 484(1): 1-6.

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

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