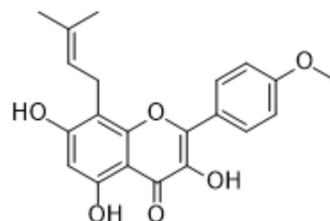


## Icaritin

<b>Cat. No.:</b>	HY-N0678												
<b>CAS No.:</b>	118525-40-9												
<b>Molecular Formula:</b>	C <sub>21</sub> H <sub>20</sub> O <sub>6</sub>												
<b>Molecular Weight:</b>	368.38												
<b>Target:</b>	Autophagy; Apoptosis												
<b>Pathway:</b>	Autophagy; Apoptosis												
<b>Storage:</b>	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>1 year</td> </tr> <tr> <td></td> <td>-20°C</td> <td>6 months</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	1 year		-20°C	6 months
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	4°C	2 years											
In solvent	-80°C	1 year											
	-20°C	6 months											



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 15.62 mg/mL (42.40 mM; Need ultrasonic)  
 H<sub>2</sub>O : < 0.1 mg/mL (insoluble)

Concentration	Mass		
	1 mg	5 mg	10 mg
<b>1 mM</b>	2.7146 mL	13.5729 mL	27.1459 mL
<b>5 mM</b>	0.5429 mL	2.7146 mL	5.4292 mL
<b>10 mM</b>	0.2715 mL	1.3573 mL	2.7146 mL

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

#### In Vivo

- Add each solvent one by one: 15% Cremophor EL >> 85% Saline  
 Solubility: 10 mg/mL (27.15 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
 Solubility: ≥ 1.56 mg/mL (4.23 mM); Clear solution
- Add each solvent one by one: 50% PEG300 >> 50% saline  
 Solubility: 1.51 mg/mL (4.10 mM); Suspended solution; Need ultrasonic

### BIOLOGICAL ACTIVITY

#### Description

Icaritin (Anhydroicaritin) is a prenylflavonoid derivative from *Epimedium brevicornu* Maxim. and potently inhibits proliferation of K562 cells (IC<sub>50</sub> of 8 μM) and primary CML cells (IC<sub>50</sub> of 13.4 μM for CML-CP and 18 μM for CML-BC). Icaritin can regulate MAPK/ERK/JNK and JAK2/STAT3 /AKT signalings, also enhances osteogenesis<sup>[1][2][3]</sup>.

#### In Vitro

Icaritin (4-64 μM; 48 hours; K562, imatinib-resistant cells and primary CML cells) treatment inhibits proliferation of K562, imatinib-resistant cells and primary CML cells<sup>[1]</sup>.

Icaritin (0-64  $\mu$ M; 48 hours; K562 and primary cells) treatment induces K562 or primary cells apoptosis in an concentration dependent manner<sup>[1]</sup>.

Icaritin (32  $\mu$ M; K562 cells) treatment increases cell population in the sub-G1 phase in K562 cells<sup>[1]</sup>.

Icaritin (0-64  $\mu$ M; 48 hours; K562 cells) treatment inhibits MAPK/ERK/JNK downstream signaling and diminishes Jak2/Stat3/Akt expression. Icaritin treatment also significantly inhibits Bcl-2 protein expression and up-regulated Bax protein expression in K562 with a dose-dependent manner accompanied by the cleavage activation of caspase-3 or caspase-9, and a down-regulated expression of Apaf-1<sup>[1]</sup>.

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

#### Cell Proliferation Assay<sup>[1]</sup>

Cell Line:	K562, imatinib-resistant cells and primary CML cells
Concentration:	4 $\mu$ M, 8 $\mu$ M, 16 $\mu$ M, 32 $\mu$ M and 64 $\mu$ M
Incubation Time:	48 hours
Result:	Inhibited cell proliferation.

#### Apoptosis Analysis<sup>[1]</sup>

Cell Line:	K562 or primary cells
Concentration:	0 $\mu$ M, 4 $\mu$ M, 8 $\mu$ M, 16 $\mu$ M, 32 $\mu$ M and 64 $\mu$ M
Incubation Time:	48 hours
Result:	Induced K562 or primary cells apoptosis.

#### Cell Cycle Analysis<sup>[1]</sup>

Cell Line:	K562 cells
Concentration:	32 $\mu$ M
Incubation Time:	
Result:	Cell population in the sub-G1 phase was increased.

#### Western Blot Analysis<sup>[1]</sup>

Cell Line:	K562 cells
Concentration:	0 $\mu$ M, 4 $\mu$ M, 8 $\mu$ M, 16 $\mu$ M, 32 $\mu$ M and 64 $\mu$ M
Incubation Time:	48 hours
Result:	Inhibited MAPK/ERK/JNK downstream signaling and diminishes Jak2/Stat3/Akt expression.

#### In Vivo

Icaritin (4-8 mg/kg; intraperitoneal injection; daily; for 10 weeks; female NOD-SCID nude mice) treatment could prolong lifespan of NOD-SCID nude mice inoculated with K562 cells without suppression of bone marrow in mouse leukemia model [1].

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

Animal Model:	Female NOD-SCID nude mice (6-8 weeks old) with K562 cells <sup>[1]</sup>
Dosage:	4 mg/kg and 8 mg/kg

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Administration:	Intraperitoneal injection; daily; for 10 weeks
Result:	Could prolong lifespan of NOD-SCID nude mice inoculated with K562 cells without suppression of bone marrow.

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## CUSTOMER VALIDATION

- J Pharm Pharmacol. 2023 Nov 16:rgad103.

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## REFERENCES

- [1]. Zhu Jf, et al. Icaritin shows potent anti-leukemia activity on chronic myeloid leukemia in vitro and in vivo by regulating MAPK/ERK/JNK and JAK2/STAT3 /AKT signalings. PLoS One. 2011;6(8):e23720.
- [2]. Yao D, et al. Icaritin, an exogenous phytomolecule, enhances osteogenesis but not angiogenesis--an in vitro efficacy study. PLoS One. 2012;7(8):e41264.
- [3]. Guo Y, et al. An anticancer agent icaritin induces sustained activation of the extracellular signal-regulated kinase (ERK) pathway and inhibits growth of breast cancer cells. Eur J Pharmacol. 2011 May 11;658(2-3):114-22.
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**Caution: Product has not been fully validated for medical applications. For research use only.**

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