



# SZABO SCANDIC

Part of Europa Biosite

## Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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### Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

### Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

### SZABO-SCANDIC HandelsgmbH

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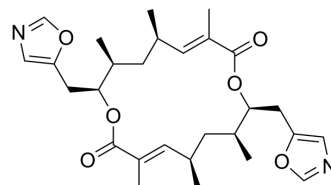
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## Conglobatin

Cat. No.:	HY-119906
CAS No.:	72263-05-9
Molecular Formula:	C <sub>28</sub> H <sub>38</sub> N <sub>2</sub> O <sub>6</sub>
Molecular Weight:	498.61
Target:	HSP; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Apoptosis
Storage:	Powder    -20°C    3 years In solvent   -80°C    6 months -20°C    1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 50 mg/mL (100.28 mM; Need ultrasonic and warming)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
1 mM		2.0056 mL	10.0279 mL	20.0558 mL
5 mM		0.4011 mL	2.0056 mL	4.0112 mL
10 mM		0.2006 mL	1.0028 mL	2.0056 mL

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

### BIOLOGICAL ACTIVITY

#### Description

Conglobatin (FW-04-806), a macrolide dilactone, is isolated from the culture of *Streptomyces conglobatus*. Conglobatin is an orally active Hsp90 inhibitor. Conglobatin can bind to the N-terminal domain of Hsp90 and disrupt Hsp90-Cdc37 complex formation. Conglobatin induces apoptosis in human breast cancer cells and esophageal squamous cell carcinoma cells, and exhibits antitumor activity in vivo<sup>[1][2][3]</sup>.

#### IC<sub>50</sub> & Target

HSP90

#### In Vitro

Conglobatin (6.25-100 μM; 48 h) markedly inhibits the proliferation of SKBR3 and MCF-7 cells, with IC<sub>50</sub>s of 12.11 and 39.44 μM, respectively<sup>[2]</sup>.

Conglobatin inhibits cell proliferation in EC109, KYSE70, KYSE450, KYSE150, KYSE180, and KYSE510 cells, with IC<sub>50</sub>s of 16.43, 15.89, 10.94, 10.50, 10.28, and 9.31 μM, respectively<sup>[3]</sup>.

Conglobatin (10-40 μM; 24 h) displays obvious arrest of SKBR3 and MCF-7 cells in the G2/M phase. Conglobatin induces apoptosis through caspase-dependent pathways in SKBR3 and MCF-7 cells<sup>[2]</sup>.

Conglobatin (10-40 μM; 3-24 h) reduces Hsp90 client protein levels and induces proteasome-dependent degradation<sup>[2]</sup>.

Conglobatin binds to the N-terminal of Hsp90, does not affect ATP-binding capability of Hsp90, but inhibits Hsp90/Cdc37 chaperone/co-chaperone interactions<sup>[2]</sup>.

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

#### Cell Proliferation Assay<sup>[2]</sup>

Cell Line:	SKBR3 and MCF-7 cells
Concentration:	6.25, 12.5, 25, 50, 100 $\mu$ M
Incubation Time:	48 hours
Result:	Inhibited the proliferation of SKBR3 and MCF-7 cells in a dose-dependent manner.

#### Cell Cycle Analysis<sup>[2]</sup>

Cell Line:	SKBR3 and MCF-7 cells
Concentration:	10, 20, 40 $\mu$ M
Incubation Time:	24 hours
Result:	Increased the G2/M cell population and decreased the population in the S and G0/G1 phases.

#### Western Blot Analysis<sup>[2]</sup>

Cell Line:	SKBR3 and MCF-7 cells
Concentration:	10, 20, 40 $\mu$ M
Incubation Time:	3, 6, 12, 24 hours
Result:	Decreased the levels of the client proteins HER2, p-HER2, Raf-1, Akt, and p-Akt in a dose and time-dependent manner in SKBR3 cells. Reduced the the levels of the client proteins Raf-1, Akt, and p-Akt in a dose and time-dependent manner in MCF-7 cells.

#### In Vivo

Conglobatin (50-200 mg/kg; i.g. q3d for 24 d) inhibits the tumor growth of SKBR3 and MCF-7 human breast cancer xenograft models in a dose-dependent manner<sup>[2]</sup>.  
Conglobatin (4-8 mg/kg; i.p. daily for 21 days) inhibits tumor growth in EC109 and KYSE510 tumor xenograft models with low toxicity<sup>[3]</sup>  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	BALB/c (nu/nu) athymic mice with SKBR3 and MCF-7 tumor xenograft <sup>[2]</sup>
Dosage:	50, 100, 200 mg/kg
Administration:	Oral gavage every 3 days for 24 days
Result:	Showed inhibition of tumor growth at a rate of 39.1%, 52.7%, and 67.5% in the SKBR3 cell line groups and 27.3%, 39.8%, 54.3% in the MCF-7 cell line groups at the three increasing doses, respectively. Was well tolerated.

## REFERENCES

[1]. Westley JW, et, al. Conglobatin, a novel macrolide dilactone from *Streptomyces conglobatus* ATCC 31005. *J Antibiot* (Tokyo). 1979 Sep;32(9):874-7.

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[2]. Huang W, et, al. FW-04-806 inhibits proliferation and induces apoptosis in human breast cancer cells by binding to N-terminus of Hsp90 and disrupting Hsp90-Cdc37 complex formation. Mol Cancer. 2014 Jun 14;13:150.

[3]. Li LY, et, al. Macrolide analog F806 suppresses esophageal squamous cell carcinoma (ESCC) by blocking  $\beta$ 1 integrin activation. Oncotarget. 2015 Jun 30;6(18):15940-52.

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

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