

Product Name: Embelin

Revision Date: 6/30/2016

# **Product Data Sheet**

# **Chemical Properties**

**Product Name:** Embelin

**Cas No.:** 550-24-3

**M.Wt:** 294.39

Formula: C17H26O4

**Chemical Name:** 2,5-dihydroxy-3-undecylcyclohexa-2,5-diene-1,4-dione

**Soluble** in DMSO > 10 mM

**Storage:** Store at 4°C

**General tips:** For obtaining a higher solubility, please warm the tube at 37° C

and shake it in the ultrasonic bath for a while. Stock solution can be

stored below -20° C for several months.

**Shopping Condition:** Evaluation sample solution : ship with blue ice

All other available size: ship with RT, or blue ice upon request

# **Biological Activity**

Targets: IAP

**Pathways:** Apoptosis >> IAP

**Description:** 

Embelin, a natural benzoquinone from plants of the genus Embelia, is an inhibitor of X-linked inhibitor of apoptosis protein (XIAP) with IC50 of 4.1  $\mu$ M.

XIAP is a member of the inhibitor of apoptosis family of proteins. This protein modulates signaling pathways involved in cell apoptosis and stops cell apoptosis induced by viral infection or overproduction of caspases.

Embelin was shown to exhibit anti-tumor and anti-inflammatory activity in cells. For instance, embelin inhibits cell growth and activates caspases to promote apoptosis in cancer cells with high

expression of XIAP [1]. Additionally, embelin was reported to prevent NF-κB activation by inhibiting IKK and thus resulted in suppression of NF-κB-regulated anti-apoptotic and metastatic gene expression [2].

Embelin has also been used extensively in various animal models to study the role of XIAP. In the azoxymethane/dextran sulfate sodium (AOM/DSS) induced colitis-associated cancer (CAC) model, embelin reduced both incidence and tumor size in mice by inhibiting proliferation of tumor epithelial cells and suppressing IL6 expression and IL6-activated STAT3 in vivo [3].

### Reference:

- 1.Nikolovska-Coleska Z, Xu L, Hu Z, Tomita Y, Li P, Roller PP, et al. Discovery of embelin as a cell-permeable, small-molecular weight inhibitor of XIAP through structure-based computational screening of a traditional herbal medicine three-dimensional structure database. J Med Chem 2004,47:2430-2440.
- 2.Ahn KS, Sethi G, Aggarwal BB. Embelin, an inhibitor of X chromosome-linked inhibitor-of-apoptosis protein, blocks nuclear factor-kappaB (NF-kappaB) signaling pathway leading to suppression of NF-kappaB-regulated antiapoptotic and metastatic gene products. Mol Pharmacol 2007,71:209-219.
- 3.Dai Y, Jiao H, Teng G, Wang W, Zhang R, Wang Y, et al. Embelin reduces colitis-associated tumorigenesis through limiting IL-6/STAT3 signaling. Mol Cancer Ther 2014,13:1206-1216.

## **Protocol**

### **Cell experiment:**

Cell lines PC-3, LNCap, PrEC and WI-38 cells

Preparation method The solubility of this compound in DMSO is >10 mM. General tips for

obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock

solution can be stored below -20 °C for several months.

Reacting conditions  $1 \sim 64 \mu M$ ;  $4 \sim 5 days$ 

Applications Embelin dose-dependently inhibited cell growth of both PC-3 and

LNCap cells, with the IC50 values of 3.7 and 5.7  $\mu$ M, respectively. In normal PrEC and WI-38 cells, the toxicity of Embelin was much lower, with the IC50 values of 20.1  $\mu$ M and 19.3  $\mu$ M, respectively.

# Animal experiment [3]:

Animal models AOM/DSS-induced colitis-associated cancer (CAC) model

Dosage form 50 mg/kg/day; p.o.

Applications In a CAC model, Embelin reduced both incidence and tumor size in

mice by inhibiting proliferation of tumor epithelial cells and suppressing IL6 expression and IL6-activated STAT3.

#### Other notes

Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

## Reference:

[1]. Nikolovska-Coleska Z, Xu L, Hu Z, Tomita Y, Li P, Roller PP, et al. Discovery of embelin as a cell-permeable, small-molecular weight inhibitor of XIAP through structure-based computational screening of a traditional herbal medicine three-dimensional structure database. J Med Chem 2004,47:2430-2440.

[2]. Dai Y, Jiao H, Teng G, Wang W, Zhang R, Wang Y, et al. Embelin reduces colitis-associated tumorigenesis through limiting IL-6/STAT3 signaling. Mol Cancer Ther 2014,13:1206-1216.

#### **Caution**

#### FOR RESEARCH PURPOSES ONLY.

#### NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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