TOCRIS b i o s c i e n c e

Certificate of Analysis

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Print Date: May 18th 2012

Product Name: AT 101

CAS Number: 90141-22-3

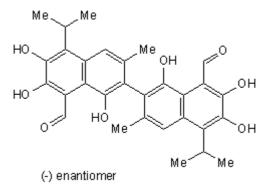
Catalog No.: 3367 Batch No.: 2

IUPAC Name: (-)-1,1',6,6',7,7'-Hexahydroxy-3,3'-dimethyl-5,5'-*bis*(1-methylethyl)-[2,2'-binaphthalene]-8,8'-dicarboxaldehyde

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: Batch Molecular Weight: Physical Appearance: Solubility:

Storage: Batch Molecular Structure: C₃₀H₃₀O₈ 518.56 yellow powder DMSO to 100 mM ethanol to 100 mM Store at -20°C



2. ANALYTICAL DATA

 $R_f = 0.18$ (Ethyl acetate) TLC: HPLC: Shows 99.5% purity **Chiral HPLC:** Shows 100% purity ¹H NMR: Consistent with structure Consistent with structure Mass Spectrum: $[\alpha]_{D} = -324$ (Concentration = 1, Solvent = Chloroform) **Optical Rotation: Microanalysis:** Carbon Hydrogen Nitrogen Theoretical 69.4 5.79

Found

69.37

5.85

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

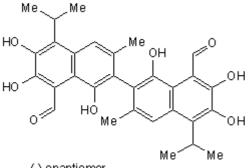
R-(-)-enantiomer of gossypol (Cat. No. 1964). Mimics the BH3 domains of Bcl-2, Bcl-XL and Mcl-1. Disrupts heterodimerization of Bcl-2 with proapoptotic family members. Induces apoptosis in vitro through activation of caspase-9; cytotoxic to multiple myeloma and drug-resistant cell lines. Delays onset of androgen-independent growth of VCaP prostate cancer xenografts in vivo.

Physical and Chemical Properties:

Batch Molecular Formula: C₃₀H₃₀O₈ Batch Molecular Weight: 518.56 Physical Appearance: yellow powder

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info: DMSO to 100 mM ethanol to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a $45-60^{\circ}$ C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

(-) enantiomer

References:

Loberg et al (2007) In vivo evaluation of AT-101 (*R*-(-)-gossypol acetic acid) in androgen-independent growth of VCaP prostate cancer cells in combination with surgical castration. Neoplasia **9** 1030. PMID: 18084610.

Kline et al (2008) R-(-)-gossypol (AT-101) activates programmed cell death in multiple myeloma cells. Exp.Hematol. **36** 568. PMID: 18346839.

Balakrishnan *et al* (2009) AT-101 induces apoptosis in CLL B cells and overcomes stromal cell-mediated Mcl-1 induction and drug resistance. Blood **113** 149. PMID: 18836097.

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