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TOCRIS

Print Date: Feb 28th 2024

Certificate of Analysis

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Product Name: **FK 228** **Catalog No.: 3515** **Batch No.: 2**

CAS Number: 128517-07-7

IUPAC Name: Cyclo[(2*Z*)-2-amino-2-butenoyl-L-valyl-(3*S*,4*E*)-3-hydroxy-7-mercapto-4-heptenoyl-D-valyl-D-cysteinyl], cyclic (3-5) disulfide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{24}H_{36}N_4O_6S_2$

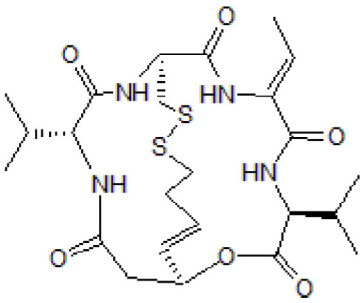
Batch Molecular Weight: 540.7

Physical Appearance: White solid

Solubility: DMSO to 10 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.9% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

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Description:

FK 228 is a potent and selective inhibitor of class I histone deacetylases (HDACs) (IC_{50} values are 36, 47, 510 and 14,000 nM for HDAC1, HDAC2, HDAC4 and HDAC6 respectively). Exhibits cytotoxicity against various human tumor cell lines; also exhibits antitumor activity against human tumor xenografts.

Physical and Chemical Properties:

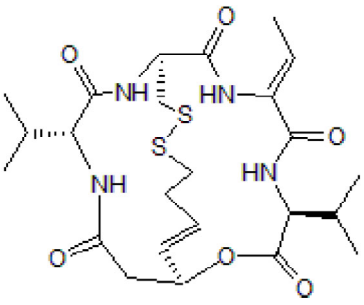
Batch Molecular Formula: $C_{24}H_{36}N_4O_6S_2$

Batch Molecular Weight: 540.7

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 10 mM

This product is supplied in lyophilized form. It may appear as a solid, gel or film and be very hard to visualize. Solutions should be made by adding solvent directly to the vial. The vial should then be vortexed vigorously to ensure the product has completely dissolved.

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°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. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

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.

References:

Matsubara *et al* (2009) Involvement of extracellular signal-regulated kinase activation in human osteosarcoma cell resistance to the histone deacetylase inhibitor FK228 [(1S,4S,7Z,10S,16E,21R)-7-ethylidene-4,21-bis(propan-2-y J.Pharmacol.Exp.Ther. ***328*** 839. PMID: 19073909.

Sasakawa *et al* (2003) Effects of FK228, a novel histone deacetylase inhibitor, on tumor growth and expression of p21 and c-myc genes in vivo. Cancer Lett. ***195*** 161. PMID: 12767524.

Furumai *et al* (2002) FK228 (depsipeptide) as a natural prodrug that inhibits class I histone deacetylases. Cancer Res. ***62*** 4916. PMID: 12208741.

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