Product Name: Romidepsin (FK228, depsipeptide)

Cas No.: 128517-07-7
M.Wt: 540.7
Formula: C24H36N4O6S2
Synonyms: Istodax, Antibiotic FR 901228, FK228, FR 901228, FK-228, Romidepsin

Chemical Name: (1S,4S,7Z,10S,16E,21R)-7-ethylidene-4,21-di(propan-2-yl)-2-oxa-12,13-dithia-5,8,20,23-tetrazabicyclo[8.7.6]tricos-16-ene-3,6,9,19,22-pentone
Canonical SMILES: CC=C1C(=O)NC(=O)OC2CC(=O)NC(=O)NC(CSSCCC=C2)C(=O)N1)C(C)C(C)C
Solubility: >27mg/mL in DMSO
Storage: Store at -20°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: HDAC
Pathways: DNA Damage/DNA Repair >> HDAC
Description:
Romidepsin, also known as FK228 or depsipeptide, is potent and selective inhibitor of histone deacetylases (HDACs) which are associated with the regulation of re-expression of silenced tumor
suppressor genes. It was the first HDAC inhibitor to manifest anti-tumor activity and originally isolated from a rod-shaped Gram-negative bacterium, Chromobacterium violaceum, found in a Japanese soil sample. Romidepsin exhibits a stronger inhibition towards HDAC1 and HDAC2 enzymes (class I), removing acetyl groups from the lysine residues of N-terminal histone tails and maintaining a more open and transcriptionally active chromatin state, than HDAC4 and HDAC6 enzymes (class II). Besides HDAC inhibition, romidepsin is also able to induce cell cycle arrest, cellular differentiation, apoptosis and alteration of gene expression in adult malignancies.

Reference:

Protocol

Cell experiment:

Cell lines
Human NB cell (SMS-KCNR, SK-N-BE2, SH-SY5Y, SK-N-AS, LA1-15N, SH-SHEP and IMR32 lines)

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
72h; IC50 ranged from 1-6.5 ng/ml

Applications
Romidepsin (0.5–30 ng/mL) resulted in a dose-dependent decrease in cell viability of all NB cell lines as measured by the MTT or MTS assay. The romidepsin IC50 ranged from 1–6.5 ng/ml in different NB cell lines. Morphological examination by light microscopy revealed that all of the NB cell lines treated with romidepsin had a dose-dependent decrease in cell number and extensive change in morphology to rounded, denser and non-adherent cells.

Animal experiment [3]:

Animal models
Normal and nude mice

Dosage form
1.0-10kg/mL; i.v.; the tail injection

Applications
Clolon 38 and Colon 26 were implanted sc and M5076 and Meth A were implanted id in mice on Day 0. When the drug were given
beginning on Day 1. Romidepsin markedly inhibited the growth of Colon 38 and M5076, but not Colon26 or Meth A. In addition, when the drug were given beginning on Day 4, or on 7 or 8, romidepsin potently inhibited the growth of Colon 38, M5076 and Meth A, and its activities against M5076 and Meth A were potent than when it was given beginning on Day 1.

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:

Product Validation

NB cell lines were treated with IC80 concentration of romidepsin for 4, 8 and 24 h, protein was extracted and analyzed for Ac-H3 analysis by immunoblot assay. Blots were reprobed for glyceraldehyde-3-phosphate dehydrogenase (GAPDH) levels as loading controls.

Effect of Romidepsin on acetylated Histone H3 and phospho-ERK

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. Short-term 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.