

Title (en)  
DEPSIPEPTIDES AND THEIR THERAPEUTIC USE

Title (de)  
DEPSIPEPTIDE UND IHRE THERAPEUTISCHE VERWENDUNG

Title (fr)  
DEPSIPEPTIDES ET LEUR UTILISATION THÉRAPEUTIQUE

Publication  
**EP 2293845 A1 20110316 (EN)**

Application  
**EP 09750135 A 20090522**

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Abstract (en)  
[origin: GB2460178A] Spiruchostatin derivatives and their therapeutic use Depsipeptide spiruchostatin analogues of the general formula (IX) or (X), isosteres or pharmaceutically acceptable salts thereof, useful in the inhibition of histone deacetylase (HDAC), wherein X is -C(=O)N(R10)-or-CH(OPr3)-; R7and R9are independently hydrogen or a natural or unnatural amino acid side chain moiety; each R10 is independently hydrogen, C1-C6alkyl, C2-C6alkenyl or C2-C6alkynyl; Pr1and Pr2are independently hydrogen or a thiol protecting group; Pr3is hydrogen or an alcohol protecting group; R1and R5 are independently Y wherein Y is a substituent, or a natural or unnatural amino acid side chain moiety provided that R1and R5are not both a natural or unnatural amino acid side chain moiety. The use of such compounds in treatment of cancer, cardiac hypertrophy, chronic heart failure, an inflammatory condition, a cardiovascular disease, a haemoglobinopathy, a thalassemia, a sickle cell disease, a CNS disorder, an autoimmune disease, diabetes, osteoporosis, MDS, benign prostatic hyperplasia, endometriosis, oral leukoplakia, a genetically related metabolic disorder, an infection, Rubens-Taybi, fragile X syndrome or alpha-1 antitrypsin deficiency is also outlined. Methods for assaying HDAC inhibition and pharmaceutical compositions comprising such compounds are also provided.

IPC 8 full level  
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