

Publication

(2R,1'S,2'R)- and (2S,1'S,2'R)-3-[2-Mono(di,tri)fluoromethylcyclopropyl]alanines and their incorporation into hormaomycin analogues

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Efficient and scalable syntheses of enantiomerically pure (2R,1'S,2'R)- and (2S,1'S,2'R)-3-[2-mono(di,tri)fluoromethylcyclopropyl]alanines, as well as allo-D-threonine (4) and (2S,3R)- β -methylphenylalanine (3), using the Belokon' approach with (S)- and (R)-2-[(N-benzylprolyl)amino]benzophenone [(S)- and (R)-10] as reusable chiral auxiliaries have been developed. Three new fluoromethyl analogues of the naturally occurring octadepsipeptide hormaomycin (1) with (fluoromethylcyclopropyl)alanine moieties have been synthesized and subjected to preliminary tests of their antibiotic activity.

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