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Stereoselective Synthesis of aminopeptidase inhibitor: N-[(2S,3R)-3-amino-2-hydroxy-4phenylbutanoyl]-L-leucine

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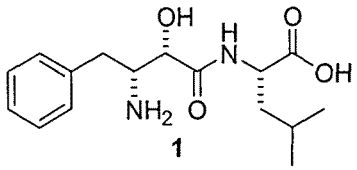
The stereoselective transformation of aromatic a-aminoaldehydes to *syn*-aminoalcohol was carried out using CH-p interaction contributing Pf (9-phenyl-9-fluorenyl) group. This methodology was applied for the bestatin (1) which is able to expect as aminopeptidase inhibitor. The target compound, bestatin (1) was obtained 20% overall yield from D-phenylalanin. Bestatin showed a potent aminopeptidase inhibitory activity (IC_{50}um = 6.8). We also found the first evidence for CH-p interaction to contribute a highly diastereoselective addition using NMR technique and X-ray study.



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