An Allyl Protection and Improved Purification Strategy Enables the Synthesis of Functionalized Phosphonamidate Peptides

ID 4500835
Author(s) Cramer, Jonathan; Klebe, Gerhard
Author(s) at UniBasel Cramer, Jonathan ;
Year 2017
Title An Allyl Protection and Improved Purification Strategy Enables the Synthesis of Functionalized Phosphonamidate Peptides
Journal Synthesis
Volume 49
Number 8
Pages / **Article-Number** 1857-1866
Keywords thermolysin; metalloprotease; inhibitor synthesis; phosphonamidate; solid-phase extraction; allyl/alloc protection
Mesh terms Science & TechnologyPhysical SciencesChemistry, OrganicChemistry
For modern biophysical methods such as isothermal titration calorimetry, high purity of the inhibitor of interest is indispensable. Herein, we describe a procedure for the synthesis and purification of functionalized phosphonamidate peptides that is able to generate inhibitors for the metalloprotease thermolysin for use in biophysical experiments. The method utilizes an allyl ester/alloc protection strategy and takes advantage of a fast and effective solid-phase extraction (SPE) purification step. Applying this strategy, we were able to synthesize a series of highly polar inhibitors featuring amino-and hydroxy-functionalized side chains in excellent purity.
Publisher GEORG THIEME VERLAG KG
ISSN/ISBN 0039-7881
edoc-URL https://edoc.unibas.ch/70163/
Full Text on edoc No;
Digital Object Identifier DOI 10.1055/s-0036-1588393
ISI-Number 000399807700013
Document type (ISI) Article