Accepted Manuscript

An access to a library of novel triterpene derivatives with a promising pharmacological potential by Ugi and Passerini multicomponent reactions

Jana Wiemann, Lucie Heller, René Csuk

PII: S0223-5234(18)30197-1

DOI: 10.1016/j.ejmech.2018.02.060

Reference: EJMECH 10237

To appear in: European Journal of Medicinal Chemistry

Received Date: 6 December 2017

Revised Date: 16 February 2018

Accepted Date: 18 February 2018

Please cite this article as: J. Wiemann, L. Heller, René. Csuk, An access to a library of novel triterpene derivatives with a promising pharmacological potential by Ugi and Passerini multicomponent reactions, *European Journal of Medicinal Chemistry* (2018), doi: 10.1016/j.ejmech.2018.02.060.

This is a PDF file of an unedited manuscript that has been accepted for publication. As a service to our customers we are providing this early version of the manuscript. The manuscript will undergo copyediting, typesetting, and review of the resulting proof before it is published in its final form. Please note that during the production process errors may be discovered which could affect the content, and all legal disclaimers that apply to the journal pertain.



ACCEPTED MANUSCRIPT

An access to a library of novel triterpene derivatives with a promising pharmacological potential by Ugi and Passerini multicomponent reactions

Jana Wiemann, Lucie Heller, René Csuk*

Martin-Luther-University Halle-Wittenberg, Organic Chemistry, Kurt-Mothes-Str. 2, D-06120 Halle (Saale), Germany

Graphical abstract



Abstract

The promising combination of natural product leads and their derivatization by isocyanidebased multicomponent reactions (IMCRs) has gained interest in accessing diversity-oriented libraries with auspicious pharmacological potential. Therefore, a set of 34 Ugi and 3 Passerini products was successfully synthesized starting from naturally occurring triterpenoids, i.e. oleanolic acid (**OA**) and maslinic acid (**MA**), followed by a biological evaluation of the novel α -acylamino carboxamides and the α -acyloxy carboxamides in colorimetric SRB assays to determine their cytotoxic potential. Especially, the **MA**-Ugi products **6a**, **6b** and **7b** showed a remarkable cytotoxicity for A2780 ovarian carcinoma cells in a low μ M range. Compounds **6a** and **7b** induced programmed cell death in part through the apoptosis pathway.

1. Introduction

Natural products are quite often an inspiration for the development of new drugs [1]. As a result in the last 30 years about 50% of the approved small molecule drugs were natural based or natural inspired [2]. The significance of terpenes, particularly of triterpenes, for developing new drugs, however, was limited although they are an important class of natural products. They have been investigated intensely concerning their inherent biological activity. Their

Download English Version:

https://daneshyari.com/en/article/7796520

Download Persian Version:

https://daneshyari.com/article/7796520

Daneshyari.com