Hemisynthesis of Selected Embelin Analogs and Investigation of their Proapoptotic Activity Against Cancer Cells

Titre	Hemisynthesis of Selected Embelin Analogs and Investigation of their Proapoptotic Activity Against Cancer Cells
Type de publication	Article de revue
Auteur	Viault, Guillaume [1], Babu, Katragadda [2], Gautier, Fabien [3], Barillé-Nion, Sophie [4], Juin, Philippe [5], Tasseau, Olivier [6], Grée, René [7]
Editeur	Bentham Science Publishers
Type	Article scientifique dans une revue à comité de lecture
Année	2013
Langue	Anglais
Date	2013
Numéro	8
Pagination	1028-1034
Volume	9
Titre de la revue	Medicinal Chemistry
ISSN	15734064
Mots-clés	Apoptosis [8], Cancer [9], Embelin [10], Hemisynthesis [11], natural products [12], XIAP. [13]
Résumé en anglais	Embelin is a natural product, inhibitor of XIAP (X-chromosome-linked Inhibitor of APoptosis) with strong proapoptotic properties on cancer cells. In order to clarify the role of two OH groups on benzoquinone core, we have prepared by hemisynthesis close analogs of embelin, where these OH groups have been replaced in a systematic manner by OMe and OAc groups. Proapoptotic activities of six embelin derivatives have been studied as single agent, or in combination with TRAIL, and their abilities to interact with XIAP have been evaluated by Surface Plasmon Biacore. Our results show that these new embelin analogs have good proapoptotic properties against selected cancer cells, often higher than the natural product itself. Further, this activity is not directly mediated by XIAP. Altogether these preliminary results demonstrate that for active embelin analogs, the two OH groups are not absolutely required for anticancer activity, opening new possibilities for the design of proapoptotic derivatives in these series.
URL de la notice	http://okina.univ-angers.fr/publications/ua18878 [14]
DOI	10.2174/1573406411309080003 [15]
Lien vers le document	http://www.eurekaselect.com/116638/article [16]
Titre abrégé	MC

Liens
[1] http://okina.univ-angers.fr/user/4157/publications
[2] http://okina.univ-angers.fr/publications?f%5Bauthor%5D=34010
[3] http://okina.univ-angers.fr/publications?f%5Bauthor%5D=16297
[4] http://okina.univ-angers.fr/publications?f%5Bauthor%5D=16303
[5] http://okina.univ-angers.fr/publications?f%5Bauthor%5D=16304
[6] http://okina.univ-angers.fr/publications?f%5Bauthor%5D=34011
[7] http://okina.univ-angers.fr/publications?f%5Bauthor%5D=34012
[8] http://okina.univ-angers.fr/publications?f%5Bkeyword%5D=1270
[9] http://okina.univ-angers.fr/publications?f%5Bkeyword%5D=1382
[10] http://okina.univ-angers.fr/publications?f%5Bkeyword%5D=27300
[11] http://okina.univ-angers.fr/publications?f%5Bkeyword%5D=27303
[12] http://okina.univ-angers.fr/publications?f%5Bkeyword%5D=16987
[13] http://okina.univ-angers.fr/publications?f%5Bkeyword%5D=27304
[14] http://okina.univ-angers.fr/publications/ua18878
[15] http://dx.doi.org/10.2174/1573406411309080003
[16] http://www.eurekaselect.com/116638/article