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# Vilsmeier Reagent Initialed Sequential One-pot Multicomponent Synthesis of *N,O*-Disubstituted Glycolamides as Dipeptidyl peptidase 4 inhibitors

Shi-Han Lu,<sup>a,b</sup> Wan-Ping Yen,<sup>a,c</sup> Henry J. Tsai,<sup>d</sup> Chien-Shu Chen,<sup>a</sup> Fung Fuh Wong<sup>a,b,\*</sup>  
<sup>a</sup>*School of Pharmacy, China Medical University, No. 91, Hsueh-Shih Rd., Taichung, Taiwan 40402, R.O.C.*

<sup>b</sup>*Graduate Institute of Pharmaceutical Chemistry, China Medical University, No. 91, Hsueh-Shih Rd., Taichung, Taiwan 40402, R.O.C.*

<sup>c</sup>*Ph.D. Program for Biotech Pharmaceutical Industry, China Medical University, No. 91, Hsueh-Shih Rd., Taichung, Taiwan 40402, R.O.C.*

<sup>d</sup>*Department of Health and Nutrition Biotechnology, Asia University, Taichung 41354, Taiwan*

\*Corresponding author. Tel.: +886 4 2205 3366 ext. 5603; Fax: +886 4 2207 8083.

E-mail address: wongfungfuh@yahoo.com.tw, ffwong@mail.cmu.edu.tw (F. F. Wong).

**Key words:** Glycolamides ester, Formyloxylation, Vilsmeier-type reaction, Decarbonylation, Esterification

**Abstract:** A series of *N,O*-disubstituted glycolamide derivatives have been successfully synthesized through Vilsmeier reagent initialed sequential one-pot multicomponent procedure from  $\alpha$ -chloro *N*-arylamides with formamide/PBr<sub>3</sub> and acid chloride. The synthesis is straightforward and involved Vilsmeier formyloxylation reaction, decarbonylation, and esterification three steps. The strategy was also applicable to  $\alpha$ -chloro *N*-(naphthalenyl)acetamide to prepare the corresponding *N,O*-disubstituted glycolamide products. All of *N,O*-disubstituted glycolamides were evaluated against dipeptidyl peptidase 4 inhibitory activity. Based on the inhibitory results, several of *O*-furan-2-carbonyl and *O*-quinoline-8-sulfonyl *N*-aryl glycolamide compounds possessed the better effectively inhibition of dipeptidyl peptidase 4.

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