

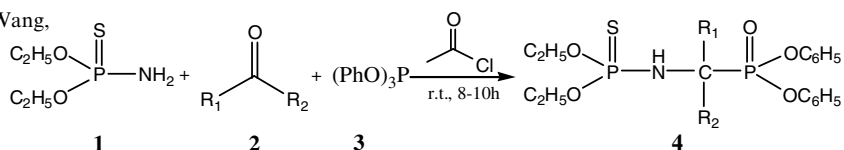
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**An improved route to the synthetic of diphenyl
 α -(diethoxythiophosphorylamino)methylphosphonates**

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Zhiwei Miao, Bin Wang,
Gaihong Zhang,
Ruyu Chen*

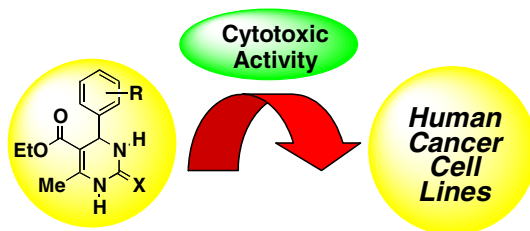


Diphenyl α -(diethoxythiophosphorylamino)methylphosphonates were synthesized in good overall yields by a one-pot procedure with the aid of acetyl chloride.

**Synthesis and differential antiproliferative
activity of Biginelli compounds against
cancer cell lines: Monastrol, oxo-monastrol
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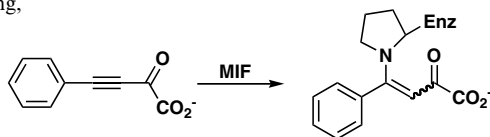
Dennis Russowsky*, Rômulo F.S. Canto,
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João Ernesto de Carvalho



**Inactivation of the phenylpyruvate tautomerase activity of macrophage
migration inhibitory factor by 2-oxo-4-phenyl-3-butyrate**

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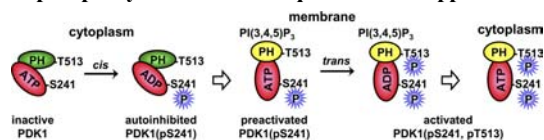
Pavel A. Golubkov, William H. Johnson Jr.,
Robert M. Czerwinski, Maria D. Person, Susan C. Wang,
Christian P. Whitman*, Marvin L. Hackert*



Role of the PH domain in regulating *in vitro* autophosphorylation events required for reconstitution of PDK1 catalytic activity

pp 200–223

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The PH domain of PDK1 regulates a number of autophosphorylation events, which are required for protein *trans*-phosphorylation activity. The PH domain activates Ser-241 *cis*-autophosphorylation, which is required for catalytic activity. However, the PH domain autoinhibits activated PDK1(pS241) by blocking docking interactions with downstream protein substrates. This mode of autoinhibition is relieved upon binding of the PH domain to the PI(3,4,5)P₃ second messenger, which facilitates *trans*-autophosphorylation of Thr-513 in the PH domain. Chemical modification of Thr-513 may cause dissociation of contacts formed between the PH domain and a protein substrate docking site on the catalytic kinase domain.