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Syntheses of Methylphosphinic Acids using Tandem Pudovik/Abramov-Barton/McCombie Reactions

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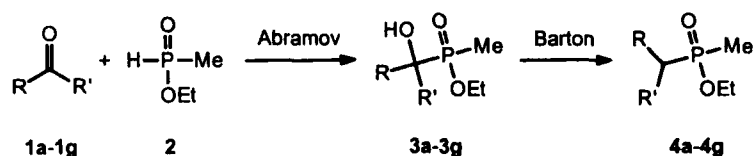
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Syntheses of Methylphosphinic Acids using Tandem Pudovik/Abramov-Barton-McCombie Reactions

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Methylphosphinic acids are very effective and potent carboxylic acid bioisosteres. We have developed a novel mild and efficient method for the syntheses of (sec-alkyl)methylphosphinic acids based on tandem Abramov addition of readily available ethyl methylphosphinate, **2**, to a ketone, **1a-1g**, followed by a modified Barton-McCombie deoxygenation procedure. The resulting esters, **4a-4g**, are readily hydrolyzed. The method gives high yields even for sterically demanding ketones. Using this method we have synthesized several new biologically interesting methylphosphinic acids.



Entry	R	R'	Yield of 3	Yield of 4
a	Cyclohexyl		55 %	72 %
b	Et	Me	30 %	-
c	Pr	Pr	77 %	77 %
d	t-Bu	Me	54 %	80 % *
e	Ph	Me	81 %	80 % *
f	Ph	Ph	74 %	80 % *
g	t-Bu	t-Bu	1 % *	-

* Yield estimated by ^{31}P -NMR.