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Synthesis of Benzylphosphonous Acids VIA Direct Amidoalkylation of Hypophosphorous Acid

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SYNTHESIS OF BENZYLPHOSPHONOUS ACIDS VIA DIRECT AMIDOALKYLATION OF HYPOPHOSPHOROUS ACID

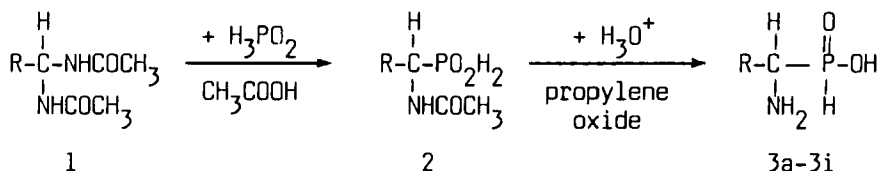
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In the communication presented here we wish to report on a convenient synthetic route to the yet unknown aminobenzylphosphonous acids 3a-3i.

A novel approach is used omitting Schiff's bases or oximes as starting compounds. In this case amidoalkylation of hypophosphorous acid is achieved by means of N,N'-arylidene bisamides, easily accessible precursors, as shown in the equation.



3	a	b	c	d	e	f	g	h	i
X	H	p-CH ₃	o-CH ₃	o-Cl	m-Cl	p-Cl	p-OCH ₃	m-NO ₂	p-Br

This is the first report on a successful direct amidoalkylation of hypophosphorous acid. Only bisamides 1 prepared from aromatic aldehydes undergo reactions according to the equation, while bisamides derived of aliphatic aldehydes are unreactive in these conditions.