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N-Phosphorylated Lactams

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N-PHOSPHORYLATED LACTAMS

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The synthesis of N-phosphorylated lactams (I) has been developed utilizing reaction of corresponding silyllactams (II; n=3,4,5) with phosphorus acids chlorides. When phosphorylated, silyllactams behave like ambident systems, the substitution at O or N atoms being assumed.

$$(CH_{2})_{\overline{n}} \qquad R^{1} \qquad (CH_{2})_{\overline{n}} \qquad R^{1} \qquad R^{1} \qquad (CH_{2})_{\overline{n}} \qquad R^{1} \qquad (CH_{2})_{\overline{n}} \qquad R^{1} \qquad (CH_{2})_{\overline{n}} \qquad R^{1} \qquad (CH_{2})_{\overline{n}} \qquad (CH_{2})_{\overline{n}}$$

P^{III} acids chlorides are reacted with (II) regionselectively, so that the products of N-phosphorylation - P^{III} amides (III) - could be obtained in good yields. Their oxidation affords phosphorylactams (I). The reaction of (III) formation was shown to be reversible.

(II)
$$+ P - CI \xrightarrow{-Me_3SiCI} O \xrightarrow{(CH_2)_n} N - P \xrightarrow{R^1} NO$$
 $+ Me_3SiCI O (III) R^2$

The same approach was applied to obtain thiophosphoryl analogs of (I) as well as N-phosphorylated β -lactams (IV).

Me
$$R^3 = R^4 = EtO; R^3, R^4 = -O(CH_2)_3O-$$
(IV) $R^3 = R^4 = EtO; R^3, R^4 = -O(CH_2)_3O-$