

1/30

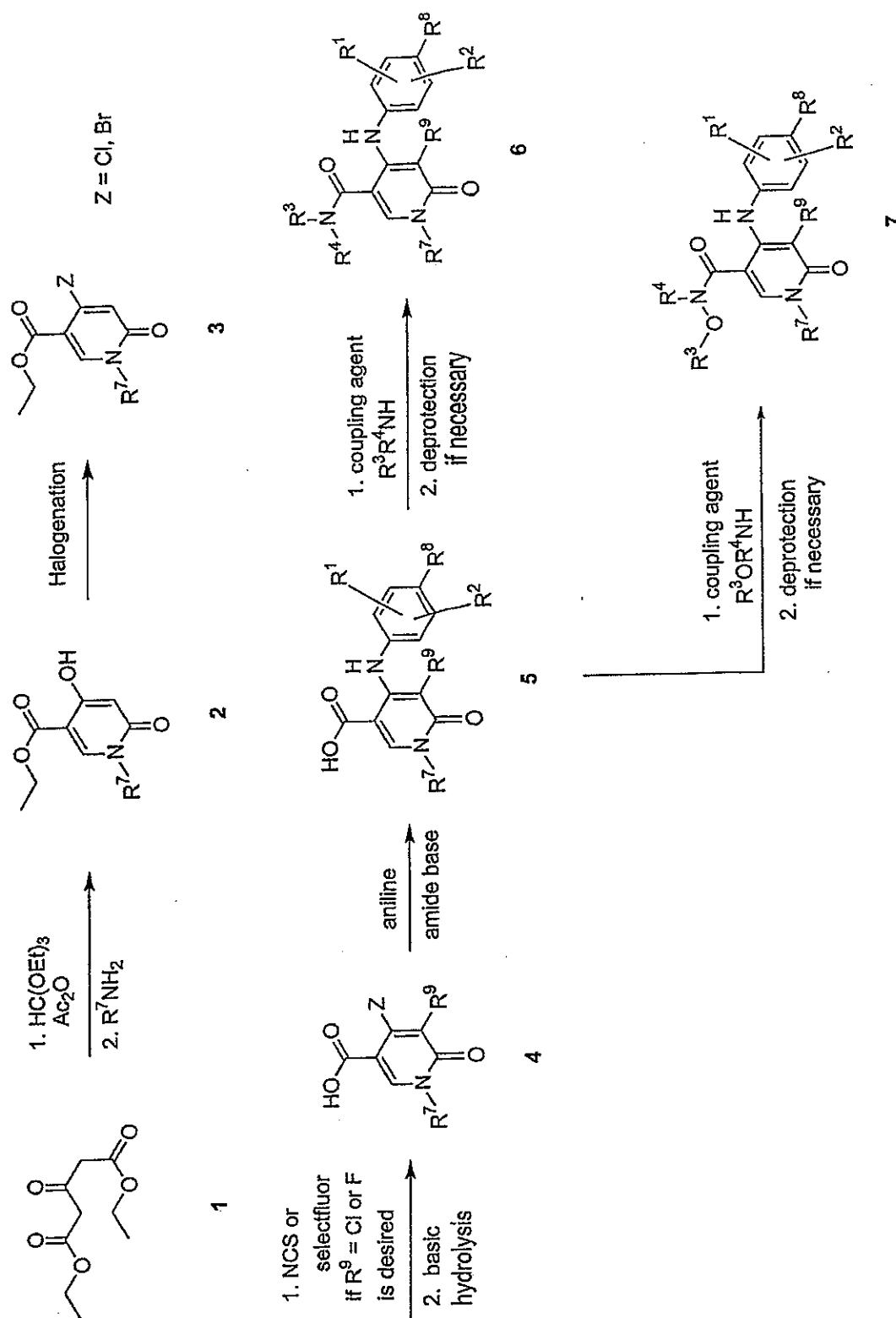


FIG. 1

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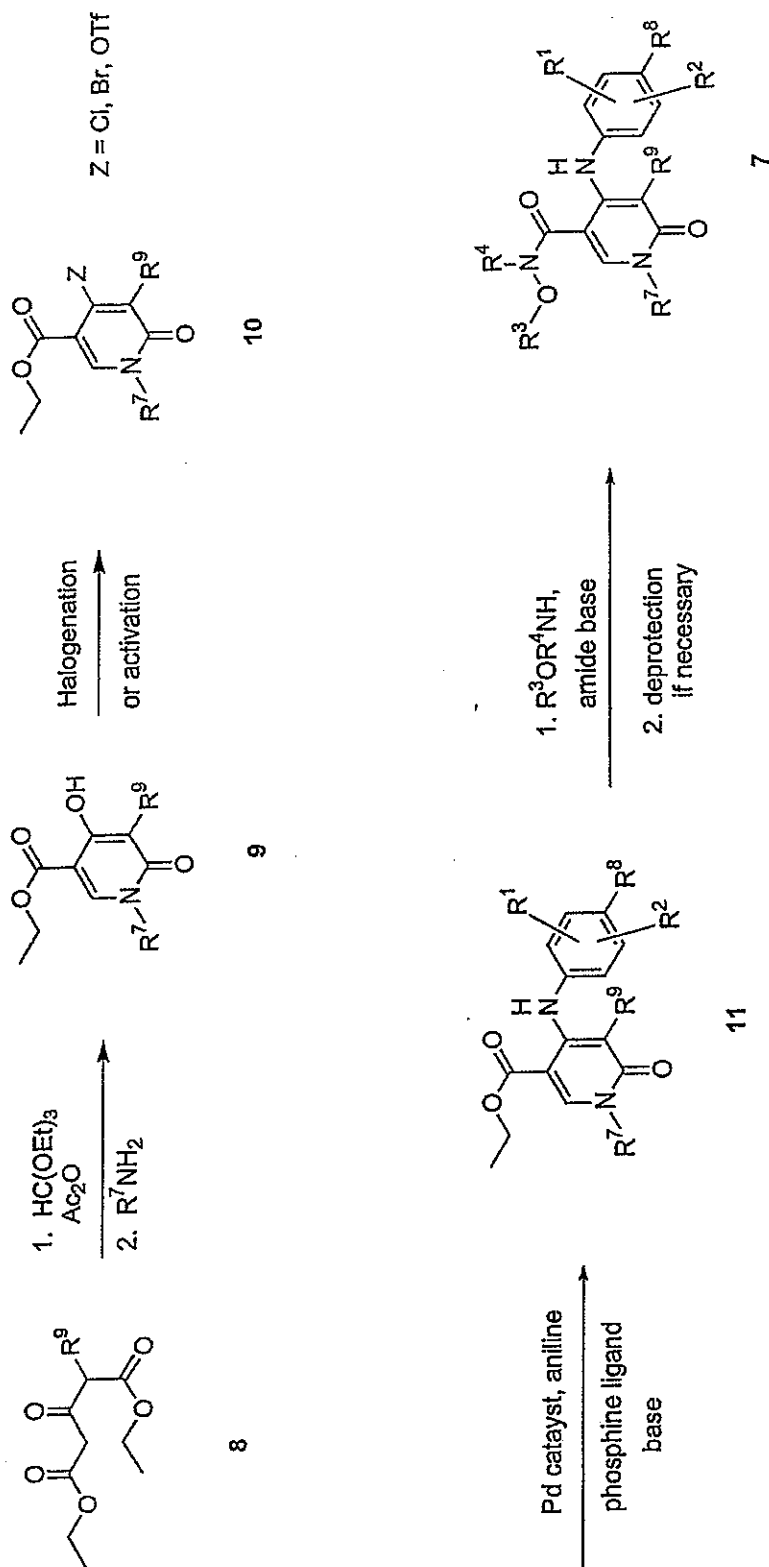
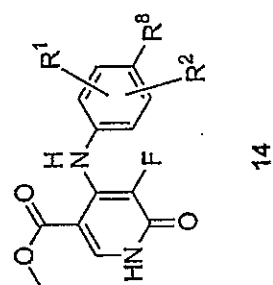
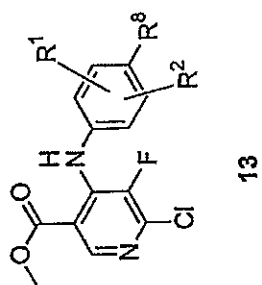


FIG. 2

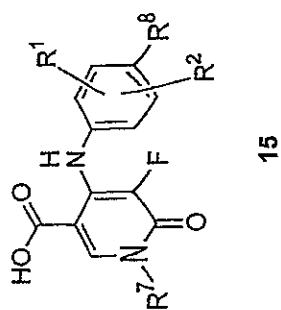
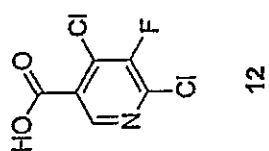
3/30



1. MeONa
2. deprotection



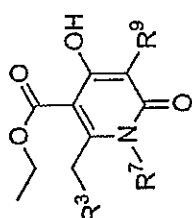
1. aniline, amide base
2. esterification



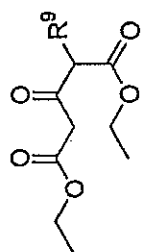
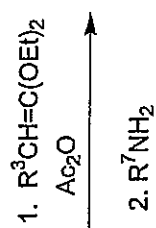
1. base, R⁷Br
2. basic hydrolysis

FIG. 3

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16



8

FIG. 4

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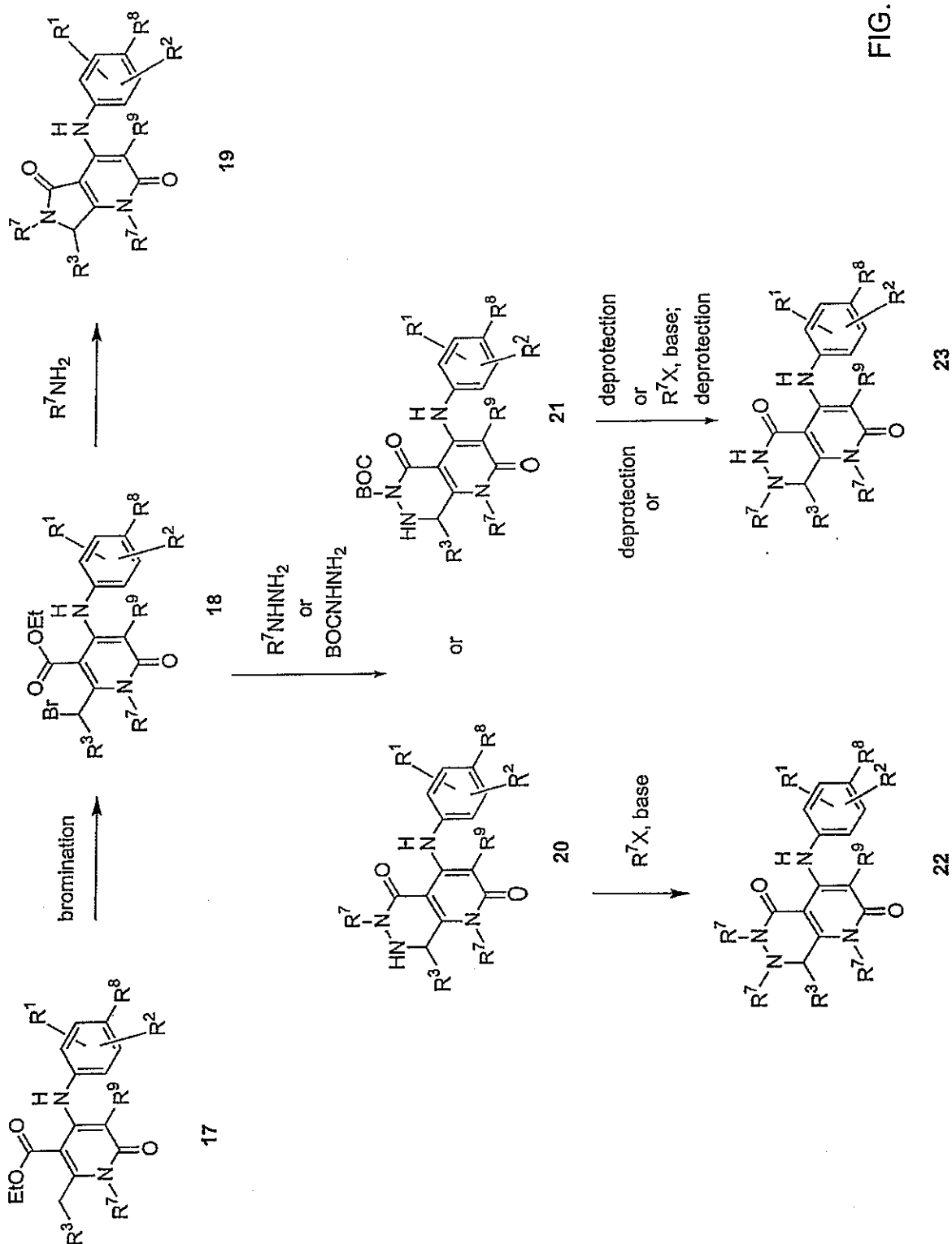


FIG. 5

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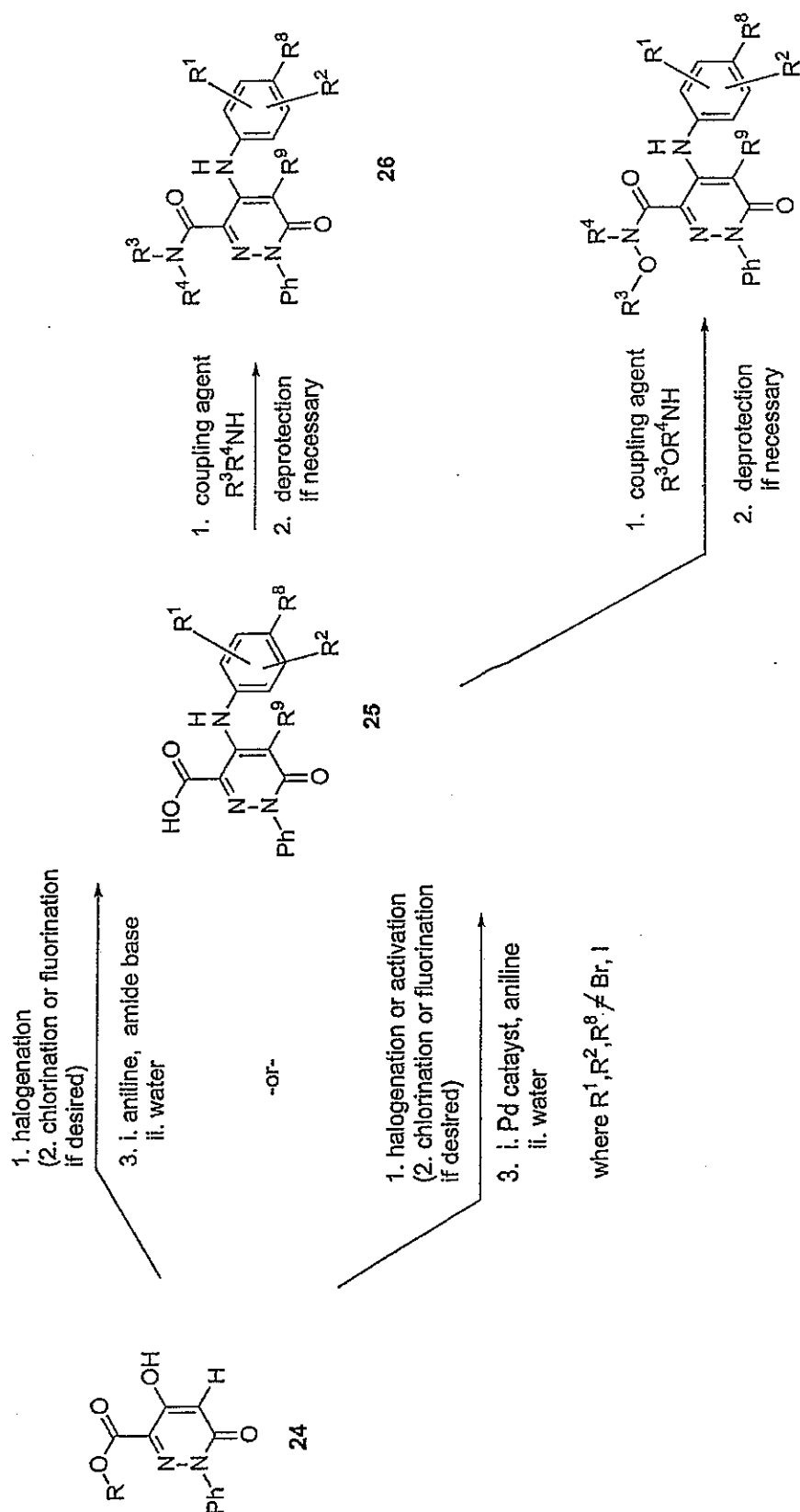


FIG. 6

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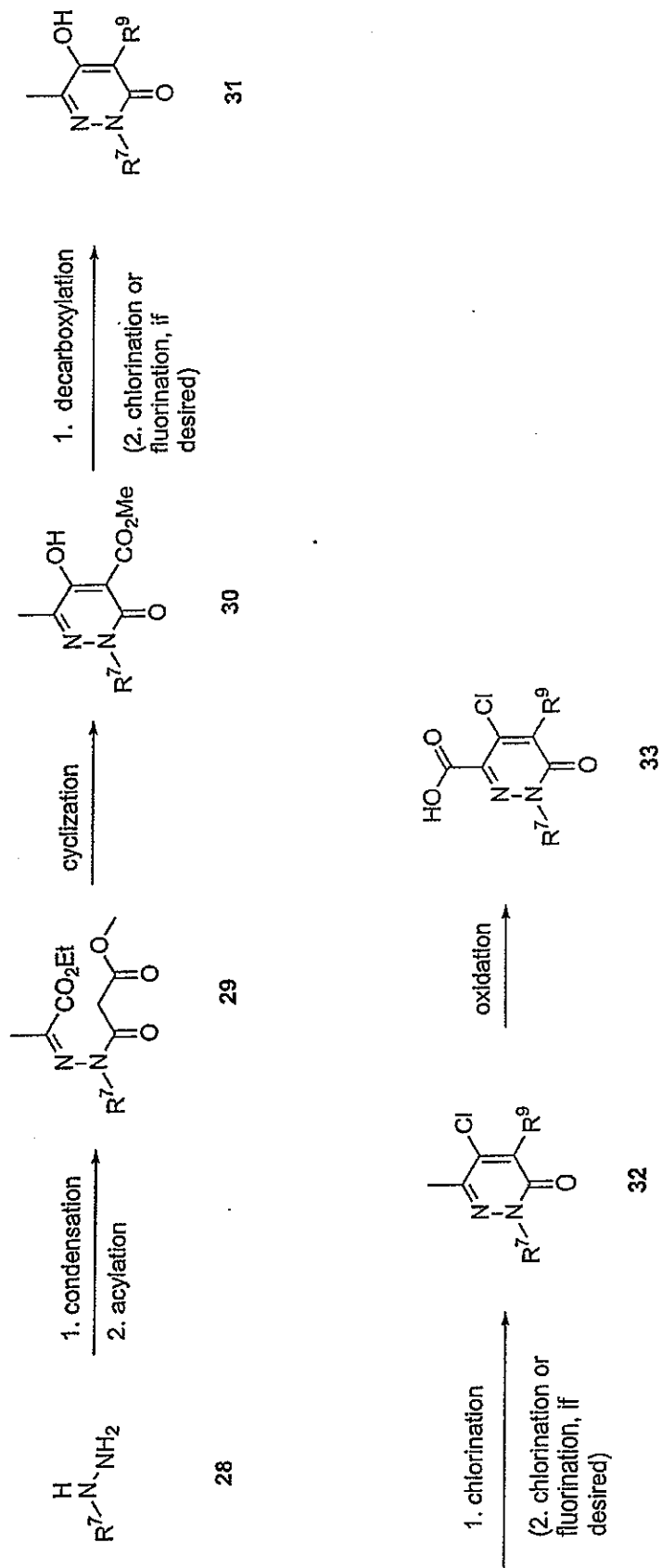


FIG. 7

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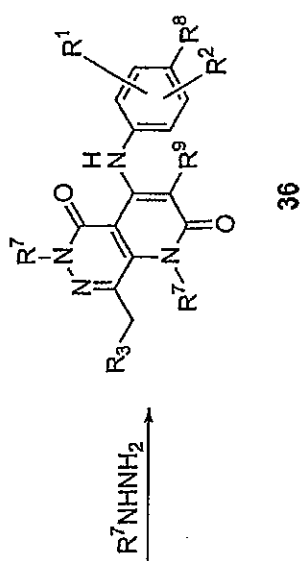
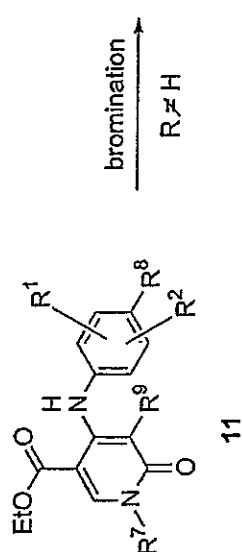
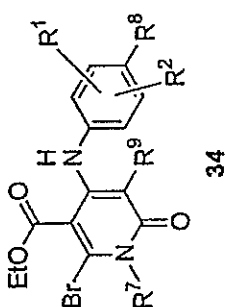
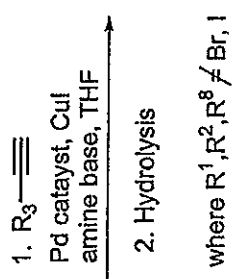
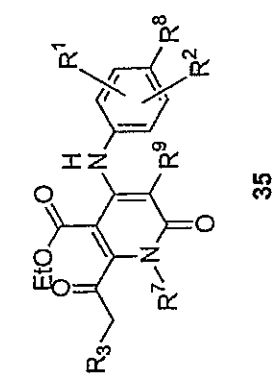


FIG. 8

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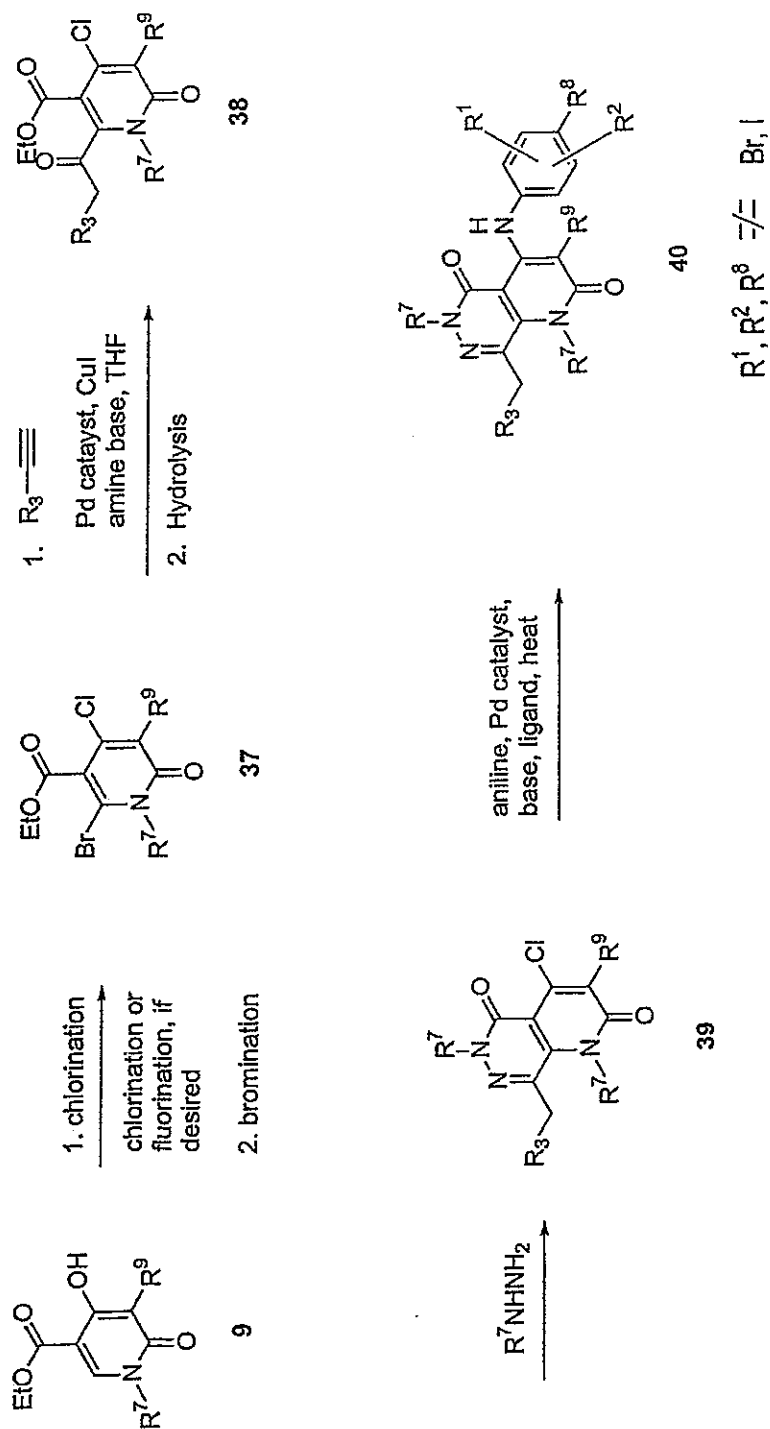
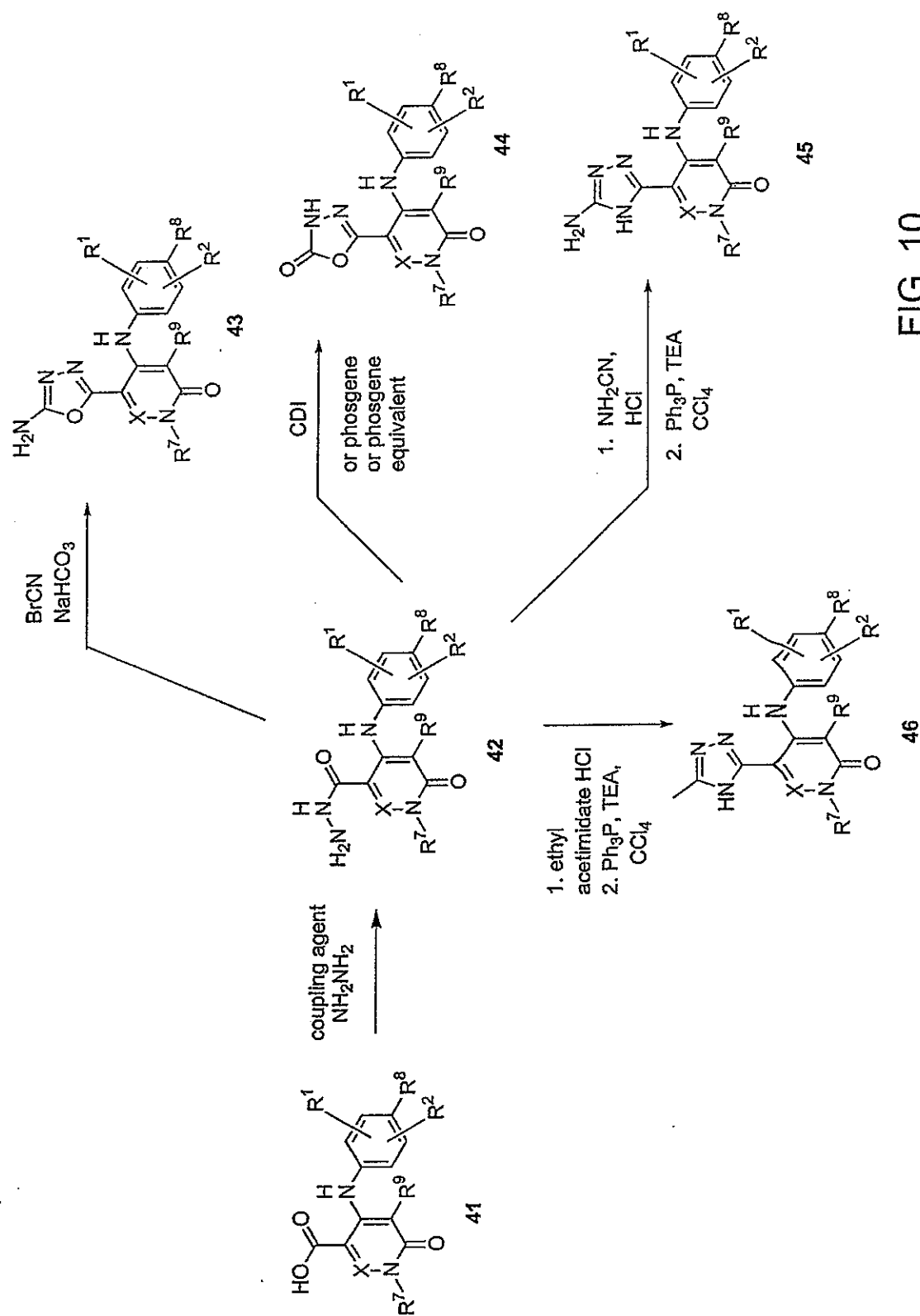


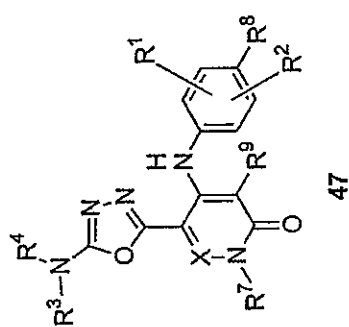
FIG. 9

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FIG. 11



1. R^3R^4NH
 2. Ph_3P , TEA,
 CCl_4
 3. deprotection, if
 necessary

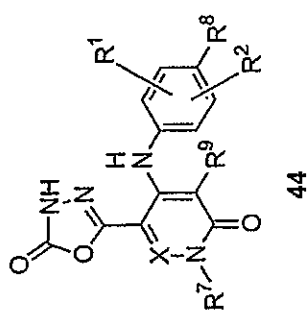
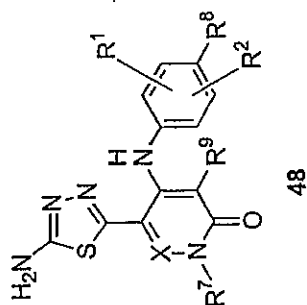


FIG. 12



1. thiosemicarbazide,
 coupling agent
 2. Ph_3P , TEA,
 CCl_4

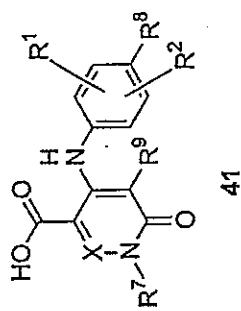
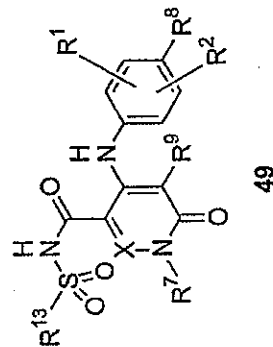
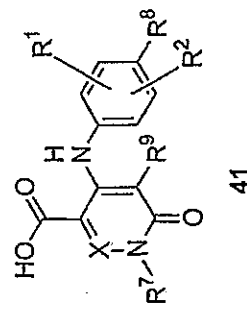


FIG. 13



1. activating agent
 2. $R^{13}S(O)_2NH_2$, base



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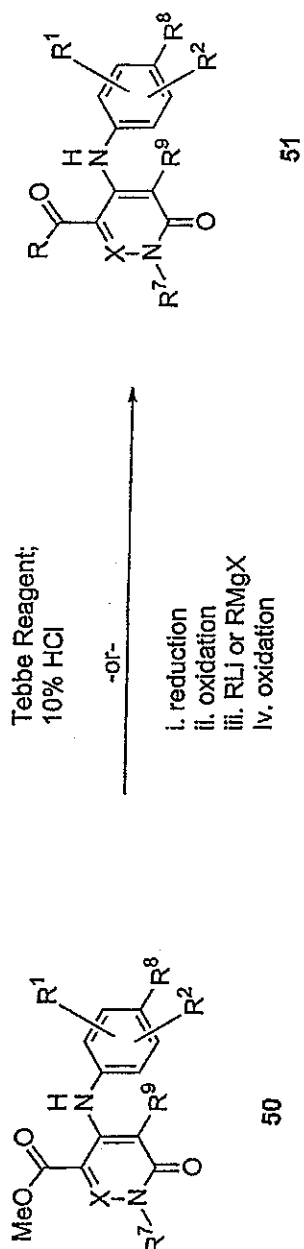


FIG. 14

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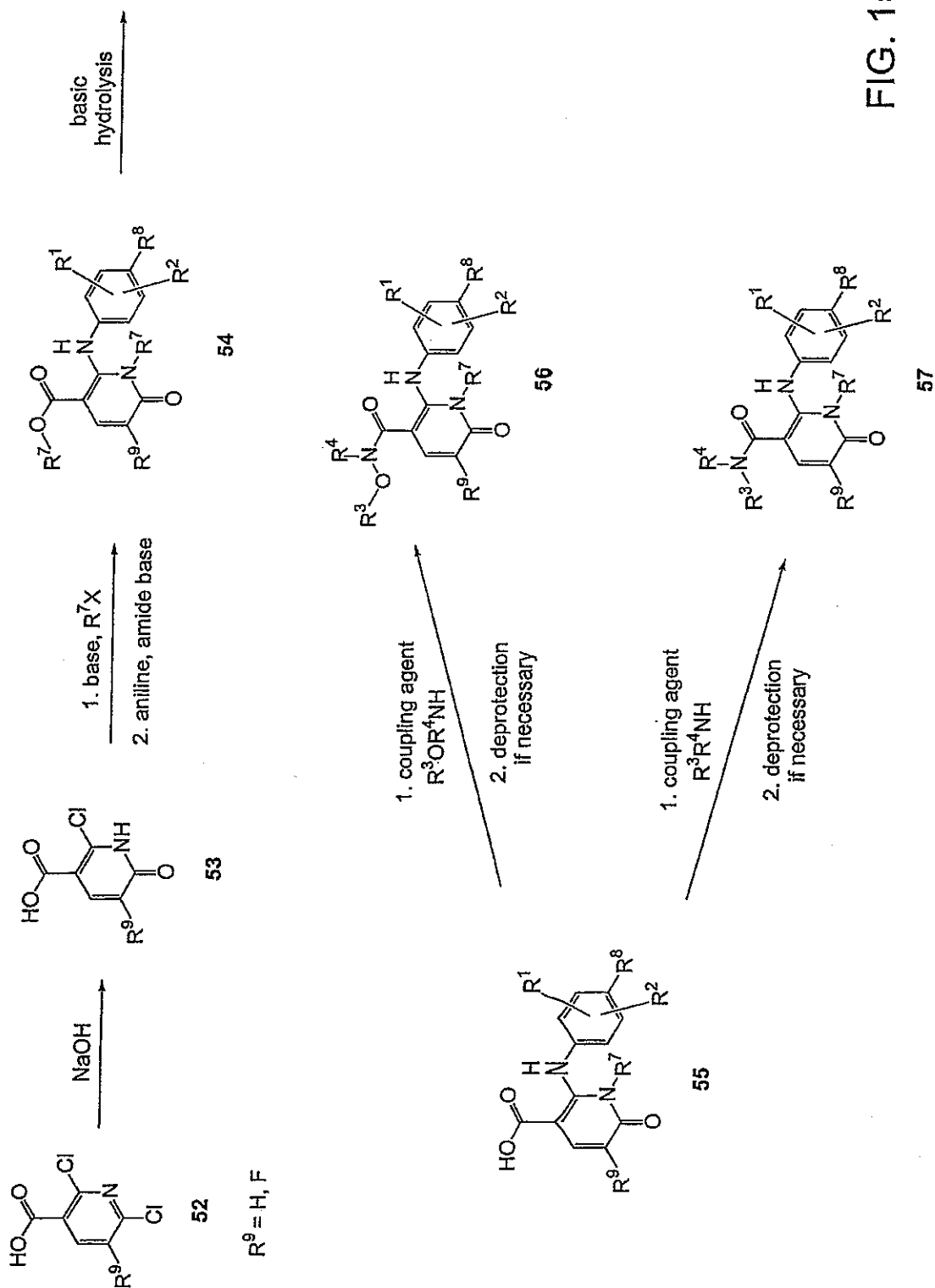
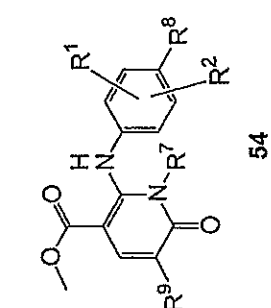
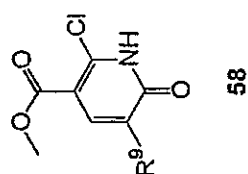


FIG. 15

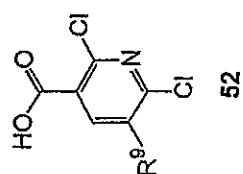
14/30



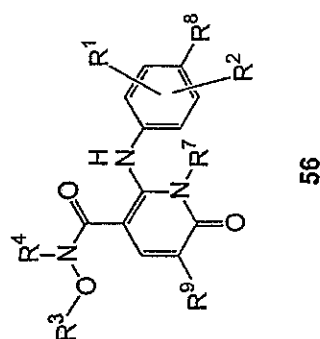
1. base, R⁷X
2. aniline, amide base



1. MeOH, base
2. esterification
3. deprotection



R⁹ = H, F



R³OR⁴NH
amide base

FIG. 16

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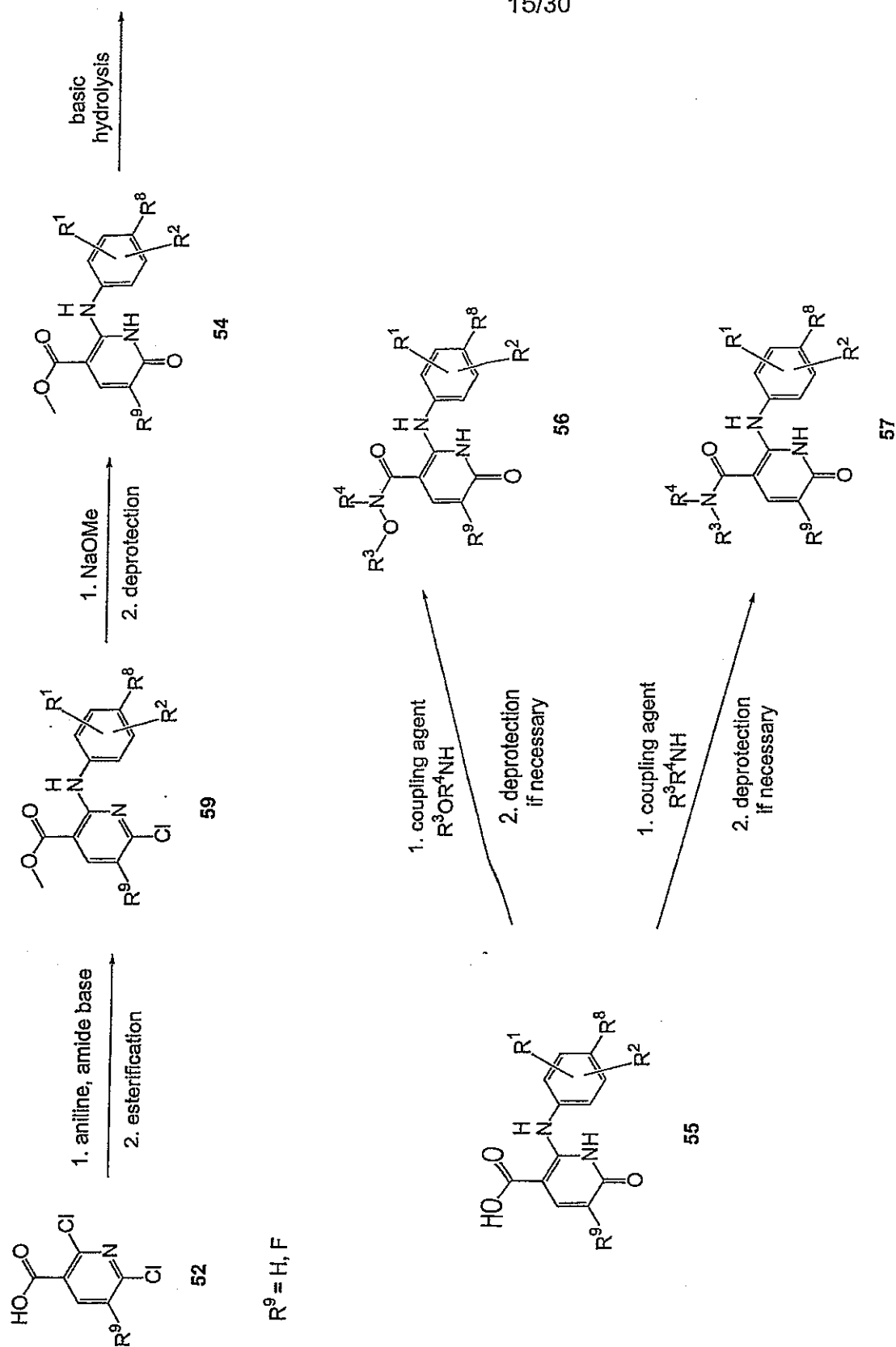


FIG. 17

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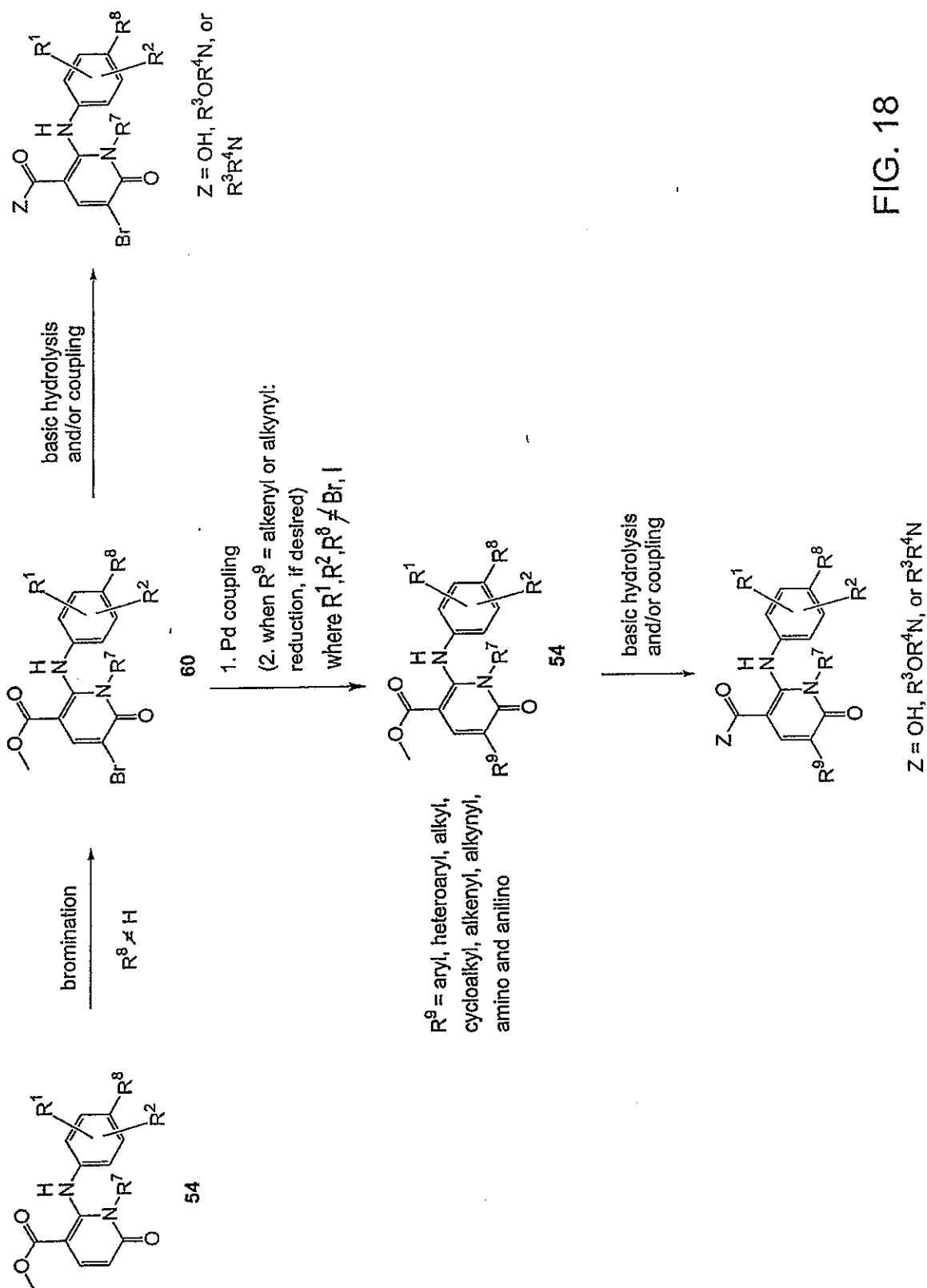


FIG. 18

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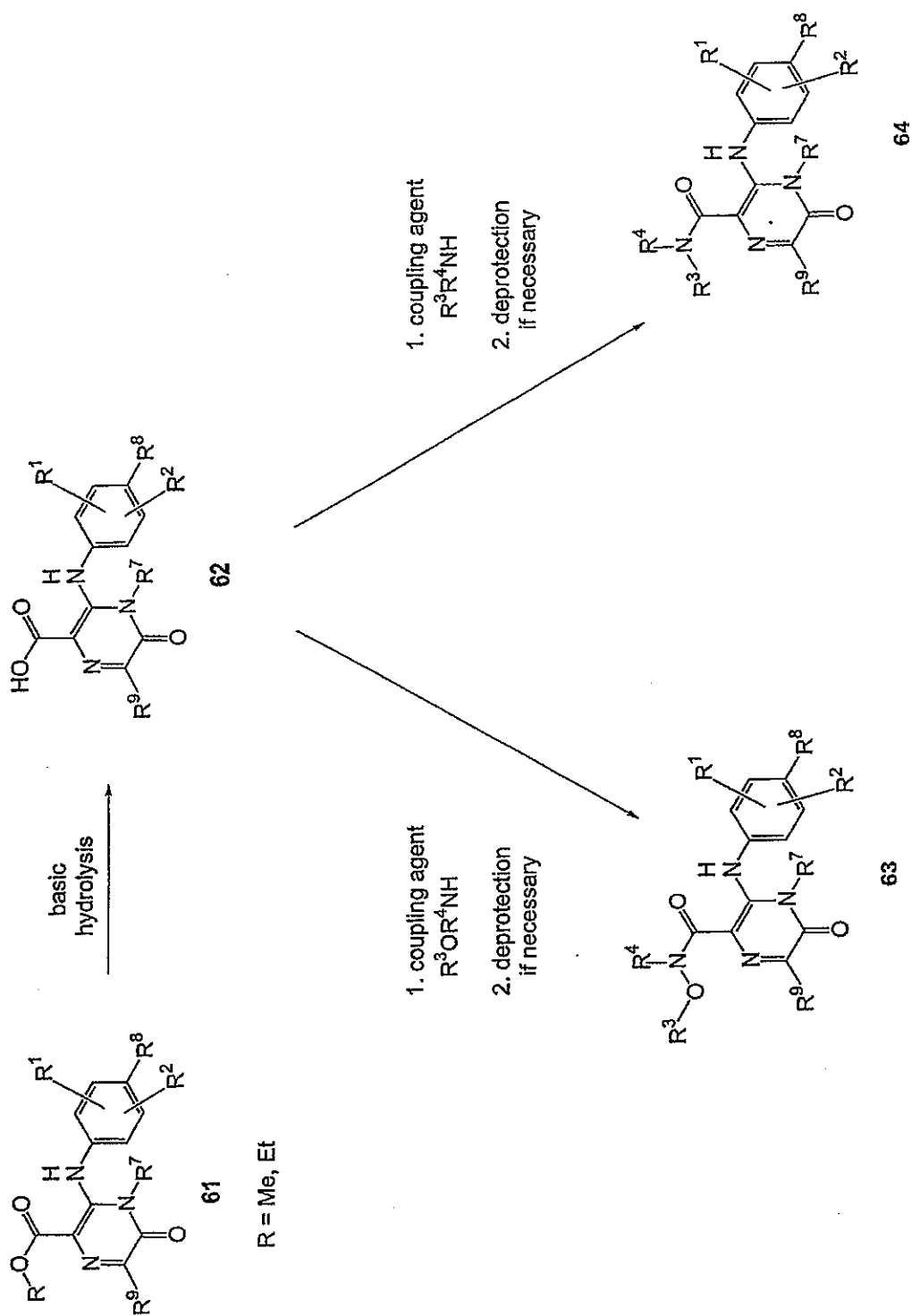
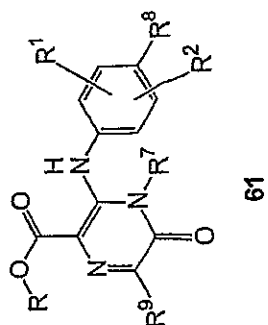
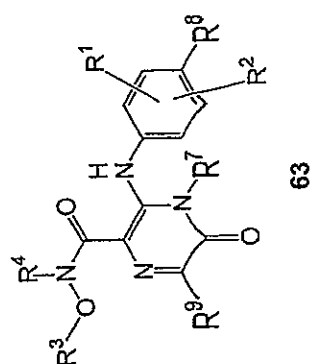


FIG. 19

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R = Me, Et

FIG. 20

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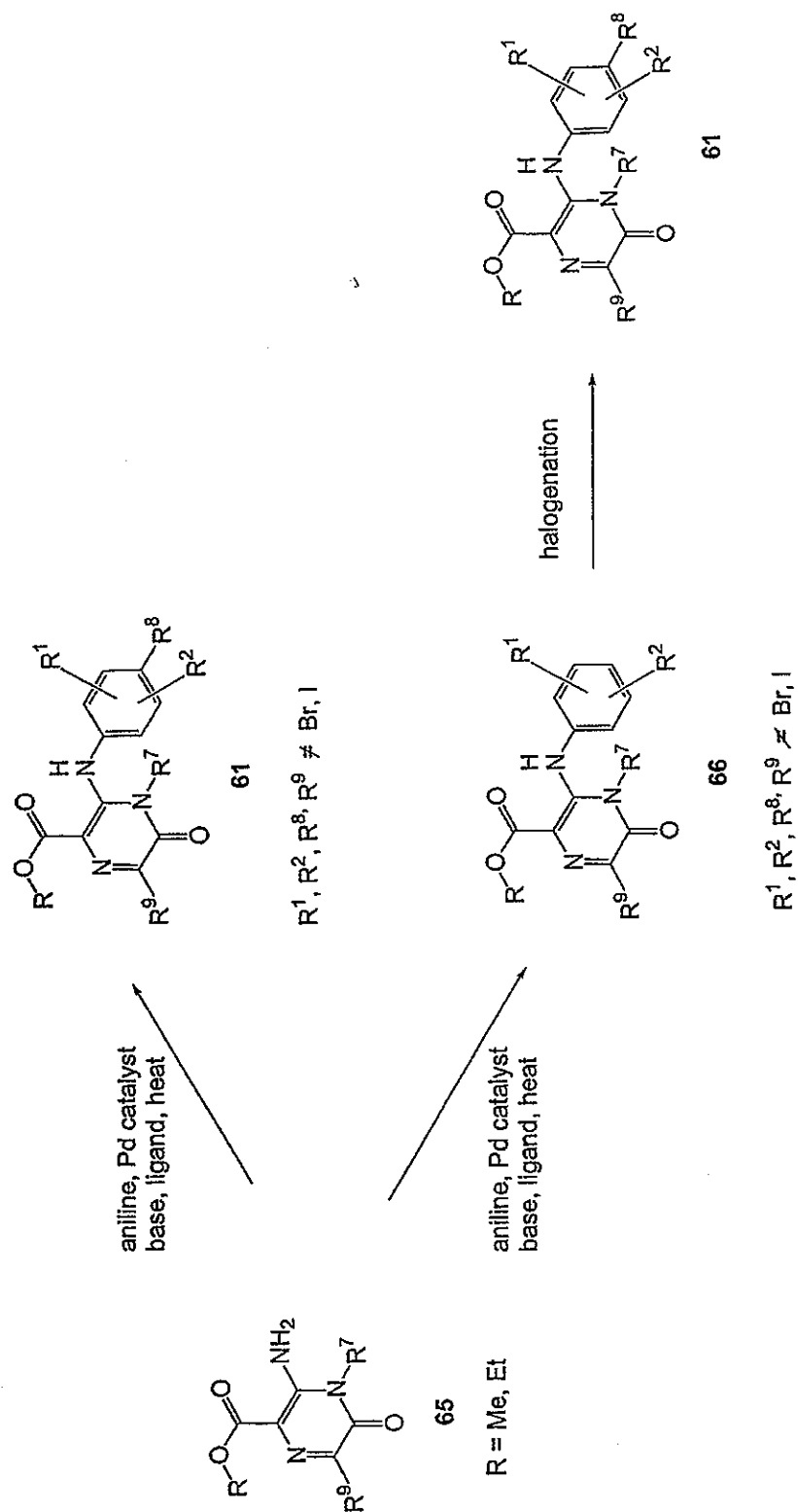


FIG. 21

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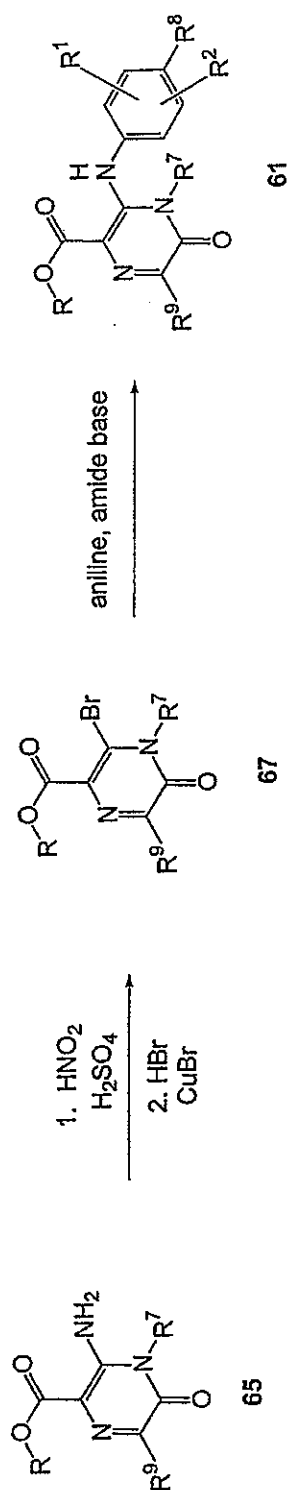


FIG. 22

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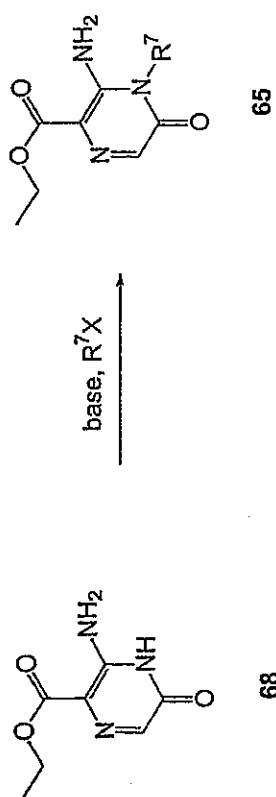


FIG. 23

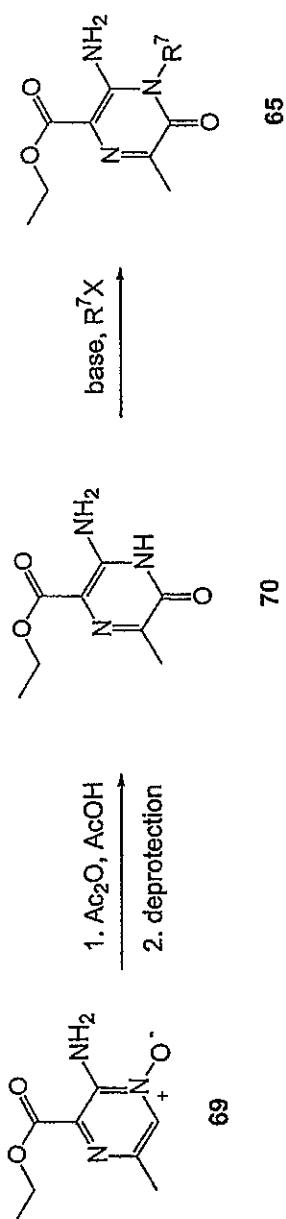


FIG. 24

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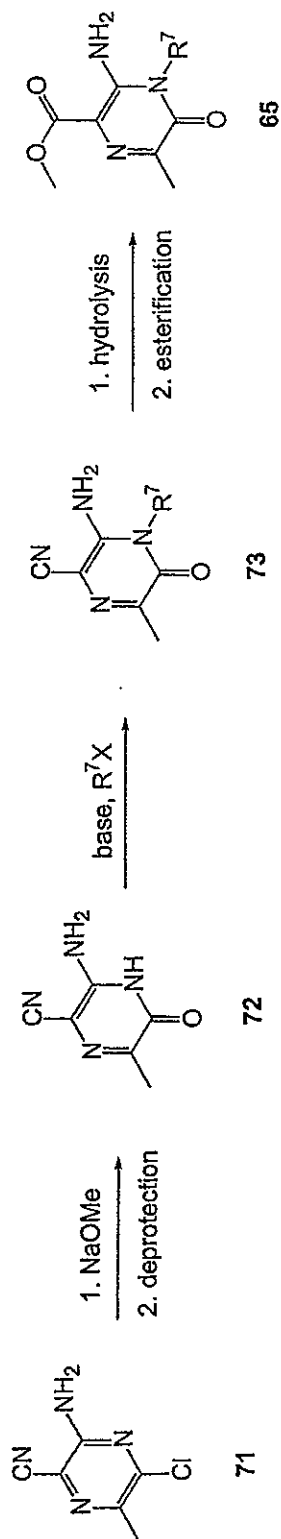


FIG. 25

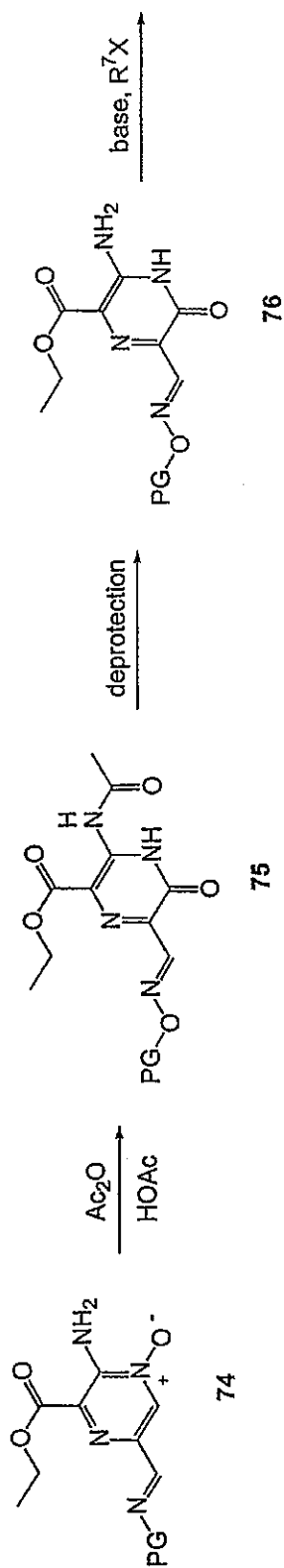
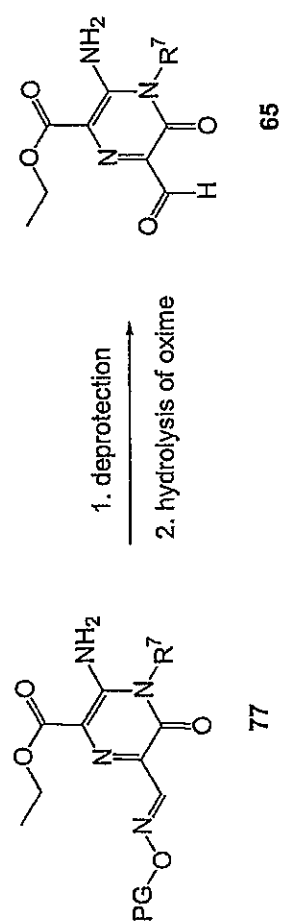


FIG. 26



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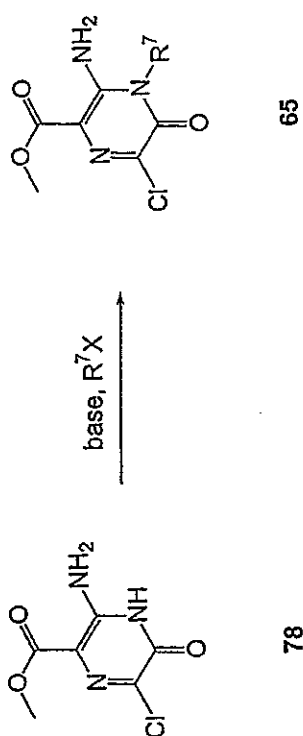
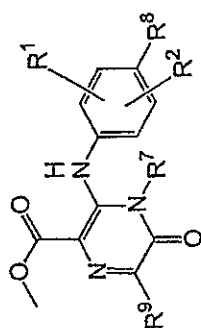


FIG. 27

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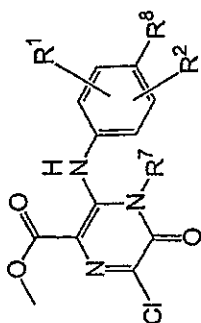
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R^8 = aryl, heteroaryl, alkyl, cycloalkyl, alkenyl, alkynyl, amino or aniliny

1. Pd coupling

(2. when R^9 = alkenyl or alkynyl:
reduction, if desired)

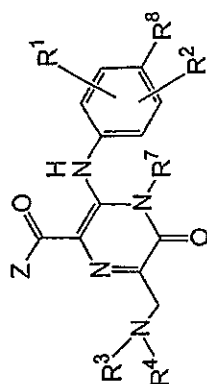
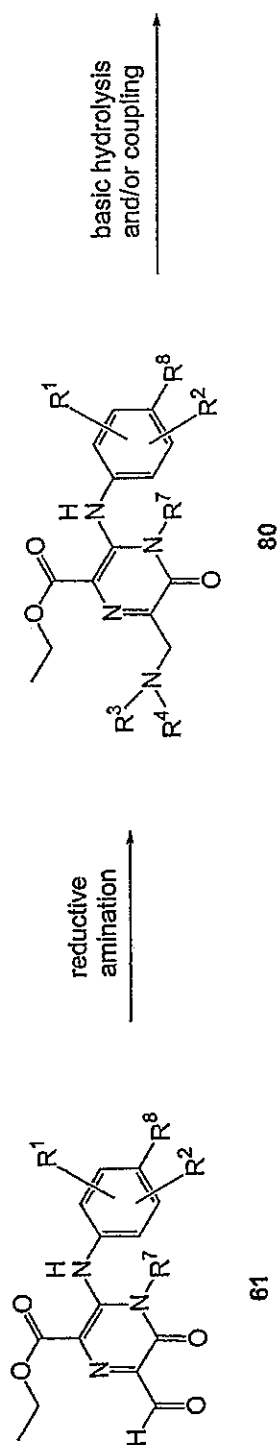
where $R^1, R^2, R^8 \neq \text{Br, I}$



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FIG. 28

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62: Z = OH

63: Z = R³OR⁴N64: Z = R³R⁴N

FIG. 29

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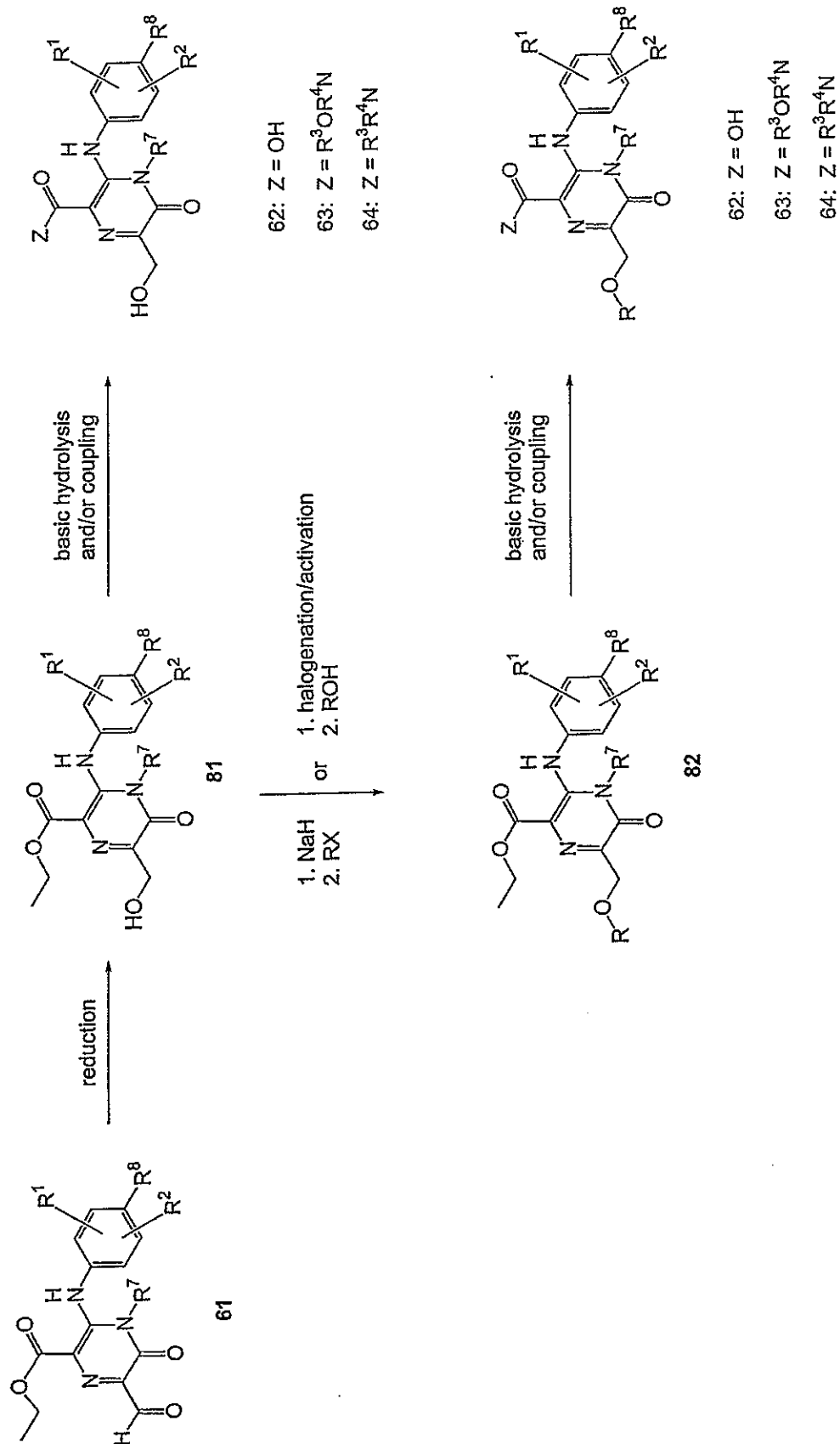
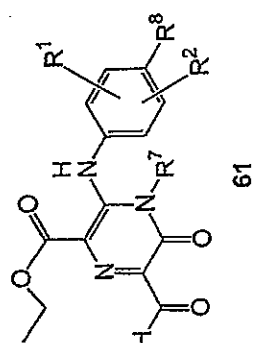
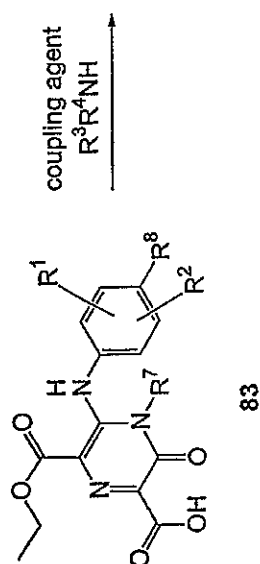
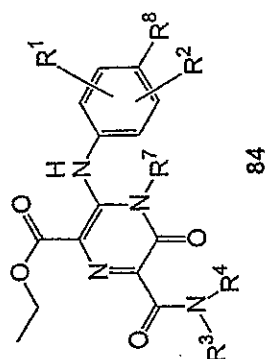


FIG. 30

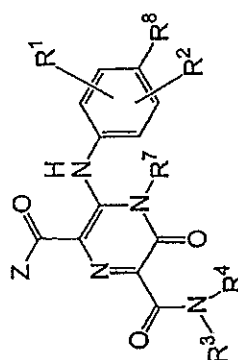
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coupling agent
R³R⁴NH

oxidation

basic hydrolysis
and/or coupling



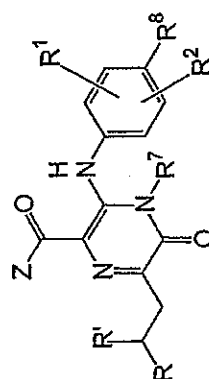
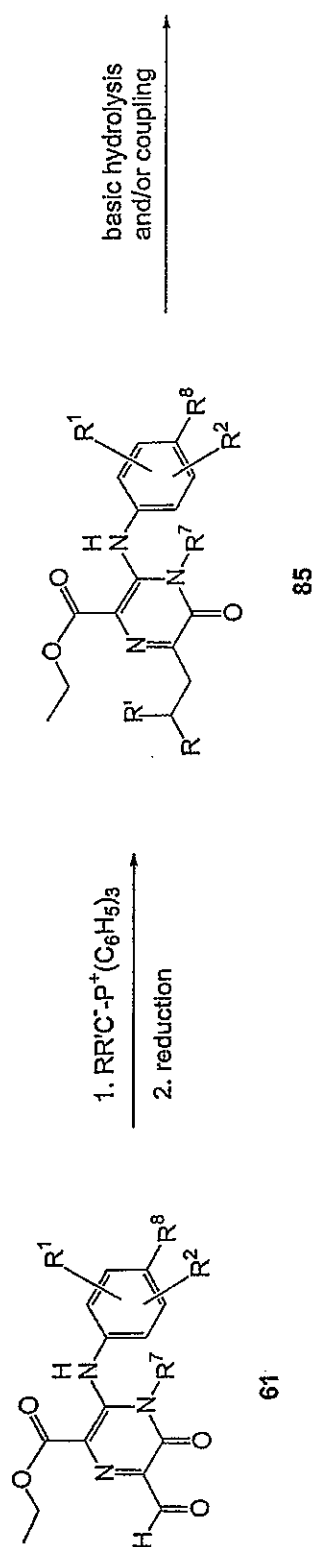
62: Z = OH

63: Z = R³OR⁴N

64: Z = R³R⁴N

FIG. 31

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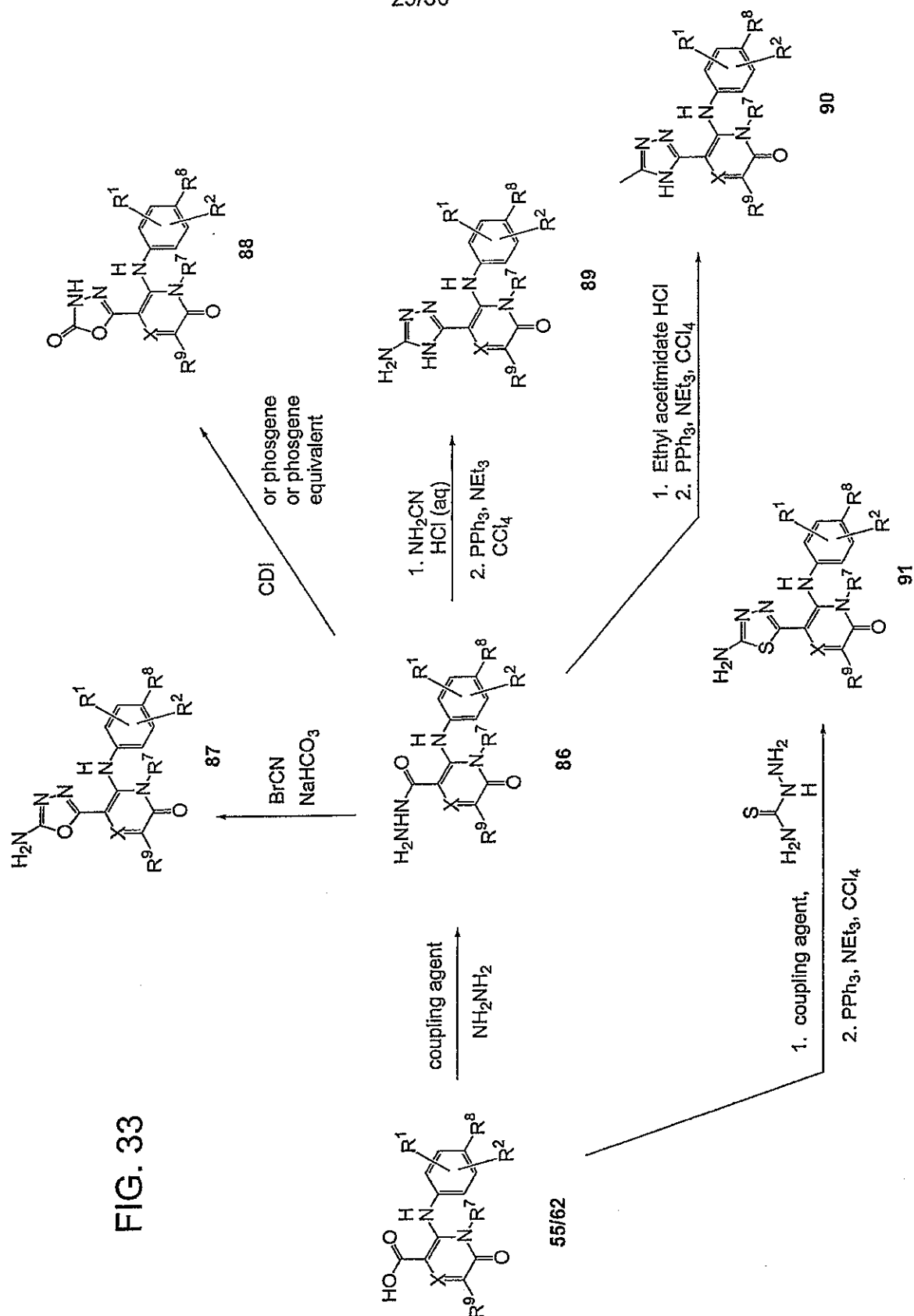


62: Z = OH

63: Z = R³OR⁴N64: Z = R³R⁴N

FIG. 32

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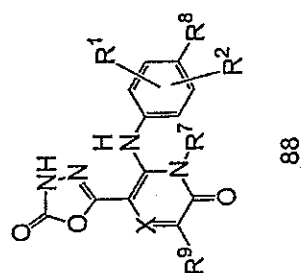
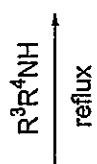
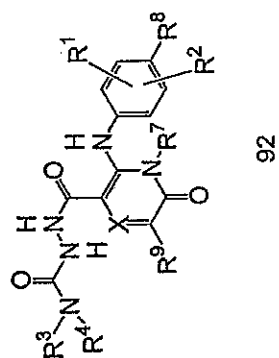
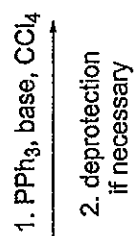
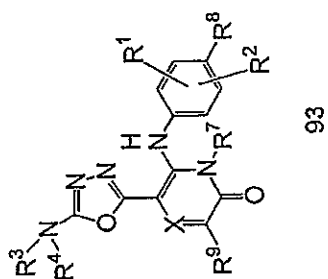


FIG. 34