The 18th International Electronic Conference on Synthetic Organic Chemistry

Synthesis of 3,4-dihydropyrazino[1,2-a]-indol-1(2H)-ones by cascade addition-cyclization reactions from vinyl selenones

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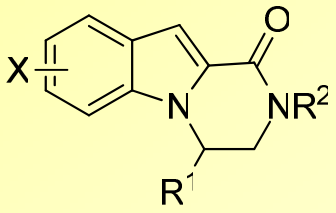
DIPARTIMENTO DI SCIENZE FARMACEUTICHE dsf

34 Se 16 S redox and catalysis

Pyrazino-indole scaffold: attractive for biological activities

Type 1



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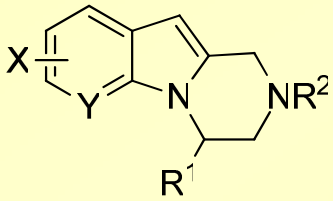
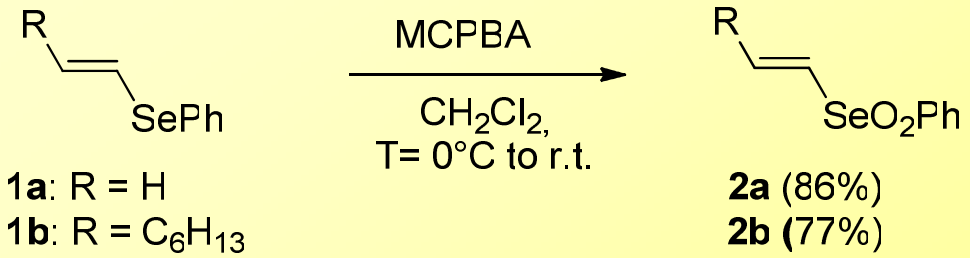


R^1 = alkyl, hydroxyalkyl; R^2 = H, Me, Bu; X = H, halogen, alkyl; Y = CH, N

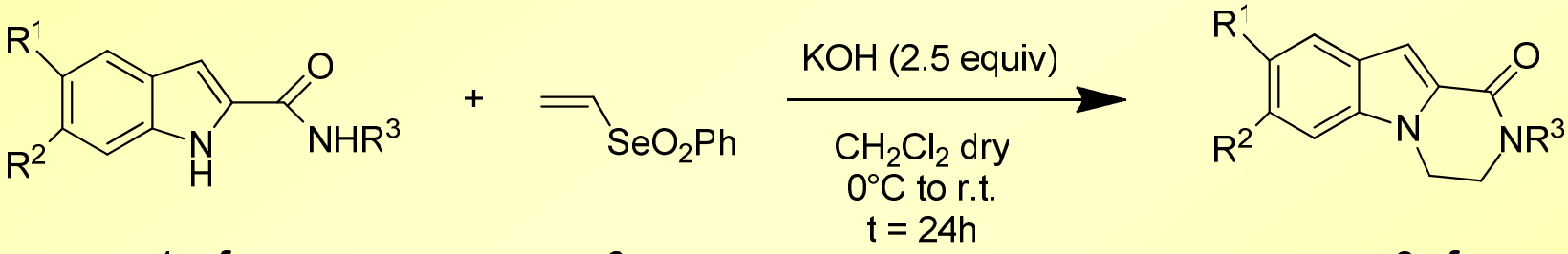
SELENONES



1a: R = H
1b: R = C_6H_{13}

2a (86%)
2b (77%)

SYNTHESIS OF THE VARIOUSLY SUBSTITUTED 3,4-dihydropyrazino[1,2-a]indol-1(2H)-ones USING vinyl selenone 2a…

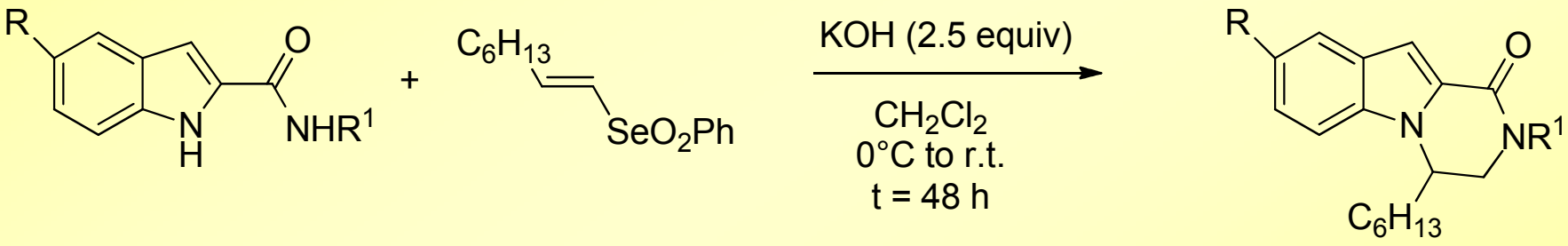


1 a-f **2a** **3a-f**

1a: R^1 = H; R^2 = H; R^3 = CH_2Ph
1b: R^1 = OMe; R^2 = H; R^3 = CH_2Ph
1c: R^1 = F; R^2 = H; R^3 = CH_2Ph
1d: R^1 = OMe; R^2 = OMe; R^3 = CH_2Ph
1e: R^1 = H; R^2 = H; R^3 = $CH_2CH_2CH_2CH_3$
1f: R^1 = H; R^2 = H; R^3 = Ph

3a Yield **84%**
3b Yield **76%**
3c Yield **87%**
3d Yield **66%**
3e Yield **80%**
3f Yield **68%**

...AND USING vinyl selenone 2b FOR 4-hexyl-3,4-dihydropyrazino[1,2-a]indol-1(2H)-ones



1 a-b **2 b** **4 a-b**

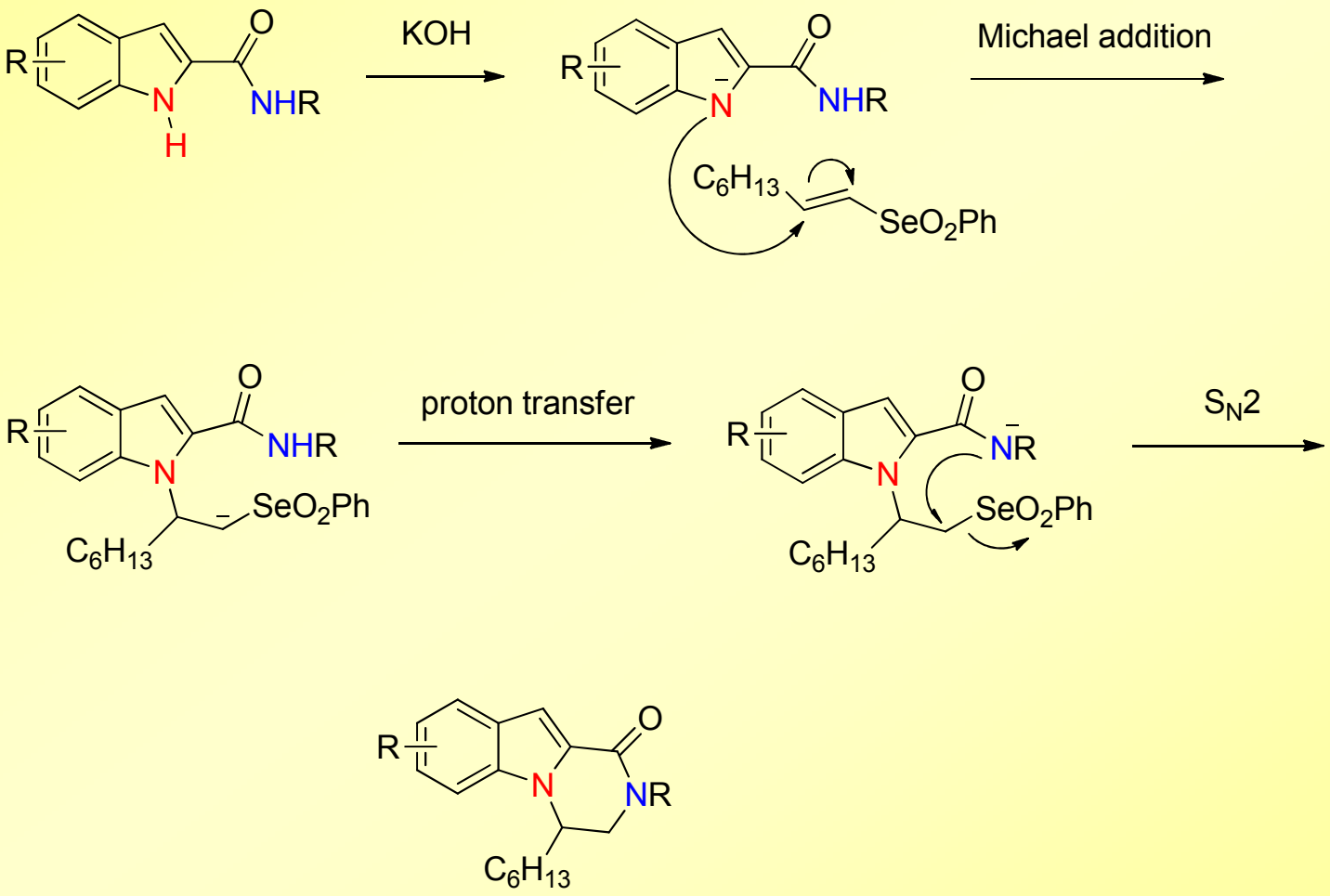
1a: R = H; R^1 = CH_2Ph

1b: R = OMe; R^1 = CH_2Ph

4a Yield **68%**

4b Yield **50%**

THE HYPOTHETICAL MECHANISM



4-hexyl-3,4-dihydropyrazino[1,2-a]indol-1(2H)-one