

嘉南藥理科技大學專題研究計畫成果報告

Pyrazolol[1,5]pyrrole 衍生物之合成與抗癌活性

計畫類別：個別型計畫 整合型計畫

計畫編號：CNPH-91-09

執行期間：91 年 1 月 1 日至 91 年 12 月 31 日

計畫主持人：莊世憲

共同主持人：

計畫參與人員：

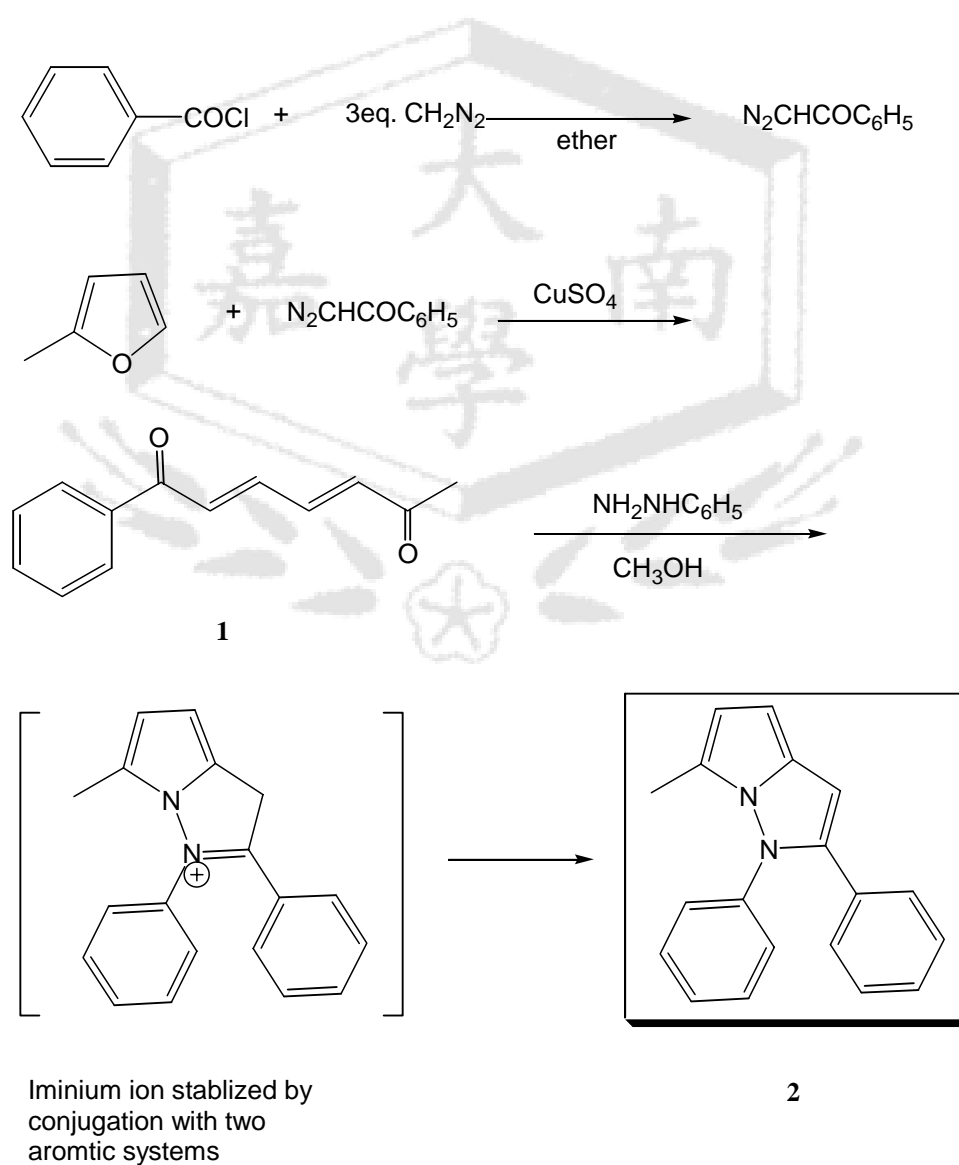
執行單位：藥學系

中華民國 93 年 4 月 12 日

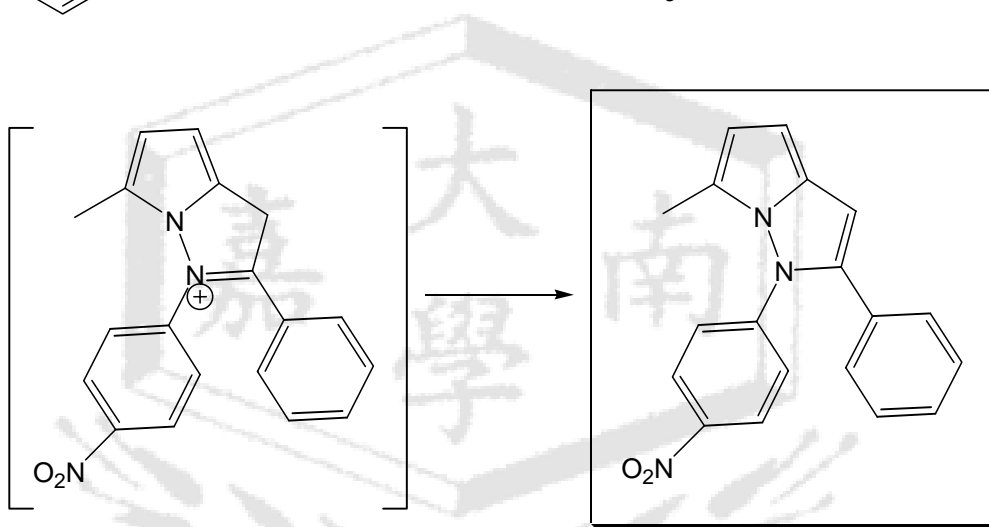
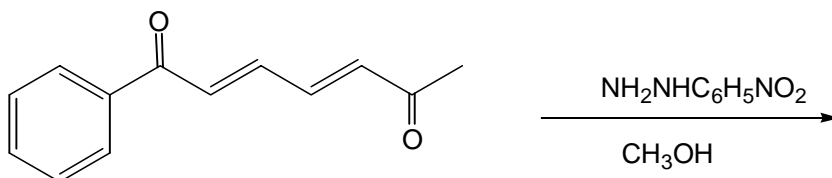
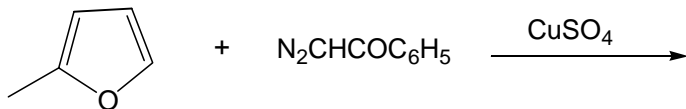
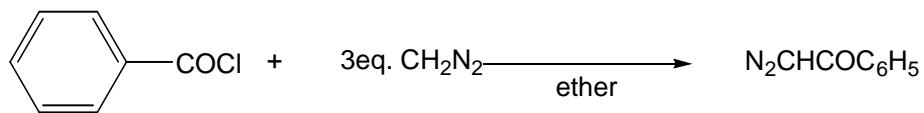
Pyrazolol[1,5]pyrrole 衍生物之合成與抗癌活性

一系列的 Pyrazolol[1,5]pyrrole 衍生物被製備出。這些化合物也已測試其對某些癌細胞的細胞毒性，將進一步研究其藥物結構與生物活性的關係。

反應流程圖 1



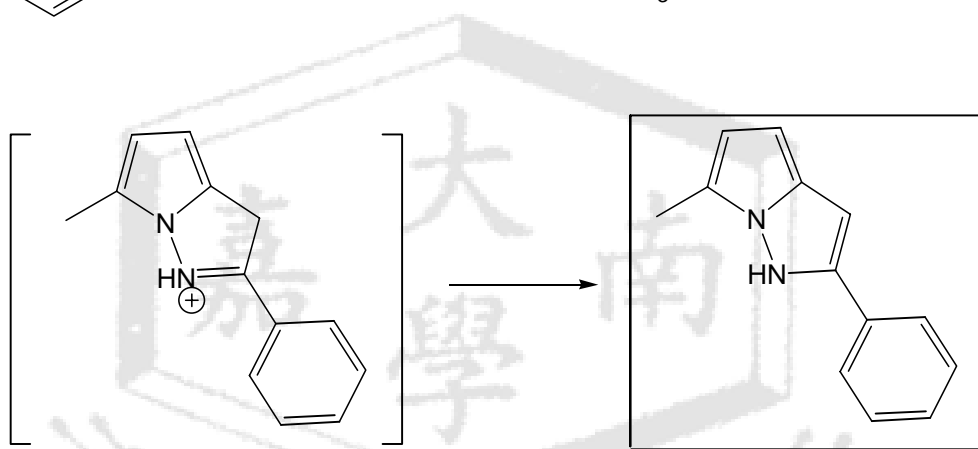
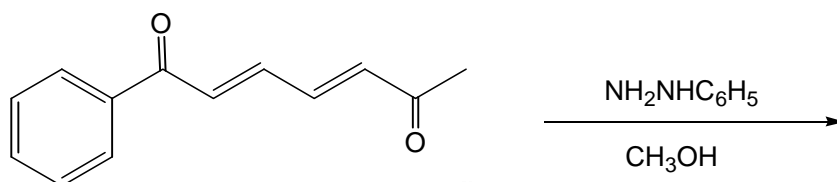
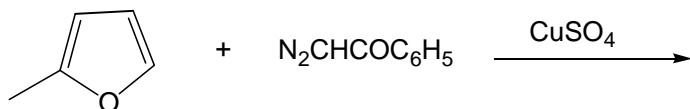
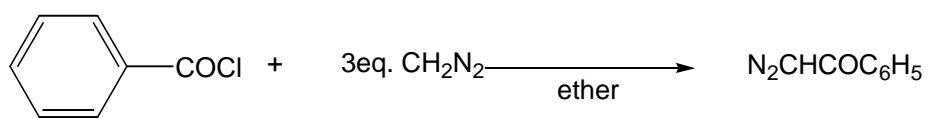
反應流程圖 2



Iminium ion stabilized by conjugation with two aromatic systems

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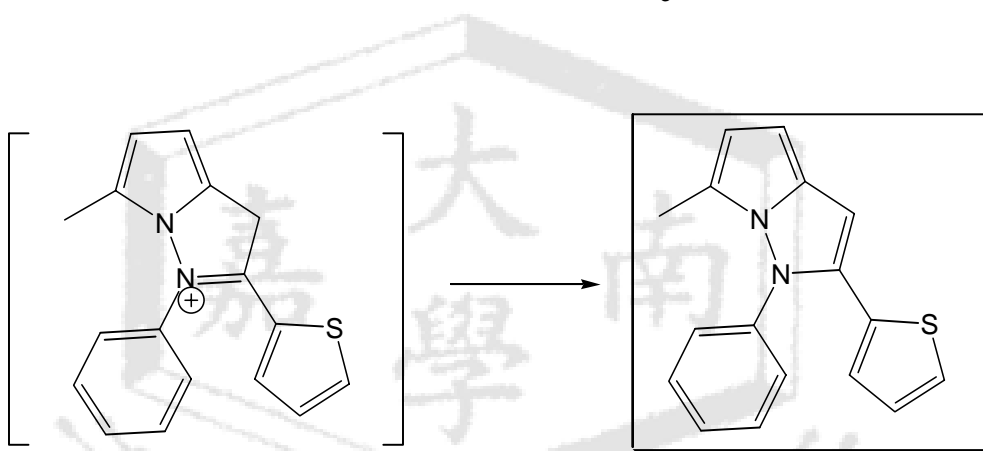
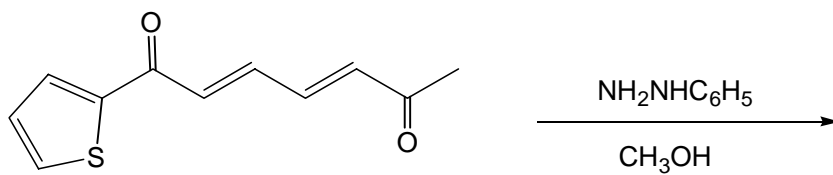
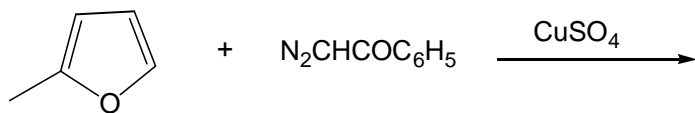
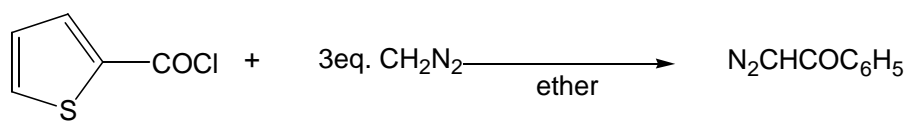
反應流程圖 3



Iminium ion stabilized by conjugation with two aromatic systems

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反應流程圖 4



Iminium ion stabilized by conjugation with two aromatic systems

From 國立中國醫藥研究所 郭曜豪老師實驗室

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| No | 樣品名稱 | Purity | Soluble with 5%DMSO | ED ₅₀ (μg/ml) | |
|----|--------|----------------------------------|---------------------|--------------------------|-------|
| | | P=Pure, F=Fraction, E=Extraction | | HEPA59T/VGH | KB |
| 1 | Gan-a | P | soluble | 25.30 | (-) |
| 2 | Gand-1 | P | soluble | (-) | (-) |
| 3 | Ganh-1 | P | soluble | 36.75 | 25.97 |
| 4 | Gane-4 | P | soluble | (-) | (-) |

Cell lines:

KB: Human oral epidermoid carcinoma

HEPA59T/VGH: Human liver carcinoma

(-): ED₅₀ > 40 μg/ml

Standard doxorubicine : ED₅₀ ≤ 0.75 μg/ml

Gan-a (2) Gand-1 (5) Ganh-1 (4) Gane-4 (3)

