

Figure 1. Biologically active pyrazole derivatives.

Scheme 1. Synthesis of pyrazole derivatives 4a-c, 6a-c, 8 and 10a-c; reagents and conditions: (a) EtOH/Et $_3$ N, heat 1 h (b) EtOH/Et $_3$ N, heat 1 h (c) EtOH/Et $_3$ N, heat 2h (d) EtOH/Et $_3$ N, heat 1 h

 $\mathbf{c}, \mathbf{X} = \mathbf{C}\mathbf{1}$

Scheme 2. Synthesis of pyrazole derivatives **12-14** and **17a-c**; reagents and conditions: (a) 1,4 dioxane/Et₃N, heat 2 h (b) EtOH/Et₃N, heat 2 h (c) EtOH/Et₃N, heat 2 h (d) EtOH/Et₃N, heat 1 h

1 +
$$(C_2H_5O)_3CH$$
 (a) 19 19 5 H_3C CHCC₂H₅ CH^2CH^2 CHCC₂H₅ CH^2CH^2 CHCC₂H₅ CH^2CH^2 CHCCN₂ CH^2CH^2 CHCCN₂ CH^2CH^2 CHCCN₂ CH^2CH^2 CHCCN₂ CH^2 CHCN₂ CH^2 C

Scheme 3. Synthesis of pyrazole derivatives **19**, **20**, **22a-d**.; reagents and conditions: (a) fusion 120 C, 30 min (b) EtOH/Et₃N, heat 2 h (c) 1,4-dioxane/Et₃N, heat 3 h

11, X = H21a, $X = OCH_3$ b, X = C1

 $\mathbf{c}, \mathbf{X} = \mathbf{Br}$

22a, X = H

b, X = OCH₃
 c, X = Cl
 d, X = Br

1 + PhNCS (a)
$$(a)$$
 $NHPh$ N

(b)
$$\begin{vmatrix} H_2C \longrightarrow COR \\ X \end{vmatrix}$$

$$24a, X = Br; R = Ph$$

$$b, X = Br; R = 4-ClC_6H_4$$

$$c, X = Cl; R = OEt$$

Scheme 4. Synthesis of pyrazole derivatives **25a-c**, reagents and conditions: (a) DMF/KOH, r.t. (b) r.t. overnight

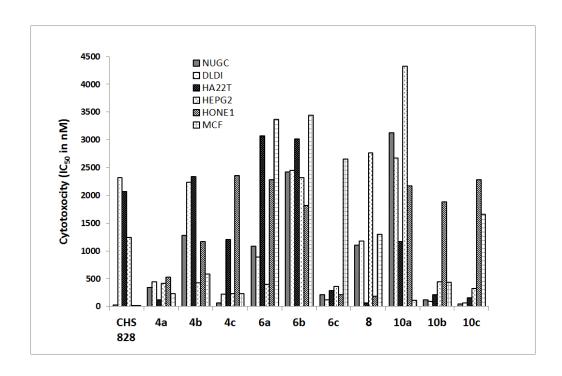


Figure 2. Cytotoxicity of compounds **4a-c**, **6a-c**, **8**, **10a-c** and CHS 828 against NUGC, gastric cancer; DLDI, colon cancer; HA22Tand HEPG2, liver cancer; HONEI, nasopharyngeal carcinoma; MCF, breast cancer.

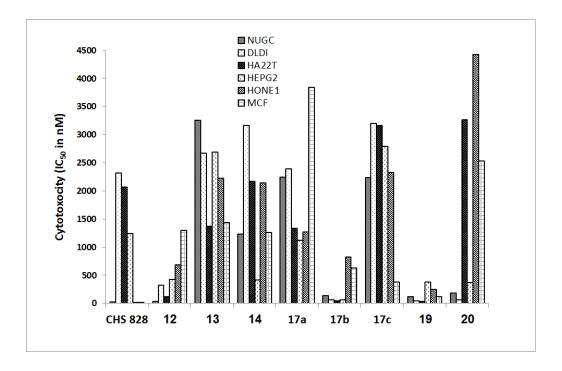


Figure 3. Cytotoxicity of compounds **12, 13, 14, 17a-c**, **19, 20** and CHS 828 against NUGC, gastric cancer; DLDI, colon cancer; HA22T and HEPG2, liver cancer; HONEI, nasopharyngeal carcinoma; MCF, breast cancer.

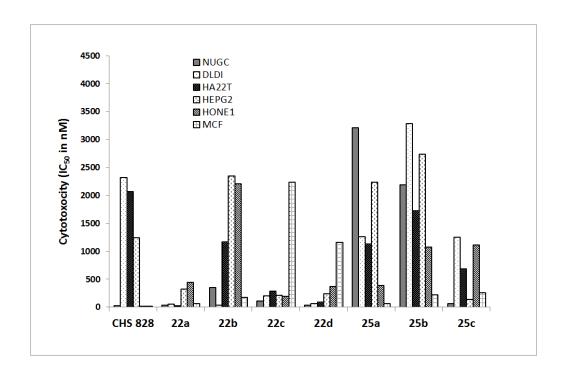


Figure 4. Cytotoxicity of compounds **22a-d**, **25a-c** and CHS 828 against NUGC, gastriccancer; DLDI, colon cancer; HA22T and HEPG2, liver cancer; HONEI, nasopharyngeal carcinoma; MCF, breast cancer