

## European Journal of Medicinal Chemistry Vol 104, 2015

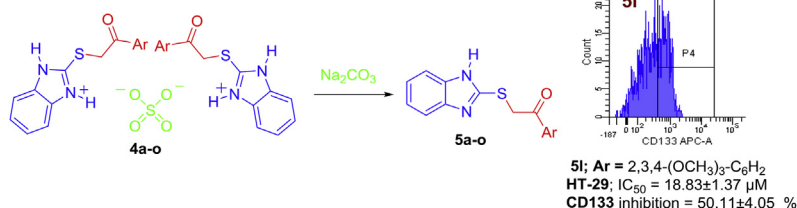
## Graphical abstracts

## ORIGINAL ARTICLES

## 2-((Benzimidazol-2-yl)thio)-1-arylethan-1-ones: Synthesis, crystal study and cancer stem cells CD133 targeting potential

pp. 1–10

Hatem A. Abdel-Aziz\*, Hazem A. Ghabbour, Wagdy M. Eldehna, Sara T.A. Al-Rashood, Khalid A. Al-Rashood, Hoong-Kun Fun, Mays Al-Tahhan and Abdullah Al-Dhfyhan

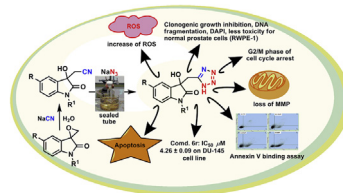


2-((Benzimidazol-2-yl)thio)-1-arylethan-1-ones **5a-o** were synthesized to evaluate their activities towards colon HT-29 cancer cell line and the cell surface expression of CD133, a potent cancer stem cells (CSCs) marker.

H<sub>2</sub>O-mediated isatin spiro-epoxide ring opening with NaCN: Synthesis of novel 3-tetrazolylmethyl-3-hydroxy-oxindole hybrids and their anticancer evaluation

pp. 11–24

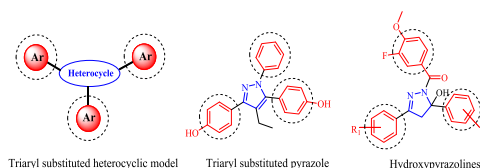
Pankaj Sharma, Kishna Ram Senwar, Manish Kumar Jeengar, T. Srinivasa Reddy, V.G.M. Naidu, Ahmed Kamal and Nagula Shankaraiah\*



## Synthesis and pharmacological evaluation of some new fluorine containing hydroxypyrazolines as potential anticancer and antioxidant agents

pp. 25–32

Dinesha, Shivapura Viveka, Bolli Keerthi Priya, K. Sreedhara Ranganath Pai, Shivalingegowda Naveen, Neratur K. Lokanath and Gundibasappa Karikannar Nagaraja\*

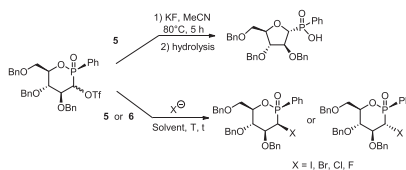


A new series of hydroxypyrazoline derivatives bearing 3-fluoro-4-methoxyphenyl moiety were synthesized and evaluated for their cytotoxicity and antioxidant activity. Compound **4i** showed potent cytotoxic and antioxidant activity.

### $\alpha$ -Halogenated oxaphosphinanes: Synthesis, unexpected reactions and evaluation as inhibitors of cancer cell proliferation

pp. 33–41

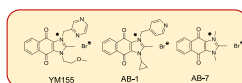
Rachida Babouri, Marc Rolland, Odile Sainte-Catherine, Zahia Kabouche, Marc Lecouvey, Norbert Bakalara, Jean-Noël Volle\*\*, David Virieux\* and Jean-Luc Pirat



### Antiproliferative, DNA intercalation and redox cycling activities of dioxonaphtho[2,3-d]imidazolium analogs of YM155: A structure–activity relationship study

pp. 42–56

Si-Han Sherman Ho, Mei-Yi Sim, Wei-Loong Sherman Yee, Tianming Yang, Shyi-Peng John Yuen and Mei-Lin Go\*



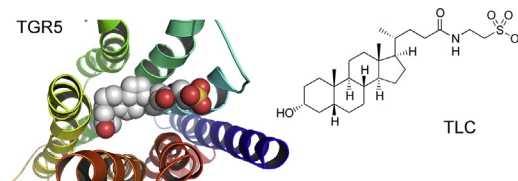
Growth inhibitory IC <sub>50</sub> (HCT116)	54 nM	34 nM	29 nM
IC <sub>50</sub> for DNA binding (doxorubicin: 2.6 μM)	36 nM	36 nM	19 nM
EC <sub>50</sub> for redox cycling (methylthioquinone: 0.33 μM)	20 μM	20 μM	13 μM
	1.4 μM	6.1 μM	7.6 μM

YM155, AB-1 and AB-7 are potent anti-proliferative agents with modest affinities for DNA and limited redox cycling properties

### Mutational mapping of the transmembrane binding site of the G-protein coupled receptor TGR5 and binding mode prediction of TGR5 agonists

pp. 57–72

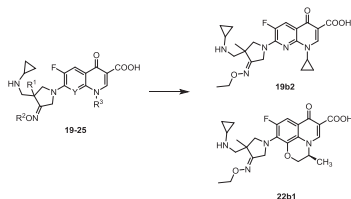
Christoph G.W. Gertzen, Lina Spomer, Sander H.J. Smits, Dieter Häussinger, Verena Keitel\*\* and Holger Gohlke\*



### Synthesis, antimycobacterial and antibacterial activity of fluoroquinolone derivatives containing an 3-alkoxyimino-4-(cyclopropylamino)methylpyrrolidine moiety

pp. 73–85

Tingting Zhang, Weiyi Shen, Mingliang Liu\*, Rui Zhang, Minghua Wang, Linhu Li, Bin Wang, Huiyuan Guo and Yu Lu\*\*



A series of novel fluoroquinolone derivatives were synthesized. 19b2 showed good activity against MTB/MDR-MTB strains. 22b1 was more potent than levofloxacin against Gram-positive strains including MRSA and MRSE.

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