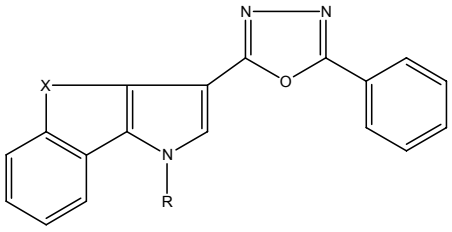
SYNTHESIS AND CYTOTOXIC ACTIVITIES OF 3-(5-PHENYL-[1,3,4]OXADIAZOL-2-YL)-1*H*-BENZO[g]INDOLE AND RELATED COMPOUNDS

Stefania Villa[a], Lucrezia Solano[a], Giorgio Cignarella[a], Gabriele Murineddu[b], Gerardo Aimè Pinna[b].

[a]Istituto di Chimica Farmaceutica e Tossicologica, Università di Milano, Viale Abruzzi, 42 20131 Milano (Italy)
[b]Dipartimento Farmaco Chimico Tossicologico, Università di Sassari, Via F. Muroni, 23 07100 Sassari (Italy)

Cancer is the second leading cause of death in industrialized nations. Cancer chemotherapy commonly involved the use of cytotoxic agents that destroy rapidly dividing cells. Within the past decade, advances in our understanding of the cell cycle have presented new targets that may allow the development of more selective chemotherapeutic agents able to target only cancer cells. Despite this progress, cytotoxic agents will remain a mainstay in cancer chemotherapy for the near future [1].
In this context we have recently synthesized a series of compounds of general structure (**1**) [2]. Among them the compound **1a** (X= CH=CH, R = H, R_1= 5-phenyl-[1,3,4]-oxadiazole) was evaluated *in vitro* by the National Cancer Institute (NCI Bethesda) against 60 tumor cell lines derived from nine cancer cell types. Biological results showed a very interesting antitumor activity in particular against leukemia, colon and breast cancer.



1

X = CH_2, CH_2CH_2, CH=CH
R = H, Alk

On the bases of these results, we have extended our investigation on other series of structurally related compounds, in which the oxadiazolyl moiety was modified. The activity of the new compounds was evaluated on colon cancer cells, a predictive model of antitumor activity. The chemistry and the biological data will be discussed in the poster.

Bibliography

[1] Bruce G. Szczepankiewicz et al. New antimitotic agents with activity in multi-drug-resistant cell lines and in vivo efficancy in murine tumor models
J. Med. Chem. (2001), 44, 4416-4430.
[2] Gabriele Murineddu et al. Synthesis and cytotoxic activities of pyrrole[2,3-*d*]pyridazin-4-one derivatives. *Chem. Pharm. Bull.* (2002), 50(6), 754-759.