compounds (ip) show that compared to free curcuminoids their respective aluminium (III) complexes are remarkably active in reducing tumour volume in mice.

The results clearly reveal that HL^2**,** with hydroxyl groups on the phenyl ring, shows the maximum activity towards cytotoxicity on Ehrlich ascites and cultured L929 cells, percentage increase in life span and reduction of solid tumour volume in mice. This may be due to the peculiar nature of curcuminoid analogue which can yield phenolic structure upon metabolism as well as due to the extended conjugation. Among the compounds studied HL^1, with unsubstituted benzene ring, showed least activity. Complexation with aluminium significantly increased the cytotoxic and antitumour activities of curcuminoids. The study suggests that the main group element aluminium forms stable complexes with curcuminoid analogues and significantly enhances antitumour activity of these compounds than in their transition metal complexes. This may be due to the comparatively high solubility of aluminium complexes in the body fluids than the transition metal complexes. Further studies have to be conducted to elucidate the exact mechanism of action.

References

1. S. Chitra, J. Sonia, K.B. Ajaikumar, A.B. Bharat. *Cancer Lett.*, **267**, 133 (2008).
2. J. Ravindran, G.V. Subbaraju, M.V. Ramani, B. Sung, B.B. Aggarwal. *Biochem. Pharmacol.,* **79**, 1658 (2010).
3. C.A. Mosley, D.C. Liotta, J.P. Snyder. Adv. Exp. Med. Biol., **595**, 77 (2007).
4. V.D. John, K. Krishnankutty. *Synth. React. Inorg. Met.-Org. Chem.,* **33**, 343 (2003).
5. H.J.J. Pabon. Rec. Trav. Chim., **83**, 237 (1964).
6. G. Kuttan, D.M. Vasudevan, R. Kuttan. Cancer Lett. **41**, 307 (1988).
7. J.R. Anto, K.V. Dineshbabu, K.N. Rajasekharan, R. Kuttan. Cancer Lett., **94**, 74 (1995).

ANTICANCER AND ANTIMICROBIAL ACTIVITIES OF SOME SYNTHETIC NITROGEN HETEROCYCLICS

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The structural diversity and biological importance of nitrogen containing heterocycles have made them attractive targets for synthesis over many years. They are found in various natural products and have been identified as products of chemical and biological importance. Nitrogen heterocyclics have been widely studied and used in the synthesis of numerous alkaloids. Their importance as precursors to many biologically active compounds has focused a tremendous amount of attention on developing methods to functionalize these systems. The synthesis of nitrogen heterocyclics and their derivatives occupy an important place in the realm of natural and synthetic organic chemistry due to their therapeutic and pharmacological properties. They have emerged as integral backbones of over seven thousand existing drugs. In addition to these important biological applications, nitrogen heterocyclics are ideal scaffolds for making libraries of drug like compounds, and to generate libraries of inhibitors of HIV-1 protease.

Heterocyclic compounds are very widely distributed in nature and are essential to life. They play a vital role in the metabolism of all living cells. The pyrimidine and purine bases of DNA, essential amino acids proline, histidine, trytpophane, the vitamin and co-enzyme precursors thiamine, riboflavin, pyridoxine, folic acids, the B_{12} and E families of vitamin, the photosynthesizing pigment chlorophylls the oxygen transporting pigment, hemoglobin and its breakdown products, the cute pigments are heterocyclic compounds. Majority of synthetic heterocycles are found widespread, used as anticancer agents, analgesics, hypnotics, pesticides, weedicides and rodenticides. There are a larger number of synthetic heterocyclics with other practical application, as dyestuffs, co-polymers, solvents, photographic sensitizers, developers, antioxidants, and vulcanization accelerators in rubber industry.

Imidazolinones, a class of heterocyclic compounds, are found to have several pharmacological activities. The benzylidene imidazolinone chemistry with its diverse biological properties like central nervous system depressant, anticonvulsant and monoamine oxidaze inhibitor has received importance in recent years. Novel 4-(aminoaryl)methylene-2-aryl-2-imidazolin-5-ones were synthesized by a tandem reaction between imidic acid ester and glycene ester in presence of a base. Computational analysis was carried out to the druggability of the new molecules. *In vitro* anticancer screening of the aminoimidazolinones and one of their trichlorides were carried out using eight cancer cell lines of various origins. The results successfully establish the synthesized aminoimidazolinone derivatives as novel compounds with pronounced anticancer activity and drug like properties.

The novel aminoimidazolines synthesized were obtained in good yield and their proposed structure was confirmed by spectral analysis. The newly synthesized molecules showed drug-like properties and their properties are similar to known drugs, used as non-steroidal anti-inflammatory, sulphonamide antibacterial and immunosuppressive drug.

The cytotoxic evaluation of aminoimidazolinone obtained from 4-cyanopyridine showed that the compound is inducing moderate toxicity in the cancer cell lines: HeLa, HCT 116 and MDA-MB-231. The trichloride and aminoimidazolinone synthesized from 2-cyanopyridine are specific to the cervical cancer cell ilne HeLa. Melanoma cell line A375 amd

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breast cancer cell line MDA-MB-231. On comparing the anticancer activity of trichloride and aminoimidazolinone from 2-cyanopyridine trichloride was more potent than the aminoimidazolinone to the cancer cells lines: HeLa, A375 and MDA-MB-231.

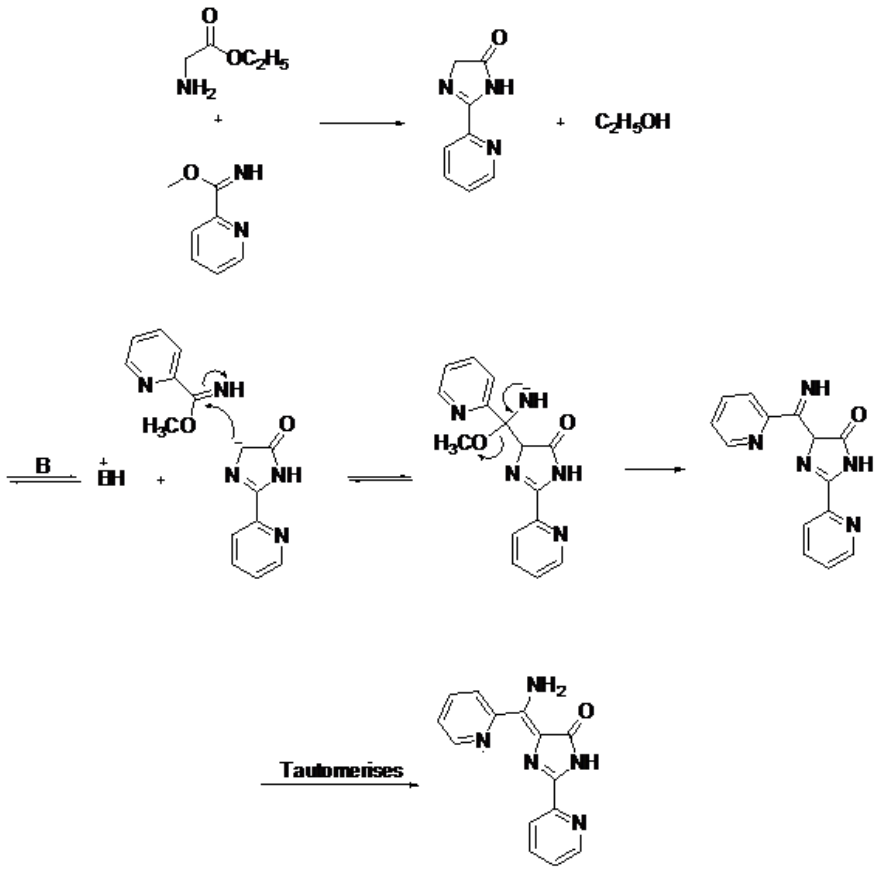

Fig. 1. Synthesis of 4-(amino-2-pyridyl)methylene-2-(2-pyridyl)-2-imidazolin-5-one

References

1. Desai NC, Bhavsar AM, Baldaniya BB. Synthesis and antimicrobial activity of 5-imidazolinone derivatives. Indian J Pharm Sci 2009, 71, 90.
2. Hossanein HH, Khalifa MM, Eli-samaloty ON, Abd El-Rahim M, Taha RA, Ismail MF. Synthesis and biological Evaluation of novel imidazolne derivatives as potential COX-2 inhibitors. Arch Pharmacol Res 2008, 31, 562.
3. Solankee A, Kapadia K, Patel, Thakor I. synthesis and antimicrobial activity of 1-phenul/substituted phenyl/benzyl/naphthyl-2-phenyl-4-(3'-phenoxybenzylidene) imidazolin-5-ones. Asian J Chem 2002, 14,699.
4. Feng Shi, Xiao-Ning Zeng, fei-Yue Wu, Shu Yan, Wei Fa Zheng, Shu Ziang Tu. Efficient microwave assisted synthesis and antioxidant activity of 4-arylidine-2-phenyl-1H-imidazol 5(4H)-ones. J Heterocyclic Chem 2012, 49, 59.

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