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A new role of
Career leadership

GUEST LECTURES

FRESHER PARTY

FRESHER PARTY

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PARENTS MEETING

PARENTS MEETING

PARENTS MEETING

BLOOD CAMP

HEALTH CHECK-UP

EYE CHECK-UP

THALASSEMIA TEST

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ORIGINAL ARTICLE

Synthesis, and antimicrobial evaluation of new pyridine imidazo [2,1b]-1,3,4-thiadiazole derivatives

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KEYWORDS
Synthesis;
Pyridine Imidazo-thiadiazole;
Antimicrobial activity

Abstract With the aim of producing new biologically active compounds, a series of New Pyridine Imidazo [2,1b]-1,3,4-thiadiazole derivatives **4(a–k)** were synthesized. All the compounds were characterized via IR, ^{1}H-NMR and Mass spectral studies. The antimicrobial activity of newly synthesised compounds against various bacteria; *Bacillus pumilus, Staphylococcus aureus, Vibrio cholera, Escherichia coli, Proteus mirabilis, Pseudomonas aeruginosa, and* fungi; *Candida albicans* were evaluated. Among the compounds tested, **4(a)**, **4(b)**, **4(f)**, **4(h)** and **4(k)** exhibited good antimicrobial activity while others responded moderately with reference to standard drugs ampicillin and amphotericin B.

1. Introduction

The rising prevalence of multi-drug resistant Gram positive and Gram negative bacteria continues to provide impetus for the search and discovery of novel antimicrobial agents active agninst these pathogens. A large number of substituted pyridines (Kallanagouda and shankar, 2011; Mohamed and Eman 2009; Massimo et al., 2000) and Imidazo [2,1-b]-1,3,4-thiadiazole have been claimed by researchers all around the world because of its versatile and eminent biological profile. Imidazo [2,1-b]-1,3,4-thiadiazole are known for cardiotonic (Andreani et al., 1996), diuretic (Andreani et al., 1987), antitubercular (Kolavi et al., 2006), anticonvulsant, analgesic (Khazi et al., 1996), and antisecretory (Andreani et al., 2000) activities. Moreover, interest of many medicinal chemist has also been focused on the antibacterial (Talath and Gadad, 2006), anticancer (Jadhav et al., 2008), antifungal (Guzeldemirci and Kucukbasmaci 2010), anti-inflammatory (Rostom et al., 2009), and herbicidal (Andreani et al., 1991) activities displayed by compounds adjoining this heterocyclic system.

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The role of nanotechnology and chitosan-based biomaterials for tissue engineering and therapeutic delivery

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1.1 Introduction

Nanotechnology is the science of manipulating materials in the nanoscale dimension (100 nm or less) and usually involves fabrication with atomic precision using the bottom-up approach. Nanomaterials derived from natural polysaccharides exhibit novel properties when compared to their bulk counterparts including a range of nanostructures such as nanobrush, nanopin, nanorod, nanoparticles (NPs), nanosheet, nanofibers, and nanoclusters (Valiev, 2002). The development of polymeric NPs that mimic or alter biological processes has created several useful platform technologies in various fields of biomedicine including disease diagnosis, treatment, and prevention (Soppimath et al., 2001; Agnihotri et al., 2004; Mundargi et al., 2008; Ganguly et al., 2014).

Chitosan, a deacetylated form of chitin, is an abundantly available natural polysaccharide present in crustacean shells. This natural polymer has received increasing attention in recent years in therapeutic delivery due to its special ability to adhere to mucosal surfaces in the body, suggesting multiple potential applications in mucosal drug delivery (Van der Lubben et al., 2001; Shutava and Lvov, 2006; Fan et al., 2006). This advantage of chitosan for mucosal drug delivery is due to its cationic nature as well as its capability of permeating through tight junctions between well-organized epithelial cells (Schipper et al., 1999; Paul and Sharma, 2000; Sogias et al., 2008).

Among the many available biodegradable polymers, NPs of chitosan have received much attention in recent decades due to their biodegradability, biocompatibility, ability to bine nucleic acids, antimicrobial properties, availability of functional groups for attaching ligands, and adherence to mucosal tissue for enhanced targeting to diseased tissues. Moreover, chitosan can be easily processed into nanomembranes (Jayakumar et al., 2009), nanofibers (Jayakumar et al., 2010b), functionalized NPs (Anitha et al., 2009), scaffolds for tissue engineering (Pumera et al., 2007; Prabaharan, 2008; Jayakumar et al., 2005, 2010c; Madhumathi et al., 2009; Jayakumar et al., 2010c), and self-assembled nanostructures. These properties facilitate their use in a wide range

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Original Research Paper | Chemistry

Review on Histone Deacetylase Inhibitors: Mechanism of Action and Therapeutic Uses in Cancer

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Malleshappa N. Noolvi	Shree Dhanvantary Pharmacy College, Department of pharmaceutical chemistry

ABSTRACT *Histone deacetylases (HDACs) are the class of enzymes that remove the acetyl groups of ε-N-lysine amino acid residues of histone tails leading to chromatin compaction and transcriptional repression. HDACs can also influence transcription-independent such as mitosis or deoxyribonucleic acid (DNA) repair and deacetylate non histone proteins involved in cell proliferation and death, altering their function. Histone deacetylase inhibitors (HDACI) interfere the function of the HDACs. HDACI have been shown to induce differentiation, cell-cycle arrest, and apoptosis and to inhibit migration, invasion, and angiogenesis in many cancer cell lines. These compounds inhibit tumor growth in animal models and show antitumor activity in patients. HDACI alone and in combination with a variety of anticancer drugs are being tested in clinical trials, showing significant anticancer activity both in hematological and solid tumors. SAHA (vorinostat, Zolinza) was the first HDACI approved by the US FDA.*

KEYWORDS : Histone deacetylase inhibitors, Anticancer, Treatment.

Histone Deacetylase (HDAC)

HDACs have emerged as crucial transcriptional co-repressors in highly diverse physiological and pathological systems. Histone Deacetylases are the class of enzymes that remove acetyl group form ε-N-acetyl lysine aminoacid on histone & it allows the histone to wrap DNA more tightly. DNA expression is regulated by histone acetylation and methylation. It being involved in RNA synthesis and being highly associated with nuclear chromatin.

Histone Acetylation determine by the enzymatic activities of both Histone acetyltransferases (HATs) and Histone deacetylases (HDACs).

Classification of HDACs

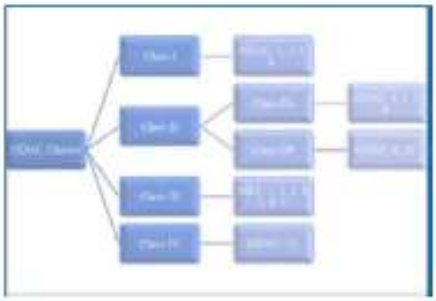


Fig.1 Classification of HDAC

There are four main class of histone deactylase based on the cellular localization and function. Class I, II, IV considered as classical whose activity are inhibited by trichostin A and Zinc dependent active site. Where, class II considered as sirtuins and NAD^+ dependent protein.

Class I includes HDACs1, 2, 3 and 8 that are primarily nuclear. Class II are primarily localized to the Cytoplasm, these class divided into class IIa and class IIb. Class IIa includes HDACs 4, 5, 7 and 9 and class IIb includes 6 and 10 which contain two catalytic site. Class III includes SIRTs 1, 2, 3, 4, 5, 6, 7 and Class IV include HDACs 11.

A typical characteristic of human cancer is the deregulation of DNA methylation and posttranslational histone modifications in particular histone acetylation which has the fatal consequences of gene transcription deregulation.

The role of HDACs in cancer is not restricted to their contribution to histone deacetylation but also to their role in deacetylation of non-histone protein. For example, HDAC1 interacts with the tumor suppressor p53 and deacetylate it. in vivo and in vitro p53 is phosphorylated and acetylated under stress conditions. Since lysine residues acetylated in p53 overlap with those that are ubiquitinated. P53 acylation serves to promote protein stability and activation, inducing checkpoints in the cell-division cycle, permanent cell-division arrest and cell death.

HDAC Inhibitors

The association of HDAC enzymes and carcinogesis has increased interest in the use of HDAC inhibitors as antitumor agents. HDAC inhibitors have been shown to induce cell cycle arrest, growth inhibition, chromatin decondensation, differentiation and apoptosis in several cancer cell types.

HDAC inhibitors are classified by structure.

Short chain fatty acids- Butyrate and Valproic acid (VPA)

Hydroxamates- Trichostatin A, SAHA (suberoylanilide hydroxamic acid or Vorinostat), Panobinostat (LBH589), Oxamflatin, Tubacin and Belinostat (PXD 101).

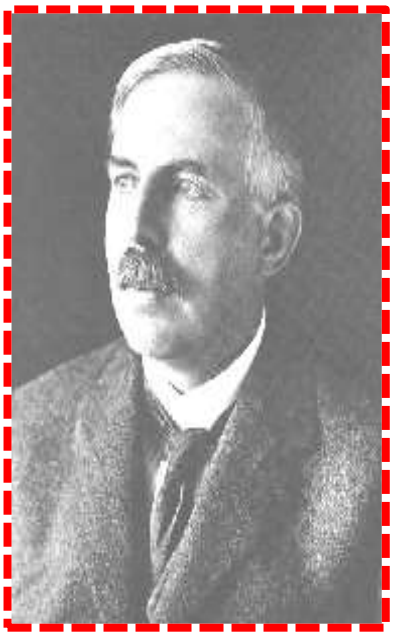
Benzamides- Entinostat (SNDX25), Mocetinostat (MGCD0103).

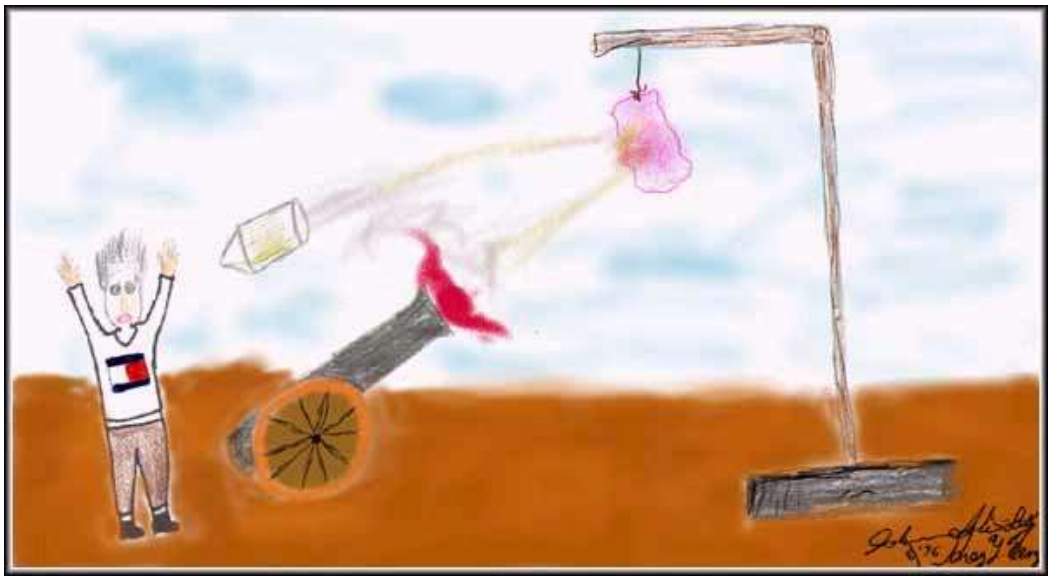
Cyclic tetrapeptides- Romidepsin (FK228), Trapoxin A, Apicidin.

The compounds are vary in structure as well as they have have also the distinct affinity for the different HDACs. The Short chain fatty acids (Butyrate and Valproic acid) and Trapoxin A are the class I and class IIa HDACs. Entinostat (SNDX275) is more specific that inhibit class I HDACs but not HDAC8. Romidepsin (FK228) inhibit HDAC1 and HDAC2. Tubacin inhibit HDAC6. The HDAC inhibitory activity of the naturally occurring compound Butyrate and Valproic acid which are responsible for the ability to cause the cell cycle arrest and differentiation of the transformed cell. Hydroxamates (like Vorinostat (SAHA) was the first new HDACI which approved by the FDA October 2006 for the clinical use in the cancer patient for the treatment of cutaneous T-cell lymphoma. Vorinostat (SAHA) was evaluated in phase I clinical trials as an i.v. and orally administered drug. Patients included those with hematologic (Hodgkin's lymphoma, non-Hodgkin's lymphoma, and multiple myeloma) and solid malignancies (prostate, bladder, breast, colon, ovarian, and renal). In the both trials, there was significant anticancer activity at doses that were well tolerated by patients. More than 50 clinical trials with combination therapy with Vorinostat (SAHA) and various agents (carboplatin, paclitaxel, 5-fluorouracil,

Biography of Ernest Rutherford (1871-1937)

- In 1911, Rutherford established the nuclear model of the atom.
- He theorized that atoms are constructed much like the solar system.
- That is, a heavy part, called the nucleus, forms the center.
- Particles of negative electricity, called electrons, form the outer part, most of which consists of empty space.





"It was as incredible as if you fired a 15-inch shell at a piece of tissue paper and it came back and hit you."