The Torch
Vol. 2 (12)

The advent of epigenetic drugs

Srijeeta Laru

Epigenetic changes are inheritable modifications occurring in chromatin components, such as the nucleotides and histone proteins, which do not affect the basic structure of the DNA. These changes get transmitted through generations without getting permanently embedded into our genome. Many diseases are attributed to epigenetic changes, such as tumours, auto-immune and neurological diseases, among which the various types of cancers have been extensively studied in the last decade. The role of epigenetics in cancer has become extremely important as it regulates the expression of genes during various developmental changes in the body, thereby determining how cells grow and function at different stages of our lifetime. Epigenetic modifications, such as DNA methylation and histone post-translational modifications affect the manner in which the genome is read and translated. For instance, histone acetylation causes the histone proteins to bind more strongly to the DNA strand making DNA inaccessible for the transcription factors to bind to. This leads to the silencing of transcription and stops the production of essential proteins. As a result of similar processes, epigenetic modifications lead to the silencing or over-expression of important areas of the genome that code for tumour suppressing genes, apoptosis genes and various regulatory genes. This contributes to the initiation and growth of various types of tumours. Unlike the changes that occur in the genome which are permanent, the changes in the epigenome are reversible and hence open the door to new targets for therapeutic intervention.

In the last decade, many drugs targeting epigenetic enzymes have been discovered, studied, synthesised and successfully marketed. Epigenetic drug treatment for cancer therapy has been based on the competitive inhibition of epigenome modifying enzymes, such as DNA methylases, histone methylases, histone acetylases and phosphorylases. Drugs, such as decitabine, panobinostat, vorinostat, azacytidine, entinostat, etc. have either been approved for medical use or in advanced stages of the clinical trial. These epidrugs have proven to be an effective treatment method for various types of leukaemia and solid tumours. However, a major disadvantage in the path of epigenetic drugs becoming the forefront of cancer treatment is their bioavailability in vivo and their lack of cellular uptake by solid tumours. These shortcomings are also being addressed by targeted drug delivery systems using nanoparticles. With the advent of nanotechnology and next-generation sequencing technologies, the epigenetic approach to treating cancer will see major developments in the future, and we shall expectantly be a step closer to eradicating the disease.

Keywords: Therapeutics, Epidrugs, Cancer therapy, Epigenetics, Drug Delivery

Citation:
Srijeeta Laru. The advent of epigenetic drugs. The Torch. 2021. 2(12). Available from:
https://www.styvalley.com/pub/magazines/torch/read/the-advent-of-epigenetic-drugs.