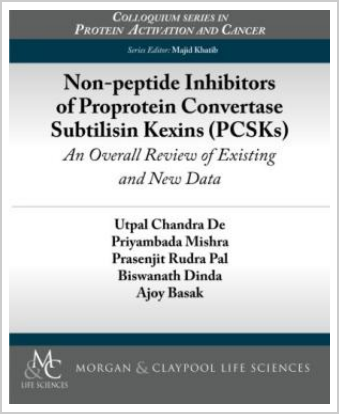

Non-peptide Inhibitors of Proprotein Convertase Subtilisin Kexins PCSKs Colloquium Series on Protein Activation and Cancer

By Uptal Chandra De

Morgan & Claypool Life Sciences. Paperback. Book Condition: New. Paperback. 76 pages. Dimensions: 9.2in. x 7.5in. x 0.2in.The Ca2-dependent mammalian Proprotein Convertase Subtilisin Kexins (PCSKs) or Proprotein Prohormone Convertases (PCs) are a family of endoproteases that play critical roles not only in normal development and metabolism but also in various physiological and pathological conditions. These were initiated by the proteolytic processing of large inactive proproteins into their shorter bioactive mature forms by the PCSK enzymes. These events take place in a highly selective, orchestrated, and stepwise manner. Among the various proprotein substrates of PCSK enzymes, particularly important are the precursor growth factors that include proPDGF-A, B, proIGF-1, 2 and proVEGF-C because of their strong implications in neoplasia initiation, progression, and metastasis. As a result of these findings, PCSK enzymes, particularly furin or PCSK3, became a major target for possible interventions of cancer via the use of their selective inhibitors. Significant progress has been accomplished in the development of peptide and protein-based PCSK inhibitors. However, non-peptide PCSK9 inhibitors are more preferable because of their drug-like and other characteristics. So far, a few non-peptide inhibitors of PCSK enzymes of various types of chemical structures have been described in the literature. These include...

Reviews

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