Title (en)

INHIBITORS OF FARNESYL-PROTEIN TRANSFERASE

Title (de)

INHIBITOREN DER FARNESYL-PROTEINTRANSFERASE

Title (fr)

INHIBITEURS DE LA FARNESYLE TRANSFERASE

Publication

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Application

EP 96929648 A 19960325

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- US 41262695 A 19950329
- US 441995 P 19950927
- US 60079496 A 19960213

Abstract (en)

[origin: CA2216532A1] The present invention comprises analogs of the CA1A2X motif of the protein Ras that is modified by farnesylation in vivo. These CA1A2X analogs inhibit the farnesyl-protein transferase and the farnesylation of certain proteins. Furthermore, these CA1A2X analogs differ from those previously described as inhibitors of farnesyl-protein transferase in that they do not have a thiol moiety. The lack of the thiol offers unique advantages in terms of improved pharmacokinetic behavior in animals, prevention of thiol-dependent chemical reactions, such as rapid autoxidation and disulfide formation with endogenous thiols, and reduced systemic toxicity. The compounds of the instant invention also incorporate a cyclic amine moiety in the A2 position of the motif. Further contained in this invention are chemotherapeutic compositions containing these farnesyl transferase inhibitors and methods for their production.

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IPC 8 full level

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Citation (search report)

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