

Title (en)
INHIBITORS OF FARNESYL-PROTEIN TRANSFERASE

Title (de)
INHIBITOREN DER FARNESYL-PROTEINTRANSFERASE

Title (fr)
INHIBITEURS DE LA FARNESYLE TRANSFERASE

Publication
EP 0837875 A4 19981007 (EN)

Application
EP 96929648 A 19960325

Priority

- US 9603975 W 19960325
- US 41282895 A 19950329
- 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.

IPC 1-7
C07K 1/00

IPC 8 full level
A61K 38/55 (2006.01); **A61K 31/445** (2006.01); **A61K 31/47** (2006.01); **A61K 38/05** (2006.01); **A61K 38/06** (2006.01); **A61P 9/00** (2006.01); **A61P 13/02** (2006.01); **A61P 15/00** (2006.01); **A61P 27/02** (2006.01); **A61P 29/00** (2006.01); **A61P 31/12** (2006.01); **A61P 35/00** (2006.01); **A61P 43/00** (2006.01); **C07D 401/12** (2006.01); **C07D 401/14** (2006.01); **C07K 1/00** (2006.01); **C07K 5/06** (2006.01); **C07K 5/078** (2006.01); **C07K 5/08** (2006.01); **C07K 14/16** (2006.01); **C12N 15/49** (2006.01); **A61K 38/00** (2006.01)

CPC (source: EP)
C07K 5/06139 (2013.01); **A61K 38/00** (2013.01)

Citation (search report)

- [X] EP 0618221 A2 19941005 - BRISTOL MYERS SQUIBB CO [US]
- [X] WO 9415959 A1 19940721 - ASTRA AB [SE]
- [PX] EP 0675112 A1 19951004 - BRISTOL MYERS SQUIBB CO [US]
- [PX] EP 0696593 A2 19960214 - BRISTOL MYERS SQUIBB CO [US]
- [X] EP 0610743 A1 19940817 - MILES INC [US]
- [X] EP 0564924 A2 19931013 - MILES INC [US]
- [X] EP 0282374 A1 19880914 - ADIR [FR]
- [X] US 4720484 A 19880119 - VINCENT MICHEL [FR], et al
- See references of WO 9634010A2

Designated contracting state (EPC)
AT BE CH DE DK ES FI FR GB GR IE IT LI LU NL PT SE

DOCDB simple family (publication)
AU 6895096 A 19961118; AU 708620 B2 19990805; CA 2216532 A1 19961031; EP 0837875 A2 19980429; EP 0837875 A4 19981007

DOCDB simple family (application)
AU 6895096 A 19960325; CA 2216532 A 19960325; EP 96929648 A 19960325