1. Pharmaceutical compositions based on rapamycin for treatment of cancerous tumors

By: Sehgal, Surendra Nath; Vezina, Claude

Assignee: Ayerst, McKenna and Harrison Ltd., Can.

Patent Information: Jan 14, 1980, BE 877700, A1

Application: Jul 13, 1979, BE 1979-196300

Priority: Nov 03, 1978, US 1978-957626, Mar 03, 1980, US 1980-126276, Mar 22, 1984, US 1984-592193, Aug 09, 1989, US 1989-391334, Apr 09, 1991, US 1991-682813

Source: Belg., 12 pp., Patent, 1980, CODEN: BEXXAL

Accession Number: 1980:488940, CAN 93:88940, CAPLUS

Language: French

Abstract

Rapamycin (I) [53123-88-9] significantly prolonged the life span of lab. animals bearing tumors and decreased the size of the tumors. The ratio of the av. survival in days of mice bearing lymphatic leukemia P-388 and treated with I (9 daily i.p. 12.5-400 mg/kg injections) to that of nontreated leukemic mice was 1.28-1.46. In rats with mammary tumors, the ratio of the av. wt. of tumors at the beginning of treatment to that of tumors in nontreated animals was .10-.29. I may also be combined with presently used antineoplastic agents such as alkylating agents, antimetabolites, estrogens, etc.

Patent Information

Patent No.	Kind	Date	Application No.	Date
BE 877700	A1	Jan 14, 1980	BE 1979-196300	Jul 13, 1979
ZA 7905449	A	Nov 26, 1980	ZA 1979-5449	Oct 11, 1979
JP 55073616	A	Jun 03, 1980	JP 1979-142725	Nov 02, 1979
US 4885171	A	Dec 05, 1989	US 1984-592193	Mar 22, 1984
US 5206018	A	Apr 27, 1993	US 1991-784274	Oct 29, 1991

Priority Application

US 1978-957626	A	Nov 03, 1978
US 1980-126276	A1	Mar 03, 1980
US 1984-592193	A3	Mar 22, 1984
US 1989-391334	B2	Aug 09, 1989
US 1991-682813	A2	Apr 09, 1991

Indexing

Pharmacodynamics (Section 1-5)

Supplementary Terms

neoplasm inhibitor rapamycin

2. Anticancer pharmaceuticals containing rapamycin and picibanil

No Inventor data available

Assignee: Ayerst, McKenna and Harrison Inc., Japan

Patent Information: Oct 01, 1982, JP 57159716, A

Application: Mar 05, 1982, JP 1982-35697

Priority: Mar 09, 1981, US 1981-241867

Source: Jpn. Kokai Tokkyo Koho, 4 pp., Patent, 1982, CODEN: JKXXAF

Accession Number: 1983:22284, CAN 98:22284, CAPLUS

Language: Japanese

Abstract

Pharmaceuticals contg. rapamycin (I) [53123-88-9] and picibanil (II) [39325-01-4] are neoplasm inhibitors for treatment of lymphocytic leukemia, colon neoplasm, mammary cancer, melanoma, etc. Thus, an injection was prepd. contg. I, II, butylated hydroxyanisole, anhyd. EtOH, Cremophor EL and H_2O. Combinations of I and II were more effective than I or II alone in inhibiting the growth of lymphatic leukemia cells in mice.

Patent Information

Patent No.	Kind	Date	Application No.	Date
JP 57159716	A	Oct 01, 1982	JP 1982-35697	Mar 05, 1982
JP 03049893	B	Jul 31, 1991		
US 4401653	A	Aug 30, 1983	US 1981-241867	Mar 09, 1981
CA 1171783	A1	Jul 31, 1984	CA 1982-397428	Mar 02, 1982

Priority Application

US 1981-241867	A	Mar 09, 1981

Indexing

Pharmaceuticals (Section 63-6)

Supplementary Terms

anticancer pharmaceutical picibanil rapamycin; neoplasm inhibitor picibanil rapamycin

3. Human brain tumor xenografts in nude mice as a chemotherapy model

By: Houchens, David P.; Ovejera, Artemio A.; Riblet, Sylva M.; Slagel, Donald E.

Source: European Journal of Cancer & Clinical Oncology, Volume: 19, Issue: 6, Pages: 799-805, Journal, 1983, CODEN: EJCODS, ISSN: 0277-5379, DOI: 10.1016/0277-5379(83)90012-3

Company/Organization: Battelle Mem. Inst., Columbus, OH, USA, 43201

Accession Number: 1983:463770, CAN 99:63770, CAPLUS

Language: English

Abstract

Two human brain tumors which were previously established in nude mice were used to det. antitumor efficacy of various therapeutic agents. These tumors were a medulloblastoma (TE-671) and a glioma (U-251) with mass-doubling times of 3.5 and 5.5 days, resp., as s.c. implants in nude mice. Intracranial tumor challenge was accomplished by inoculating tissue culture-grown cells of either tumor into the right cerebral hemisphere to a depth of 3 mm. Groups of mice which had been inoculated with tumor were treated with various doses and schedules of antineoplastic compds. by the i.p. route. A new drug (rapamycin [53123-88-9]) was very effective against the U-251 tumor. This model system should prove valuable in assessing the effects of various chemotherapeutic modalities against brain tumors.

Indexing

Pharmacology (Section 1-1)

Supplementary Terms

brain tumor xenograft chemotherapy model

4. Demethoxyrapamycin (AY-24,668), a new antifungal antibiotic

By: Sehgal S N; Baker H; Eng C P; Singh K; Vezina C

Source: The Journal of antibiotics, Volume: 36, Issue: 4, Pages: 351-4, Journal; Article; (JOURNAL ARTICLE), 1983, ISSN: 0021-8820, Journal Code: 0151115, Japan

Accession Number: 1983212914, PubMed ID: 6343327, MEDLINE

Language: English

Abstract

Demethoxyrapamycin is a new antifungal antibiotic which is co-produced with rapamycin by Streptomyces hygroscopicus. It was isolated as a minor component during recovery of rapamycin. Its antifungal and antitumor activity is compared with that of rapamycin.

5. Current NCI preclinical antitumor screening in vivo: results of tumor panel screening, 1976-1982, and future directions

By: Venditti, John M.; Wesley, Robert A.; Plowman, Jacqueline

Source: Advances in Pharmacology and Chemotherapy, Volume: 20, Pages: 1-20, Journal, 1984, CODEN: AVPCAQ, ISSN: 0065-3144

Company/Organization: Div. Cancer Treat., Natl. Cancer Inst., Bethesda, MD, USA, 20205

Accession Number: 1984:603757, CAN 101:203757, CAPLUS

Language: English

Abstract

Experiences in preclin. antitumor agent screening by the Division of Cancer Treatment of the NCI are summarized. Efficacies of various tumor models in uncovering agents not selected by L1210 are demonstrated.

Indexing

Pharmacology (Section 1-1)

Supplementary Terms

neoplasm inhibitor screening

6. Activity of rapamycin (AY-22,989) against transplanted tumors

By: Eng, C. P.; Sehgal, S. N.; Vezina, Claude

Source: Journal of Antibiotics, Volume: 37, Issue: 10, Pages: 1231-7, Journal, 1984, CODEN: JANTAJ, ISSN: 0021-8820, DOI: 10.7164/antibiotics.37.1231

Company/Organization: Dep. Microbiol., Ayerst Res. Lab., Montreal, QC, Can., H3C 3J1

Accession Number: 1984:622224, CAN 101:222224, CAPLUS

Language: English

Abstract

Rapamycin [53123-88-9] exhibits activity against several ascites and solid transplantable tumors; it is slightly active to inactive against leukemias. On a wt. basis, rapamycin was less active than 5-fluorouracil, cyclophosphamide and adriamycin, but rapamycin's maximal activity against Colon 38 tumor was similar to that of 5-fluorouracil [51-21-8] and cyclophosphamide [50-18-0]. Its activity was such that it significantly inhibited tumor growth at any stage of development. In the active dose range, rapamycin appeared less toxic than the other drugs. In the Colon 38 tumor model, rapamycin at a given dose exhibited the same activity when administered i.p., i.v., i.m. and s.c., upon oral administration, its activity was reduced but not abolished. Rapamycin was compatible with 5-fluorouracil and cyclophosphamide. The sequential treatment 5-fluorouracil-rapamycin-cyclophosphamide was superior to the sequence 5-fluorouracil-adriamycin [23214-92-8]-cyclophosphamide in protecting Colon 38 tumor-bearing mice. 29-Demethoxyrapamycin [83482-58-0] exerted only marginal activity against P388 lymphocytic leukemia; it was inactive against B16 melanocarcinoma and Colon 38 solid tumor.

Indexing

Pharmacology (Section 1-6)

Supplementary Terms

rapamycin antitumor

7. Water-soluble rapamycin prodrugs

By: Stella, Valentino J.; Kennedy, Paul E.

Assignee: University of Kansas, USA

Patent Information: Mar 17, 1987, US 4650803, A

Application: Dec 06, 1985, US 1985-806152

Priority: Dec 06, 1985, US 1985-806152, Dec 04, 1986, EP 1986-309449, Dec 03, 1986, CA 1986-524469

Source: U.S., 6 pp., Patent, 1987, CODEN: USXXAM

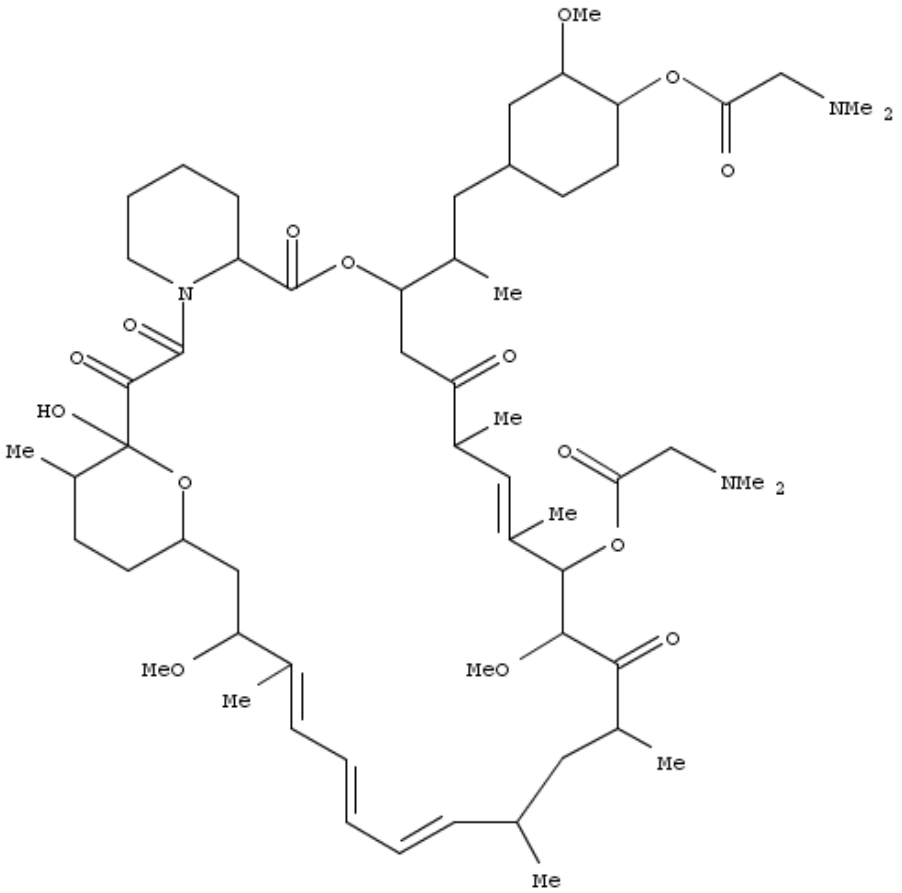
Classifications: Main IPC: A61K031-395, Secondary IPC: C07D491-06; , US 514291000

Accession Number: 1987:464867, CAN 107:64867, CAPLUS

Language: English

Abstract

The title prodrugs are rapamycin derivs. monosubstituted at position 28 and disubstituted at position 28 and 43 with the substituents $CO(CH_2)_nNR^1R^2$ (n = 1-3; R^1,R^2 = H, C_{1-3} alkyl; NR^1R^2 = heterocyclyl). The prodrugs release rapamycin in the presence of human plasma and animal tissue homogenates. Rapamycin was esterified with 4-pyrrolidinobutyric acid-HCl, in presence of dicyclohexylcarbodiimide and 4-N,N-dimethylaminopyridine to give rapamycin mono-(28)-4'-(N-pyrrolidino)butyrate ester-HCl. The soly. of the product was ~15 mg/mL.



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