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Patent specifications and chemical claims

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Patent Specification

What is a patent specification?

- Partly legal, partly scientific document
- Can be valuable source of scientific information, but often underused
- Baylis-Hillman reaction **US 3,743,669**
- To understand specification, need to understand the function of each part of the specification

What are you reading?

- UK, US, European, International (PCT)?
- Patent Application
  - A1 with search report
  - A2 without search report
  - A3 search report
- Granted Patent
  - B1 after grant
  - B2 after opposition

What are you reading?

- US only recently started publishing patent applications: if filed in US only can elect not to publish
- US has no separate search, so A1, A2, A3 system is not used
- PCT applications do not use A1, A2, A3 system, but search report is published

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PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION International Bureau

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Published

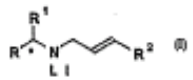
With international search report.

Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: IMPROVEMENTS IN OR RELATING TO CHIRAL SYNTHESES

(57) Abstract

Novel compounds of general formula (I) (wherein R represents a carbocyclic aryl group, R^1 represents an organic group, R^2 represents a hydrogen atom or an organic group, and the asterisk denotes that the group R^1 is predominantly in the R- or S- configuration such that the compound is in substantially enantiomerically pure form). The compounds are a useful source of chiral nucleophiles, e.g. undergoing stereoselective Michael addition to α,β-unsaturated carboxylic acid derivatives.



(I)

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(54) IMPROVEMENTS IN OR RELATING TO CHIRAL SYNTHESES

VERBESSERUNGEN BEI CHIRALEN SYNTHESEN

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- TETRAHEDRON LETTERS., vol.30, no.39, 1989, OXFORD GB pages 5341 - 4 R. D. BAILEY ET. AL. 'Asymmetric 3-aza-Cope Rearrangements using TiCl4 Catalysis.' cited in the application
- TETRAHEDRON, (INCL. TETRAHEDRON REPORTS), vol.47, no.12, 25 March 1991, OXFORD GB pages 2263 - 72 G. CARDILLO ET. AL. 'A new approach to the synthesis of enantiomerically pure 2,3-diaminoacids through chiral imidazolidin-2-ones.' cited in the application
- TETRAHEDRON LETTERS no. 26, 1973, OXFORD, GB, pages 2433 - 2436 J. L. HERRMANN ET. AL. 'Deconjugative alkylation of the enolate anion derived from ethyl crotonate.'

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EP 0 736 031 B1

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US006037498A

United States Patent [19]

Davies et al.

[11] Patent Number: 6,037,498

[45] Date of Patent: Mar. 14, 2000

[54] **CHIRAL SYNTHESES**

[75] Inventors: **Stephen Graham Davies**, Oxford; **Maria Eugenia Cosamino Polywka**, Didcot; **David Roy Fenwick**, South Bonflect; **Frank Reed**, Wirral, all of United Kingdom

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[21] Appl. No.: **08/663,287**

[22] PCT Filed: **Dec. 28, 1994**

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PCT Pub. Date: **Jul. 6, 1995**

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[51] **Int. Cl.**[7] **C07C 209/68**; C07C 211/26

[52] **U.S. Cl.** **564/352**; 540/200; 544/172; 548/215; 549/494; 560/38; 560/39; 560/41

[58] **Field of Search** 540/200; 544/172; 548/215; 549/494; 560/38, 39, 41; 564/352

[56] **References Cited**

U.S. PATENT DOCUMENTS

5,773,610 6/1998 McWhorter et al. 540/200

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Bailey et al., *Tetrahedron Letters*, vol. 30, No. 39, 1989, 5341–5344.

Castillo et al., *Tetrahedron Letters*, vol. 47, No. 13, 1991, 2263–2272.

Primary Examiner—Peter O'Sullivan
Attorney, Agent, or Firm—Bacon & Thomas

[57] **ABSTRACT**

This invention relates to novel compounds of general formula (I)

(I)

wherein R^1 represents an organic group, R^2 represents a hydrogen atom or an organic group, and the asterisk denotes that the group R^1 is predominantly in the R- or S-configuration such that the compound is in substantially enantiomerically pure form. The compounds are a useful source of chiral nucleophiles, e.g. undergoing stereoselective Michael addition to α,β-unsaturated carboxylic acid derivatives.

12 Claims, No Drawings

Structure of specification

- Front page: bibliographic data
- Description
  - Introduction
  - General Description
  - Specific Description – examples
- Claims
- Drawings
- Abstract (published on front page)

Claims

- Define the legal monopoly
- Not a disclosure of what has actually been done
- Independent claims
    - A compound of the general formula X
- Dependent claims
    - A compound according to claim 1, wherein R^2 is …

Claims

- Independent claim is the broadest definition of the invention
- Dependent claims converge onto the preferred embodiments
- Provide fall-back position if main claim held unpatentable during prosecution of the application or after grant
- Can help with interpretation of terms in previous claims

Claims

- …wherein R^2 is a cycloalkyl group
- …wherein R^2 is a monocyclic cycloalkyl group
- …wherein R^2 is an unsubstituted cycloalkyl group
- …wherein R^2 is a saturated cycloalkyl group

Claims

- Claims may be in two-part format
- Preamble
- “characterised in that”
- Characterising portion
- Features in preamble known from a single prior art document

Claims

- Balance between scope and validity of claim
- Narrow claim may be easier to circumvent
- Broad claim risks invalidity: novelty, inventive step, sufficiency
- Extrapolation from what actually done
- Worked out by patent attorney in collaboration with inventor

Basis for amendment

- A patent application can only be amended in a way that does not add new matter
- Need literal verbal basis in application as filed for potential amendments
- Basis can be from claims or from description

Non-chemical claims

What product does the patent cover?

UK Patent No. 2108363 (Granted 1984)

1) A manufactured article of food or confectionary consisting of, or having parts consisting of, an edible fatty medium (with or without one or more edible ingredients) which medium (with or without one or more edible ingredients) at a temperature not greatly exceeding approximately 90°F. or 32°C. becomes fluid or semi-fluid, characterised by the whole article or said parts up to a temperature of approximately 90°F or 32°C being in the form of a rigid cellular structure of the kind hereinbefore described.

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UK Patent No. 1267032 (Granted 1972)

1) A workbench including a pair of elongate vice members disposed in side by side relationship and having their upper surfaces lying in substantially the same horizontal plane to form a working surface, the members being supported from below by a supporting structure and means being provided to prevent movement of each member upwardly away from the supporting structure, at least one of the vice members being capable of movement towards and away from the vice member, the said movement being caused by actuation of either one or both of a pair of spaced, independently operable, vice operating devices which are operatively coupled to at least one of the members by means which enables the gap between the vice members at one end thereof to be greater than the gap at the other end thereof.

UK Patent No. 2108363 (Granted 1984)

1) A composite confection product, which comprises a multiplicity (for example, at least four) of thin superimposed layers of extrudable aerated confection material, comprising ice confection, mousse, whipped cream confection, or an obvious equivalent thereof, the superimposed aerated confection layers being interleaved by very thin layers, i.e. thinner than the aerated confection layers, of fat-based couverture confection material.

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UK Patent No. 2143718 (Granted 1985)

1) A composite confection product, which comprises a multiplicity of at least four thin superimposed layers of extruded aerated confection material, comprising ice confection, mousse, whipped cream confection or obvious equivalent thereof, each said layer having a thickness of less than 5mm.

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UK Application No. 2183592A (filed 1985)

1) A beverage package comprising a sealed, non-resealable, container having a primary chamber containing beverage having gas in solution therewith and forming a primary headspace comprising gas at a pressure greater than atmospheric; a secondary chamber having a volume less than said primary chamber and which communicates with the beverages in said primary chamber through a restricted orifice, said secondary chamber containing beverage derived from the primary chamber and having a secondary headspace therein comprising gas at a pressure greater than atmospheric so that the pressure within the primary and secondary chambers are substantially at equilibrium, and wherein said package is openable, to open the primary headspace to atmospheric pressure and the secondary chamber is arranged so that on said opening the pressure differential caused by the decrease in pressure at the primary headspace causes at least one of the beverage and gas in the secondary chamber to be ejected by way of the restricted orifice into the beverage of the primary chamber and said ejection causes gas in the solution to be evolved and form, or assist in the formation of, a head of froth on the beverage.

Description

- Patent/application must disclose the invention in a manner sufficiently clear and complete for it to be carried out by a person skilled in the art – “enablement” or “sufficiency”
- Claims must be supported by the description – “support” (not a ground of invalidity)
- Can serve as a basis for amendment of the claims

Introduction

- Sets out field of invention, background to the invention, discussion of prior art
- May include “objects of the invention”
- May set out a “problem to be solved”

General Description

- Usually begins with “Statement of Invention”, corresponding to Claim 1
- “According to the present invention, there is provided…”
- May have further statements of invention corresponding to the other claims
- Support for claims

General Description

- Define terms used in the claims, clarify their scope, and give fall-back positions
- By “lower alkyl group” is meant a straight-chain or branched alkyl group which may be substituted or unsubstituted and saturated or unsaturated. The alkyl group preferably has from 1 to 6 carbon atoms, more preferably from 1 to 4 carbon atoms, and most preferably 1 or 2 carbon atoms. The alkyl group may be substituted by from 1 to 3 substituents which may be the same or different, preferably selected from halogen (preferably chlorine or fluorine), hydroxy, amino, and carboxy, but is preferably unsubstituted.
- Examples of actual groups and preferred groups: methyl, ethyl,…
- Most preferred are usually the ones actually exemplified

General Description

- May include information about how the invention works
- “Without wishing to be bound by theory…”
- May include general experimental section setting out in general terms how compounds can be prepared
- May include testing protocols if compounds have a particular activity

Specific Description - Examples

- Equivalent to experimental section of a paper
- Usually show what has actually been done
- “Prophetic” examples – often written in present tense e.g. pharmaceutical formulations

Adapting the Description

- In Europe and many other countries, if the claims of an application are amended, the description must be adapted to the amended claims
- There is no such requirement in the USA
- Bear in mind when reading US patents

Sources of Patent Information

- Patent information now much more freely available, especially via internet
- Espacenet – ep.espacenet.com
    - Searchable database of worldwide published patent applications
    - Patent family searches
    - Can be accessed via various national patent office websites
- National patent office websites

Sources of Patent Information

- European Patent Office – www.european-patent-office.org www.epoline.org
- Register details
- Electronic file wrappers
- US Patent and Trademark Office – www.uspto.gov
- Searchable database of US patents and applications
- Register details
- Electronic file wrappers

Sources of Patent Information

- UK Patent Office – www.patent.gov.uk
- Register details
- Japan Patent Office – www.jpo.go.jp
- Japanese patents and applications
- Machine translations
- marks-clerk.com (/uk/attorneys/resources) for links

Uses of patent information

- Don’t ignore patents when doing literature searches, but be aware of limitations
- Information about competitor activity and possible new products
- State of art in a particular field (Marks & Clerk reports)
- Awareness of conflicting patents – opposition

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Patent Claims

Types of Patent Claim

- Broadly, only 4 types of claim:
  product, process, apparatus or use
- Within these, many ways to claim basic inventions and improvements

Types of Patent Claim

- 3 main types of pharmaceutical claim
- If compound is new:
    - A compound of general formula X
- If compound is known, but no medical use is known:
    - A compound of general formula X for use in the treatment of Y
- If compound is known, and (different) medical use is known:
    - Use of a compound of general formula X in the preparation of a medicament for the treatment of Y
    - AND/OR in Europe only since 2007:
    - A compound of general formula X for use in the treatment of Y

Types of Patent Claim

- Second non-medical use (allowed by EPO)

Use of at least 1% by weight based on the total composition of a borated glycerol ester or borated thioglycerol ester produced by borating a glycerol ester or thioglycerol ester of the formula [] wherein each X is S or O, and R is a hydrocarbyl group of from 8 to 24 carbon atoms, as a friction reducing additive in a lubricant composition comprising a major portion of a lubricating oil

Types of Patent Claim

- Note that in the USA and Australia, methods of medical treatment are patentable
- Therefore instead of the first and second medical use form of claim, have claim in the form of:

  A method of treatment of Y by administration of a compound of formula X

Secondary patents

- Extend life
- Chance for greater geographical coverage
- Value of product better known
- Defence against third parties patenting

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Types of Chemical Claim

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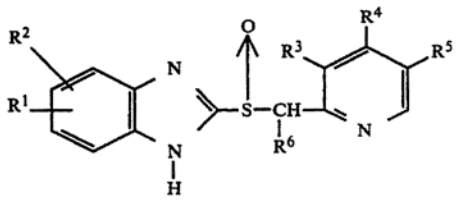
Compound

Prilosec

5. A compound of formula III



or a pharmaceutically acceptable salt thereof in which R^1 and R^2 are the same or different and are selected from the group consisting of hydrogen, lower alkyl, halogen, carbomethoxy, carbethoxy, lower alkoxy and lower alkanoyl in any position, R^6 is selected from the group consisting of hydrogen, methyl, and ethyl, R^3, and R^5 are the same or different and are each selected from the group consisting of hydrogen, methyl, methoxy, ethoxy, methoxyethoxy, and ethoxyethoxy, and R^4 is methoxy, ethoxy, methoxyethoxy and ethoxyethoxy, whereby R^3, R^4, and R^5 are not all hydrogen.

US 4,255,431

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Compound

Yondelis

2. The substantially pure compound Ecteinascidin 743, free of cellular components of the marine tunicate Ecteinascidia turbinata, said compound having the following physicochemical characteristics: TLC (SiO_2) R_f-0.58 (3:1 ethyl acetate-methanol), 0.44 (9:1 chloroform-methanol); HPLC retention time, 18.8 min. [Whatman Partisil 10 ODS-3, 10×250 mm, 70:30 methanol-aqueous Tris (0.05M, pH 7.5), 2.8 mL/min.]; UV max (CH_3OH) 202 nm (ε 81 000), 240 (sh) (15 000), 284 (6 600), 289 (6 400), (0.1N HCl) 205 (76 000), 240 (sh), (12 000), 285 (7 500), 289 (7 200), (0.1N KOH) 216 (50 000), 256 (12 700) 290 (9 000); IR (CCl_4) 3549, 3530, 2992 (weak), 2929, 2848, 2803 (weak), 1764, 1739, 1597 (weak), 1511, 1501, 1460, 1445, 1425, 1365, 1350, 1195, 1160, 1115, 1102, 1098, 1082, 1058, 1048, 1024, 990, 950, 915, 907, cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 6.62 (s, 1H), 6.48 (s, 1H), 6.46 (s, 1H), 6.03 (d, J-1.2 Hz, 1H), 5.95 (d, J-1.3 Hz, 1H), 5.7 (bs, exchanges, 1H), 5.14 (dd, J-0.9, 11.3 Hz, 1H), 4.83 (bs, 1H), 4.50 (d, J-3.3 Hz, 1H), 4.50 (bs, 1H), 4.18 (d, J-4.2 Hz, 1H), 4.06 (dd, J-b 2.5, 11.3 Hz, 1H), 3.81 (s, 3H), 3.63 (s, 3H), 3.59 (bd, J-4.4 Hz, 1H), 3.23 (m, 1H), 3.14 (ddd, J-11, 10, 4 Hz, 1H), 2.91 (bd, J-18 Hz, 1H), 2.88 (dd, J-9, 18 Hz, 1H), 2.82 (m, 1H), 2.62 (ddd, J-16, 10, 4 Hz, 1H), 2.49 (ddd, J-16, 2.91 (bd, J-18 Hz, 1H), 2.88 (dd, J-9, 18 Hz, 1H), 2.82 (m, 1H), 2.62 (ddd, J-16, 10, 4 Hz, 1H), 2.49 (ddd, J-16, 4, 4 Hz, 1H), 2.37 (bd, J-13.9 Hz, 1), 2.33 (s, 3H), 2.28 (s, 3H), 2.19 (s, 3H), 2.18 (d, J-13. 9 Hz, 1H), 2.04 (s, 3H); ^{13}C NMR (75.4 MHz and 125.7 MHz, $CDCl_3$) δ 9.6 (q), 15.7 (1),20.4 (q), 24.1 (t), 28.7 (t), 39.6 (t), 41.3 (q), 42.1 (t), 42.1 (d), 54.8 (d), 55.0 (q), 55. 9 (d), 57.7 (d), 57.8 (d), 60.2 (q), 61.3 (t), 64.6 (s), 82.0 (d), 101.6 (t), 109.8 (d), 112.5 (s), 114.1 (d), 115.9 (s), 118.1 (s), 120.9 (d), 121.9 (s), 126.0 (s), 129.2 (s), 129.2 s), 131.5 (s), 140.5 (s), 141.3 (s), 143.0 (s), 144.3 (s), 144.5 (s), 145.1 (s), 147.5 (s), 168.3 (s), 172.5 (s); FABMS m/z (rel. intensity) 744.2648 (100), 699.2766 (4), 495.2064 (15), 477.1979 (15), 475 (9), 463.1837 (25), 281 (39), 204.1027 (71); LC/FABMS m/z (rel. intensity) 744 (34), 495 (12), 493 (16), 477 (14), 475 (10), 463 (14), 234 (42), 218 (64), 204 (100), 189 (62), 174 (28), 160 (22); EIMS m/z 217.0737305, 191.0941620, 176.0696716; ESCA (mole percent) C (73.1), O (20.4), N (5.2), S (1.3); optical rotation $[\alpha]_D^{25}+114°$ (c 0.1, CH_3OH); or a derivative thereof selected from the group consisting of:

deacetyl-, dioxy-, diacetyl-, monoacetyl-, mono-O-methyl-, di-O-methyl-, monooxy-, tetracetyl-, or p-bromobenzoyl.

US 5,089,273

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Crystalline form

Sucralose

Claims for the Contracting States: BE CH DE FR IT LI LU NL SE

1. Crystalline substantially anhydrous 4,1′,6′-trichloro-4,1′,6′-trideoxy*galacto*sucrose of m.p. about 130°C.

2. Crystalline substantially anhydrous 4,1′,6′-trichloro-4,1′,6′-trideoxy*galacto*sucrose according to claim 1, in the form of orthorhombic crystals of space group $P2_12_12_1$ having a unit cell of approximately the following dimensions:

a = 1.821(1), b = 0.736(1), c = 1.204(1)nm.

3. Crystalline 4,1′,6′-trichloro-4,1′,6′-trideoxy*galacto*sucrose pentahydrate of m.p. about 36.5°C.

EP 30,804

No solvent

Paxil

2. Paroxetine hydrochloride anhydrate substantially free of bound organic solvent.

GB 2,297,550

Salt

Fosamax

What is claimed is:

1. A pharmaceutical composition comprising a pharmaceutically effective amount of anhydrous 4-amino-1-hydroxybutylidene-1,1-bisphosphonic acid monosodium salt in a pharmaceutically acceptable carrier.

US5,849,726

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Purity

Simvastatin

20. 6(R)-[2-[8(S)-(2,2-dimethylbutynyloxy)-2(S), 6(R)-dimethyl-1,2,6,7,8,8a(R)-hexahydronapthyl-1(S)]ethyl]-4(R)-hydroxy-3,4,5,6-tetrahydro-2H-pyran-2-one containing less than 0.2% of dimeric impurity.

EP 351,918

Isomer

Nexium

What is claimed is:

1. A pharmaceutical formulation for oral administration comprising a pure solid state alkaline salt of the (–)-enantiomer of 5-methoxy-2[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole and a pharmaceutically acceptable carrier.

US 5,714,504

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Composition

Cannabis, under the tongue

CLAIMS

1. A pharmaceutical composition formulated for sublingual aerosol delivery comprising a pharmaceutically active agent which is cannabis.

GB 2,361,869

Delayed Release

Prilosec

We claim:

1. An oral pharmaceutical preparation comprising

(a) a core region comprising an effective amount of a material selected from the group consisting of omeprazole plus an alkaline reacting compound, an alkaline omeprazole salt plus an alkaline reacting compound and an alkaline omeprazole salt alone;

(b) an inert subcoating which is soluble or rapidly disintegrating in water disposed on said core region, said subcoating comprising one or more layers of materials selected from among tablet excipients and polymeric film-forming compounds; and

(c) an outer layer disposed on said subcoating comprising an enteric coating.

US 4,786,505

Sustained Release

OxyContin

What is claimed is:

1. A solid, controlled release, oral dosage form, the dosage form comprising an analgesically effective amount of oxycodone or a salt thereof in a matrix wherein the dissolution rate in vitro of the dosage form, when measured by the USP Paddle Method at 100 rpm at 900 ml aqueous buffer (pH between 1.6 and 7.2) at 37° C. is between 12.5% and 42.5% (by wt) oxycodone released after 1 hour, between 25% and 55% (by wt) oxycodone released after 2 hours, between 45% and 75% (by wt) oxycodone released after 4 hours and between 55% and 85% (by wt) oxycodone released after 6 hours, the in vitro release rate being independent of pH between pH 1.6 and 7.2 and chosen such that the peak plasma level of oxycodone obtained in vivo occurs between 2 and 4 hours after administration of the dosage form.

US 5,266,331

Indication

Viagra

10. The use of a cGMP PDE inhibitor, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition containing either entity, for the manufacture of a medicament for the curative or prophylactic oral treatment of erectile dysfunction in man.

EP 702,555

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Dosing Protocol

Taxol

Claims

1. Use of taxol and sufficient medications to prevent severe anaphylactic reactions, for manufacturing a medicamentation for simultaneous, separate, or sequential application for the administration of from 135 mg/m^2 up to 175 mg/m^2 taxol over a period of about 3 hours or less as a means for treating cancer and simultaneously reducing neutropenia.

EP 584,001

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Dosing Protocol

EP 0 724 444

1. The use of [finasteride] for the preparation of a medicament for oral administration of androgenic alopecia in a person and wherein the dosage amount is about 0.05 to 1.0 mg

2. The use as claimed in claim 1 wherein the dosage is 1.0mg.

3. The use as claimed in claim 1 or 2 wherein the treatment is of male pattern baldness.

Combination

Augmentin

1. A pharmaceutical composition useful for effecting β-latamase inhibition in humans and animals which comprises β-lactamase inhibitory amount of a pharmaceutically acceptable salt of clavulanic acid, in combination with a pharmaceutically acceptable carrier.

US 6,218,380

Process

Acesulfame-K

A process for the preparation of 6-methyl-3,4-dihydo-1,2,3-oxathiazin-4-one, 2,2-dioxy [dioxide] and its non-toxic salts by

(a) reaction of a sulfamic acid derivative with at least an equimolar amount of the acetoacetylating agent in an inert organic solvent, where appropriate in the presence of an amine or a phosphine as catalyst, to give an acetoacetamide derivative and

(b) ring closure of the acetoacetamide derivative used being a salt of sulphamic acid which is at least partially soluble in the inert organic solvent used, and the acetoacetylating agent used being diketene or acetoacetyl chloride, and comprises carrying out the reaction at temperatures between –30 and +50^{o}C, and comprises the acetoacetamide-N-sulphonate, which is formed in this step, being ring-closed in step (b) by the action of at least an equimolar amount of SO_3, where appropriate in an inert inorganic or organic solvent, at temperatures between –70 and +175^{o}C, to form 6-methyl-3,4-dihydro-1,2,3-oxathiazin-4-one, 2,2,-dioxide, and comprises the product which results from this in the acid form then being neutralised with a base, where appropriate, in an additional step (c).

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Secondary Patents

Essential that researchers are aware of the possibilities, otherwise opportunities can be missed

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