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WO 2010/092287

PCT/FR2010/050204

DERIVES DE N-[(6-AZA-BICYCLO[3.2.1]OCT-1-YL)-ARYL-METHYL]-BENZAMIDE,
LEUR PREPARATION ET LEUR APPLICATION EN THERAPEUTIQUE

Quick View

Preparation of N-[(6-azabicyclo[3.2.1]oct-1-yl)(aryl)methyl]benzamide derivatives as inhibitors of glycine transporters glyt1

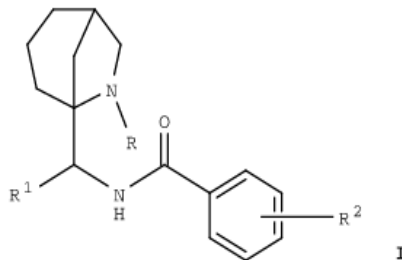
PatentPak

By Dargazanli, Ghad; Estenne-Bouhtou, Genevieve; Medaisko, Florence

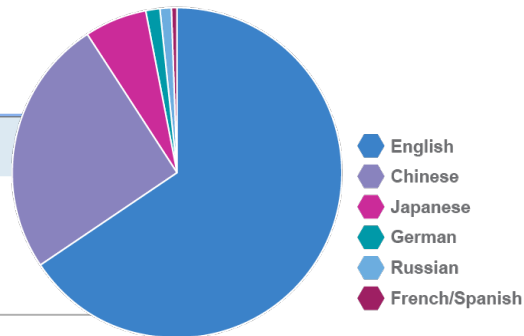
From PCT Int. Appl. (2010), WO 2010092287 A1 Aug 19, 2010. | Language: French, Database: CAPLUS

The invention also relates to the therapeutic use thereof and to a method for synthesizing same. Title compds. I [R = H, (un)substituted alkyl, cycloalkyl; R1 = (un)substituted Ph, naphthyl; R2 = H, halo, CN, heteroaryl, etc.; and their acid addn. salts] were prepd. as inhibitors of glycine transporters glyt1. Thus, reaction of 6-((R)-1-phenylethyl)-6-azabicyclo[3.2.1]octane-5-carbonitrile with phenyllithium, cleavage of the 1-phenylethyl group, amidation of 2,6-dichloro-3-trifluoromethylbenzoic acid with the resulting amine and acidulation with HCl gave II. I inhibited glycine transport via glyt1 and displayed an IC50 in the range of 0.001 to 10 μM in vitro.

Reference Images Substance Images



Original publication languages not in English **35%**



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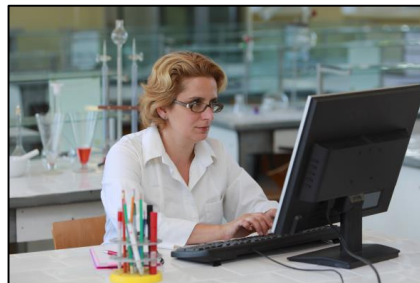
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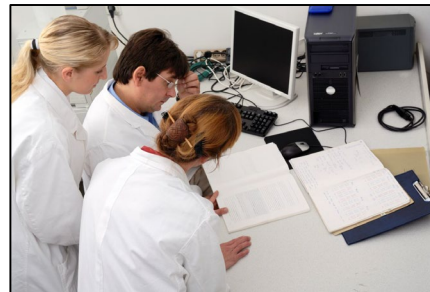
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From PCT Int. Appl. (2006), WO/2006/100096 A2 20060928. | Language: English, Database: CAPLUS

Proteases such as those belonging to the prolyl oligopeptidase family (POP-family), e.g., DPP4 and DPP8, are involved in many pathol. processes and diseases such as diabetes, obesity, hypotension and inflammatory diseases and therefore, these proteases are attractive **drug** targets. Esp. inhibitors of DPP4 and/or DPP8 bear great potential as **drug** candidates and consequently screening assays and assays to identify and characterize the properties of these potential drugs are of very high interest as valuable tools for **drug research**. The invention provides such screening assays as well as a panel...

339. **Genes showing altered patterns of expression in the presence of mutant alleles of the PTEN gene and their use in diagnosis of cancer**
 Quick View **PATENTPAK** ▼
 By Chen, Charlie D.; Sawyers, Charles L.
 From PCT Int. Appl. (2005), WO 2005059109 A2 20050630. | Language: English, Database: CAPLUS

Genes that show altered levels of expression in the presence of mutant alleles of the PTEN tumor suppressor gene are identified. These genes constitute a mol. signature that is of use for diagnosis, prognosis, **drug research** and development and therapeutics. Specifically, the present invention relates to identification of IGFBP2 gene, as a gene whose patterns of expression are affected by mutant alleles of the PTEN gene. The present invention further demonstrates that IGFBP2 expression is neg. regulated by PTEN, pos. regulated by activation of PI3 and Akt kinases, and that IGFBP2 plays a funct...

341. **Apparatus and method for determining effects of a substance on an organ**
 Quick View **PATENTPAK** ▼

Patent No.	PatentPak Options	Kind	Language
US 20040224298	PDF PDF+ Viewer	A1	English
Patent Family			
EP 1929863	PDF	B1	English
EP 2308296	PDF	A3	English
US 6977140	PDF PDF+ Viewer	B1	English
US 6673594	PDF	B1	English
CA 2554872	PDF	C	English
CN 1985170	PDF	A	Chinese
JP 5329760	PDF	B2	Japanese
ES 2314627	PDF	T3	Spanish

By Brassil, John S.
 From U.S. Pat. Appl. Publ. (2003), US 20030003456 A1 20030102. | Language: English, Database: CAPLUS

An organ per organ diagnosis can be practiced.

organs and preserve organs for storage and/or transport. Other app. include an organ transporter, an organ cassette and an org and/or normothermic temps., preferably after hypothermic organ flushing for organ transport and/or storage. The method re of the organ. Organ viability is restored by restoring high energy nucleotide (e.g., ATP) levels by perfusing t...

345. **Detecting and identifying biologically active molecules**
 Quick View
 By Gorelik, Vladimir
 From U.S. Pat. Appl. Publ. (2003), US 20030003456 A1 20030102. | Language: English, Database: CAPLUS

Detecting and identifying biologically active molecules in the anal. of water, soils and air contaminated with fluoroorg. compds.

mer, Dale F.; Wischnath, Georg R.
 From U.S. Pat. Appl. Publ. (2003), US 20030003456 A1 20030102. | Language: English, Database: CAPLUS

the pharmaceutical industry, in fluorinated **drug research** and manufg.; in the medical and clin. studies of the effects of in the anal. of water, soils and air contaminated with fluoroorg. compds.

346. **Method and computer system for identifying biologically active molecules**
 Quick View **PATENTPAK** ▼
 By Schmitt, Frank; Schirm, Bernhard; Kramer, Bernd; Baumann, Knut; Vitt, Daniel
 From U.S. Pat. Appl. Publ. (2003), US 20030003456 A1 20030102. | Language: English, Database: CAPLUS

The present invention relates to a method and a system of identifying biol. active mols. Evaluating receptor or target suitability of mols. is an important task in pharmaceutical **drug research**. With the increasing employment of automation techniques over the last years within **Drug** Discovery processes, methods like High-Throughput-Screening (HTS) and High-Throughput-Synthesis have become industry stds. in pharmaceutical **research**. Nowadays, it is possible to test more than 20,000 mols. per day for their biol. activities in certain disease targets. Also in the area of chem. synthesis, combina...

347. **Method and computer system for identifying biologically active molecules**
 Quick View **PATENTPAK** ▼
 By Schmitt, Frank; Schirm, Bernhard; Kramer, Bernd; Vitt, Daniel; Baumann, Knut
 From U.S. Pat. Appl. Publ. (2002), US 20020197610 A1 20021226. | Language: English, Database: CAPLUS

The present invention relates to a method and a system of identifying biol. active mols. Evaluating receptor or target suitability of mols. is an important task in pharmaceutical **drug research**. With the



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The screenshot displays the PatentPak viewer interface. At the top, there are navigation controls including 'PAGE 32 / 52', 'ZOOM' buttons, and 'DOWNLOAD PDF'. The main content area shows a patent document for 'US 2004/0224298 A1' dated 'Nov. 11, 2004'. On the left sidebar, there are sections for 'Key Substances in Patent' with two entries: 'CAS RN 56-65-5' and 'CAS RN 71-52-3'. The 'CAS RN 56-65-5' entry is highlighted with a red box, and a red callout box points to the page number '2' listed below it. The main text of the patent is visible, including a paragraph starting with 'function. Organs naturally have significant pyruvate levels...' and a claim section starting with '[0016] The restoring of organ viability may be accomplished by restoring high energy nucleotide...'. The chemical structure for CAS RN 56-65-5 is shown as a carboxylic acid group.

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The screenshot displays the PatentPak interface. At the top, there are navigation controls: 'PAGE 37 / 120', 'ZOOM' (with minus and plus buttons), and 'DOWNLOAD PDF' (with a download icon and a plus icon). The main content area shows a patent document with several blue location markers. A red box highlights a section of the text, and a red arrow points from this box to the 'page 38' link in the sidebar. The sidebar, titled 'Key Substances in Patent', lists three substances with their CAS numbers and names, each with a 'View Detail' link and a 'page 38' link.

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PAGE 37 / 120 ZOOM DOWNLOAD PDF

Key Substances in Patent

CAS RN 102-82-9
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Analyst Markup Locations (1)
page 38

CAS RN 9031-50-9
Nucleotidyltransferase
Search in SciFinder | View Detail
Analyst Markup Locations (1)
page 38

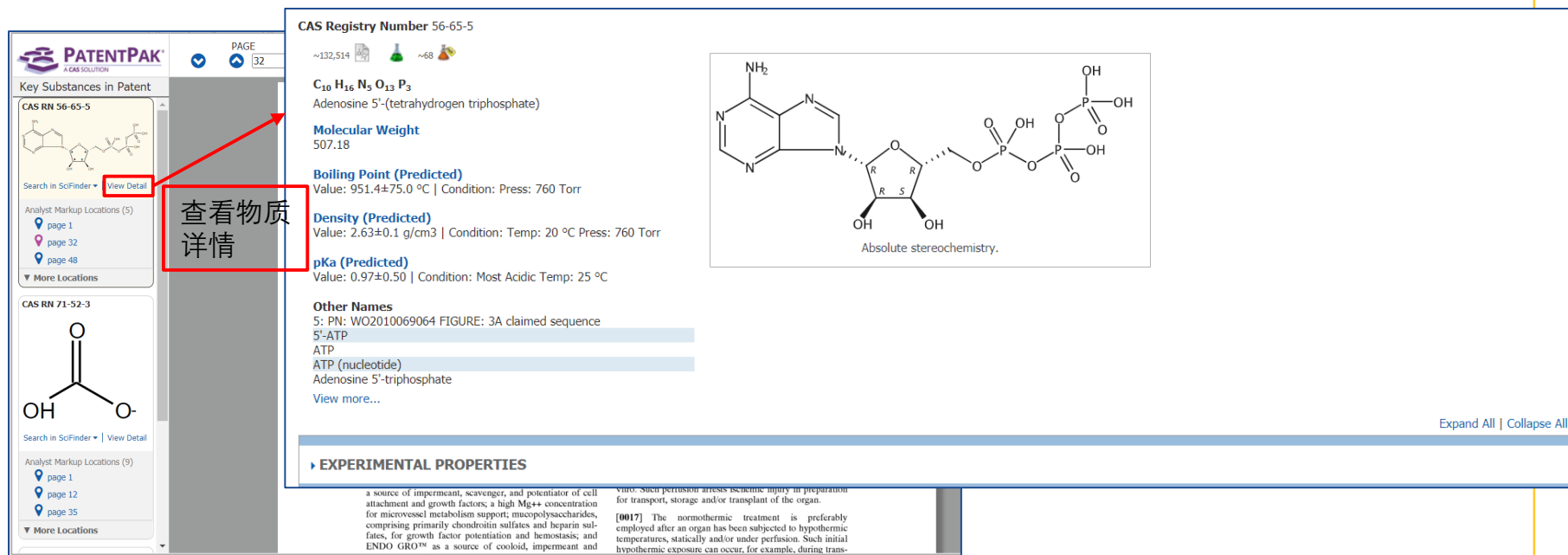
CAS RN 9027-67-2
Terminal deoxyribonucleotidyltransferase
Search in SciFinder | View Detail
Analyst Markup Locations (1)
page 38

CAS RN 2387612-59-9
DNA, d(T-A-A-T-A-A-T-A-A-T-A-A-T-T-T-T-T)
Search in SciFinder | View Detail
Analyst Markup Locations (1)
page 38

N⁶-benzoyl-deoxyadenosine triphosphate was prepared by charging a vial with N⁶-benzoyl-2'-deoxyadenosine (0.055 g, 0.16 mmol) under dry N₂ and trimethyl phosphate (0.435 mL) was added. To the resulting solution was added tributylamine (0.077 mL, 0.32 mmol) and the reaction mixture was stirred for 30 min while being held at -5°C. To this vial was added tributylamine (0.18 mL, 0.19 mmol) via syringe and the reaction mixture was cooled to -20°C and an aliquot of anhydrous phosphorous oxychloride (0.009 mL, 0.10 mmol) was added via syringe and the reaction mixture was stirred at -5°C for 8 min. A second vial was charged with tributylamine pyrophosphate (0.075 g, 0.14 mmol), flushed with dry N₂, and anhydrous acetonitrile was added (0.609 mL), followed by tributylamine (0.231 mL, 0.97 mmol). The prepared tributylamine pyrophosphate mixture was cooled to -20°C and added to the reaction mixture and allowed to react for 10 m. The reaction was quenched by the dropwise addition of H₂O (4.35 mL). The contents of the flask were combined with 0.87 mL of H₂O and extracted with dichloromethane (3 x 150mL). The aqueous phase was adjusted to pH 6.5 with concentrated NH₄OH and stirred for 12 h at 4°C. The mixture was transferred to a 250 mL round bottom flask with 50 mL of water, and concentrated under reduced pressure. The residue was dissolved in 40 mL water, and purified via ion-exchange chromatography (AKTA FPLC, Fractogel DEAE 48 mL column volume, stepwise gradient 0 -> 70% TEAB in water, pH 7.5). Fractions



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CAS Registry Number 56-65-5

~132,514  ~68 

C₁₀H₁₆N₅O₁₃P₃
Adenosine 5'-(tetrahydrogen triphosphate)

Molecular Weight
507.18

Boiling Point (Predicted)
Value: 951.4±75.0 °C | Condition: Press: 760 Torr

Density (Predicted)
Value: 2.63±0.1 g/cm³ | Condition: Temp: 20 °C Press: 760 Torr

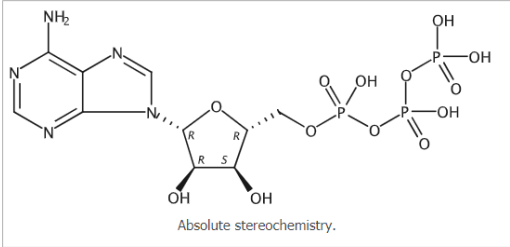
pKa (Predicted)
Value: 0.97±0.50 | Condition: Most Acidic Temp: 25 °C

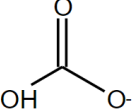
Other Names
5: PN: WO2010069064 FIGURE: 3A claimed sequence
5'-ATP
ATP
ATP (nucleotide)
Adenosine 5'-triphosphate
View more...

EXPERIMENTAL PROPERTIES

in vitro: Such perturbation arrests ischemic injury in preparation for transport, storage and/or transplant of the organ.

[0017] The normothermic treatment is preferably employed after an organ has been subjected to hypothermic temperatures, statically and/or under perfusion. Such initial hypothermic exposure can occur, for example, during trans-

Chemical Structure: 
Absolute stereochemistry.

Chemical Structure: 

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PAGE 32

Key Substances in Patent

CAS RN 56-65-5

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Structure
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Analyst Markup Locations (9)

- page 1
- page 12
- page 35

More Locations

REFERENCES

- Research Topic
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- Journal
- Patent
- Tags

SUBSTANCES

- Chemical Structure
- Markush
- Molecular Formula
- Property
- Substance Identifier

REACTIONS

- Reaction Structure

SUBSTANCES: CHEMICAL STRUCTURE

Structure Editor:

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