

Title (en)

METHODS OF IDENTIFYING SAFE NMDA RECEPTOR ANTAGONISTS

Title (de)

VERFAHREN ZUR IDENTIFIZIERUNG SICHERER NMDA-REZEPTOR-ANTAGONISTEN

Title (fr)

PROCÉDÉS D'IDENTIFICATION D'ANTAGONISTES DU RÉCEPTEUR DE NMDA SÛRS

Publication

**EP 2212694 A4 20111012 (EN)**

Application

**EP 08847388 A 20081106**

Priority

- US 2008082660 W 20081106
- US 98592407 P 20071106
- US 98592207 P 20071106

Abstract (en)

[origin: WO2009061935A2] Processes are provided for the identification of a compound that is useful to treat or prevent a disorder that lowers the pH in a region of affected tissue comprising assessing the difference in potency, or potency boost, of the compound at physiological pH versus disorder-induced pH in a cell that expresses a human NMDA receptor. The assessment of potency boost can include measuring an IC<sub>50</sub> of a compound at physiological pH and at disorder-induced pH (the "potency boost") until a 95% confidence interval for the potency boost does not change more than 15% with the addition of a new experiment, wherein the measurements are repeated at least 5 times. The processes can be used for the selection of safe NMDA receptor antagonists for the treatment or prevention of a human disorder that lowers the pH in a region of affected tissue. Such disorders include, but are not limited to, neuropathic pain, ischemia, Parkinson's disease, epilepsy and traumatic brain injuries.

IPC 8 full level

**G01N 31/20** (2006.01); **G01N 31/22** (2006.01); **G01N 33/15** (2006.01); **G01N 33/48** (2006.01); **G01N 33/50** (2006.01); **G01N 33/84** (2006.01)

CPC (source: EP)

**A61P 9/10** (2017.12); **A61P 25/00** (2017.12); **A61P 25/04** (2017.12); **A61P 25/08** (2017.12); **A61P 25/16** (2017.12); **A61P 43/00** (2017.12);  
**G01N 33/502** (2013.01); **G01N 33/84** (2013.01); **G01N 2333/70571** (2013.01); **G01N 2800/2835** (2013.01); **G01N 2800/2842** (2013.01);  
**G01N 2800/2857** (2013.01); **G01N 2800/2871** (2013.01)

Citation (search report)

- [X] WO 2006023957 A1 20060302 - UNIV EMORY [US], et al
- [A] TAKIZAWA S ET AL: "THE EFFECTS OF A COMPETITIVE NMDA RECEPTOR ANTAGONIST CGS-19755 ON CEREBRAL BLOOD FLOW AND PH IN FOCAL ISCHEMIA", JOURNAL OF CEREBRAL BLOOD FLOW AND METABOLISM, vol. 11, no. 5, 1991, pages 786 - 793, XP002657900, ISSN: 0271-678X
- [A] MOTT D D ET AL: "Phenylethanolamines inhibit NMDA receptors by enhancing proton inhibition.", NATURE NEUROSCIENCE DEC 1998 LNKD-PUBMED:10196581, vol. 1, no. 8, December 1998 (1998-12-01), pages 659 - 667, XP002657901, ISSN: 1097-6256
- See references of WO 2009061935A2

Designated contracting state (EPC)

AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HR HU IE IS IT LI LT LU LV MC MT NL NO PL PT RO SE SI SK TR

DOCDB simple family (publication)

**WO 2009061935 A2 20090514; WO 2009061935 A3 20090820;** AU 2008323877 A1 20090514; BR PI0820406 A2 20150519;  
CA 2704475 A1 20090514; CN 101918832 A 20101215; EA 201070571 A1 20101230; EP 2212694 A2 20100804; EP 2212694 A4 20111012;  
IL 205432 A0 20101230; JP 2011503013 A 20110127; KR 20100100858 A 20100915; MX 2010004971 A 20100728; ZA 201003724 B 20131030

DOCDB simple family (application)

**US 2008082660 W 20081106;** AU 2008323877 A 20081106; BR PI0820406 A 20081106; CA 2704475 A 20081106;  
CN 200880124092 A 20081106; EA 201070571 A 20081106; EP 08847388 A 20081106; IL 20543210 A 20100429; JP 2010532343 A 20081106;  
KR 20107012419 A 20081106; MX 2010004971 A 20081106; ZA 201003724 A 20100525