

Title (en)  
POSITIVELY CHARGED WATER-SOLUBLE PRODRUGS OF ASPIRIN

Title (de)  
POSITIV GELADENE WASSERLÖSLICHE PRODRUGS VON ASPIRIN

Title (fr)  
PROMÉDICAMENTS HYDROSOLUBLES À CHARGE POSITIVE DE L'ASPIRINE

Publication  
**EP 2038251 A1 20090325 (EN)**

Application  
**EP 06780025 A 20060709**

Priority  
IB 2006052318 W 20060709

Abstract (en)  
[origin: WO2008007171A1] The novel positively charged prodrugs of acetylsalicylic acid and its analogues in the general formula(1) "Structure 1" were designed and synthesized. The compounds of the general formula(1) "Structure 1" indicated above can be prepared from functional derivatives of ASA or its analogues,(for example acid halides or mixed anhydrides), by reaction with suitable alcohols, thiols, or amines. The positively charged amino groups of these pro-drugs not only largely increases the solubility of the drugs, but also bonds to the negative charge on the phosphate head group of membranes and push the pro-drug into the cytosol. The experiment results suggest that the pro-drug, diethylaminoethyl acetylsalicylate.AcOH, diffuses through human skin ~400 times faster than acetylsalicylic acid itself and ~100 times faster than ethyl acetylsalicylate. In plasma, 80% of these pro-drugs can change back to the drug in a few minutes. The pro-drugs can be used medicinally in treating any aspirin-treatable conditions in humans or animals and be administered not only orally, but also transdermally for any kind of medical treatments and avoid most of the side effects of aspirin, most notably GI disturbances such as dyspepsia, gastroduodenal bleeding, gastric ulcerations, and gastritis. Controlled transdermal administration systems of the prodrug enables the aspirin to reach constantly optimal therapeutic blood levels to increase effectiveness and reduce the side effects of aspirin.

IPC 8 full level  
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