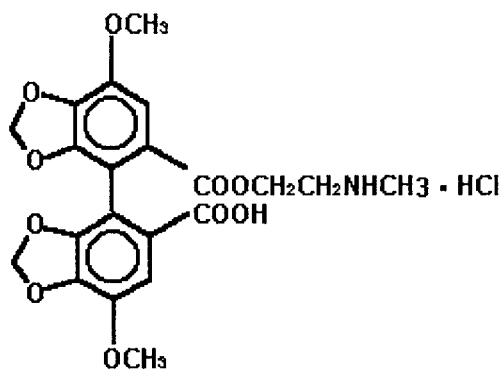


Development of Dimethyl Dimethoxy Biphenyl Monocarboxylate-HCl Parenterals for Preventive & Therapeutic of Hepatitis

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Dimethyl-4,4'-dimethoxy-5,6,5',6'-dimethylenedioxybiphenyl-2,2'-dicarboxylate (DDB) is a synthetic analogue of Schisandrin C, one of the components isolated from *Fructus Schisandrae* which is a traditional Chinese medicine and has been known to be effective in improving liver functions. DDB is currently used clinically for patients with hepatitis virus B. However, DDB is poorly water soluble and its solubility in various buffers (pH values ranging from 1.2 to 9.0) is 1~2 $\mu\text{g}/\text{mL}$. DDB has a low extent of absolute bioavailability after oral administration. An injectable dosage form is required to restore the patient's liver function after an operation and adopted for medicaments that can not be given orally because of patient intolerance, for example, nausea in patients undergoing chemotherapy. 2-Methylaminoethyl-4,4'-dimethoxy-5,6,5',6'-dimethylenedioxybiphenyl-2-carboxylic acid-2'-carboxylate monohydrochloride (Dimethyl Dimethoxy Biphenyl Monocarboxylate-HCl: DDB-S) is a water soluble derivative of DDB and its solubility is 39mg/ml in water. The data obtained from preclinical tests demonstrate that DDB-S does not have any clinical toxicities in rats or Beagle dogs.



DDB

DDB-S