Literature (PTL) 4). Patent Literature (PTL) 5 discloses that pentosan polysulfate having a uronic acid content of 4.3 to 6% was obtained by a method comprising fractionating commercially available pentosan polysulfate (SP-54) to obtain a low-molecular-weight pentosan polysulfate.

Citation List

Patent Literature

[0005]

PTL 1: WO2013/186857
PTL 2: JPH3-20225A
PTL 3: WO2010/000013
PTL 4: JP2009-532467A
PTL 5: JPS61-197601A

Non-patent Literature

[0006]

NPL 1: Gonzalez et al., Biol. Pharm. Bull., 2001; 24; 2; 151-154
NPL 2: S. Swain et al., Annals of the New York Academy of Sciences, 1993; 698; 63-67
NPL 3: G. Zugmaier et al., Annals of the New York Academy of Sciences, 1999; 886; 243-248
NPL 4: G. Zugmaier et al., Journal of the National Cancer Institute, 1992; 84; 22; 1716-1724

Summary

[0006a]

In an aspect of the present disclosure, there is provided a pentosan polysulfate having a uronic acid content of 7.0 mass% to 15.0 mass%, and an acetyl group content of 0 mass% to 1.0 mass%;

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof.

[0006b]

In another aspect of the present disclosure, there is

provided a medicament comprising as an active ingredient

the pentosan polysulfate disclosed herein;

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof.

[0006c]

In a further aspect of the present disclosure, there is provided a use of the pentosan polysulfate disclosed herein,
a pharmaceutically acceptable salt thereof; or
a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof;
in the manufacture of a medicament for the prevention and/or treatment of a disease caused by abnormal enhancement of FGF-2 function.

[0006d]

In another aspect of the present disclosure, there is provided a pH buffer agent comprising

the pentosan polysulfate disclosed herein;

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof.

[0006e]

In a further aspect of the present disclosure, there is provided a use of the pentosan polysulfate disclosed herein;
a pharmaceutically acceptable salt thereof; or
a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof; as a pH buffer agent.

[0006f]

In another aspect of the present disclosure, there is provided a pentosan polysulfate disclosed herein;
a pharmaceutically acceptable salt thereof; or
a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof;
for use in the prevention and/or treatment of a disease caused by

abnormal enhancement of FGF-2 function.

Technical Problem

[0007]

An object of the present invention is to provide a novel pentosan polysulfate that has an activity preferable for pharmaceutical application, or application as a pH buffer agent.

Means for Solving the Problem

[0008]

As a result of intensive study to solve the above problem, the present inventors found a novel pentosan polysulfate

CLAIMS

[Claim 1]

A pentosan polysulfate having a uronic acid content of 7.0 mass% to 15.0 mass%, and an acetyl group content of 0 mass% to 1.0 mass%;

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof.

[Claim 2]

The pentosan polysulfate according to claim 1, wherein the pentosan polysulfate has a uronic acid content of 7.5 mass% to 13.0 mass%;

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof.

[Claim 3]

The pentosan polysulfate according to claim 1 or 2, wherein the pentosan polysulfate has a weight average molecular weight of 5000 or less;

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof.

[Claim 4]

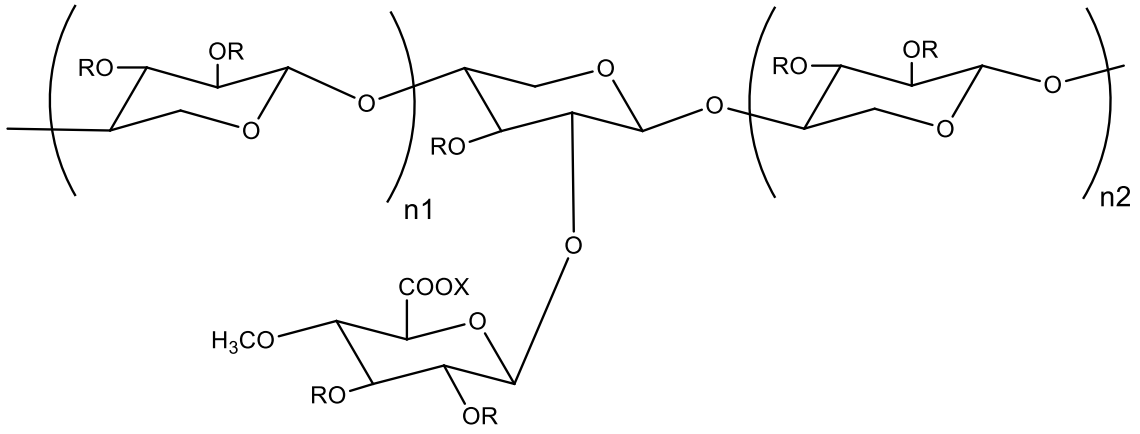
The pentosan polysulfate according to claim 3, wherein the pentosan polysulfate has an acetyl group content of 0 to 0.3 mass%;

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof.

[Claim 5]

The pentosan polysulfate according to any one of claims 1 to 4, wherein the pentosan polysulfate has a structure represented by Formula II:



Formula II

wherein R each independently represents a hydrogen atom, $-COCH_3$, or $-SO_3X^1$, and at least one R in the molecule is $-SO_3X^1$, wherein X^1 represents a hydrogen atom or a monovalent or divalent metal;
X represents a hydrogen atom or a monovalent or divalent metal; and
n1 and n2 each independently represent an integer of 0 or more and 30 or less, and at least one of n1 and n2 is an integer of 1 or more;

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof.

[Claim 6]

The pentosan polysulfate according to claim 5, wherein each R independently represents a hydrogen atom or $-SO_3X^1$;

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof.

[Claim 7]

The pentosan polysulfate according to claim 5 or 6, wherein X^1 is sodium;

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof.

[Claim 8]

A medicament comprising as an active ingredient

the pentosan polysulfate according to any one of claims 1 to 7;

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof.

[Claim 9]

A use of the pentosan polysulfate according to any one of claims 1 to 7,

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof;

in the manufacture of a medicament for the prevention and/or treatment of a disease caused by abnormal enhancement of FGF-2 function.

[Claim 10]

The use according to claim 9, wherein the disease caused by abnormal enhancement of FGF-2 function is cancer, autoimmune disease, allergic disease, inflammatory disease, cardiac dysplasia, vascular dysplasia, or skeletal dysplasia.

[Claim 11]

The use according to claim 9, wherein the disease caused by abnormal enhancement of FGF-2 function is cystitis or arthritis.

[Claim 12]

The medicament according to claim 8, which is an injectable formulation.

[Claim 13]

A pH buffer agent comprising

the pentosan polysulfate according to any one of claims 1 to 7;

a pharmaceutically acceptable salt thereof; or

a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof.

[Claim 14]

A use of the pentosan polysulfate according to any one of claims 1 to 7;
a pharmaceutically acceptable salt thereof; or
a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof; as a pH buffer agent.

[Claim 15]

The pentosan polysulfate according to any one of claims 1 to 7;
a pharmaceutically acceptable salt thereof; or a pharmaceutically acceptable solvate of the pentosan polysulfate or of the pharmaceutically acceptable salt thereof;
for use in the prevention and/or treatment of a disease caused by abnormal enhancement of FGF-2 function.