[Aspect 8] The therapeutic agent for rheumatoid arthritis, the use, the method, or the compound according to Aspect 5, wherein the “additional therapeutic agent for rheumatoid arthritis” is a JAK inhibitor.

[0009a]

In one aspect, there is provided a use of a therapeutic agent comprising a compound, (R)-1-(6-((6-(1-hydroxyethyl)-8-(isopropylamino)pyrido[3,4-d]pyrimidin-2-yl)amino)pyridin-3-yl)piperazin-2-one, or a pharmaceutically acceptable salt thereof, in the manufacture of a medicament for treatment of rheumatoid arthritis.

Effect of the Invention

[0010]

The present invention provides a therapeutic agent for rheumatoid arthritis that has been shown to be clinically effective in humans, is effective with short-term administration, and is highly safe.

BRIEF DESCRIPTION OF THE DRAWINGS

[0011]

[Figure 1] Figure 1 shows the proportions of subjects who showed improvement in ACR20 in a working example. The horizontal axis indicates administration groups, and the vertical axis indicates the proportion for each administration group.

[Figure 2] Figure 2 shows the proportions of subjects who showed improvement in DAS28-CRP in a working example. The horizontal axis indicates administration groups, and the vertical axis indicates the proportion for each administration group.

[Figure 3] Figure 3 shows the VAS scale pain scores in a working example. The horizontal axis indicates administration groups, and the vertical axis indicates the percentage change in the VAS scale pain score from baseline (Day 1 of treatment) for each administration group.

[Figure 4] Figure 4 shows the TJC scores in a working example of the present invention. The horizontal axis indicates administration groups, and the vertical axis indicates the percentage change in the TJC from baseline (Day 1 of administration) for each administration group.

EMBODIMENTS OF PRACTICING THE INVENTION

[0012]

Modes of practicing the present invention will here be described in detail.

[0013]

The abbreviations used herein are defined as follows.

ACR20: An evaluation standard, set by the American College of Rheumatology, which corresponds to the ratio of cases in which, among the seven evaluation items included in the ACR core set (i.e., TJC, SJC, pain evaluation by patient (based on VAS; VAS scale pain score), PGA (based on VAS), EGA (based on VAS), physical function

CLAIMS

[Claim 1]

Use of a therapeutic agent comprising a compound, (R)-1-(6-((6-(1-hydroxyethyl)-8-(isopropylamino)pyrido[3,4-d]pyrimidin-2-yl)amino)pyridin-3-yl)piperazin-2-one, or a pharmaceutically acceptable salt thereof, in the manufacture of a medicament for treatment of rheumatoid arthritis.

[Claim 2]

The use according to claim 1, wherein the daily dosage of the compound is from 10 to 200 mg.

[Claim 3]

The use according to claim 1, wherein the daily dosage of the compound is from 30 to 125 mg.

[Claim 4]

The use according to claim 1, wherein the daily dosage of the compound is selected from the group consisting of 25 mg, 75 mg, or 125 mg.

[Claim 5]

The use according to claim 4, wherein the daily dosage of the compound is 25 mg.

[Claim 6]

The use according to claim 4, wherein the daily dosage of the compound is 75 mg.

[Claim 7]

The use according to claim 4, wherein the daily dosage of the compound is 125 mg.

[Claim 8]

The use according to any one of claims 1 through 7, wherein the therapeutic agent is to be administered once a day.

[Claim 9]

The use according to any one of claims 1 through 8, wherein the therapeutic agent is to be used in combination with an additional therapeutic agent for rheumatoid arthritis.

[Claim 10]

The use according to any one of claims 1 through 8, wherein the therapeutic agent is to be used in combination with additional therapeutic agents selected from one or more of:

non-steroidal anti-inflammatory drug, optionally wherein the non-steroidal anti-inflammatory drug is loxoprofen;

steroid, optionally wherein the steroid is prednisolone;

disease-modifying anti-rheumatic drug (DMARD), optionally wherein the DMARD is methotrexate or salazosulfapyridine;

JAK inhibitor, optionally wherein the JAK inhibitor is tofacitinib, baricitinib, or filgotinib;

TNF inhibitor, optionally wherein the TNF inhibitor is infliximab, adalimumab, or etanercept; or

IL-6 inhibitor, optionally wherein the IL-6 inhibitor is tocilizumab.

[Claim 11]

The use according to claim 9, wherein the additional therapeutic agent for rheumatoid arthritis is a disease-modifying anti-rheumatic drug (DMARD).

[Claim 12]

The use according to claim 9, wherein the additional therapeutic agent for rheumatoid arthritis is a biological drug product.

[Claim 13]

The use according to claim 9, wherein the additional therapeutic agent for rheumatoid arthritis is a JAK inhibitor.

[Claim 14]

The use according to claim 13, wherein the JAK inhibitor is tofacitinib.

[Claim 15]

The use according to claim 9, wherein the additional therapeutic agent for rheumatoid arthritis is methotrexate (MTX).

[Claim 16]

The use according to claim 9, wherein the additional therapeutic agent for rheumatoid arthritis is a TNF inhibitor.

[Claim 17]

The use according to claim 16, wherein the TNF inhibitor is etanercept.