ABSTRACT

In the course of developing 2-[[[2 - [(hydroxyacetyl) amino]- 4 -pyridinyl] methyl] thio]- N -[4 -(trifluoromethoxy) phenyl]- 3 -pyridinecarboxamide(compound A), there are the multiple problems: 1) compound A or its salt is difficult to be recrystallized, the storage stability largely differs depending on the kind of the salt, and it is very difficult to obtain a salt of compound A having excellent storage stability; 2) in a crystallization process of compound A, it is very difficult to control a crystal polymorph, and 3) compound A (free body) causes mineral deposition in the stomach when it is orally administered repeatedly. For solving these problems, we made examination focusing on the kind of the salt and, as a result, found that 1) benzenesulfonate of compound A does not decompose by light, humidity and other factors in a 1-week preliminary stability test (severe test), and has no problem in its storage stability, 2) a method of selectively producing two kinds of crystal forms of benzenesulfonate of compound A, and that 3) no mineral deposition in the stomach is observed even after a 4-week repeated oral administration.

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