

Preparation of nano complex of DA 6034, a new drug candidate for gastritis and evaluation of its gastroprotective effect

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DA 6034, 7-carboxymethoxy, 3', 4', 5-trimethoxy flavone, is a new synthetic derivative of eupatilin, which has a local anti-inflammatory effect on intestinal mucosal membrane, and is now undergoing a phase 2 clinical study for the treatment of inflammatory bowel disease in Korea. It has very poor solubility less than 1 mg/ml in acidic condition, so its local mucoprotective effect in acidic gastric mucosal membrane was thought to be limited. Nano complex of DA 6034 was prepared using pH dependent solvent diffusion method, utilizing the difference in solubility of DA 6034 with pH variation, by 10,000 times, with higher solubility in alkaline pH but lower solubility in acidic pH. Chitosan, natural cationic polymer, was used for stabilizer. DA 6034 was solubilized in the solution with alkaline pH, and it was poured into the acidic solution containing chitosan, then it was homogenized with homo mixer and microfluidizer, finally spray dried. Solubility, particle size was evaluated in pH 1.2 buffer and its gastroprotective effect was evaluated in rat model. Nano complex of DA 6034 showed sub-micron particle size with high solubility and it showed more enhanced gastroprotective effect than DA 6034 containing control solution.