

S09-3 Improvement of a large scale synthesis of S-5751 and exploration of of a novel synthetic route for reducing cost

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Prostaglandin (PG) D₂, which is one of the major prostaglandins released from mast cells by the stimulation of antigen in allergic diseases, is thought to play an important role of inducing various allergic symptoms because PGD₂ itself has many functions related to allergy such as induced nasal blockage, vascular permeability and bronchoconstriction, and increased eosinophil infiltration. **S-5751** is a novel PGD₂ receptor antagonist produced in Shionogi as a drug for the treatment of allergy. At first, there are many issues to be solved in the large scale synthesis of **S-5751** because the starting material, (1*R*)-(-)-myrtenol, was a considerably expensive and 20 reactions, which include the inappropriate reagents for the large scale synthesis and column chromatography purification, were necessary to synthesize **S-5751**. As exploring the synthetic routes to solve these problems, the effective route has been established from β(-)-pinene as a starting material. Further, I will describe more cost

effective synthetic route which has been discovered as a result of the exploring new synthetic studies.

