[S1-3] [4/17/2003(Thur) 15:15-15:50/Grand Hall]

Design and Combonatorial Synthesis of Selenoflavonoids and Indole Compounds for Neuroprotective Drug

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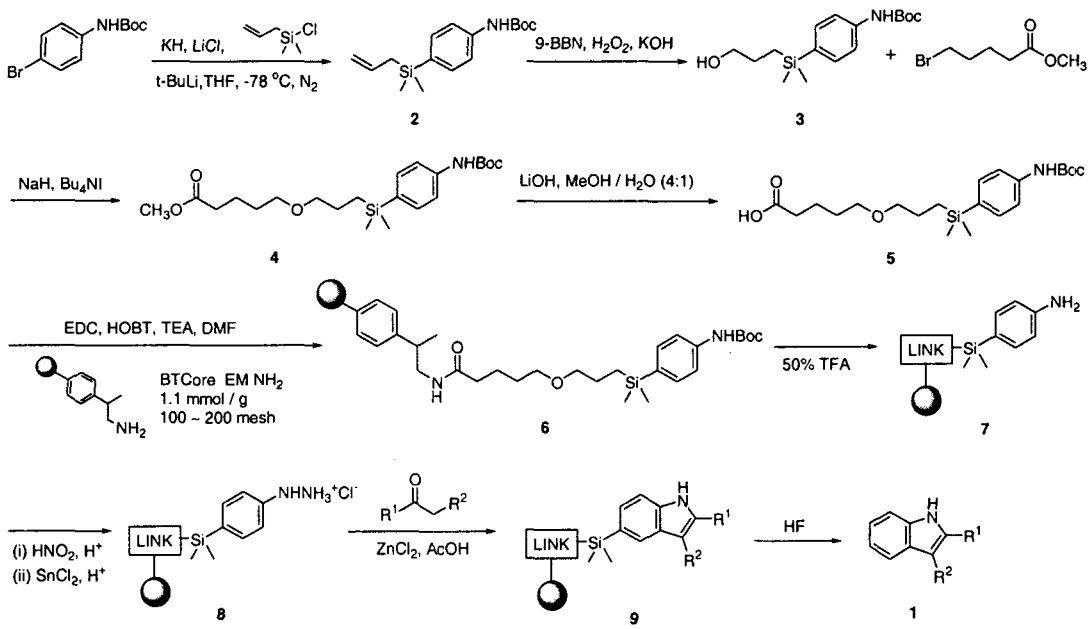
Part A: Combinatorial Synthesis of Indole compounds

As recent as 10 years ago, a method of developing new medicine was developed by a new compounding method moving away from an existing one. Combinatorial chemistry made it easier to combine various kinds of compounds in a very short time and with little effort from existing methods. Through combinatorial chemistry, a number of compounds were synthesized using HTS(High Throughput Screening), with many reports reaching a clinical stage in search of new candidate material. This approach to finding a candidate material of a new medicine can be considered to be fast and economical by automating the search method and compounding technology of reaction of solids.

Hetero chain compounds have high possibilities of being good medicinal candidate because of their well-known medicinal activity and relatively low subtitled carbon. Among them, indole compounds are well known as headache medicine with an infinite applications even in various physiological activities. By constructing the method of making this compound library, this research has the purpose to create a new medicinal candidate materials based on an easy medicinal search.

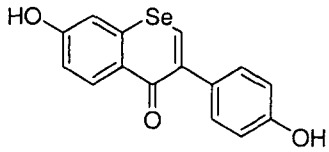
The first step is to construct an Indole library in a compounding process with the design of a linker connecting a solid-state resin and a substrate. The designed linkers in this research are of 3 kinds and a linker used in compounding of indole is a linker 3 that puts an oxygen atom in the middle. The second step was to establish a reaction condition in a solvent of a designed linker and application of Fischer indole compound method in solid state suitable for a solid-state resin. The third step was to select 20 kinds of ketone compounds and compound an indole through a Fischer indole compounding method by applying an established condition in a

solvent state and a previously made linker 3. We had experimented with 10 kinds of activities and among the compounded indole compounds, the compounds **Ind-5, 6** had anti-inflammation effect and **Ind-7** had a cytotoxicity effect.

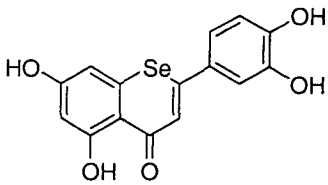


Part B: Design and Synthesis of Selenoflavonoids, Isoselenoflavonoids, and Selenocoumaruns

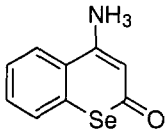
Many of flavonoids, isoflavonoids, and coumarins are known to have potent biological effect. Such compounds are very relatively polar and have limited use as drugs due to the difficulty of absorption in membranes. The non-polar property is increased by exchange oxygen to selenium as a part of heterocyclic compound. From this point of view, our group is focused on synthesizing selenoheterocyclic compound as shown in below.



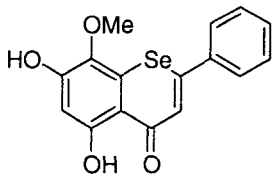
Isoselenoflavonoid



Selenoluteolin



Selenocumarin



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