irradiation

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Summary of Research

Pharmacog-

enomics

Many drugs contain sulfoxides in their chemical structures. Since sulfoxides are chiral, each enantiomer is differentiated in the living body, and exhibits outstanding bioactivity. We are aiming to develop drugs by producing enantiomerically pure sulfoxides by light irradiation.

Details of Research

Sulfoxides (oxidized sulfur) exist in enantiomers (S and R). Enantiomerically pure sulfoxides (S-enantiomer or R-enantiomer) easily converted to racemates (mixtures of S-enantiomer and R-enantiomer) by light irradiation. It is possible to separate one enantiomer from racemate, and the proper light irradiation of the residual enantiomer provides racemate. By repeating a series of processes: (1) separation of one enantiomer, and (2) irradiation of the residual enantiomer with light to convert racemate, we can obtain desired enantiomer quantitatively with >99 %ee.

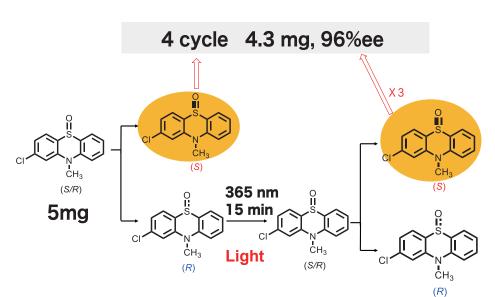


Figure: Successful proliferation of enantiomer

\frown	No chemical synthesis required
Points	• Can obtain sulfoxides of one desirable enantiomer at a
	yield of almost 100%
	 Low cost, as only light irradiation is required

Future Developments

March 2020	Achieve 99% ee	optical purity	and 99% yield

- April 2020 Apply to other drugs containing sulfoxides
- April 2021 Expand to photoreaction of other functional groups

Comparison with Conventional or Competitive Technologies

- [Existing] Asymmetric synthesis of compounds with enantiomerically excess was possible, however, it was difficult to provide completely enantiomerically pure compounds by asymmetric synthesis.
- [Our method] By repeating a series of processes: (1) separation of one enantiomer, and (2) irradiation of the residual enantiomer with proper light irradiation to provide racemate, we can obtain desired enantiomer quantitatively with >99 %ee. There's no need to synthesize compounds.

Expected Applications

- Synthesis of drugs containing sulfoxides
- Expansion of the method using recycling by HPLC
- Expansion of the method using a photoreactor

Challenges in Implementation

- Examination of optimization such as optimal wavelength for each compound
- Examination of conditions to improve the photoreaction speed
- Establishment of generality applicable to other drugs

What We Expect from Companies

- Desire for technical development with companies with a large-scale photoreaction technology
- Desire for drug discovery research with companies developing chiral drugs
- Introduction to companies considering advancement into analytical fields
- Intellectual Property: International Patent Application No. PCT/JP2020/ 32053
- Prototype: Available
- Sample: Available

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