PURDUE INNOVATES | Office of Technology Commercialization

Inhibitors of Lymphoid-Specific Tyrosine Phosphatase for Autoimmune Diseases and Cancer Immunotherapies

Highly selective and permeable inhibitors for autoimmune disease treatment and cancer immunotherapy with efficient, high-purity production capabilities.

Researchers at Purdue University have developed new quinolone-based inhibitors for autoimmune diseases and cancers caused by mutations to the PTPN22 gene. PTPN22 encodes an enzyme, lymphoid-specific tyrosine phosphatase (Lyp) that is associated with the immune system through its regulation of the T-cell receptor signaling pathway. Mutations to PTPN22 are present in individuals with diseases including type-1 diabetes, Graves' disease, Addison's disease, vitiligo, juvenile arthritis, systemic lupus, and Hashimoto thyroiditis. To date there are no Lyp inhibitors approved as drugs, and current Lyp inhibitors exhibit poor selectivity for Lyp among other phosphatases. Purdue researchers have created a Lyp inhibitor that can be synthesized with 65-90% yield and over 95% purity as verified by hydrogen and carbon nuclear magnetic resonance spectroscopy. The new compound inhibits Lyp with a 1.4 micromolar half maximal inhibitory concentration and exhibits promising pharmacokinetic properties in mice. In addition, Purdue researchers observed a 7- to 10-fold improvement in Lyp selectivity over other current drug candidates.

Advantages:

-Promotes Immune Response to Eliminate Tumors

-High Cell Permeability

-Excellent Selectivity

-Excellent Yield and Purity

Potential Applications:

-Drug Discovery

Technology ID
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Category
Pharmaceuticals/Other

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-PTPN22 Triggered Autoimmune Disease Treatment and Cancer Immunotherapy

Technology Validation:

The new inhibitors developed by Purdue researchers have been tested in an enzyme inhibition assay and for pharmacokinetic properties in mice.

Related Publication:

Systemic inhibition of PTPN22 augments anticancer immunity

J Clin Invest. 2021. https://doi.org/10.1172/JCI146950.

TRL: 3

Intellectual Property:

Provisional-Gov. Funding, 2020-04-14, United States | NATL-Patent, 2021-02-05, Europe | Utility-Gov. Funding, 2021-02-05, United States | NATL-Patent, 2021-02-05, China | NATL-Patent, 2021-02-05, Japan | DIV-Patent, 2021-02-05, China | PCT-Gov. Funding, 2021-02-05, WO

Keywords: quinolone-based inhibitors, autoimmune diseases, cancer immunotherapy, PTPN22 gene, lymphoid-specific tyrosine phosphatase, Lyp inhibitor, T-cell receptor signaling, drug discovery, high cell permeability, excellent selectivity