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A TLR-2 stimulatory molecule for use as a vaccine adjuvant

A small molecule that serves as an adjuvant in vaccines through stimulation of the immune receptor, TLR-2

IP Status: Issued US Patent; **Patent No.** 11,014,921

A novel TLR-2 ligand with adjuvantic properties

Adjuvants are required to potentiate the immune response to increase efficacy and longevity of many vaccines. The need for new adjuvants is becoming increasingly recognized. The few adjuvants that are commercially available fall into a small number of classes, and the creation of new adjuvants has been hindered by issues of toxicity. Using systematic high-throughput screening, the very first heterocyclic small molecule capable of simulating the immune receptor TLR-2 was identified. Through stimulation of cytokines and chemokines, this molecule (9-fluoro-7-hydroxy-3-methyl5-oxo-N-(pyridin-3-ylmethyl)-2,3-dihydro-1H,5H-pyrido [3,2,1-ij]quinoline-6-carboxamide) is available for development as an adjuvant in vaccines as well as in the treatment of certain cancers.

A less “sticky” way to prime T-cells

Currently, the two most common adjuvants are aluminum- or lipopeptide- based. Aluminum alone is a poor inducer of T-cell response, which is necessary particularly for protein or subunit based vaccines. While lipopetide-based adjuvants are much better at priming T-cells to respond to introduced antigen, they suffer from problems of aggregation, which complicates their purification and characterization. This technology has the potential to overcome both of these hurdles through activation of TLR-2 via a novel structure (avoiding issues of aggregation). This class of compounds has the potential to serve as a potent adjuvant (alone or in combination) for a wide variety of vaccines; reducing the antigen concentration required in vaccines as well as the overall number of immunizations necessary to confer protection, leading to more cost effective vaccines. TLR-2 stimulating compounds have also shown promise for potentiating T-cell responses against tumors making this molecule a prime target for development as an anti-cancer therapeutic.

Phase of Development

- In vitro data. Research (published) shows TLR-2 specific induction of cytokines and chemokines in mammalian cells in response to 9-fluoro-7-hydroxy-3-methyl5-oxo-N-(pyridin-3-ylmethyl)-2,3-dihydro-1H,5H-pyrido [3,2,1-ij]quinoline-6-carboxamide.

Features & Benefits

Technology ID
20180382

Category
Life Sciences/Human Health
Life Sciences/Pharmaceuticals
Agriculture & Veterinary/Veterinary Medicine

Learn more

- Useful in a wide variety of vaccine types: activation through Toll-like receptors leads to T-cell priming allowing for optimization for a wide variety of vaccines, including peptide- or subunit-based vaccines that are often poorly immunogenic.
- Potential Anticancer properties: TLR-2 activation has shown promise in inducing the antitumor response of T-cells, opening up avenues for development as an anti-cancer therapeutic
- Mitigate issues of purification and characterization: novel compound class bypasses the aggregation issues common to lipopeptide-based adjuvants, saving resources spent on compound purification and characterization.

Applications

- Vaccine adjuvant
- Anti-cancer therapeutic
- Basic-research on toll-like receptor activation

Researchers

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Publications

Identification of Human Toll-like Receptor 2-Agonistic Activity in Dihydropyridine–Quinolone Carboxamides
ACS Medicinal Chemistry Letters, 2019, 10, 1, 132-136

Ready for Licensing

This technology is now available for license! The university is excited to partner with industry to see this innovation reach its potential. Please contact us to share your business' needs and your licensing interests in this technology. The license is for the sale, manufacture or use of products claimed by the patents.