Converting Kappa Receptor Antagonist

An unexpected discovery led to a patented technology to synthesize new kappa receptor agonist and delta receptor antagonist therapeutic compounds. Moving the 5'-substituent on naltrindole compounds, which are kappa receptor antagonists, converts the compound into either a kappa receptor agonist or a delta receptor antagonist, depending on where the substituent is moved. These new compounds show highly selective pharmacological activity, both in vivo and in vitro. Tissues with bound kappa or delta receptors are useful in measuring receptor selectivity of other potential therapeutic agents, and can be used as a tool to identify potential therapeutic agents for certain diseases or conditions.

Pharmacological Tools and Therapeutic Agents

The kappa opioid receptor is one of three major opioid receptors found in the central nervous system and in periphery. This new selective and potent kappa receptor agonist holds potential as either a pharmacological tool, such as for investigating kappa receptor binding, structure, and function, or as a therapeutic agent, such as an analgesic. Potent and selective agonists are needed to treat kappa receptor function conditions, such as pain or addiction.

Delta Opioid Receptor Antagonist Applications

Numerous conditions may be treated by delta opioid receptor antagonists. Such conditions include immunoregulatory diseases such as rheumatoid arthritis, systemic lupus erythematosus, Sjogren’s Syndrome, multiple sclerosis, chronic lymphocytic leukemia, Type I diabetes, Epstein-Barr virus, and AIDS. Other conditions that may benefit from delta receptor antagonism include some viral infections, such as coronavirus or cytomegalovirus, as well as cocaine addiction.
BENEFITS AND FEATURES OF KAPPA RECEPTOR AGONISTS AND DELTA RECEPTOR ANTAGONISTS:

- Highly selective pharmacological activity
- Analgesic properties may treat pain
- Antagonists may treat addiction
- Used as pharmacological tools for investigating opioid receptors

Phase of Development - Proof of Concept

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