Methods of Preparing and Using Anesthetic Compounds for Treating and Preventing Pain Symptoms

Ref. Nos. Life Sciences - 24.0021

Keywords: pain management, non-opioid, lidocaine, topical, sodium channels, anesthetic

Summary: This invention provides compositions of matter for a topical using voltage gated sodium channels for use in pain management and prevention.

Technology: Anesthetics

Description: Anesthetic agents are commonly used to treat or prevent pain. However, certain classes of anesthetics encourage overuse, abuse, and/or overprescribing. Other agents are potent but provide low bioavailability, especially when administered topically. A need therefore exists for improved anesthetic agents, in particular agents that are effective when applied topically.

Research has been published regarding inhibitors of voltage-gated sodium channels. Of particular interest is that a large body of research has also demonstrated efficacy in laboratory studies of cancer cell line responses to chemotherapy and potential for metastasis. Lidocaine analogues are emerging as possible therapeutics to sensitize cancer cells to chemotherapy and to decrease the chance of metastasis.

The result of this work is a bank of molecules, each of which has been optimized for voltage-gated sodium channel subunit specificity, molecule lipophilicity, and PkA. Researchers then selected candidate molecules with the most potential for clinical efficacy and least potential for side effects. US patents have been obtained for molecules of interest. At this point, the molecules are ready for further development. A key point is that optimization of functional groups on the molecules has intentionally been limited, thereby leaving room for further development.

The present set of patents therefore provides compounds useful as anesthetics, especially as topical anesthetics. The present disclosures further provides compositions of matter comprising a therapeutically effective amount of a compound and methods of treating or preventing pain in a subject by administering (e.g., topically applying) such compositions to the subject.

Competitive Advantages:

Non-Opioid Pain Management
Inhibition of Voltage Gated Sodium Channels
Topical with High Bioavailability

Potential Commercial Applications:

Topical Pain Management
Non-Opioid Anesthetic
Chemotherapy Sensitization for Solid Tumors
Further Development of Functional Groups

Possible

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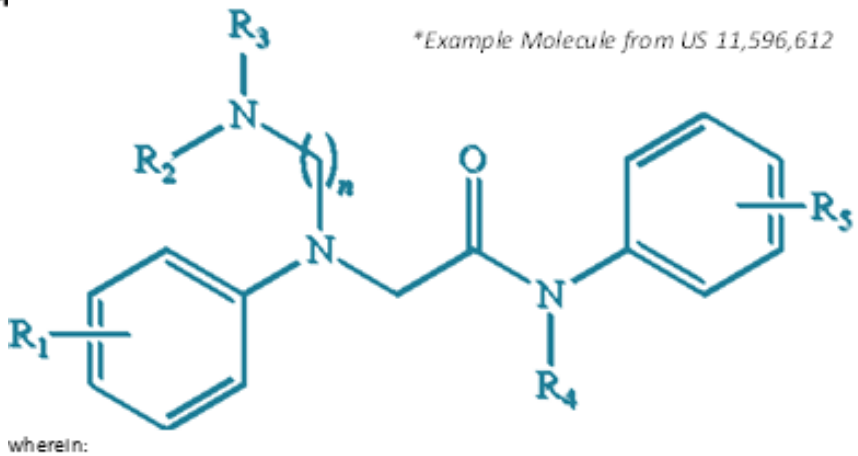
**Example Molecule from US 11,596,612*



wherein:

R1 is H, —OMe, Me, or one or more electron withdrawing groups;
R2 and R3 are each independently H or alkyl or, taken together, form a 4- to 8-membered heterocyclic ring with the adjacent nitrogen atom;
R4 is H or alkyl;
R5 is H or one or more electron donating groups; and
n is 1 to 4.

Intellectual Property Asset Portfolio:

#	TYPE	EXTFAM	SIMFAM	Pub #	Expires	Grade	Title
1	Patent	94169211	85386857	US11806323	2042	98	Topical anesthetics
2	Patent	94169211	85386857	US11596612	2042	80	Topical anesthetics
3	Patent	94169211	85386857	US11866390	2043	91	Anesthetic compounds and methods of making and using same to treat or prevent pain symptoms
4	Patent	94169211	85386857	US11866391	2043	90	Anesthetic compounds and methods of making and using same to treat or prevent pain symptoms
5	Patent	94169211	85386857	US11845709	2043	92	Anesthetic compounds and methods of making and using same to treat or prevent pain symptoms
6	Patent	94169211	85386857	US11786493	2043	94	Anesthetic compounds and methods of making and using same to treat or prevent pain symptoms
7	Patent	94169211	85386857	US11814335	2043	93	Anesthetic compounds and methods of making and using same to treat or prevent pain symptoms
8	Patent	94169211	85386857	US11866392	2043	91	Anesthetic compounds and methods of making and using same to treat or prevent pain symptoms
9	App	94169211	85386857	WO2023172603	2024	73	Anesthetic compounds and methods of making and using same to treat or prevent pain symptoms
10	App	94169211	85386857	US20230399292	2043	72	Anesthetic compounds and methods of making and using same to treat or prevent pain symptoms

Intellectual Property Asset(s) - Summary Patent(s) Review:

1. **US 11,596,612 (03/2022):** This patent focuses on a specific topical anesthetic formulation. It covers the composition and application method, providing a foundation for subsequent developments in topical anesthetics and lays the foundation for additional patent family members, innovations and market leadership.

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2. **US 11,806,323 (11/2022):** This patent builds upon the previous one, introducing improvements and variations in topical anesthetic formulations. This includes new active ingredients & methods of enhancing the efficacy and stability of the product.

3. **US 11,786,493B2 (03/2023), US 11,845,709B2 (03/2023), US 11,866,390B2 (07/2023), US 11,866,391B2 (07/2023), US 11,814,335B1 (07/2023), US 11,866,392B2 (07/2023), US 20230399292A1 (07/2023**): These patents focus on specific anesthetic compounds and methods for making and using the anesthetic compounds to treat or prevent pain symptoms. They include various chemical formulations and manufacturing processes enhancing the effectiveness and delivery of the anesthetics.

4. **WO2023172603A1 (03/2023):** This international patent application mirrored the US patents, extended the protection and potential market reach to other countries, ensuring broader coverage for the anesthetic formulations and methods described.

Robustness of the Portfolio:

Comprehensive Coverage: This portfolio is robust because it provides comprehensive coverage across several key aspects of topical anesthetic technology:

- **Formulation:** Numerous patents cover various formulations, ensuring that different combinations and improvements are protected.

- **Application Methods:** Patents on methods of making and using these anesthetics protect the processes and applications, making it harder for competitors to develop similar products without infringing select patent assets or the portfolio overall.

- **Chemical Compounds:** By securing patents on specific anesthetic compounds, the portfolio protects the unique chemical entities that contribute to the effectiveness of the products.

Market Protection: These patents collectively create significant barriers to entry for competitors. They protect not only the final product but also the processes and methods used to create them. This means competitors would need to navigate around multiple patents, which is challenging and costly.

Conclusion: Together, these patents form a robust portfolio that covers a wide range of technological aspects of topical anesthetics. The comprehensive nature of the claims combined with the strategic independent claim strategies provide strong market security and competitive advantages. This strategic approach ensures that the innovations are well-protected from various angles, aligned to today's market needs while making it difficult for competitors to replicate or bypass these technologies without infringement. (Source: United States Patent & Trademark Office)

Developmental Status: Proof of concept and pre-clinical development are completed. Further clinical development and testing is needed.

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