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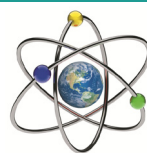


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- 13.00.00 Pedagogika fanlari
- 13.00.01 Pedagogika nazariyasi. Pedagogik ta'limotlar tarixi
- 13.00.02 Ta'lim va tarbiya nazariyasi va metodikasi (sohalar bo'yicha)
- 13.00.03 Maxsus pedagogika
- 13.00.04 Jismoniy tarbiya va sport mashg'ulotlari nazariyasi va metodikasi
- 13.00.05 Kasb-hunar ta'limi nazariyasi va metodikasi
- 13.00.06 Elektron ta'lim nazariyasi va metodikasi (ta'lim sohaları va bosqichlari bo'yicha)
- 13.00.07 Ta'limda menejment
- 13.00.08 Maktabgacha ta'lim va tarbiya nazariyasi va metodikasi
- 13.00.09 Ijtimoiy pedagogika
- 07.00.00 Tarix fanlari
- 19.00.00 Psixologiya fanlari
- 01.00.00 Fizika-matematika fanlari
- 02.00.00 Kimyo fanlari
- 03.00.00 Biologiya fanlari
- 09.00.00 Falsafa fanlari
- 10.00.00 Filologiya fanlari
- 11.00.00 Geografiya fanlari

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LOCAL ANESTHESIA AND CONDUCTION ANESTHESIA

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Abstract: This study is devoted to the characterization of popular local anesthetics and the determination of the most effective drugs for local anesthesia. The authors conducted a review of current scientific publications examining the properties of local anesthetics, their pharmacokinetic and pharmacodynamic characteristics, as well as methods of application. The study was carried out in two directions: using traditional printed sources and online databases. The collected data allowed for a comparative analysis of the medications, taking into account their beneficial properties and potential side effects. As a result of this analysis, the drug with the optimal balance of favorable characteristics was identified. During the research, key criteria determining the choice of the drug were identified: efficacy, duration of the latent period, duration of action, and level of toxicity. To perform local anesthesia during a surgical intervention, an anesthetic with high efficacy and a rapid onset of action (short latency time) is required. It is essential that the anesthetic provide a long-lasting analgesic effect, allowing for a single administration even during prolonged surgery while maintaining low toxicity. Seven local anesthetics were analyzed in the study: procaine (novocaine), lidocaine, tetracaine (dicaine), benzocaine (anesthesin), articaine, mepivacaine, and bupivacaine. Comparative data revealed certain disadvantages for each drug. Procaine (novocaine) is prone to causing allergic reactions, while lidocaine is characterized by a relatively short duration of action. The high toxicity of tetracaine (dicaine) makes it unsuitable for conduction, infiltration, and epidural anesthesia. Benzocaine (anesthesin), due to its low water solubility, is not suitable for parenteral administration. Articaine stands out among local anesthetics due to its high potency-to-toxicity ratio, which accounts for its priority use in clinical practice as a local anesthetic.

Key words: surgical operation, analgesia, local anesthetic drugs.

Annotatsiya: Mazkur tadqiqot keng qo'llaniladigan mahalliy anesteziyklarni tavsiflash hamda mahalliy anesteziya uchun eng samarali preparatni aniqlashga bag'ishlangan. Mualliflar mahalliy anesteziyklarning xossalari, ularning farmakokinetik va farmakodinamik xususiyatlari, shuningdek, qo'llash usullariga bag'ishlangan zamonaviy ilmiy manbalarni tahlil qildilar. Tadqiqot ikki yo'nalishda olib borildi: an'anaviy bosma manbalardan hamda onlayn ma'lumotlar bazalaridan foydalanildi. To'plangan ma'lumotlar preparatlarni ularning ijobiy xususiyatlari va mumkin bo'lgan nojo'ya ta'sirlarini hisobga olgan holda qiyosiy tahlil qilish imkonini berdi. Tahlil natijasida eng maqbul xususiyatlar muvozanatiga ega bo'lgan preparat aniqlandi. Tadqiqot davomida preparat tanlashning asosiy mezonlari belgilandi: samaradorlik, latent davr davomiyligi, ta'sir muddati va toksiklik darajasi. Jarrohlik amaliyoti vaqtida mahalliy anesteziya o'tkazish uchun yuqori samaradorlikka va tez ta'sir boshlanishiga (qisqa latent davrga) ega anesteziyalar talab etiladi. Anesteziya uzoq muddatli analgetik ta'sirni ta'minlashi, hatto uzoq davom etadigan operatsiyalarda ham bir martalik yuborish imkonini berishi hamda past toksiklikni saqlashi muhimdir. Tadqiqotda yetti ta mahalliy anesteziyalar tahlil qilindi: prokain (novokain), lidokain, tetrakain (dikain), ben-zokain (anestezin), artikain, mepivakain va bupivakain. Qiyosiy tahlil har bir preparatning ma'lum kamchiliklari mavjud-ligini ko'rsatdi. Prokain (novokain) allergik reaksiyalarni keltirib chiqarishga moyil, lidokain esa nisbatan qisqa ta'sir mud-dati bilan tavsiflanadi. Tetrakain (dikain)ning yuqori toksikligi uning o'tkazuvchan, infiltratsion va epidural anesteziyada qo'llanilishini cheklaydi. Benzokain (anestezin) suvda past eruvchanligi sababli parenteral yuborish uchun yaroqsizdir. Artikain samaradorlik va toksiklik nisbati yuqoriligi bilan boshqa mahalliy anesteziyalar orasida ajralib turadi, bu esa uning klinik amaliyotda ustuvor qo'llanilishiga sabab bo'ladi.

Kalit so'zlar: jarrohlik operatsiyasi, analgeziya, mahalliy anesteziyalar.



Аннотация: Настоящее исследование посвящено характеристике наиболее распространённых местных анестетиков и определению наиболее эффективных препаратов для местной анестезии. Авторами проведён обзор современных научных публикаций, посвящённых изучению свойств местных анестетиков, их фармакокинетических и фармакодинамических характеристик, а также методов применения. Исследование осуществлялось по двум направлениям: с использованием традиционных печатных источников и онлайн-баз данных. Собранные материалы позволили провести сравнительный анализ препаратов с учётом их положительных свойств и возможных побочных эффектов. В результате анализа был определён препарат с оптимальным соотношением благоприятных характеристик. В ходе исследования были выявлены ключевые критерии выбора препарата: эффективность, длительность латентного периода, продолжительность действия и уровень токсичности. Для проведения местной анестезии при хирургическом вмешательстве требуется анестетик с высокой эффективностью и быстрым началом действия (коротким латентным периодом). Важно, чтобы анестетик обеспечивал продолжительный анальгезирующий эффект, позволяя однократное введение даже при длительных операциях при сохранении низкой токсичности. В исследовании были проанализированы семь местных анестетиков: прокаин (новокаин), лидокаин, тетракаин (дикаин), бензокаин (анестезин), артикаин, мепивакаин и бупивакаин. Сравнительные данные выявили определённые недостатки каждого препарата. Прокаин (новокаин) склонен вызывать аллергические реакции, тогда как лидокаин характеризуется относительно короткой продолжительностью действия. Высокая токсичность тетракаина (дикаина) ограничивает его применение при проводниковой, инфильтрационной и эпидуральной анестезии. Бензокаин (анестезин) вследствие низкой растворимости в воде не пригоден для парентерального введения. Артикаин выделяется среди местных анестетиков благодаря высокому соотношению эффективности и токсичности, что обуславливает его приоритетное применение в клинической практике.

Ключевые слова: хирургическая операция, анальгезия, местные анестетики.

INTRODUCTION

As a subjective sensation, pain plays an important role in the body's adaptation by activating protective mechanisms to maintain its integrity and well-being. At the same time, pain serves as an alarm signal indicating excessive loads exerted on the body.

LITERATURE REVIEW

From the point of view of neurophysiology, pain arises due to a complex interaction of nociceptive and antinociceptive mechanisms, which together determine our perception of damage of varying severity ^[14,20].

Often, pain acts as an undesirable physiological reaction, and its suppression can contribute to the patient's recovery. To reduce the discomfort associated with treating wounds using anesthetic solutions, anesthetics are included in their composition. Compared to other antimicrobial agents, anesthetics have several advantages. For example, they are effective against a wider range of pathogenic microbes, unlike antibiotics. It is important to note that the development of resistance to anesthetics is extremely rare, in contrast to antibiotics. The use of local anesthetics significantly increases the acceptability of these drugs for wound treatment ^[12].

Mainly, local anesthetics are used during surgical interventions. Surgical intervention represents not only physical trauma but also significant stress for the body. During surgery, when the scalpel cuts tissue, a chain of undesirable reactions is triggered. These include psycho-emotional disorders, changes in the cardiovascular system and electrolyte balance, as well as damage to tissues and organs. All these phenomena are collectively referred to as "operational stress." Currently, anesthesia methods are aimed not only at eliminating pain sensations, which is important in itself, but also at maintaining normal body functioning during surgical intervention ^[5]. No less important is the concept of adequate postoperative analgesia, which positively affects patients' well-being and contributes to faster recovery ^[3].

In operations on the limbs and pelvic organs, it is often sufficient to apply local anesthesia, for example, conduction or epidural anesthesia ^[7]. Local anesthesia implies the interruption of pain signal transmission from the site of the operation. This process can be implemented at various levels, starting from the pain receptors themselves and ending at the segments of the spinal cord. It is possible to block pain impulses not only with the help of drugs but also through physical methods: cooling (for example, the use of ethyl chloride for surface anesthesia), electroanalgesia, or electroacupuncture. Nevertheless, pharmacological local anesthesia demonstrates the best results in suppressing pain. Blockades are performed by creating a barrier around the nerve bundle using anesthetic solutions injected either into the surrounding tissues or into the epidural space ^[22].

The choice of an appropriate local anesthetic drug and its concentration is a key point. This study presents a comparative analysis of various local anesthetic agents with an emphasis on characteristics that are most important for medical practice, particularly for conducting blockades. To systematize and summarize the information, the method of scientific literature analysis was used.

The analysis was conducted on the basis of the most recent scientific publications dedicated to local anesthetic drugs. The study examined issues related to their pharmacological action, the dynamics of onset and duration of effect, as well as possible adverse reactions. Information was collected from both printed sources and open-access databases on the Internet. The history of the development of local anesthetics dates back to the second half of the 19th century. The high toxicity of widely used anesthetics, such as ether and chloroform, as well as the desire to limit anesthesia strictly to the operating area, prompted surgeons to seek alternative solutions. One of these options was the use of local hypothermia achieved by applying ice, sometimes mixed with salt to enhance the cooling effect. However, this type of local anesthesia proved to be incomplete and of limited duration. The discovery of the hollow needle by Francis Rynd in 1844 marked the beginning of progress in the field of parenteral drug delivery methods ^[16,24].

In 1855, Alexander Wood became the first to attempt treating attacks of neuralgic pain by directly injecting a morphine solution into the affected nerve. The experiment yielded positive results, and the published article "A New Method of Treating Neuralgia by the Direct Application of Opiates to the Painful Points" caused great resonance in scientific circles ^[8]. With the further development of this technology, local anesthesia received a powerful impetus.

RESEARCH METHODOLOGY

Currently, about fourteen compounds possessing local anesthetic properties are used in medical practice. Among them are articaine, tetracaine, procaine, bromanilide diethylaminopropanoic acid, proxymetacaine, bupivacaine, benzocaine, diclofenac, cocaine, dimethylaminoethyl ether of p-butylaminobenzoic acid hydrochloride, mepivacaine, pramocaine, lidocaine, and oxybuprocaine. From these compounds, medicines with a local analgesic effect are synthesized. The mechanism of action of local anesthetics has been well studied. All of them are structurally based on either ester or amide molecules. The presence of functional groups, mainly amino groups (except in benzocaine), converts local anesthetic molecules into weak bases. This feature allows them to exist in two forms: ionized and non-ionized. Non-ionized molecules possess lipophilicity, enabling them to penetrate lipid cell membranes easily. In contrast, ionized molecules, being hydrophilic, cannot freely cross membranes and require specific channels for intracellular access. Although less permeable, the ionized form exhibits greater reactivity and a higher tendency to bind to membrane receptors, such as ion channels. Non-ionized anesthetic molecules penetrate the neuronal membrane, overcoming the axonal sheath barrier. Inside the cell, ionization occurs, forming anesthetic cations. These cations interact with receptor sites located on the internal portions of Na⁺ channels, thereby blocking their activity. Such blockade of Na⁺ channels prevents membrane depolarization, which is necessary to reach the threshold potential. As a result, the nerve impulse cannot be successfully conducted ^[2,13].

In pharmacology, local anesthetics are classified according to several criteria. One of the primary parameters is potency, which reflects the ability of the anesthetic to penetrate cell membranes and is closely associated with lipid solubility and the dissociation constant (pK_a). Another important parameter is the latent period, defined as the time required for the onset of the anesthetic effect after drug administration. Its duration depends on both the concentration of the solution and the dissociation constant. The ionization of substance molecules in an aqueous medium reaches its maximum degree when the pH shifts toward either acidic or alkaline conditions. Under acidic conditions, the amino group acquires a proton, leading to ionization and reducing the substance's ability to penetrate cell membranes. Conversely, under highly alkaline conditions, ionization of local anesthetic (LA) molecules occurs minimally, which decreases their capacity to interact effectively with Na⁺ channel receptors. The pK_a value represents the pH at which the substance exists in dynamic equilibrium between ionized and non-ionized forms. At this point, the drug can both penetrate cell membranes and bind to Na⁺ channel receptors. The effectiveness of local anesthetics increases as the pK_a approaches physiological pH ^[2].

ANALYSIS AND RESULTS

The duration of LA action is determined by three main factors: the strength of interaction with sodium ion receptors, the pK_a value, as well as the rate of local blood flow and the metabolism of the substance in the body. The toxicity of LA is directly related to the concentration and volume of the injected agent, its lipid solubility, and its ability to bind to blood proteins, since freely circulating LA molecules are capable of causing systemic toxicity. Let us consider indicators such as effectiveness, onset time, duration of action, and toxicity in order to compare different types of local anesthesia. An analysis of these parameters makes it possible to determine the most suitable option for performing a blockade. It is important that the anesthetic has a rapid onset in order to reduce the overall duration of the operation. In addition, it must exhibit low toxicity and selectively affect sensory nerve fibers. For surgical manipulations, it is essential that the anesthesia lasts for a sufficient period of time.



The ideal drug should have a short onset time (latent period) and a prolonged duration of action while being effective and as minimally toxic as possible. Novocaine, the first of the modern anesthetics, became the gold standard because it is less toxic than many other anesthetics. Its toxicity and effectiveness became the reference point for comparison. All other anesthetics are evaluated in terms of its characteristics. To justify this comparison, let us consider the features of novocaine's action. Novocaine, belonging to ester anesthetics, is a diethylaminoethyl ester of para-aminobenzoic acid hydrochloride. In the practice of conduction anesthesia, a 1-2% solution of novocaine is used, providing anesthesia for 30 minutes to one hour. The maximum permissible single dose is 1000 mg. Due to its vasodilatory effect, it is recommended to use novocaine in combination with vasoconstrictors ^[1,4].

Its effectiveness in conduction anesthesia is limited because of its relatively weak anesthetic potency. In addition, it is known as a strong allergen and ranks fourth in the frequency of anaphylactic shock cases associated with its use, which may be explained by its widespread availability and application. Among amide anesthetics, lidocaine (xylocaine) occupies a prominent place. It is used in various types of anesthesia at concentrations of 1-3%. Its anesthetic effect is 2.5 times stronger than that of novocaine and lasts twice as long – from two to four hours. The onset time (latent period) is very short – only 2-5 minutes. Similar to novocaine, lidocaine is often combined with vasoconstrictors (VC), such as adrenaline, although it does not exhibit a significant vasodilatory effect on its own. The maximum single dose of lidocaine is 500 mg without adrenaline and 1000 mg in combination with vasoconstrictors.

An increase in the dosage of lidocaine proportionally increases its toxicity, making it approximately twice as toxic as novocaine. Its systemic (resorptive) action produces anticonvulsant and antiarrhythmic effects. Dicaïne, also known as tetracaine, belongs to the group of ester local anesthetics. Its analgesic effect is eight times stronger than that of novocaine; however, its toxicity is ten times higher, which limits its use primarily to ENT practice for anesthesia of mucous membranes. Benzocaine, also known as anesthesin, is an anesthetic whose structure is based on the ethyl ester of 4-aminobenzoic acid. Its low water solubility limits its use in conduction anesthesia. Articaine, an amide anesthetic, has high water solubility. This property allows it to penetrate nerve cells rapidly, reaching high intracellular concentrations, which results in a short latent period (1-3 minutes) and a pronounced anesthetic effect. Owing to its high binding affinity to plasma proteins, articaine reduces the risk of systemic toxicity. Unlike many other amide anesthetics, articaine has limited ability to cross the placental barrier and does not significantly penetrate the central nervous system because of low vascular endothelial permeability. As a result, articaine is absorbed into the bloodstream more slowly and remains at the injection site for a longer period ^[6,15].

The relatively rare occurrence of adverse reactions makes mepivacaine suitable for use in pregnant women and children. Mepivacaine, like lidocaine, belongs to the group of substituted amides and demonstrates similar potency and toxicity ^[23].

Unlike many other anesthetics, mepivacaine does not dilate but rather constricts blood vessels, which makes it possible to use concentrations up to 3% without the need to add adrenaline ^[1]. Bupivacaine, also belonging to substituted amides, has a pronounced local anesthetic effect. Its analgesic effect is 4-8 times stronger than that of novocaine. Among local anesthetics, it is distinguished by its prolonged duration of action. It is important to note that its toxicity is 5-6 times higher than that of novocaine. In clinical practice, a 0.25% solution is used. The maximum permissible dose should not exceed 2 mg per kilogram of body weight. Studying the ratio of effectiveness to toxicity provides the most comprehensive understanding of an anesthetic's properties. The data presented in the table demonstrate that articaine surpasses other drugs according to this indicator. Its toxicity is lower than that of lidocaine, while its effectiveness is higher. It is also important to note that articaine differs from novocaine and bupivacaine by having a shorter latent period, and in terms of duration of action, it surpasses novocaine. In addition, articaine does not demonstrate significant systemic toxicity and does not effectively cross the blood-brain or placental barriers. Considering these characteristics, it can be confidently concluded that articaine is the first-line drug for local anesthesia.

CONCLUSION

Many surgical operations are performed annually, but not all require a complete shutdown of consciousness, that is, the use of general anesthesia. In a number of cases, local anesthesia is sufficient to provide the patient with adequate pain relief. It is important to note that the level of analgesia directly affects how much pain the patient experiences during the operation. Analysis of the reviewed literature identified articaine as the optimal option for local anesthesia. Its advantages lie in its favorable potency-to-toxicity ratio and other significant pharmacological parameters. A doctor may resort to any available local anesthetic; however, if there is a choice, it is advisable to give preference to the most effective option.



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- 13.00.00 Pedagogika fanlari
 - 13.00.01 Pedagogika nazariyasi. Pedagogik ta'limotlar tarixi
 - 13.00.02 Ta'lim va tarbiya nazariyasi va metodikasi (sohalar bo'yicha)
 - 13.00.03 Maxsus pedagogika
 - 13.00.04 Jismoniy tarbiya va sport mashg'ulotlari nazariyasi va metodikasi
 - 13.00.05 Kasb-hunar ta'limi nazariyasi va metodikasi
 - 13.00.06 Elektron ta'lim nazariyasi va metodikasi (ta'lim sohaları va bosqichlari bo'yicha)
 - 13.00.07 Ta'limda menejment
 - 13.00.08 Maktabgacha ta'lim va tarbiya nazariyasi va metodikasi
 - 13.00.09 Ijtimoiy pedagogika
 - 07.00.00 Tarix fanlari
 - 19.00.00 Psixologiya fanlari
 - 01.00.00 Fizika-matematika fanlari
 - 02.00.00 Kimyo fanlari
 - 03.00.00 Biologiya fanlari
 - 09.00.00 Falsafa fanlari
 - 10.00.00 Filologiya fanlari
 - 11.00.00 Geografiya fanlari



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