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PHARMACOLOGICAL PROPERTIES AND REGISTERED FORMS OF LIDOCAINE IN UZBEKISTAN

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INTRODUCTION

Lidocaine (Lidocaine) is a local anesthetic agent of amide type, widely used in medical practice for local, regional and conduction anesthesia, as well as for the treatment of ventricular arrhythmias. A wide range of lidocaine-based drugs including different dosage forms, manufacturers and pharmacological characteristics are registered in Uzbekistan. The aim of this article is to analyze the pharmacological properties and registered forms of lidocaine in Uzbekistan.

METHODS.

Data from the State Register of Medicinal Products including tables of registered preparations containing lidocaine were used for analysis. Pharmacologic information was compiled from official instructions and annotated reference books.

RESULTS

More than 20 names of medicinal products containing lidocaine are registered in Uzbekistan. The most common form of release are solutions for injection with concentration of 1% and 2%, produced in glass and polyethylene ampoules. Eye drops, aerosols, sprays and patches are also registered. The manufacturers are both local (Radiks, LLC; Merrymed Farm, LLC; Uzgermed Pharm, JV LLC, etc.) and foreign companies from China, India, Armenia, Hungary, Belarus, Russia and other countries.

All preparations are classified in the pharmacotherapeutic group 'Local anesthetic agent' and are dispensed by prescription. Main ATX codes: C01BB01, N01BB02, S01HA07. Pharmacologically, lidocaine is characterized by rapid onset of action (1 min when administered intravenously), medium duration (up to 90 minutes) and effective blockade of sodium channels, providing reversible local anesthesia.

All drugs are available by prescription.

The drugs cover local and foreign manufacturers from Uzbekistan, China, India, Armenia, Belarus, Hungary, Russia, Italy, Georgia and Moldova.

Dosage Form	Concentrations	Packaging	Manufacturers
Injection solutions	1%, 2%	Ampoules (5, 10, 25, 50	Radiks, MerryMed,

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Dosage Form	Concentrations	Packaging	Manufacturers
		pcs), glass/plastic	Mediofarm, etc.
Eye drops	4%, 0.5 ml	Dropper bottles	Jurabek Laboratories, Alfa Intes
Sprays and aerosols	5%, 10%	Bottles with mechanical sprayer	Egis, Arpimed, Flumed-Pharm
Patches	3.6%	Patch packages	Rusan Pharma (India)
Solutions for local application	—	Ampoules, aerosols, bottles	Various manufacturers

Table 1. Registered forms of lidocaine in Uzbekistan

The pharmacological action of lidocaine lies in its ability to block sodium channels located in the membrane of neurons, thereby reducing its permeability to sodium ions. Lidocaine also has a pronounced antiarrhythmic effect and belongs to class 1B according to the classification of antiarrhythmics, reducing automaticity and excitability of the heart muscle by shortening the action potential, especially in ischemic areas of myocardium. The drug is characterized by a rapid onset of action: approximately 1 minute after intravenous administration and 10-15 minutes after intramuscular administration. Duration of its effect varies from 20 minutes (intravenous/m) to 60-90 minutes (intravenous). Due to its high lipophilicity, it is rapidly distributed in body tissues, providing a stable and predictable clinical effect.

Pharmacokinetics of lidocaine depends on the route of administration: the highest absorption is noted at intercostal blockade, lower - at epidural and subcutaneous administration. The drug is intensively metabolized in the liver - about 90% of the dose with the formation of metabolites (monoethylglycinexylidide, glycinexylidide), which may accumulate in case of liver and kidney dysfunction. Excreted predominantly with urine, less than 10% is unchanged. Half-life (T½) - on average 1.5-2 hours, in case of prolonged infusion may reach 3 hours. Maximum dose for adults - up to 300 mg, in children and elderly patients - reduced. Side effects are possible in the heart (bradycardia, hypotension, cardiac arrest), CNS (convulsions, tremor), respiration (bronchospasm, respiratory depression), immune system (rash, anaphylaxis), vision (double vision, blurred vision). Contraindications include hypersensitivity, severe cardiac conduction disorders, shock, age under 1 year (1% solution) and under 18 years (2% solution), infections at the injection site. In pregnancy it is allowed to use by indications, especially with caution in the III trimester. With prolonged use requires monitoring of liver and kidney function. Caution is required in combination with antiarrhythmics, opioids, sedatives and anticoagulants.

DISCUSSION

Analysis of drugs registered in Uzbekistan has shown that 23 names of drugs containing the active substance lidocaine are present in the national pharmaceutical market. About 70% of them (16 preparations) are presented in the form of solution for injection with concentration of 1% or 2%, which confirms their dominant use in clinical practice for infiltration, conduction and regional anesthesia. Three preparations (13%) are available in the form of sprays or aerosols, which is convenient for outpatient anesthesia of mucous membranes and

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skin. There are also eye drops (2 preparations) and one preparation in the form of a transdermal patch, which indicates the expansion of the range of lidocaine use beyond the traditional injectable form. The manufacturers are both local pharmaceutical companies (about 50%), such as Radiks, Merrymed Farm, Jurabek Laboratories, and foreign manufacturers from Hungary, China, India, Armenia and other countries, which indicates a wide international representation and high demand for this active substance.

Pharmacological characteristics of lidocaine - rapid onset of action (1 min for IV, 10-15 min for IM), duration up to 90 minutes - make it an optimal choice for short-term anesthesia. At the same time, its T½ is about 3 hours, and less than 10% of the substance is excreted unchanged, which requires caution when administering to patients with hepatic and renal dysfunction. The maximum recommended dose is 300 mg in adults and should be reduced in children and elderly patients. Side effects from the cardiovascular, nervous and respiratory systems, including bradycardia, seizures, bronchospasm, have been reported, which requires anesthesia to be administered only by experienced professionals.

CONCLUSIONS.

Thus, lidocaine remains one of the most versatile and sought-after drugs in anesthesiology and urgent care. Its widespread use is confirmed by the variety of registered forms of release in Uzbekistan, half of which are produced by domestic companies, which ensures stable availability of the drug. Due to the combination of high efficacy, rapid action and acceptable safety profile, lidocaine occupies an important place in the list of essential drugs. However, its use requires an individualized approach to dosage, assessment of the risks of side effects and strict medical control, especially when used in vulnerable categories of patients - children, the elderly, pregnant women and people with chronic liver and kidney diseases.

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