



Chemical and **B**iopharmaceutical **T**echnologies

collection of scientific
papers

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V. Bessarabov, V. Lubenets

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ДОСЛІДЖЕННЯ ІНГІБУЮЧИХ ВЛАСТИВОСТЕЙ ДЕЗЛОРАТАДИНУ ПРИ ГІДРОЛІЗІ НОВОКАЇНУ БУТИРИЛХОЛІНЕСТЕРАЗОЮ

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Місцеві анестетики широко використовуються для полегшення локалізованих симптомів хронічного та гострого болю. Одним із найбільш відомих і популярних анестезуючих засобів є новокаїн. Однак зазвичай він застосовується для нетривалих медичних маніпуляцій через короткотривалість його дії, яка обумовлена гідролізом новокаїну ферментом бутирилхолінестеразою (БХЕ) в організмі людини. Тому, відповідно, для подовження дії даного місцевого анестетику актуальним завданням є пошук інгібіторів процесу розкладання новокаїну БХЕ.

У даній роботі в якості потенційного інгібітора було обрано антигістамінний активний фармацевтичний інгредієнт (АФІ) другого покоління, – дезлоратадин, адже в науковій літературі наявні дані щодо його здатності інгібувати ацетилхолінестеразу, що, відповідно, підтверджує перспективу перевірки його впливу на БХЕ.

Мета дослідження: вивчення впливу дезлоратадину на швидкість гідролізу новокаїну бутирилхолінестеразою сироватки крові людини.

Матеріали і методи дослідження. Дослідження швидкості розкладання новокаїну бутирилхолінестеразою в присутності дезлоратадину проводилися *ex vivo* спектрофотометрично на УФ-спектрофотометрі SPECORD 200 (Analytic Jena, Німеччина). Кількісне вираження швидкостей гідролізу новокаїну бутирилхолінестеразою здійснювали через розрахунок констант швидкості першого порядку.

Результати дослідження. Встановлено, що дезлоратадин виявляє дозозалежні інгібуючі властивості по відношенню до процесу гідролізу новокаїну бутирилхолінестеразою сироватки крові людини. Уже в концентрації 25 мкМ даний антигістамінний АФІ достовірно ($p \leq 0,05$) уповільнює швидкість гідролізу новокаїну в 3,9 рази ($K_n^1 = (0,85 \pm 0,07) \times 10^{-3} \text{с}^{-1}$; $K_n^1_{25} = (0,22 \pm 0,01) \times 10^{-3} \text{с}^{-1}$). При збільшенні концентрації дезлоратадину до 50 та 75 мкМ константи швидкості першого порядку відповідно зменшилися у 7,7 та 12,1 рази ($K_n^1_{50} = (0,11 \pm 0,02) \times 10^{-3}$ та $K_n^1_{75} = (0,07 \pm 0,01) \times 10^{-3}$).

Висновки. Згідно з отриманими результатами можна стверджувати, що при використанні комбінації антигістамінного активного фармацевтичного інгредієнта дезлоратадину з новокаїном можна забезпечити пролонгуючий ефект місцевого анестезуючого засобу.