Ege Üniversitesi Yayınları
Fen Fakültesi Yayın No: 223

1st International Congress on Solutions in Science (INSCORE) Online 11-13 September 2023 İzmir – Türkiye

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İZMİR - 2023

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E-ISBN: 978-605-338-425-0

Ege Üniversitesi Üst Yayın Komisyonu'nun 24.09.2023 tarih ve 04/06 sayılı kararı ile yayınlanmıştır.

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e-Yayın Tarihi: Ekim, 2023

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[^{99m}Tc]Tc-dexketoprofen trometamol: radiolabeling and quality control studies

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Abstract

Non-steroidal anti-inflammatory drugs (NSAIDs), the most commonly used drugs for pain treatment, are known as 'anti-inflammatory analgesics'. NSAIDs exert anti-inflammatory activity by directly suppressing prostaglandin synthesis *via* inhibition of the cyclooxygenase (COX) enzyme, which suppresses inflammation. Dexketoprofen trometamol (DT) belongs to the NSAIDs group and is used for symptomatic treatment of pain. DT is produced in racemic form, which is a mixture of two enantiomers of arylpropionic acid. The S (+) enantiomer has been shown to pharmacologically inhibit COX. This study aimed to radiolabel DT with [^{99m}Tc]Tc under the appropriate conditions to develop an inflammation-imaging agent. In this study, DT was radiolabeled using [^{99m}Tc]Tc radionuclide, and quality control experiments for [^{99m}Tc]Tc-DT were performed using radioactive thin-layer chromatography (RTLC). In addition, the effects of quality control parameters (reducing agent, incubation time, and pH) on radiolabeling were investigated. Subsequently, a stability study of [^{99m}Tc]Tc-DT was performed and the partition coefficient value of [^{99m}Tc]Tc-DT was calculated. According to the obtained results, [^{99m}Tc]Tc-DT was prepared with over 92% labeling efficiency by a novel, easy, and quick direct method with a 15 min incubation time at pH 9.0. To achieve the best radiolabeling conditions, 250 µg DT, 10 µg stannous chloride dihydrate (reducing agent), and 37 MBq [^{99m}Tc]Tc were used. RTLC studies indicated that [^{99m}Tc]Tc-DT was stable for up to 6 h at room temperature. The log*P* of [^{99m}Tc]Tc-DT was found to be -0.48±0.02, indicating hydrophobic properties. Further studies on the biodistribution of radiolabeled complexes in experimental animals are in progress.

Keywords: dexketoprofen trometamol, radiolabeling, radiopharmaceuticals, technetium-99m.
Acknowledgement: The authors would like to thank the Ege University Nuclear Medicine Department for [^{99m}Tc]Tc.

Ege Üniversitesi
Basımevi
Müdürlüğü

E-ISBN-978-605-338-425-0
9 786053 384250

CERTIFICATE OF ATTENDANCE

1ST INTERNATIONAL CONGRESS ON SOLUTIONS IN SCIENCE

11-13 SEPTEMBER 2023, ONLINE

Meliha Ekinci

POSTER PRESENTATION

"[99mTc]Tc-dexketoprofen trometamol: radiolabeling and quality control studies"

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