CJKSRS2024

The 12th China-Japan-Korea Symposium on Radiopharmaceutical Sciences
The 7th Annual Meeting of the Council of Radiopharmaceutical Sciences,
Japanese Society of Nuclear Medicine
The 23rd Annual Meeting of the Radiopharmaceuticals and Diagnostic Imaging Agents

Date: September 19 (Thu.)—20 (Fri.)

Venue: Kanazawa Art Hall, Kanazawa, Japan

President: Kazuma Ogawa, Ph.D. *Kanazawa University*

The 12th China-Japan-Korea Symposium on Radiopharmaceutical Sciences

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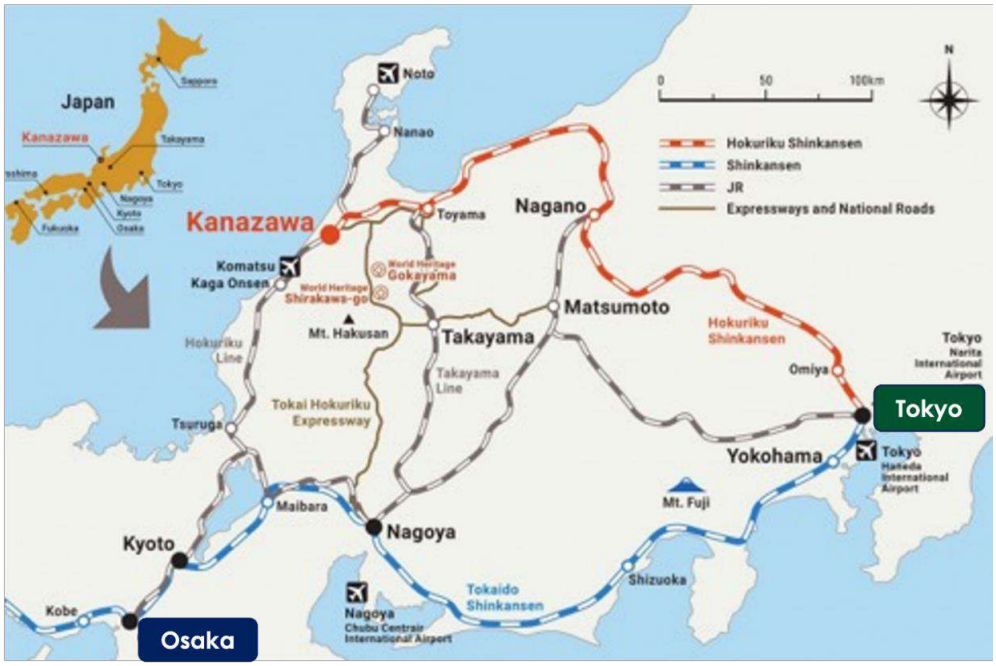
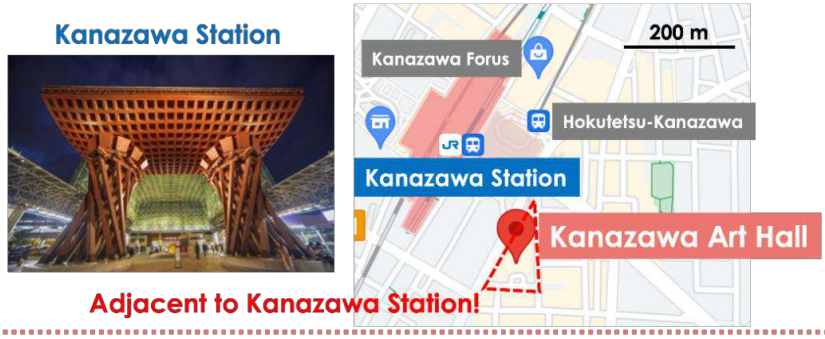
Access

Directions to Kanazawa



Location of Kanazawa Art Hall



Kanazawa Art Hall is located on the 6th floor of Porte Kanazawa.
(Address: 2-15-1 Honmachi, Kanazawa, Ishikawa, 920-0853)

Program Outline

Sep. 19th (Thursday):

Time	Programs
13:00-	Registration
14:30-14:35	Welcome Remarks
14:35-15:25	Oral session 1 Chair: Hua Zhu, Tomoya Uehara O-01-O-05
15:25-15:35	Break
15:35-16:25	Oral session 2 Chair: Ming-Rong Zhang, Byung Chul Lee O-06-O-10
16:25-16:35	Break
16:35-17:35	Special Lecture Chair: Kazuma Ogawa Speaker: Seigo Kinuya
17:35-17:50	Taking group photos of participants
18:30-20:30	Welcome Banquets

Sep. 20th (Friday):

Time	Programs
8:30-	Registration
9:00-10:00	Plenary Lecture Chair: Takeshi Fuchigami PL-01-PL-03
10:00-10:10	Break
10:10-11:00	Oral session 3 Chair: Yun-Sang Lee, Mengchao Cui O-11-O-15
11:00-11:10	Break
11:10-12:00	Oral session 4 Chair: Zhen Cheng, Yasushi Kiyono O-16-O-20

12:10-14:30	Lunch on poster session (Foyer, Hallway, Rehearsal room) P-01-P-87 International Organizing Committee Meeting
14:40-15:20	Oral session 5 Chair: LEE, Kyo Chul O-21-O-24
15:20-15:25	Break
15:25-16:15	Oral session 6 Chair: Joo Hyun Kang, Masashi Ueda O-25-O-29
16:15-16:25	Break
16:25-17:10	Young Investigator Award session Chair: Mikako Ogawa YIA-01-YIA-03
17:10-17:30	Presentation Award Announcement Award Ceremony
17:30-17:40	Introduction of upcoming symposium
17:40-17:50	Closing Ceremony

Information for Participants

Registration Desk

Location: Elevator Hall, Kanazawa Art Hall
Opening hours: Sep. 19 (Thu.), 13:00-18:00
Sep. 20 (Fri.), 8:30-16:25

Please receive your participation card and participation certificate at the reception desk. A name holder will be provided, so please be sure to wear your participation card at the venue.

If you wish to apply on the day of the event, please complete the procedures at the reception desk.

*Participation fee: Non-student, 12,000 JPY, Student, 6,000 JPY

Cloakroom

Location: Elevator Hall, Kanazawa Art Hall
Opening hours: Sep. 19 (Thu.), 13:00-18:00
Sep. 20 (Fri.), 8:30-18:00

Welcome Banquets

Location: Medium-Sized Banquet Hall, Kujaku, 3F, Hotel nikko kanazawa
Date & Time: Sep. 19 (Thu.), 18:30-20:30

Conference Abstract

Abstracts are also posted on the conference website. Please use the following password to open the abstract. **Password: CJKSRS2024@Kanazawa**

Other information

Audio recording, photography, and video recording are strictly prohibited in venues.

When in a session room, please make sure to set your cell phone to silent mode. When you are using PC, tablet or any devices in the session room, please make sure to turn down the display brightness of their display.

We offer no childcare service during the conference.

P-32* Radioiodination of Olmutinib as Prospective Imaging Probe Targeting EGFR L858R/T790M

Muhammad Multazam[1], Rien Ritawidya[2], Muammar Fawwaz[1]

1 Laboratory of Pharmaceutical Chemistry, Faculty of Pharmacy, Universitas Muslim Indonesia, Urip Sumoharjo KM. 5, Makassar 90-231, Indonesia 2 Research Center for Radioisotope Radiopharmaceutical Technology and Biodosimetry, National Research and Innovation Agency, ORTN-BRIN

P-33* Development of a glutamine-based SPECT imaging agent for the alanine-serine-cysteine transporter in cancer cells

Jinya Higashino[1,2], Jianwei Yao[1], Kakeru Sato[1,3], Taiga Watanabe[1], Yuka Hirayama[1], Ririka Handa[1], Ryotaro Abe[1], Asuka Mizutani[4], Masato Kobayashi[4], Ryuichi Nishii[5], Keiichi Kawai[4,6]

1. Division of Health Sciences Graduate School of Medical Sciences Kanazawa University, Kanazawa, Japan. 2. Ishikawa Prefectural Central Hospital, Kanazawa, Japan. 3. Radiological center, University of Fukui Hospital, Fukui, Japan. 4. Faculty of Health Sciences, Institute of Medical, Pharmaceutical and Health Sciences, Kanazawa University, Kanazawa, Japan.5. Department of Integrated Health Sciences, Graduate School of Medicine, Nagoya University, Japan 6. Biomedical Imaging Research Center, University of Fukui, Fukui, Japan.

P-34* Development of a biphenyl ether-based, radioiodinated small molecular probe for imaging of PD-L1 expression in tumors

Yutaro Okino[1], Tomohiro Tanaka[2], Masashi Ueda[2]

1. Faculty of Pharmaceutical Sciences, Okayama University, Japan; 2. Graduate School of Medicine, Density and Pharmaceutical Sciences, Okayama University, Japan

P-44 Synthesis, Molecular Docking, and Cytotoxic Evaluation of Iodinated Olmutinib as Prospective Imaging Agent Targeting EGFR L858R/T790M

Muammar Fawwaz [1], Kenji Mishiro [2], Arwansyah [3], Ryuichi Nishii [4], Kazuma Ogawa [2]

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**Corresponding author*

P-45 Comparison study of *m*-[^{211}At]astatobenzylguanidine and *m*-[^{131}I]iodobenzylguanidine

Hwi-Soo Lim[1,2], Sang Gyu Hwang[1], SangChul Mun[1], Seyoung Oh[1], Yong Jin Lee[1], Choong Mo Kang[1,2]

1. Division of Applied RI, Korea Institute of Radiological & Medical Sciences, Seoul, Republic of Korea; 2. Radiological and Medico-Oncological Sciences, University of Science and Technology, Seoul, Republic of Korea

P-46 Synthesis and Evaluation of a Radiolabeled Probe for *in vivo* Detection of Hydrogen Peroxide

Toshihide Yamasaki[1], Risa Azuma[1], Kohei Sano[1], Takahiro Mukai[1,]

[1] Kobe Pharmaceutical University, Kobe, Japan

P-47 Effects of ^{225}Ac-DOTA-E[c(RGDfK)]$_2$ on cell cycle and γH2A expression

Mitsuyoshi Yoshimoto[1] , Kohshin Washiyama[2] , Kazunobu Ohnuki[1] , Ayano Doi[1] , Miki Inokuchi[1] , Yukie Yoshii[3] , Anri Inaki[1] , Hirofumi Fujii[1]

1. Division of Functional Imaging, Exploratory Oncology Research & Clinical Trial Center, National Cancer Center, Chiba, Japan 2. Advanced Clinical Research Center, Fukushima Global Medical Science Center, Fukushima Medical University, Fukushima, Japan 3. National Institute of Radiological Sciences, National Institutes for Quantum and Radiological Science and Technology, Chiba, Japan

P-32

Radioiodination of Olmutinib as Prospective Imaging Probe Targeting EGFR L858R/T790M

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[1] Laboratory of Pharmaceutical Chemistry, Faculty of Pharmacy, Universitas Muslim Indonesia, Urip Sumoharjo KM. 5, Makassar 90-231, Indonesia
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Abstract

Introduction: Double mutations L858R/T790M in the epidermal growth factor receptor (EGFR) region often result in resistance to tyrosine kinase inhibitors (TKIs). Third-generation EGFR-TKIs, such as olmutinib, have been developed to target these resistance mutations. Detecting activating L858R/T790M mutations is crucial for identifying suitable patients for therapy. This study aims to synthesize radioiodine-labeled olmutinib for positron emission tomography (PET) imaging to detect EGFR L858R/T790M mutations. **Method:** Nonradioactive iodinated olmutinib, N-{3-iodo-5-[(2-{[4-(4-methylpiperazin-1-yl)phenyl]amino}thieno{3,2-d}pyrimidin-4-yl)oxy] phenyl}acrylamide, was synthesized with precision by introducing an iodine atom into the phenyl group in the 3-aryloxyanilide structure. Subsequently, we prepared radioiodinated [^{131}I]Olmutinib through direct electrophilic aromatic substitution. **Results:** The radiosynthesis showed that the radioactivity of [^{131}I]Olmutinib was over 93%, and the purity was 99%. **Conclusion:** The high radioactivity results indicate that this radiosynthesis procedure is suitable for olmutinib. Additionally, radiolabeled [^{131}I]Olmutinib will be used for in vitro and in vivo evaluation of the EGFR L858R/T790M target.

Keywords: Acrylamide, imaging, L858R/T790M, probe, radiolabelled

P32

Radioiodination of [131I]Olmutinib as Prospective Imaging Probe Targeting EGFR L858R/T790M

Muhammad Multazam[1], Rien Ritawidya[2], Muammar Fawwaz[1*], Wening Lestari[2], Ligwina Dita Partiwi[2]

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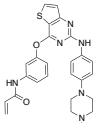
[2] Research Center for Radioisotope Radiopharmaceutical Technology and Biodosimetry, National Research and Innovation Agency, ORTN-BRIN, Indonesia.
* Corresponding author: muammar.fawwaz@umi.ac.id

1 Introduction

Double mutations L858R/T790M in the epidermal growth factor receptor (EGFR) region often result in resistance to tyrosine kinase inhibitors (TKIs). Third-generation EGFR-TKIs, such as olmutinib, have been developed to target these resistance mutations. Detecting activating L858R/T790M mutations is crucial for identifying suitable patients for therapy.



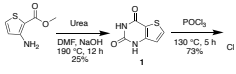
Olmutinib

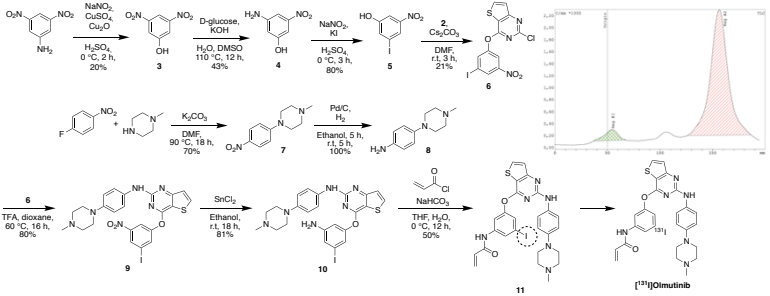
2 Objective

This study aims to synthesize radioiodine-labeled olmutinib for positron emission tomography (PET) imaging to detect EGFR L858R/T790M mutations.

3 Methods

Nonradioactive iodinated olmutinib, N-{3-iodo-5-[(2-{[4-(4methylpiperazin1-yl)phenyl]amino}thieno{3,2d}pyrimidin-4-yl)oxy] phenyl}acrylamide, was synthesized with precision by introducing an iodine atom into the phenyl group in the 3-aryloxyanilide structure. Subsequently, we prepared radioiodinated [131I]Olmutinib through direct electrophilic aromatic substitution.





4 Results

The radiosynthesis showed that the radioactivity of [131I]Olmutinib was over 93%, and the purity was 99%.

5 Conclusion

The high radioactivity results indicate that this radiosynthesis procedure is suitable for olmutinib. Next, [131I]Olmutinib will be used for in vitro and in vivo evaluation of the EGFR L858R/T790M target.

P-44

Synthesis, Molecular Docking, and Cytotoxic Evaluation of Iodinated Olmutinib as Prospective Imaging Agent Targeting EGFR L858R/T790M

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Abstract

Introduction: The utilization of radiolabelled tyrosine kinase inhibitors (TKIs) for imaging non-small cell lung cancer (NSCLC) has garnered interest due to their interaction with the epidermal growth factor receptor (EGFR). Olmutinib (OTB), a third-generation EGFR TKI targeting the EGFR L858R/T790M mutation, is the focus of our study. We seek to evaluate the interaction of the iodinated OTB (I-OTB) with the receptor through molecular docking. Additionally, we synthesized I-OTB and assessed its activity against EGFR L858R/T790M through in vitro cytotoxicity assays. **Methods**: A molecular docking simulation was conducted using the AutoDock Vina program to estimate the ligand-receptor interaction. I-OTB, N-{3-iodo-5-[(2-{[4-(4-methylpiperazin-1-yl)phenyl]amino}thieno{3,2-d}pyrimidin-4-yl)oxy] phenyl}acrylamide, was synthesized by introducing an iodine atom into the phenyl group in the 3-aryloxyanilide structure. The half inhibitory concentration (IC_{50}) was determined using a WST-8 assay to evaluate I-OTB's activity. **Results**: The docking study revealed that I-OTB could interact similarly to the parent compound. I-OTB was successfully synthesized, and its structure was confirmed through instrumental analysis. The binding energy of OTB and I-OTB in complex with EGFR T790M was determined to be -8.7 and -7.9 kcal/mol, respectively. The cytotoxicity assay indicated that I-OTB also targets the EGFR L858R/T790M mutation with an IC_{50} of 10.49 ± 5.64 µM, compared to the IC_{50} over 10 µM for the EGFR wild type. **Conclusions**: The cytotoxic effect of I-OTB was comparable to that of OTB, suggesting that the addition of iodine did not alter the compound's selectivity towards double mutations of EGFR L858R/T790M. Therefore, I-OTB shows promise for radioiodination, and [$^{123/124}$I]I-OTB may be a potential imaging agent for EGFR L858R/T790M mutation.

Keywords: Acrylamide, cytotoxicity, imaging, molecular docking, radiolabelled

P-44

Synthesis, Molecular Docking, and Cytotoxic Evaluation of Iodinated Olmutinib as Prospective Imaging EGFR L858R/T790M

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1 Laboratory of Pharmaceutical Chemistry, Faculty of Pharmacy, Universitas Muslim Indonesia, Makassar, Indonesia.
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3 Department of Chemistry Education, Faculty of Teacher Training and Education, Universitas Tadulako, Palu, Indonesia.
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1 Introduction

The utilization of radiolabelled tyrosine kinase inhibitors (TKIs) for imaging non-small cell lung cancer (NSCLC) has garnered interest due to their interaction with the epidermal growth factor receptor (EGFR). Olmutinib (OTB), a third-generation EGFR TKI targeting the EGFR L858R/T790M mutation, is the focus of our study.

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We seek to evaluate the interaction of the iodinated OTB (I-OTB) with the receptor through molecular docking. Additionally, we synthesized I-OTB and assessed its activity against EGFR L858R/T790M through in vitro cytotoxicity assays.

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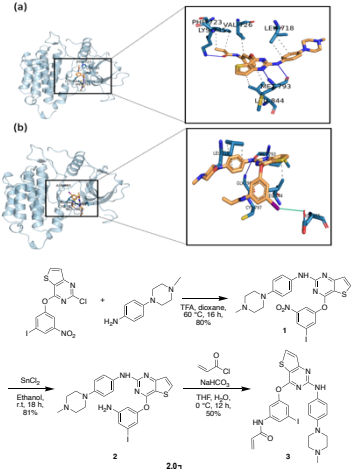

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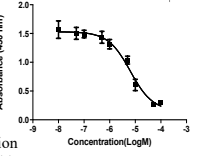

5 Conclusion

The cytotoxic effect of I-OTB was comparable to that of OTB, suggesting that the addition of iodine did not alter the compound's selectivity towards EGFR L858R/T790M. Therefore, I-OTB shows promise for radioiodination, and [$^{123/124}$I]I-OTB may be a potential imaging agent for EGFR L858R/T790M mutation.

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