

# ピペラミドおよびマラバリコン類の殺線虫作用に於ける構造活性相関

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雑誌名	平成7(1995)年度 科学研究費補助金 一般研究(C) 研究成果報告書概要
巻	1994 1995
ページ	2p.
発行年	1997-03-03
URL	<a href="http://doi.org/10.24517/00066432">http://doi.org/10.24517/00066432</a>



# 1995 Fiscal Year Final Research Report Summary

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## Structure-Activity Relationship in Nematocidal Activity of Piperamides and Malabaricones

Research Project

### Project/Area Number

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06672096

### Research Category

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Grant-in-Aid for General Scientific Research (C)

### Allocation Type

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Single-year Grants

### Research Field

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Chemical pharmacy

### Research Institution

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Kanazawa University

### Principal Investigator

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### Project Period (FY)

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1994 – 1995

### Keywords

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Nematocidal activity / Visceral larva migrans / Piperamide / Structure-activity relationship / Malabaricone / Pyrrolidine amide / N-Methylpiperazine amide / Toxocara canis

### Research Abstract

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Seventy six piperamides and their analogs with twenty malabaricones and their analogs were synthesized and their nematocidal activity against second-stage larvae of dog roundworm, *Toxocara canis*, were examined. Among the piperamide analogs, the activity was largely dependent on the length of the alkyl chain and the nature of the amine moiety. The alkyl chain length which showed the strongest activity in a series of homologues were m=9 for the pyrrolidine amides and m=11 for the N-methylpiperazine amides. Calculated log P values of the activity of these compounds. Among the naturally occurring malabaricones which have two oxygen functions at both o-and o'-positions of the acetophenone moiety, malabaricone A showed the strongest activity. Among the synthetic malabaricone analogs without any substituent on the aryl moiety, at least one free hydroxy group was essential for the activity. A hydroxy group at the ortho position or at the benzylic position (introduced by reduction of the ketone or conjugation with the hydroxy group on the benzene ring) of the acetophenone moiety seemed to be important for the activity.

## Research Products (6 results)

All Other

All Publications (6 results)

[Publications] 木内文之: "天然由来殺線虫活性物質に関する研究" *Natural Medicines*. 49. 364-372 (1995) ▼

[Publications] Fumiyuki Kiuchi 他: "Synthesis and Nematocidal Activity of Piperamides and Their Analogs on Second-stage Larvae of *Toxocara canis*" *Chemical and Pharmaceutical Bulletin*. in preparation. ▼

[Publications] Fumiyuki Kiuchi 他: "Nematocidal Activity of Malabaricones and Their Analogs on Second-stage Larvae of *Toxocara canis*" *Chemical and Pharmaceutical Bulletin*. in preparation. ▼

[Publications] Fumiyuki Kiuchi: "Studies on Naturally Occurring Nematocidal Compounds" *Natural Medicines*. 49-4. 364-372 (1995) ▼

[Publications] Fumiyuki Kiuchi, Norio Nakamura, Makiko Saitho, Kazue Komagome, Hirokuni Hiramatu, Noriaki Takimoto, Nobuaki Akao, Kaoru Kondo, Yoshisuke Tsuda: "Synthesis and Nematocidal Activity of Piperamides and Their Analogs on Second-stage Larvae of *Toxocara canis*" *Chemical and Pharmaceutical Bulletin*. (in preparation). ▼

[Publications] Yoshisuke Tsuda, Norio Nakamura, Masaki Imasho, Mohamad A.Ali, Eriko Tanaka, Shinzo Hosoi, Kaoru Kondo, Fumiyuki Kiuchi: "Nematocidal Activity of Malabaricones and Their Analogs on Second-stage Larvae of *Toxocara canis*" *Chemical and Pharmaceutical Bulletin*. (in preparation). ▼

URL: [https://kaken.nii.ac.jp/report/KAKENHI-PROJECT-06672096/066720961995kenkyu\\_seika\\_hokoku](https://kaken.nii.ac.jp/report/KAKENHI-PROJECT-06672096/066720961995kenkyu_seika_hokoku)

Published: 1997-03-03