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Inhibition of *trans*-Cinnamate 4-Hydroxylase by 4-Amino-5-aryl-2,3-dihydro-3*H*-1,2,4-triazole-3-thiones

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Abstract:
A number of 4-amino-5-aryl-2,3-dihydro-3*H*-1,2,4-triazole-3-thiones were synthesized and tested for inhibitory activity against *trans*-cinnamate 4-hydroxylase (C4H) from *Populus kitakamiensis*, which was expressed in yeast. Of the compounds tested, 4-amino-5-phenyl-2,4-dihydro-3*H*-1,2,4-triazole-3-thione (**1**) was the most effective, having an IC_{50} value of 0.32 μM. Replacement of the phenyl group with heterocycles or substituted phenyl groups drastically reduced the activity. Compound **1** behaved as a mixed-inhibitor of C4H. When potato tuber disks were treated with **1** (0.2–20 ng/disk) in the presence of laminarin, a β-1,3-glucooligosaccharide elicitor, *trans*-cinnamic acid was accumulated at levels 3 times higher than in disks treated with laminarin alone. © Pesticide Science Society of Japan

Keywords:
trans-cinnamate 4-hydroxylase, phenylpropanoid, 4-amino-5-phenyl-2,4-dihydro-3*H*-1,2,4-triazole-3-thione, *Populus kitakamiensis*

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