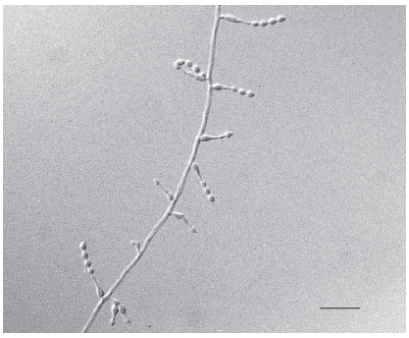
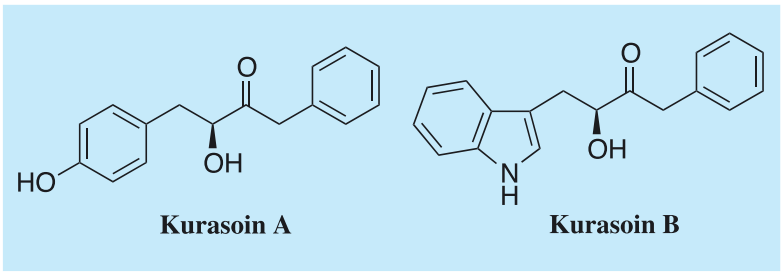
Kurasoin

1. Discovery, producing organism and structures[1-4)]

Kurasoins were isolated from the culture broth of the fungal strain FO-3684 and recognized as protein farnesyltransferase inhibitors. The elucidated structures were confirmed and their absolute stereochemistry was revealed by total synthesis.



Paecilomyces sp. FO-3684
Bar: 20 μm



2. Physical data (Kurasoin A)

White powder. $C_{16}H_{16}O_3$; mol wt 256.30. Sol. in DMSO, MeOH, acetone. Insol. in H_2O, $CHCl_3$, hexane.

3. Biological activity[2,6)]

1)

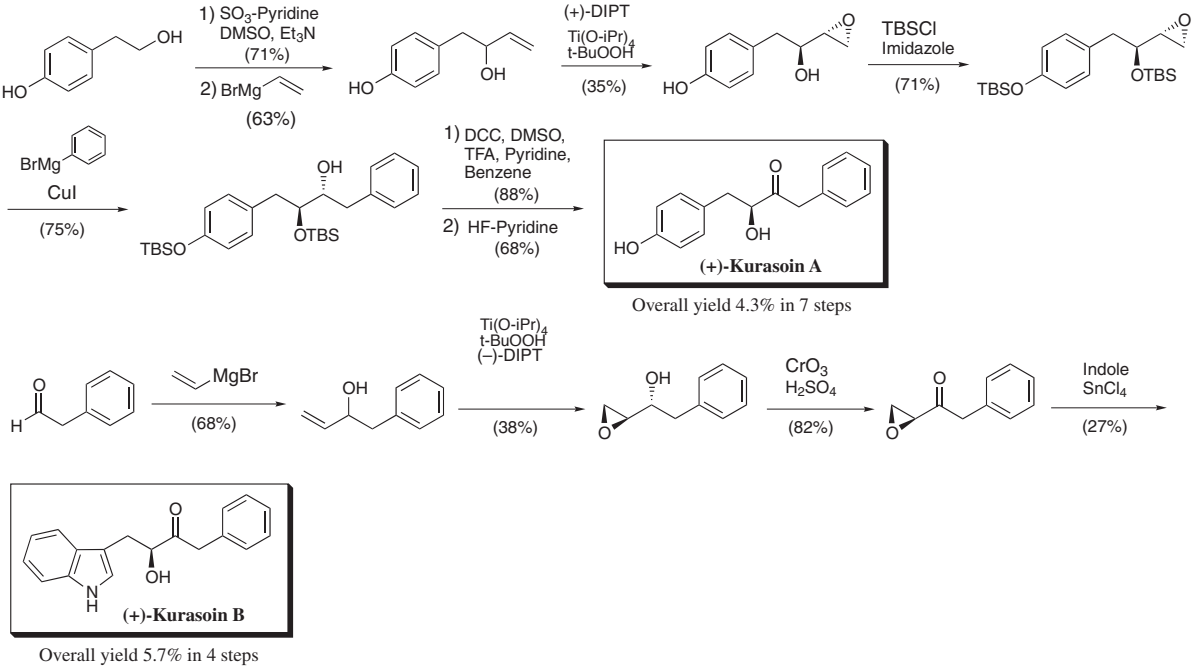
Inhibition of protein farnesyltransferase[2)]

	IC_{50} (μM)
Kurasoin A	59.0
Kurasoin B	58.7

2) Kurasoin B inhibits a human norepinephrine transporter with Ki values of 2757 nM.[6)]

4. Total synthesis

The total synthesis of Kurasoins has been reported by several groups. The following scheme is Ōmura's approach[3,4)]. (See Appendix-I)



5. References

1. [633] R. Uchida *et al*., *J*. *Antibiot*. **49**, 886-889 (1996)
2. [635] R. Uchida *et al*., *J*. *Antibiot*. **49**, 932-934 (1996)
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4. [746] T. Hirose *et al*., *Heterocycles* **53**, 777-784 (2000)
5. [948] S.Tsuchiya *et al*., *Hetrocycles* **72**, 91-94(2007)
6. Z. Lin *et al*., *Bioorg*. *Med*. *Chem*. *Lett*. **23**, 4867-4869 (2013)