

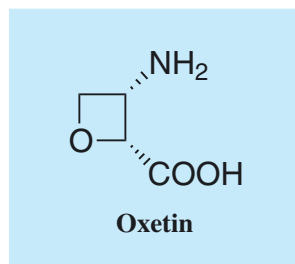
Oxetin

1. Discovery, producing organism and structures^{1,2)}

Oxetin was isolated from a culture broth of actinomycetes fungal strain OM-2317 during screening for amino acid-antimetabolites with herbicidal activity. The structure was confirmed by IR, GC-MS, and X-ray crystallography. The total synthesis of oxetin has been accomplished, and the first total synthesis was reported by Kuwahata *et al.*²⁾ (See Appendix-I).



Streptomyces sp. OM-2317



2. Physical data¹⁾

Colorless needles. $C_4H_7NO_3$; mol wt 117.04. Sol. in H_2O . Hardly sol. in MeOH, EtOH, EtOAc, $CHCl_3$.

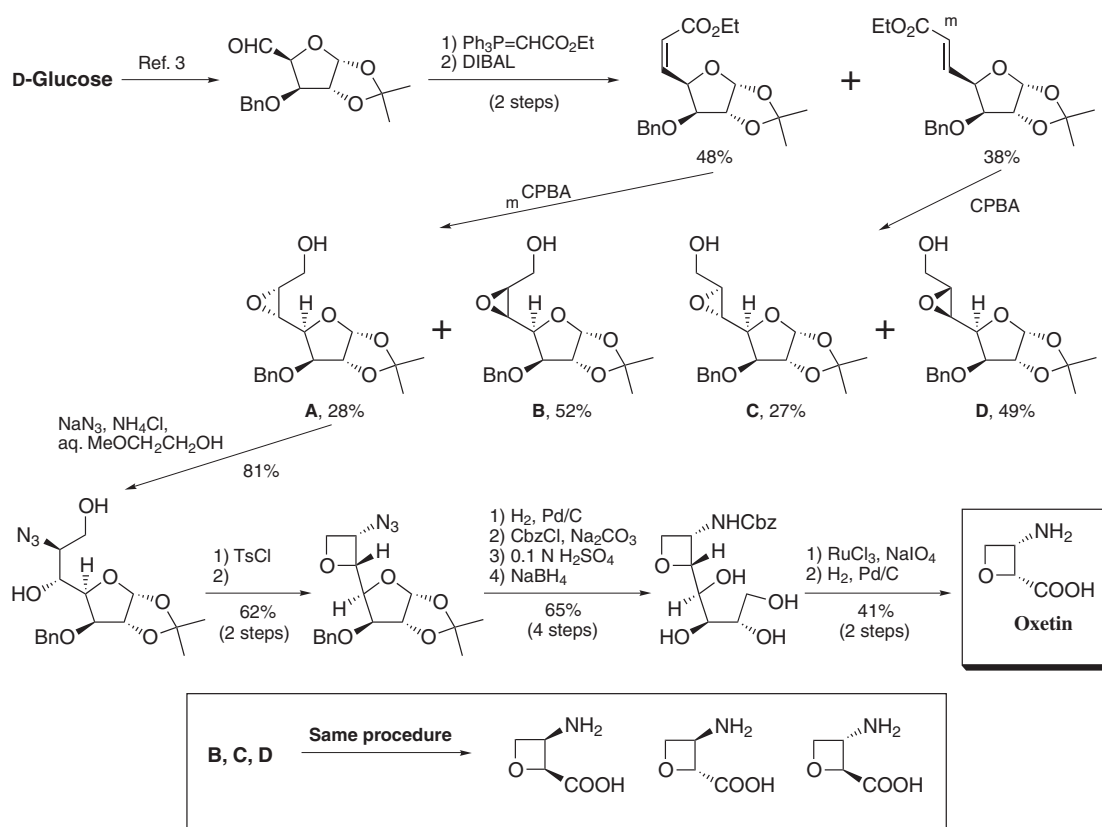
3. Biological activity¹⁾

1) Oxetin is weakly antimicrobial, but potently herbicidal, and inhibits glutamine synthetase isolated from spinach with a K_i value of 3.4 mM.

2) Glutamine synthetase activity⁴⁾

The inhibitory activity of oxetin against *B. subtilis* grown in minimal medium was reversed by several amino acids such as L-iso-leucine, L-methionine and L-valine.

4. Absolute configuration and total synthesis²⁾ (See Appendix-I)



5. References

1. [299] S. Ōmura *et al.*, *J. Antibiot.* **37**, 1324-1332 (1984)
2. [345] Y. Kuwahata *et al.*, *Chem. Pharm. Bull.* **34**, 3102-3110 (1986)
3. S. Hanessian *et al.*, *J. Org. Chem.* **27**, 1800-1804 (1962)
4. [449] H. Tomoda *et al.*, *J. Antibiot.* **43**, 1207-1222 (1990)