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## Synthesis of oseltamivir using Diels–Alder reaction of 1, 3-butadiene bearing 2-carboxy and 4-alkoxy substituents

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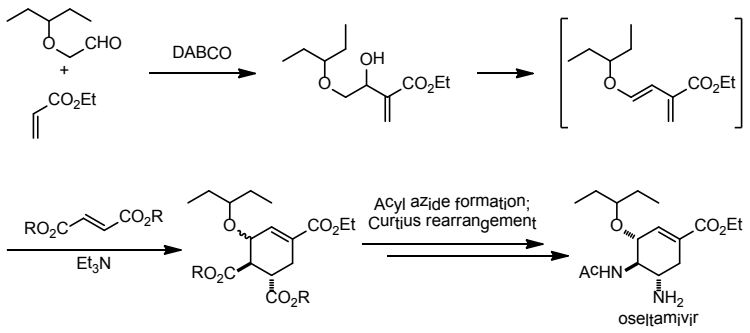
Diels–Alder reactions are particularly useful for the total synthesis of pharmacologically active compounds and natural products. Not only does this strategy construct two new C–C σ-bonds in one step, but it also forms a cyclohexene system with good regio- and stereo-selectivity up to four contiguous stereocenters. Using heteroatom-substituted electron-rich dienes, such as Danishefsky's diene, usually promotes the normal electron-demand Diels–Alder reactions in highly regioselective fashion. Tamiflu, the phosphate salt of oseltamivir, is a popular anti-influenza drug in clinical use. Diels–Alder reactions using 1,3-butadiene, 1-timethylsilyoxy-1,3-butadiene, furan, N-Boc-pyrrole and 1-Cbz-1,2-dihydropyridine have been successfully applied to react with appropriate dienophiles for construction of the cyclohexene core structure of oseltamivir. We synthesized a novel diene precursor bearing both 3-pentoxy and ester groups. Dimerization of this diene was overcome by trapping it *in situ* using activated alkenes as the dienophiles. Inspired by Shibasaki's work, we successfully synthesized a racemic mixture of oseltamivir via a sequence of reactions that comprise acyl azide formation and Curtius rearrangement. The synthesis of optically active oseltamivir via asymmetric Diels–Alder reaction is currently under investigation.




### Biography

Szu-Han Chen received her BS in Chemistry from Fu Jen Catholic University in 2008 and her MS degree in Chemistry from National Taiwan Normal University in 2010. She is a PhD student in the Department of Chemistry, National Taiwan University. Her research interests are in total synthesis of drug molecules as well as medicinal and biological chemistry.

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