

2007 Biotage Japan User Group Meeting
パネルディスカッション
Kappe/Pilotti 両教授コメント要約

(1) Any reaction examples/trends that didn't work in microwave, but did work good in conventional method?

(Kappe) No, under exact same conditions, there is no difference between oil bath and microwave. Practical differences exist for special cases like dropwise addition of reagents and so on. Some reactions, like the Baylis Hillman reaction will only go at room temperature and can not be heated, therefore not suitable for microwave.

(Pilotti) Some equilibria that are driven by the removal of any product (water, etc.) will sometimes give high yields. I have checked with prof Hans Adolfsson at Stockholm University, and he said he could not get the Bayliss-Hillman reaction to work with MW. Of course, reactions that must be performed at low temperature can't be run with MW. Some very delicate catalytic systems can also be difficult to heat up.

(2) Your views on CEM vs. Biotage?

(Kappe) I can not comment on this in writing...

(Pilotti) I never criticize any competitor, but I always talk about the safety of our systems without really pointing out that our competitors are inferior.

(3) Any chemistry conditions that more tend to burst? Burst experienced in Ethyl-ester hydrolysis under strong base solution

(Kappe) Typically transition metal chemistry with unstable Pd sources (PdOAc, PdDBA) that will decompose at high temperatures and will form a metal film which will then strongly absorb microwave chemistry and melt the glass. Other examples include gas producing reagents or cases where the solvent/reagent decomposes at high temperatures (azides, nitro compounds).

(Pilotti) Peroxides, azides and other explosive reagents must be run in low concentrations.

(4) Your views on microwave flow chemistry? Particularly vs. Biotage Advancer (batch type large-scale microwave)

(Kappe) Flow chemistry is practically only useful for homogeneous reaction mixtures, anything that forms a precipitate or has an insoluble component will not work well. Therefore it is more practical to stay with batch reactor (microwave) and only in special cases one can switch to a flow process.

(Pilotti) I agree with Oliver as most reactions in Med Chem are heterogeneous.

(5) Any advises on in what chemistry types microwave most shine (most work)?

(Kappe) thermodynamically controlled reactions that will require a lot of heating under conventional conditions. Typically reactions with high activation barriers.