Significance: Ikarugamycin (IKA) is an antibiotic that is isolated from Streptomyces phaeochromogenes. IKA inhibits clathrin-mediated endocytosis and has been proposed as a tool compound to probe endocytic trafficking in cells.

Comment: Paquette and co-workers used a convergent method to assemble (+)-ikarugamycin. A kinetic resolution via a 1,4-addition of vinylmagnesium bromide to diastereomeric aldimines was key to their success. The macrolactamization was achieved through trapping a transiently generated ketene intermediate.