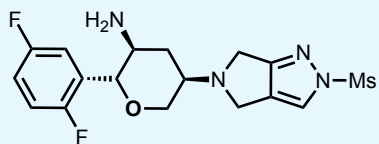


# Omarigliptin

## Omarigliptin (MK-3102)



Treatment for diabetes type II  
(366 million affected)

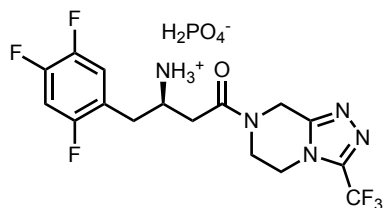
PMDA approved in Japan (2015)

Will not apply for marketing application  
in United States or Europe

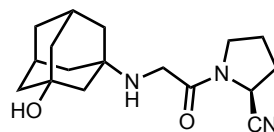
Improvement on Sitagliptin (previously  
administered daily, this now weekly)



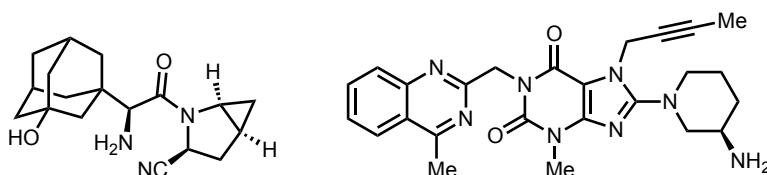
## DPP-4 inhibitors



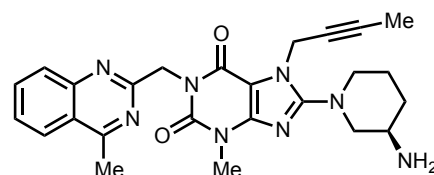
Sitagliptin (Januvia)  
Merck 2006



Vildagliptin (Galvus)  
Novartis 2007

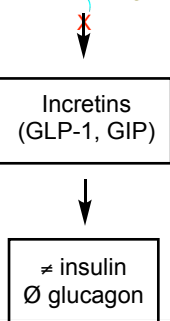
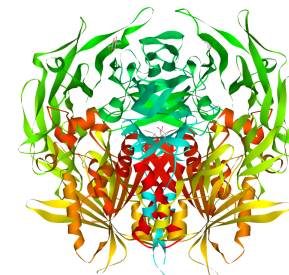


Saxagliptin (Onglyza)  
Bristol-Myers Squibb/AstraZeneca 2008

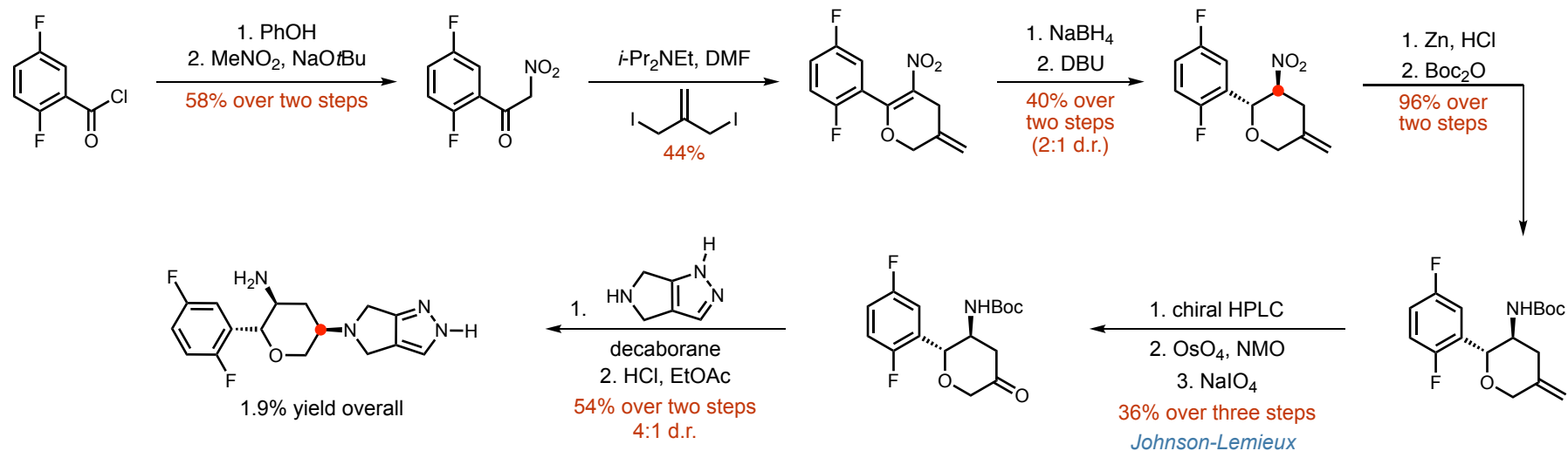


Linagliptin (Tadjenta)  
Boehringer Ingelheim 2011

## DPP-4 Pathway



## Discovery route



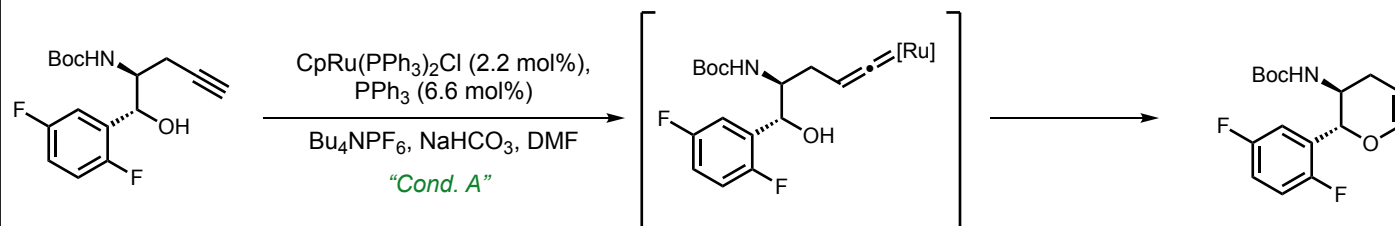
Xu, F. *et al.*, *Org. Lett.* 2014, 16, 5422-5425.

# Omarigliptin

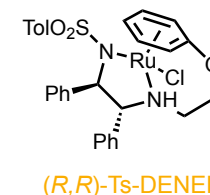
Chung, J. Y. L. *et al. Org. Process Res. Dev.* 2015, 19, 1760.

## Manufacturing route

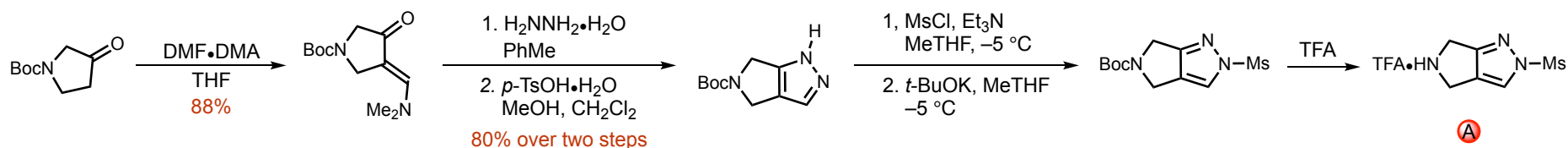
### Key step: cycloisomerization

Trost, B. M. *et al. J. Am. Chem. Soc.*, 2003, 125, 7482-7483.

### DKR cat.



### Synthesis of pyrazole



### Completion of API

