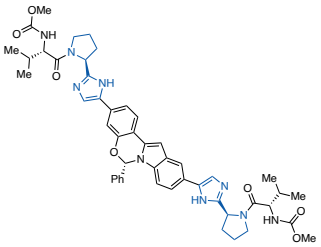
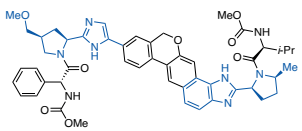
# Diazole-based Peptide Bond Surrogates

## Introduction

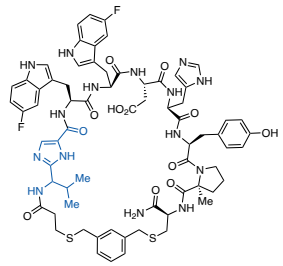
The high sensitivity of peptide therapeutics to endopeptidases can be addressed by replacing peptide bonds with isosteric surrogates.[1] Azoles, naturally occurring compounds commonly found in the backbone of bioactive peptides,[2] offer one such alternative. In the 2010s, several direct-acting antivirals containing diazole surrogates for peptide bonds were approved for the treatment of HCV, including ledipasvir (2014), daclatasvir (2015), elbasvir (2016), and velpatasvir (2016).[3,4] As leaders in chemical science, our specialists have curated a range of diazole- and benzodiazole-based structures to replace peptide bonds in peptidomimetics, aiming to optimize activity and pharmacokinetic properties.



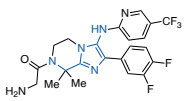
Elbasvir
inhibitors of NS5A
FDA approved against HCV 2016
*Merck*



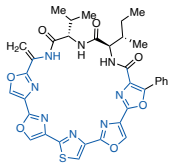
Velpatasvir
inhibitors of NS5A
FDA approved against HCV 2016
*Gilead*



proprotein convertase subtilisin/kexin type 9 inhibitor
WO 2019/246405
*Merck*

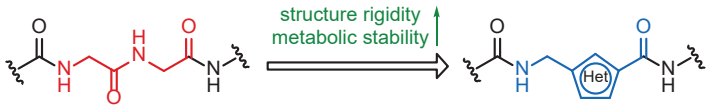


antimalarial compound
WO 2024/006971
*Scripps Institute*

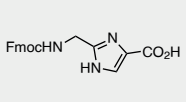


mechercharmycin A
natural azole-containing RiPP
from *Thermoactinomyces sp.*
promising anticancer agent

## Concept




## We offer: over 100 diazole-based dipeptide surrogates from stock on 5-10 gram scale.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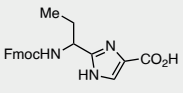
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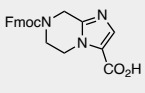
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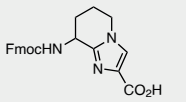
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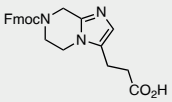
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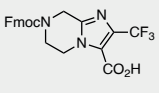
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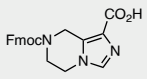
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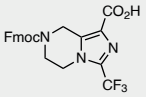
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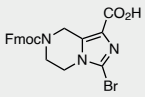
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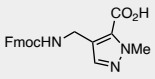
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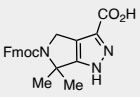
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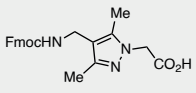
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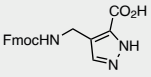
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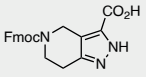
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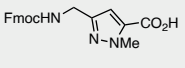
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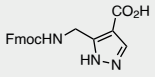
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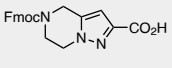
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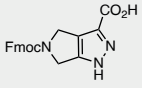
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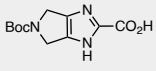
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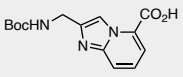
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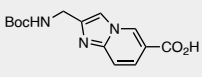
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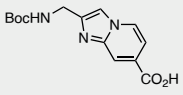
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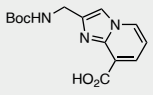
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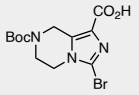
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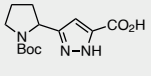
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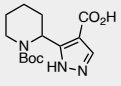
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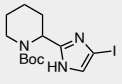
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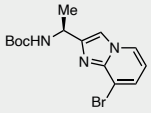
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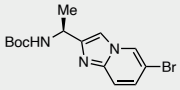
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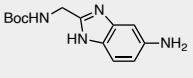
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## References


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4. J. Link et al. *J. Med. Chem.* **2014**, *57*, 2033.


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