

PharmaBlock Whitepaper

Bridging molecules for innovative medicines

Bridge-Fused Rings as *m*-Phenyl Bioisosteres

In summary, while saturated *p*-phenyl isosteres are more and more popular in medicinal chemistry, *m*-phenyl and *o*-phenyl fragments do not have many 3-D-rich isosteres. As this review has shown, saturated *m*-phenyl isosteres such as bicyclo[2.2.1]heptane (B), bicyclo[2.1.1]hexane (C), bicyclo[3.1.1]heptane (D), and 2-oxabicyclo[2.1.1]hexane (E) are gaining popularity. As most 3-D-rich isosteres, they (a) have a higher degree of saturation for a molecule may increase receptor–ligand complementarity, which should mitigate off-target effects; (b) tend to have lower CYP450 inhibitions, thus reducing DDIs tendency; and (c) may have lower melting point and higher solubility; and (d) oxygen-containing isosteres have added advantage of lower lipophilicity. With many of those bridge-fused intermediates now commercially available, their utility in drug discovery is destined to bear fruits in the future.



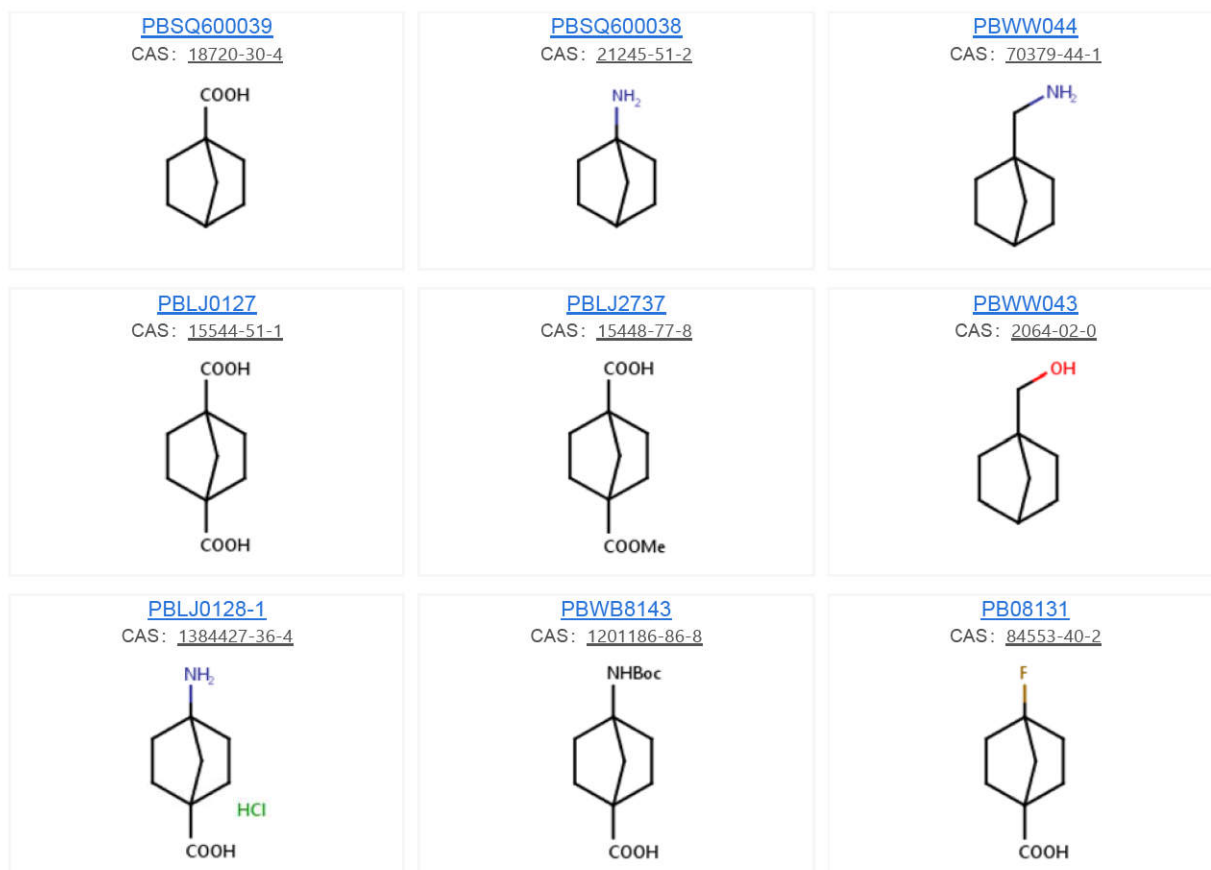
Bridge-Fused Rings as *m*-Phenyl Bioisosteres—Bicyclo[2.2.1]heptanes in Drug Discovery

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PharmaBlock

PharmaBlock Products-Bicyclo[2.2.1]heptanes

80,000+ building blocks, 63 series cover almost all the small molecule drug R&D needs



[PBLJ0130](#)

CAS: [1252672-38-0](#)



[PBLJ0849](#)

CAS: [1201186-85-7](#)



[PB07370](#)

CAS: [15448-76-7](#)



[PBSQ600051](#)

CAS: [84553-41-3](#)



[PBSQ600047](#)

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[PBZX1034](#)

CAS: [88888-31-7](#)



[PBSQ600044](#)

CAS: [1628749-42-7](#)



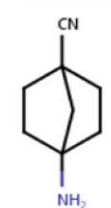
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CAS: [15448-83-6](#)



[PBWW038](#)

CAS: [2091763-45-8](#)



[PBZX1023-1](#)

CAS: [1638765-29-3](#)



[PBWW041-1](#)

CAS: [NA](#)



[PBSQ600030-1](#)

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[PBWW037](#)

CAS: [2114128-11-7](#)



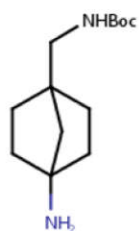
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[PBLJ0142](#)

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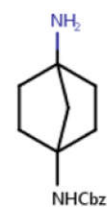
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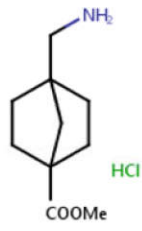
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[PBZ3820](#)

CAS: [1350821-95-2](#)



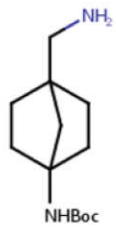
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CAS: [NA](#)



[PBLJ0141](#)

CAS: [1333384-66-9](#)



[PBWW039](#)

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[PBWW040](#)

CAS: [NA](#)

