

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.



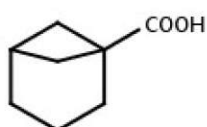
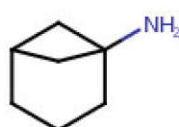
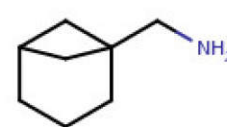
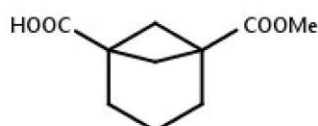
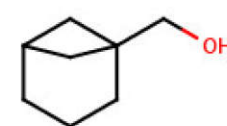
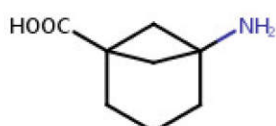
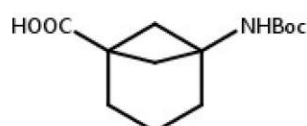
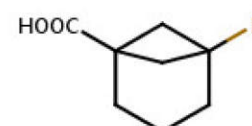
Bridge-Fused Rings as *m*-Phenyl Bioisosteres—Bicyclo[3.1.1]heptanes in Drug Discovery

PharmaBlock

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PharmaBlock Products-Bicyclo[3.1.1]heptanes

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CAS : [2092776-14-0](#)
[PBU1120](#)
CAS : [2091080-49-6](#)
[PBSQ600075](#)
CAS : [75328-55-1](#)
[PBSQ600074](#)
CAS : [110371-28-3](#)
[PBU1119](#)
CAS : [90370-67-5](#)
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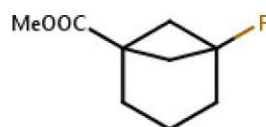
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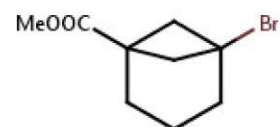
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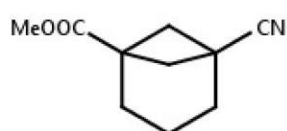
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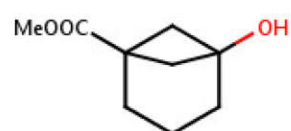
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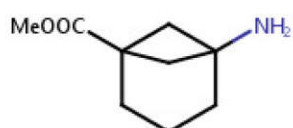
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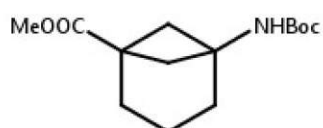
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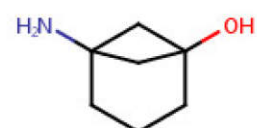
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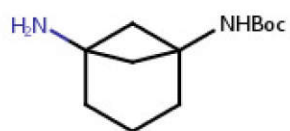
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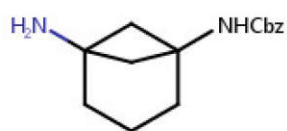
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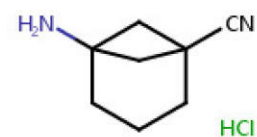
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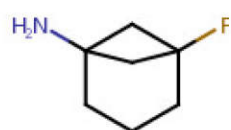
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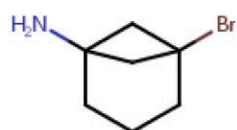
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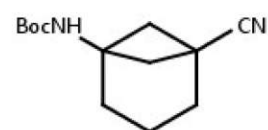
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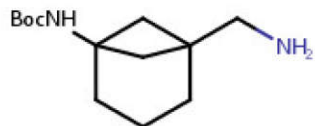
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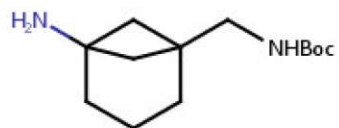
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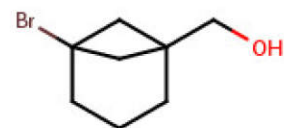
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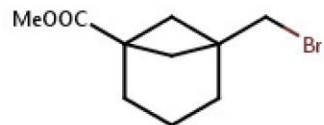
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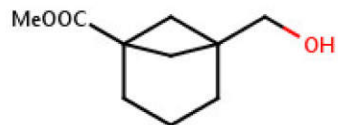
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