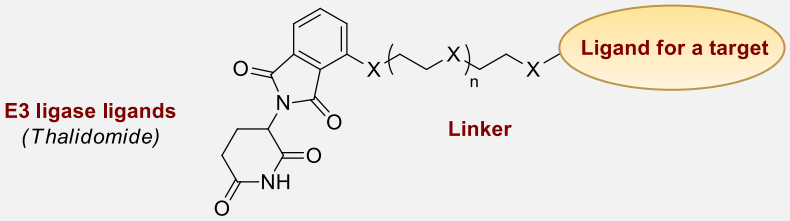
Building blocks and linkers for PROTAC synthesis

Introduction

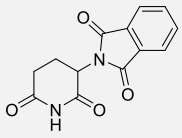
Proteolysis targeting chimeras (PROTACs) is the recently emerged field in drug discovery. This new approach works through the activation of the ubiquitin-proteasome system to remove disease-causing proteins. This new modality of therapeutic intervention requires new chemistry and new approaches to synthesize functional PROTAC molecules. Several recent papers of Oprea and Cravatt examined chemical space and ligandable proteome to evaluate a state of the targeted human genome. Herein we offer known and novel building blocks (E3 ligase ligands, ligands with linkers, linkers) for the synthesis of PROTACs.

PROTAC model:



Ligands for E3 ligases (Cereblon, VHL, MDM2 *etc*) from stock:

over 100 ligands: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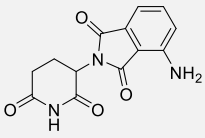
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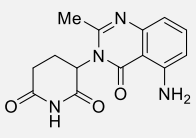
Pomalidomide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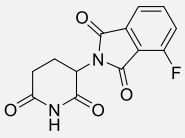
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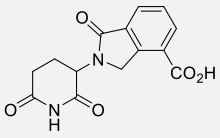
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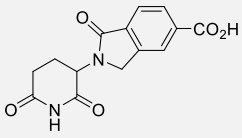
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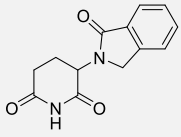
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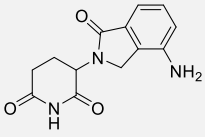
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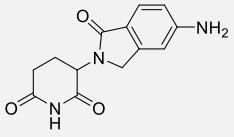
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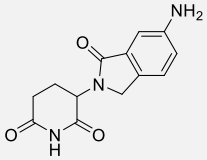
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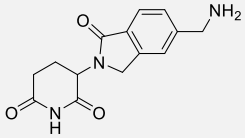
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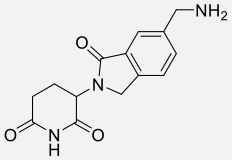
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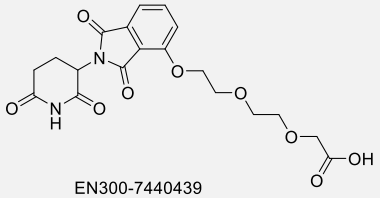
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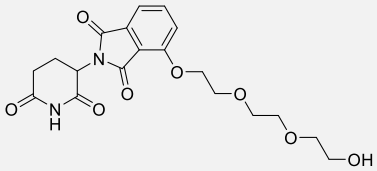
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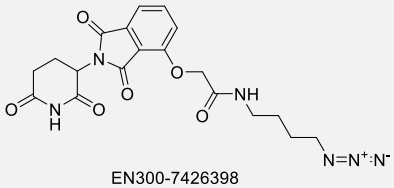
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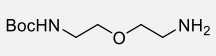
PEG-linkers from stock:

over 50 linkers: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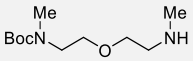
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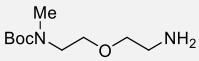
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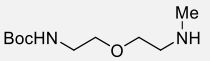
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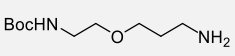
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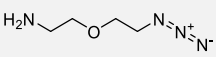
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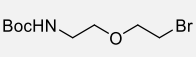
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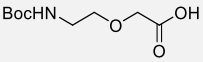
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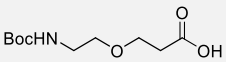
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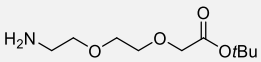
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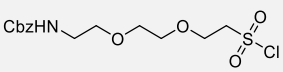
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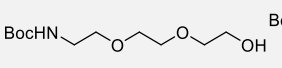
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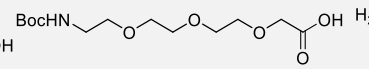
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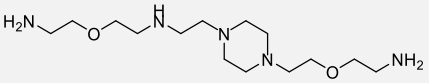
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