CLAIMS

1. A compound comprising a homo-bivalent covalent linker substituted on one end by a substituent X, wherein X comprises a biological moiety other than a nucleic acid, wherein the other end of the homo-bivalent linker is unsubstituted, and wherein the compound is at least 75% pure.

2. The compound of claim 1, wherein the compound comprises Structure 1:

X – R1 – R2 – A – R3 – B (Structure 1)

wherein:

X is a substituent comprising a biological moiety other than a nucleic acid, optionally, X is a peptide, protein, lipid, carbohydrate, carboxylic acid, vitamin, steroid, lignin, small molecule, organometallic compound, or a derivative of any of the foregoing;

R1 is a group comprising phosphodiester, thiophosphodiester, sulfate, amide, triazole, heteroaryl, ester, ether, thioether, disulfide, thiopropionate, acetal, glycol, or is absent;

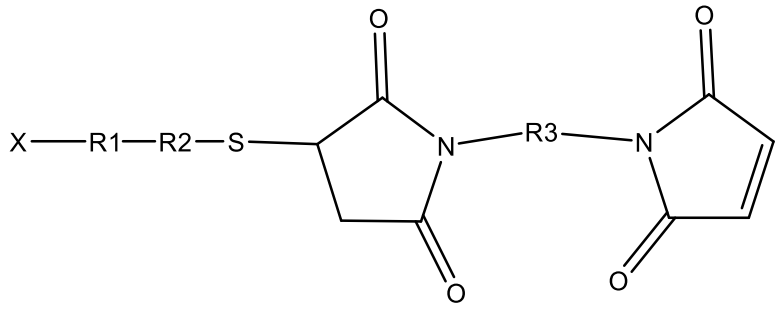
R2 is a spacer group or is absent, optionally, the spacer group comprises C_2-C_{10} alkyl, C_2-C_{10} alkoxy, or C_1-C_{10} aryl;

A is a group comprising the reaction product of a first nucleophile and a first electrophile, optionally, the first nucleophile and first electrophile of A comprise (i) a thiol and a maleimide, optionally wherein the reaction product of the thiol and maleimide is a derivative of succinamic acid; (ii) a thiol and a vinylsulfone; (iii) a thiol and a pyridyldisulfide; (iv) a thiol and an iodoacetamide; (v) a thiol and an acrylate; (vi) an azide and an alkyne; or (vii) an amine and a carboxyl;

R3 is a group comprising a C_2-C_{10} alkyl, C_2-C_{10} alkoxy, C_1-C_{10} aryl, C_2-C_{10} alkyldithio, amide, ether, thioether, ester, oligonucleotide, oligopeptide, thiopropionate, or disulfide, optionally, R3 comprises thiopropionate or disulfide, an oligonucleotide, or an oligopeptide; and

B is a group comprising a second nucleophile or a second electrophile, wherein the second nucleophile is the same as the first nucleophile, and the second electrophile is the same as the first electrophile.

3. The compound of claim 2, wherein the compound comprises Structure 2 or a pyrrolidinedione ring-opened derivative thereof, optionally wherein the pyrrolidinedione ring-opened derivative of Structure 2 is a derivative of succinamic acid:



(Structure 2).

4. The compound of claim 3, wherein:

X is a peptide or protein, or a derivative thereof;

R1 and R2 are absent; and

R3 is a group comprising a thiopropionate, disulfide, or oligonucleotide;

optionally, X is an organometallic compound, or a derivative thereof;

R1 is an ester group;

R2 is a spacer group comprising a C_2-C_{10} alkyl; and

R3 is a group comprising a thiopropionate, a disulfide, an oligonucleotide, or an oligopeptide; or

optionally, X is a small molecule, or a derivative thereof;

R1 is an ester group;

R2 is a spacer group comprising a C_2-C_{10} alkyl; and

R3 is a group comprising a thiopropionate, a disulfide, an oligonucleotide, or an oligopeptide.

5. The compound of any of claims 2 to 4, wherein the R3 group comprises a linker that is cleavable under intracellular conditions.

6. The compound of any of claims 1 to 3, wherein the substituent X is a peptide, protein, lipid, carbohydrate, carboxylic acid, vitamin, steroid, lignin, small molecule, organometallic compound, or a derivative of any of the foregoing.

7. The compound of claim 6, wherein the substituent X is a peptide, or a derivative thereof.

8. The compound of claim 6, wherein the peptide is the transduction domain of HIV-1TAT protein, a Centyrin, a constrained peptide, a pHLIP peptide, or derivatives thereof.

9. The compound of claim 6, wherein the substituent X is an antibody or antibody fragment, or a derivative thereof.

10. The compound of claim 9, wherein the antibody fragment is a single-chain variable fragment, or derivative thereof.

11. The compound of claim 6, wherein the substituent X is a carbohydrate, or a derivative thereof.

12. The compound of claim 6, wherein the substituent X is a fatty acid, or a derivative thereof.

13. The compound of claim 6, wherein the substituent X is a vitamin, or a derivative thereof.

14. The compound of claim 13, wherein the substituent X is tocopherol or folate, or a derivative thereof.

15. The compound of claim 6, wherein the substituent X is a cholesterol, or a derivative thereof.

16. The compound of claim 6, wherein the substituent X is (2S,2'S)-2,2'-(Carbonyldiimino)dipentanedioic acid (DUPA), or a derivative thereof.

17. The compound of claim 6, wherein the substituent X is anisamide, or a derivative thereof.

18. The compound of claim 6, wherein the substituent X is an organometallic compound, or a derivative thereof.

19. The compound of claim 18, wherein the organometallic compound is ferrocene, or a derivative thereof.

20. The compound of claim 6, wherein substituent X is a small molecule, or a derivative thereof.

21. The compound of claim 20, wherein the small molecule is lenalidomide, or a derivative thereof.

22. The compound of any of claims 1 to 21, where in the compound is at least 80, 85, 90, 95, 96, 97, 98, 99, or 100 % pure.