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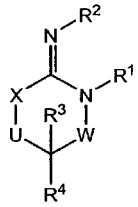
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[Continued on next page]

(54) Title: HETEROCYCLIC ASPARTYL PROTEASE INHIBITORS



(I)

(57) **Abstract:** Disclosed are compounds of the formula (I) or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof, wherein W is a bond, -C(=S)-, -S(O)-, -$S(O)_2$-, -C(=O)-, -O-, -$C(R^6)(R^7)$-, -$N(R^5)$- or -$C(=N(R^5))$-; X is -O-, -$N(R^5)$- or -$C(R^6)(R^7)$-; provided that when X is -O-, U is not -O-, -S(O)-, -$S(O)_2$-, -C(=O)- or -$C(=NR^5)$-; U is a bond, -S(O)-, -$S(O)_2$-, -C(O)-, -O-, -$P(O)(OR^{15})$-, -$C(=NR^5)$-, -$(C(R^6)(R^7))_b$- or -$N(R^5)$-; wherein b is 1 or 2; provided that when W is -S(O)-, -$S(O)_2$-, -O-, or -$N(R^5)$-, U is not -S(O)-, -$S(O)_2$-, -O-, or -$N(R^5)$-; provided that when X is -$N(R^5)$- and W is -S(O)-, -$S(O)_2$-, -O-, or -$N(R^5)$-, then U is not a bond; and R^1, R^2, R^3, R^4, R^5, R^6, and R^7 are as defined in the specification; and pharmaceutical compositions comprising the compounds of formula (I). Also disclosed is the method of inhibiting aspartyl protease, and in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting of Human Immunodeficiency Virus, plasmepins, cathepsin D and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compounds of formula I in combination with a cholinesterase inhibitor or a muscarinic m_1 agonist or m_2 antagonist.

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