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(54) Title: DESFERRITHIOCIN POLYETHER ANALOGUES

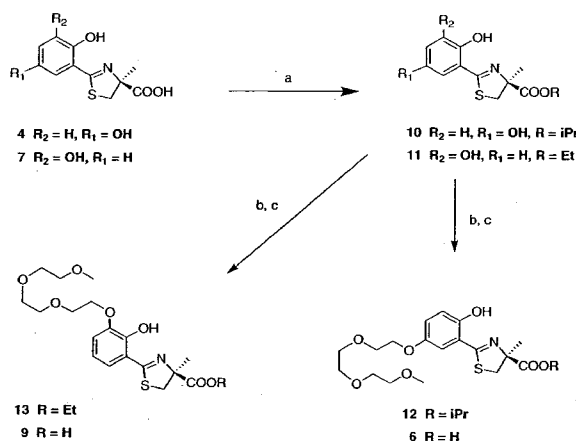
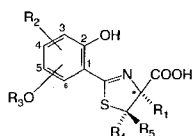


Figure 5

Scheme 1. Synthesis of (S)-5'-(HO)-DADFT-PE (6) and (S)-3'-(HO)-DADFT-PE (9).

^a Reagents and conditions: (a) EtI/*i*-PrI (1.8 equiv), DIEA (1.8 equiv), DMF, rt, 8 d, quant.; (b) $CH_3O(CH_2)_2OH$ (1.0 equiv), DIPAD (1.2 equiv), PPh_3 (1.2 equiv), dry THF, 5 °C, 1 d, 52% (12), 25% (13); (c) 50% NaOH (13 equiv), CH_3OH , 2 N HCl, rt, 97% (6), 60% (9).



(I)

(57) Abstract: A relatively non-toxic desazadesferrithiocin analog having the formula (I): wherein: R_1 , R_2 , R_4 and R_5 may be the same or different and may be H, straight or branched chain alkyl having up to 14 carbon atoms, e.g., methyl, ethyl, propyl and butyl, or arylalkyl wherein the aryl portion is hydrocarbyl and the alkyl portion is straight or branched chain, the arylalkyl group having up to 14 carbon atoms, R_2 optionally being alkoxy having up to 14 carbon atoms; R_3 is $[(CH_2)_n-O]_x-[(CH_2)_m-O]_y$ -alkyl; n is, independently, an integer from 1 to 8; x is an integer from 1 to 8; y is an integer from 0 to 8, and R_3O may occupy any position on the phenyl ring except the 4-position, or a salt, hydrate or solvate thereof; and methods and compositions for treating the effects of trivalent metal, i.e., iron, overload.



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