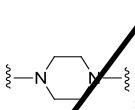
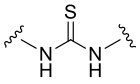
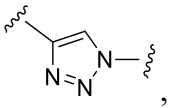
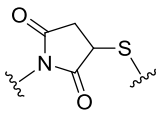
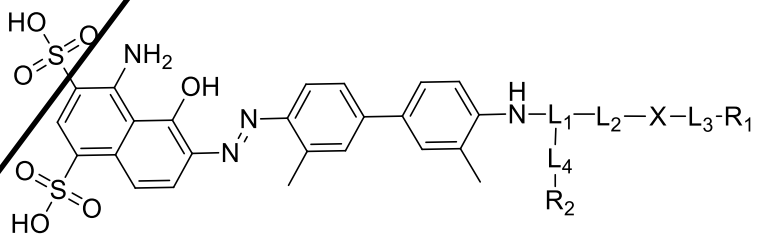
Abstract

TRUNCATED EVANS BLUE MODIFIED FIBROBLAST ACTIVATION PROTEIN INHIBITOR, PREPARATION METHOD AND APPLICATION THEREOF

The present disclosure provides a truncated Evans Blue modified fibroblast activation protein inhibitor compound. The compound is formed by connecting truncated Evans Blue, a fibroblast activation protein inhibitor and a nuclide chelating group by means of connecting groups L_1, L_2, L_3, L_4 and X. The compound has the following structure shown in Formula (I), where R_1 is a fibroblast activation protein inhibitor; L_1 is lysine, glutamic acid, or a derivative structure thereof; L_2 is $-(CH_2)_n-$, n is an integer from 0 to 30, and each $-CH_2-$ may be individually substituted or unsubstituted with -O-, -NH-, -(CO)-, -NH(CO)-, or -(CO)-NH-; L_3 is $-(CH_2)_m-$, m is an integer from 0 to 30, and each $-CH_2-$ may be individually substituted or unsubstituted with -O- or -(CO)-; L_4 is $-(CH_2)_p-$, p is an integer from 0 to 30, and each $-CH_2-$ may be individually substituted or unsubstituted with -O-, -NH-, -(CO)-, -NH(CO)-, or -(CO)-NH-; X is selected from N, C, O, S, or , , , and ; and R_2 is a nuclide chelating group. The present disclosure also provides a radiolabeled complex based on the structure of the compound. The compound and the radiolabeled complex have the characteristics of significantly prolonging the half-life in blood circulation, improving the uptake and enrichment in tumors and prolonging the retention time, and are suitable for nuclide therapy and imaging of tumors with high expression of FAP.



Formula (I)

(FIG. 23)