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研究报告

# $[^{188}Re(CO)_3L]_n$新型配合物的小鼠体内生物分布

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摘要 选择了3种三齿配体(二(2-吡啶甲基)-胺基)-乙胺（$L^1NH_2$）、(二（2-吡啶甲基）-氨基)-乙酸（$L^2H$）和((6-胺基-*N*-叔丁氧基羰基-己基)-吡啶-2-甲基氨基)-乙酸（$L^3NH_2$），用于设计合成新的以 *fac*-[$^{188}$-$Re(CO)_3]^+$为核心的放射性药物。3种配体在低浓度（$10^{-5}$ mol/L）的条件下，反应时间小于60 min，标记率可达90%以上，放射化学纯度大于92%；3种标记物的体外稳定性均很高，标记后24 h内基本不分解。生物分布结果表明，配合物均能较快地从血液和多数的组织器官中清除，主要通过排泄系统代谢，并初步探讨了这3个配合物在小鼠体内的生物分布行为可能与它们的脂水分配系数lg *P*有关。lg *P*值（-0.36）高的配合物[$^{188}Re(CO)_3L_3NH_2$]， 24 h时在各个器官中放射性保留均高于其它2个配合物，但可能不是唯一的影响因素。总的来说，3个配基是用*fac*-[$^{188}Re(H_2O)_3(CO)_3]^+$标记的比较理想的双功能螯合剂。

关键词 $^{188}$Re；双功能螯合剂；生物分布

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# Biodistribution of Novel $^{188}$Re-Tricarbonyl Complexes in Mice

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**Abstract** Three novel tridentate ligands ($L^1NH_2$ = bis(2-pyridylmethyl)-amino)-ethylamine, $L^2H$ = bis(2-pyridylmethyl)-amino)-acetic acid, $L^3NH_2$ = [(6-amino-hexyl)-pyridyl-2-methyl-amino]-acetic acid) were used as bifunctional chelating agents for the organometallic precursor *fac*-[$^{188}Re(CO)_3(H_2O)_3]^+$. The results of labeling condition experiments show that a radiochemical purity higher than 92% can be obtained within 60 min by the reaction of *fac*-[$^{188}Re(CO)_3]^+$ core in a condition (pH=7.4) with a very small amount ($10^{-5}$ mol/L) of these three ligands. The stability experiments *in vitro* demonstrate that *fac*-[$^{188}Re(CO)_3L^1NH_2]^+$, *fac*-[$^{188}Re(CO)_3L^2H$] and *fac*-[$^{188}Re(CO)_3L^3NH_2$] do not decompose within 24 h (37 ℃, new born calf serum). Biodistributions results indicate that the complexes with tridentate coordinated ligand systems revealed generally a good and fast clearance from all organs and tissues, primarily through the renal urinary pathway with a small portion retained in the hepatobiliary tract. The predominant route of excretion, the urinary tract, seems to correlate with the lg *P* values found for the c

omplexes. The highest hepatic retention was found for the complex $[^{188}Re(CO)_3L^3NH_2]$ wit h a lg *P* value of −0.36. On the basis of these experiments, it appears that functionalization o f biomolecules with tridentate chelating ligand systems is feasible for the labeling with *fac*-$[^{188}Re(H_2O)_3(CO)_3]^+$ .

**Key words** $^{188}$Re _ bifunctional chelating agents _ biodistributions

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