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Symposium-in-Print

Imaging Probes

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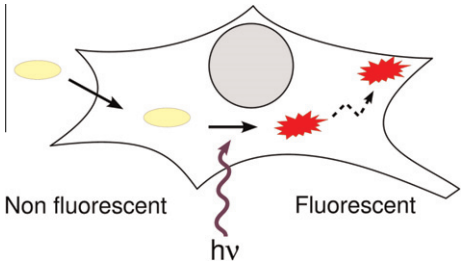
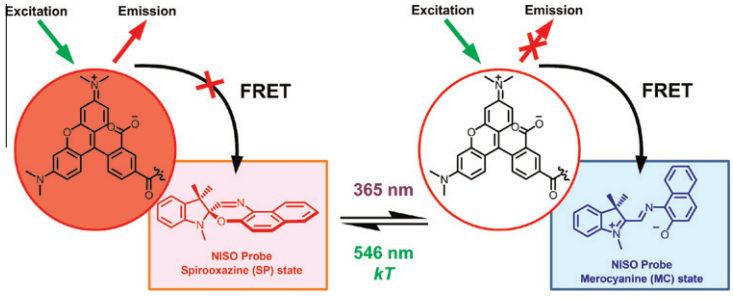
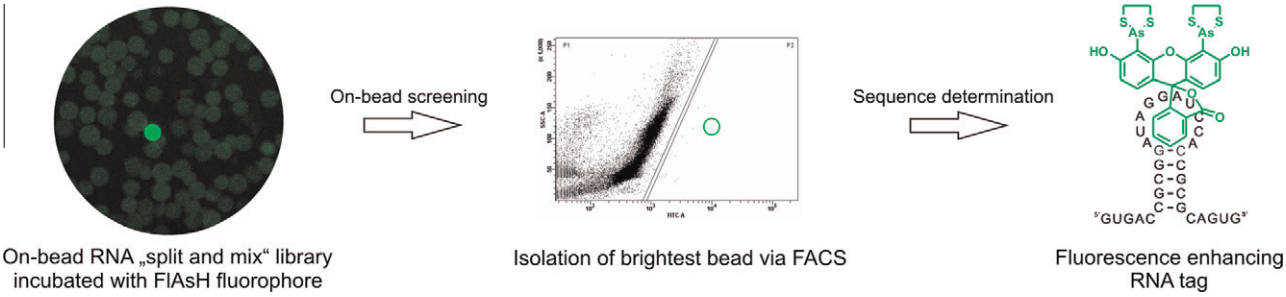
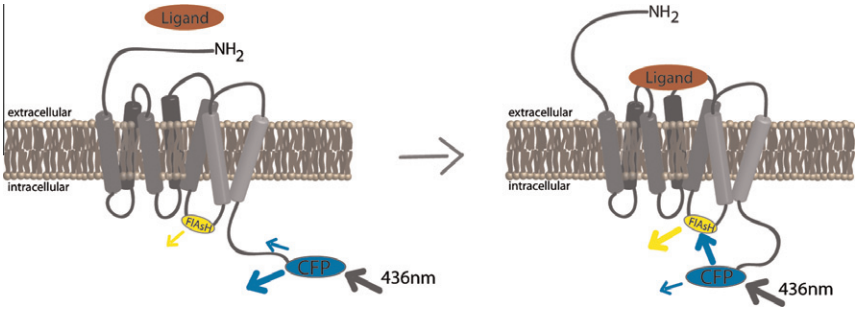
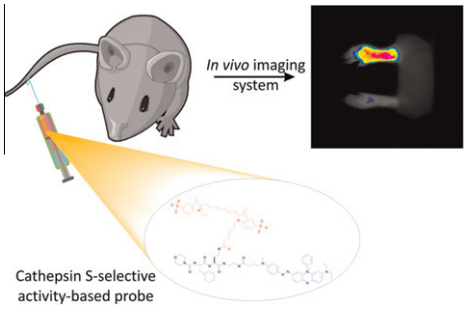
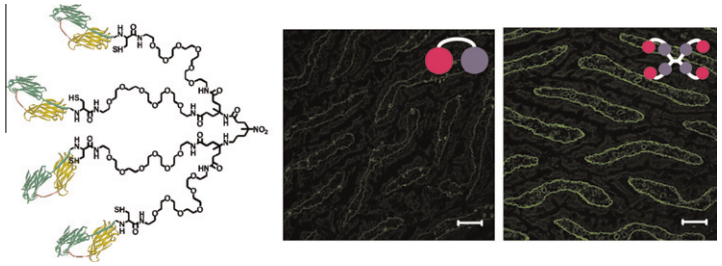




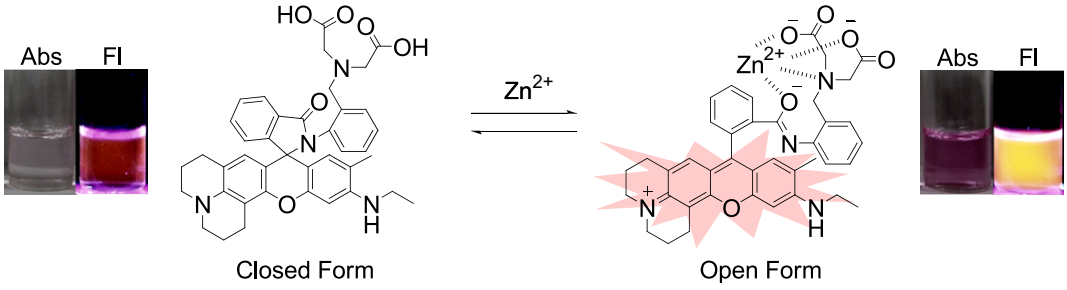


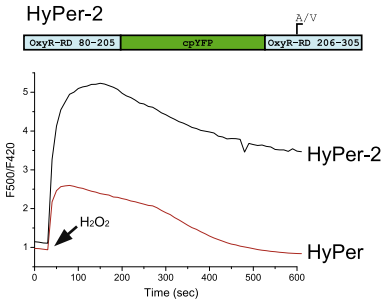




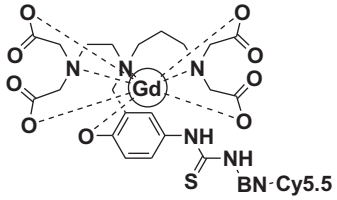


Strength in numbers: semi-synthetic multivalent collagen binding proteins were obtained by native chemical ligation of CNA35-thioesters and cysteine-functionalized divalent (AB_2) and tetravalent (AB_4) dendritic wedges.



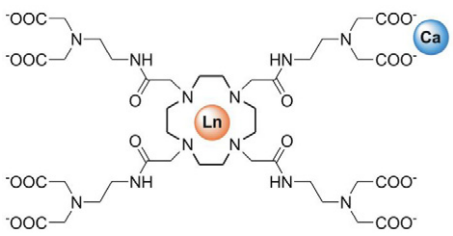


Single point mutation in OxyR-RD part of the HyPer biosensor cause increase of the dynamic range of the probe responding to H_2O_2.

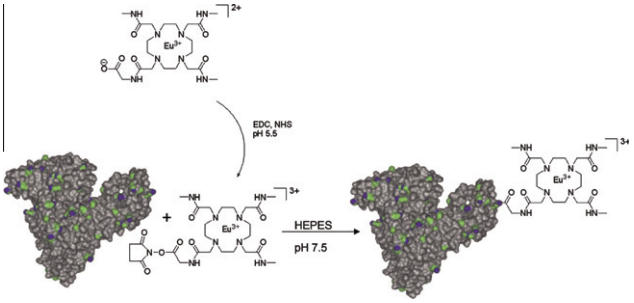


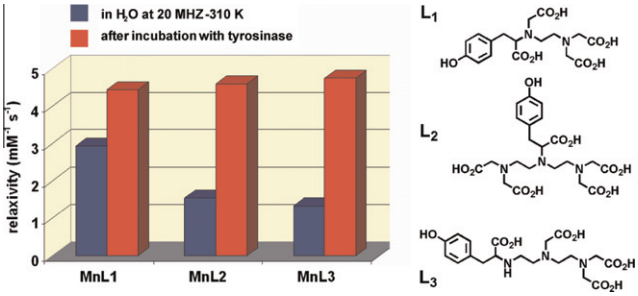
Bombesin analogue (BN) =Lys-Gly-Gly-Gly-Gln-Trp-Ala-Val-Gly-His-Leu-Met-NH_2

This article reports the synthesis, in vitro, and in vivo studies of Gd-TTDA-NP-BN-Cy5.5 as a new dual contrast agent for MR/Optical imaging of gastrin releasing peptide receptor in prostate cancer tumor.

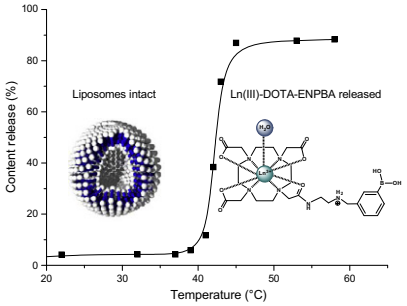


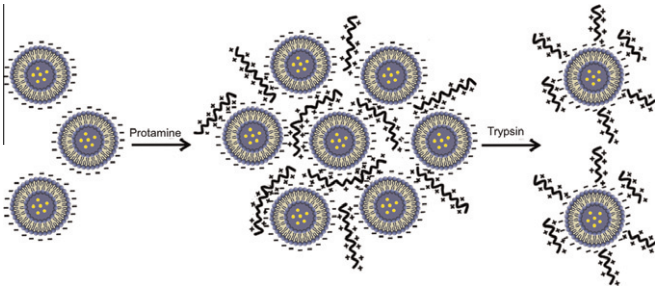
The investigated tetraamide Yb^{3+} and Eu^{3+} complexes exhibit a marked alteration in their chemical exchange saturation transfer (CEST) properties upon Ca^{2+} binding which can be exploited for the MRI detection of Ca^{2+} concentration changes.





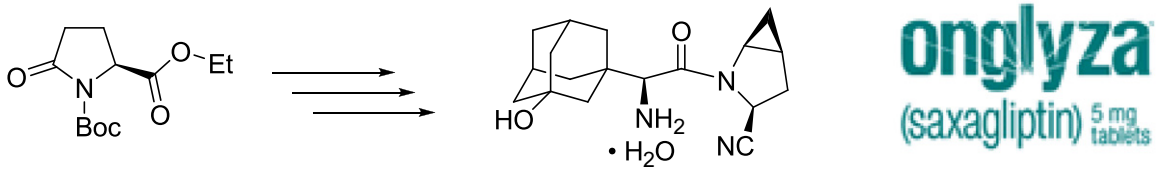
This paper reports on the synthesis and in vitro evaluation of three novel Mn(II) complexes as potential MRI probes for the enzyme tyrosinase to be used in the diagnosis of melanoma cancer.





A new approach to enzyme-responsive MRI agents is presented based on the relaxivity enhancement caused by the disruption of aggregates formed by liposomes and a macromolecular substrate cleaved by the enzyme.

REVIEW



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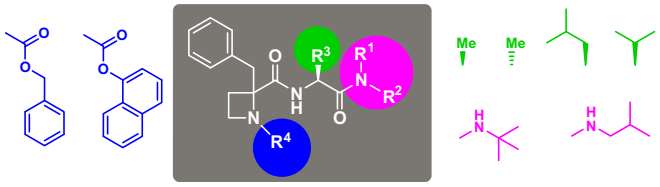
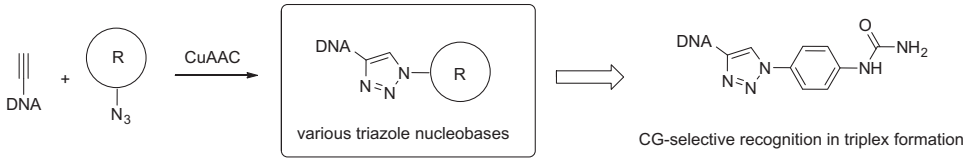
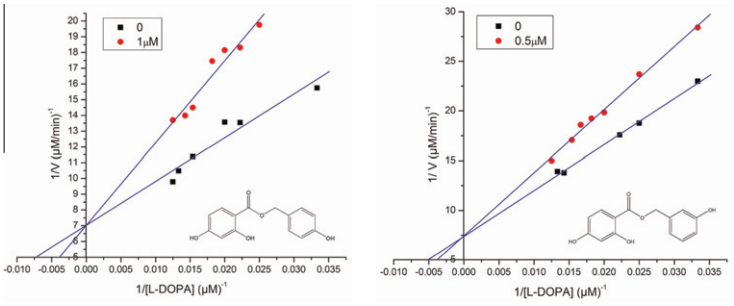
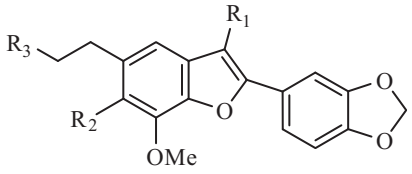




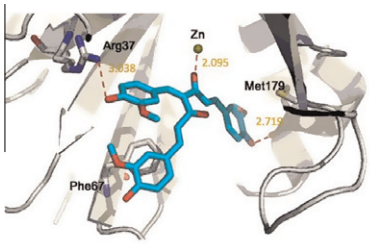
Fourteen Benzyl benzoates with hydroxyl(s) (**3**–**16**) were synthesised and their inhibitory activity on mushroom tyrosinase were tested. Results indicated that five among these 14 compounds exhibited an IC_{50} value less than 10 μM, while 4-hydroxybenzyl 2,4-dihydroxybenzoate (**5**), got the best inhibitory activity. Further studies showed these five compounds were competitive inhibitors of tyrosinase.





Eighteen egonol derivatives via substitution, (at C-3, C-6, and C-3″), oxidation, reduction and condensation reactions were synthesized and their antimicrobial activities against four well-known bacterias and yeast were investigated.

We designed, synthesized and tested a series of curcumin derivatives possessing high inhibitory activity against human GLO I, the binding model for compound **8** was obtained by MD simulations, as shown in Figure 7. The satisfactory correlation of pK_i value between experiment and theory was shown in Figure 8.



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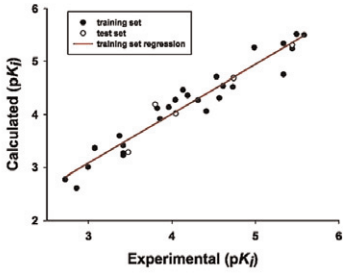
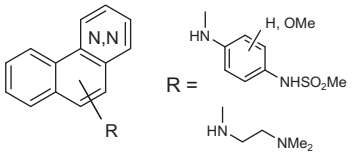
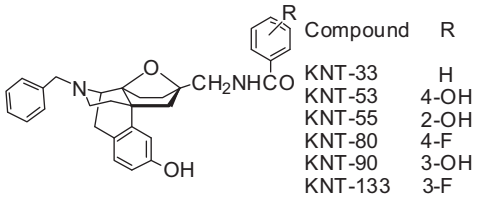
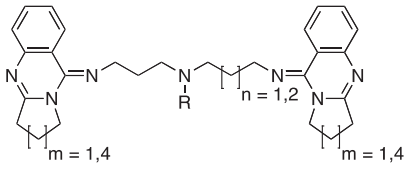


8



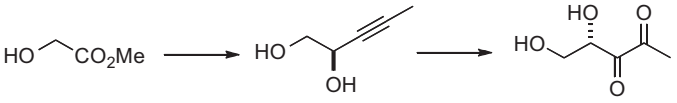


We found that 17-benzyl-6,14-epoxymorphinan derivatives showed high selectivities for the opioid κ receptor. The 17-benzyl substituent may play an important role for developing κ selectivity.

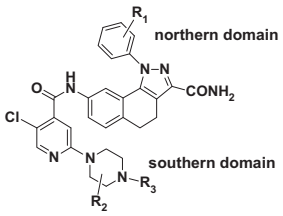


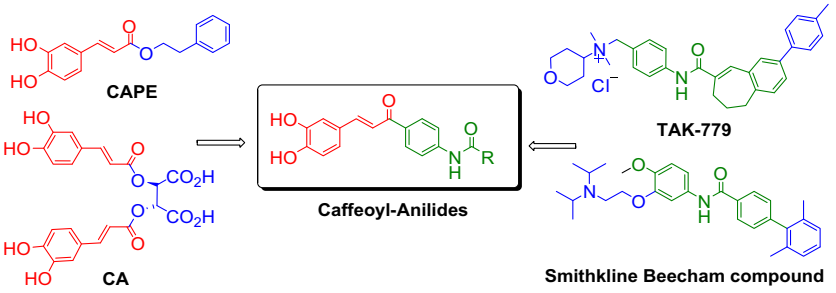
17: n = 1, m = 1, R = CH_3
18: n = 1, m = 1, R = $COCH_3$
19: n = 1, m = 4, R = CH_3
20: n = 1, m = 4, R = $COCH_3$
21: n = 2, m = 1, R = CH_3
22: n = 2, m = 1, R = $COCH_3$
23: n = 2, m = 4, R = CH_3
24: n = 2, m = 4, R = $COCH_3$
25: n = 2, m = 1, R = $COCH_2CH_3$
26: n = 2, m = 4, R = $COCH_2CH_3$

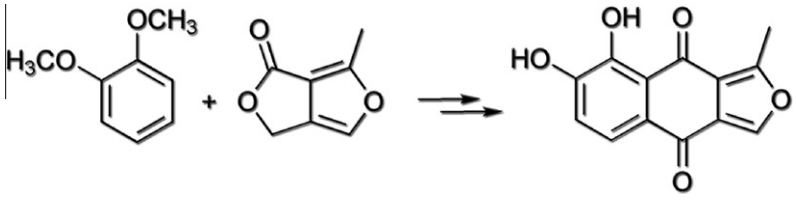
27: n = 2, m = 1, R = $COC(=CH_2)CH_3$
28: n = 2, m = 4, R = $COC(=CH_2)CH_3$
29: n = 2, m = 4, R = $COCH_2NHCOCH_3$
30: n = 2, m = 4, R = $COC(CH_3)_3$
31: n = 2, m = 4, R = $CO(CH_2)_3CH_3$
32: n = 2, m = 4, R = $COCH_2CH(CH_3)_2$
33: n = 2, m = 4, R = $COCH_2CH_2CH(CH_3)_2$

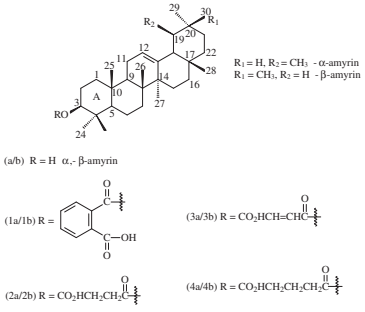


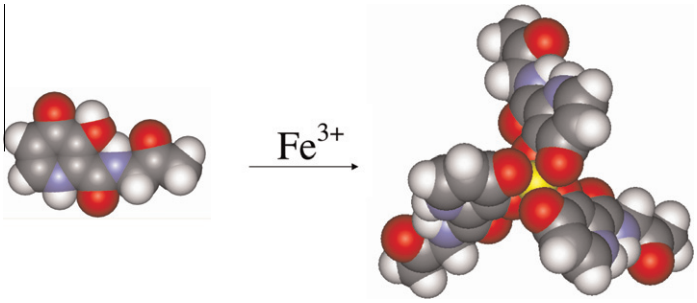
Installation of sites for metabolism in the lead compound **PHA-767408** was the key focus of the IKK-2 inhaled program. This paper reports our efforts to identify a novel series of aminopyridinecarboxamide-based IKK-2 inhibitors which display low nanomolar potency against IKK-2 with long duration of action (DOA) and metabolically labile with potential capability for multiple routes of clearance. Several lead compounds have demonstrated their potential usefulness in the treatment of asthma and chronic obstructive pulmonary disease (COPD).

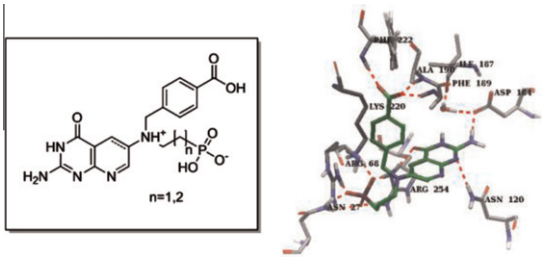


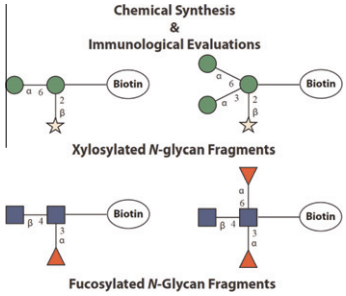


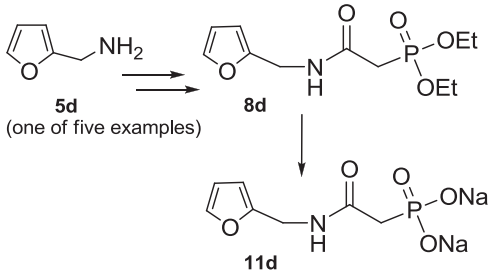












*Corresponding author
Supplementary data available via ScienceDirect

COVER

The cover graphic features three imaging probe examples: a gadolinium complex used as contrast agent in magentic resonance imaging (MRI) of patients, a FRET reporter to measure phospholipase A2 activity in living cells, and Fura-2, a calcium sensor developed by Roger Tsien's group in the eighties that revolutionized cell biology to become a more quantitative and molecular discipline.

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