(E)-2-(Benzo[d]thiazol-2-yl)-3-heteroarylacrylonitriles as efficient Michael acceptors for cysteine: real application in biological imaging

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Abstract:

(E)-2-(Benzo[d]thiazol-2-yl)-3-heteroarylacrylonitriles derivatives (compounds 1, 2, and 3) were synthesized and assessed for their ability to recognize cysteine (Cys) in aqueous solution via a Michael-type reaction.

The sensors can be used for the quantification of Cys with detection limits of ca. 10-5 M. The sensors showed excellent selectivity for Cys over other amino acids. The most reactive five-membered heterocyclic substituent in the tested compounds was the isoxazole derivative (compound 2). The reactivity study was complemented with a theoretical analysis based on the global electrophilicity and hardness. Interestingly, both descriptors correctly assign the reactivity trend $2 > 1 \approx 3$, in accordance with the experimental evidence. Finally, these sensors were successfully applied to the fluorescence imaging of intracellular Cys in SH-SY5Y cells.

Keywords: Fluorescent sensors | Acrylonitriles derivatives | Michael addition | Cysteine

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