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## Synthesis of new 3-(2-mercapto-4-oxo-4H-quinazolin-3-yl)-benzenesulfonamides with strong inhibition properties against the tumor associated carbonic anhydrases IX and XII

(Article)

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## Abstract

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We report a series of novel metanilamide-based derivatives 3a–q bearing the 2-mercapto-4-oxo-4H-quinazolin-3-yl moiety as tail. All compounds were synthesized by means of straightforward condensation procedures and were investigated in vitro for their inhibition potency against the human (h) carbonic anhydrase (CA; EC 4.2.1.1.1) isoforms I, II, IX and XII. Among all compounds tested the 6-iodo 3g and the 7-fluoro 3i derivatives were the most potent inhibitors against the tumor associated CA IX and XII isoform (K<sub>i</sub>s 1.5 and 2.7 nM respectively for the hCA IX and K<sub>i</sub>s 0.57 and 1.9 nM respectively for the hCA XII). The kinetic data reported here strongly support compounds of this type for their future development as radiotracers in tumor pathologies which are strictly dependent on the enzymatic activity of the hCA IX and XII isoforms. © 2017 Elsevier Ltd

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## Author keywords

Carbonic anhydrase inhibitors (CAIs) | Imaging | Quinazolines | Tumors

## Indexed keywords

EMTREE drug terms:

- 3 isothiocyanato benzenesulfonamide
- 3 [2 mercapto 4 oxo 4h quinazolin 3 yl] benzenesulfonamide derivative
- 3 [2 mercapto 4 oxo 4h quinazolin 3 yl] benzenesulfonamide derivative
- 3 [2 mercapto 6 methoxy 4 oxoquinazolin 3(4h) yl] benzenesulfonamide derivative
- 3 [2 mercapto 6 methyl 4 oxo 4h quinazolin 3 yl] benzenesulfonamide derivative
- 3 [2 mercapto 6,7 dimethoxy 4 oxoquinazolin 3(4h) yl] benzenesulfonamide derivative
- 3 [2 mercapto 6,7,8 trimethoxy 4 oxoquinazolin 3(4h) yl] benzenesulfonamide derivative
- 3 [2 mercapto 6,7dimethyl 4 oxoquinazolin 3(4h) yl] benzenesulfonamide derivative

## Chemicals and CAS Registry Numbers:

acetazolamide, 1424-27-7, 59-66-5; carbonate dehydratase, 9001-03-0;

Carbonic Anhydrase Inhibitors; Carbonic Anhydrase IX; carbonic anhydrase XII; Carbonic Anhydrases; Protein Isoforms; Quinazolines; Sulfonamides

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| 11942          | Prince Sattam bin Abdulaziz University               | PSAU    |
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