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## Synthesis 4-[2-(2-mercapto-4-oxo-4H-quinazolin-3-yl)-ethyl]-benzenesulfonamides with subnanomolar carbonic anhydrase II and XII inhibitory properties

By: **Bozdag, M** (Bozdag, Murat)<sup>[1]</sup>; **Alafeefy, AM** (Alafeefy, Ahmed M.)<sup>[2]</sup>; **Carta, F** (Carta, Fabrizio)<sup>[1]</sup>; **Ceruso, M** (Ceruso, Mariangela)<sup>[1]</sup>; **Al-Tamimi, AMS** (Al-Tamimi, Abdul-Malek S.)<sup>[3]</sup>; **Al-Kahtani, AA** (Al-Kahtani, Abdulla A.)<sup>[3,4]</sup>; **Alasmay, FAS** (Alasmay, Fatmah A. S.)<sup>[4]</sup>; **Supuran, CT** (Supuran, Claudiu T.)<sup>[1]</sup>

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### Abstract

Condensation of substituted anthranilic acids with 4-isothiocyanatoethyl-benzenesulfonamide led to series of heterocyclic benzenesulfonamides incorporating 2-mercapto-quinazolin-4-one tails. These sulfonamides were investigated as inhibitors of the human carbonic anhydrase (hCA, EC 4.2.1.1) isoforms hCA I and II (cytosolic isozymes), as well as hCA XII (a transmembrane, tumor-associated enzyme also involved in glaucoma-genesis). The new sulfonamides acted as medium potency inhibitors of hCA I (K(I)s of 28.5-2954 nM), being highly effective as hCA II (K(I)s in the range of 0.62-12.4 nM) and XII (K(I)s of 0.54-7.11 nM) inhibitors. All substitution patterns present in these compounds (e.g., halogens, methyl and methoxy moieties, in positions 6, 7 and/or 8 of the 2-mercapto-quinazolin-4-one ring) led to highly effective hCA II/XII inhibitors. These compounds should thus be of interest as preclinical candidates in pathologies in which the activity of these enzymes should be inhibited, such as glaucoma (CA II and XII as targets) or some tumors in which the activity of isoforms CA II and XII is dysregulated. (C) 2016 Elsevier Ltd. All rights reserved.

### Keywords

**Author Keywords:** Carbonic anhydrase; Sulfonamide; Inhibitor; 2-Mercapto-quinazolin-4-one; Tail approach

**KeyWords Plus:** LOWERING AROMATIC/HETEROCYCLIC SULFONAMIDES; ISOZYME-II; PATHOGENIC BACTERIUM; SELECTIVE INHIBITORS; PORPHYROMONAS-GINGIVALIS; DRUG TARGETS; BIOCHEMICAL-CHARACTERIZATION; THERAPEUTIC APPLICATIONS; 1,3,5-TRIAZINE MOIETIES; VIBRIO-CHOLERA

### Author Information

**Reprint Address:** Supuran, CT (reprint author)

+ Univ Florence, NEUROFARBA Dept, Sez Sci Farmaceut, Via Ugo Schiff 6, I-50019 Florence, Italy.

#### Addresses:

+ [ 1 ] Univ Florence, NEUROFARBA Dept, Sez Sci Farmaceut, Via Ugo Schiff 6, I-50019 Florence, Italy

+ [ 2 ] Int Islamic Univ Malaysia, Kulliyyah Sci, Dept Chem, POB 141, Kuantan 25710, Pahang

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