Conference Abstract

Synthesis of a drug discovery library for the identification of sigma receptors modulators

Giacomo Rossino‡, Marta Rui‡, Marcello Di Giacomo‡, Daniela Rossi‡, Simona Collina‡
‡ University of Pavia, Pavia, Italy

Corresponding author: Giacomo Rossino (giacomo.rossino01@universitadipavia.it)

Received: 10 Jul 2017 | Published: 17 Jul 2017
https://doi.org/10.3897/biodiscovery.20.e14958

Abstract

Sigma Receptors (SR) have been proposed as a valuable molecular target for the treatment of various diseases. Specifically, S1 receptor is mainly involved in neurodegenerative pathologies Collina et al. 2013, Rossi et al. 2013b, while S2 receptor is related to cancer Collina et al. 2017. In the last years our research has been focused on the design, synthesis and pharmacological evaluation of new potential SR modulators based on arylalkenyl- and arylalkyl-aminic and (N-alkylaminoalkyl-substituted) arylalkenylamidic scaffolds Urbano et al. 2007, Rossi et al. 2011, Rossi et al. 2013a, Marra et al. 2016. As a continuation of our ongoing research in the sigma field, herein we report on the design and preparation of a discovery library based on a new scaffold. The library design was carried out taking into account the synthetic feasibility, the commercial availability of building blocks as well as molecular modeling suggestions. Thus, an efficient and easy-to-use protocol, based on combined Microwave Assisted Organic Synthesis (MAOS), Polymer Assisted Solution Phase Synthesis (PASPS) and Solid Phase Extraction (SPE) was developed. Interestingly, the optimized procedure led us to prepare the designed library compounds with a purity > 95% suitable for biological screening.

Synthetic procedures applied for the preparation of desired compounds as well as preliminary binding data will be presented and discussed.

© Rossino G et al. This is an open access article distributed under the terms of the Creative Commons Attribution License (CC BY 4.0), which permits unrestricted use, distribution, and reproduction in any medium, provided the original author and source are credited.
Keywords

Sigma 1 Receptor, Drug Discovery, Microwave Assisted Organic Synthesis, Polymer Assisted Solution Phase Synthesis, Solid Phase Extraction

Presenting author

Giacomo Rossino

Presented at

World BioDiscovery Congress 2017

References