conferenceseries.com

Mol Biol 2018, Volume 7
DOI: 10.4172/2168-9547-C1-003

Drug repositioning as an effective therapy for protease-activated receptor-2 inhibition

Uzma Saqib
Indian Institute of Technology Indore, India

Proteinase-Activated Receptor-2 (PAR-2) is a GPCR activated by both trypsin and a specific agonist peptide, SLIGKV-NH2. It has been linked to various pathologies, including pain and inflammation. Several peptide and peptidomimetic agonists for PAR-2 have been developed exhibiting high potency and efficacy. However, the number of PAR-2 antagonists has been smaller. We screened the FDA library of approved compounds to retrieve novel antagonists for repositioning in the PAR-2 structure. The most efficacious compound Bicalutamide bound to the PAR-2 binding groove near the extracellular domain as observed in the *in silico* studies. Further, it showed reduced Ca^{2+} release in trypsin activated cells in a dose-dependent manner. Hence, Bicalutamide is a novel and potent PAR-2 antagonist which could be therapeutically useful in blocking multiple pathways diverging from PAR-2 signaling. Further, the novel scaffold of Bicalutamide represents a new molecular structure for PAR-2 antagonism and can serve as a basis for further drug development.

uzma05@gmail.com

Notes: