University of Puerto Rico Mayagüez Campus Chemistry Department Departmental Seminar

Friday, March 18th, 2016 Q -123 Abbott Room 11:30 AM

By

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Discovery of EHop-016: A Small Molecule Inhibitor of Rac

Rac1, a Rho family member, plays a critical role in several aspects of tumorigenesis, cancer progression, and has been associated with the increased proliferation and invasion of several breast cancer cell lines. Since the activation of Rho GTPases is controlled by guanine nucleotide exchange factors (GEFs), inhibition of the interaction of Rac with its GEFs is a targeted strategy for blocking Rac activation. In our research, we used an existing Rac inhibitor NSC23766 to design and develop improved derivatives. We identified EHop-016 as a Rac inhibitor, with 100-fold more potency than NSC23766, which binds to Rac1 with tighter interaction. The compound EHop-016 is an effective tool for probing Rac function in different cell lines.