

IIIM-873

[IIIM-873/15 (Target: PI3K-alpha)]

IIIM-873 is a thienopyrimidine class of water soluble NCE lead possessing potent and selective PI3K-alpha inhibitory activity (PI3K- α : IC₅₀ 40 nM) with good aqueous solubility. It possess >500 fold selectivity for alpha-isoform over other three isoforms. It has aqueous solubility of 800 μ g/ml whereas the parent compound PI-103 is poorly water soluble (5 μ g/ml). Its aqueous solubility and isoform-selectivity is better than clinical candidates GDC-0941 and PI-103 (aq. solubility = 5-16 μ g/ml). It showed promising cytotoxicity in MIAPaCa-2, A549 and MDAMB-231 cells. The preliminary in-vivo anticancer activity (by i.p. route) has been demonstrated in murine solid tumor model. The complete preclinical characterization of this lead is under progress.