BIOLOGICAL ACTIVITY:

SU 6656 is a selective inhibitor of Src kinases, Including Src, Yes, Lyn, and Fyn (IC50 = 280, 20, 130, 170 nM, respectively).

IC50 value:

Target: Src kinases inhibitor

in vitro: By comparing PDGF–stimulated tyrosine phosphorylation events in untreated and SU6656–treated cells, we found that some substrates (for example, c–Cbl, and protein kinase C delta) were Src family substrates whereas others (for example, phospholipase C–gamma) were not [1]. Selective inhibition of SFKs with SU6656 delocalized E–cadherin and disrupted cellular junctions without affecting E–cadherin expression and this effect was phenocopied by knockdown of Src or Yes [2]. Inhibiting Src kinase activity by SU6656 suppressed TGFβ–induced RhoA and ATF2 activation but not Smad2 phosphorylation [3]. SU6656, the selective inhibitor of the Src kinase activity, decreased up–regulation of the mTORC1 signalling and moreover, unlike rapamycin, it did not induce the activation of Akt/PKB and its downstream targets in HBL melanoma cells [4].

in vivo: Ischemic postconditioning induced neuroprotective effects were significantly attenuated by pre–treatment of selective Src Kinase inhibitors SU–6656 (4 mg/kg i.p.) and PP1 (0.2 mg/kg i.p.) [5]. SU6656 and SKI–606 (bosutinib) enhanced immunotoxin killing of mesothelin–expressing cells by SS1P and CD22–expressing cells by HA22 (moxetumomab pasudotox). SU6656 also enhanced the antitumor effects of SS1P and HA22 in mouse xenograft tumor models [6].

References:


Caution: Product has not been fully validated for medical applications. For research use only.