

TAK-632

Catalog No: tcsc1697



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1228591-30-7

Formula:

$C_{27}H_{18}F_4N_4O_3S$

Pathway:

MAPK/ERK Pathway;Cell Cycle/DNA Damage;Epigenetics

Target:

Raf;Aurora Kinase;Aurora Kinase

Purity / Grade:

>98%

Solubility:

DMSO : 100 mg/mL (180.34 mM; Need ultrasonic)

Observed Molecular Weight:

554.52

Product Description

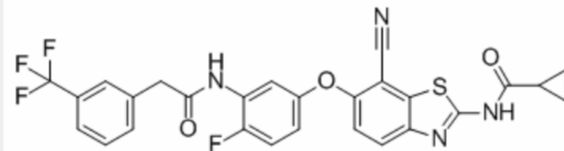
TAK-632 is a potent **pan-RAF** inhibitor with **IC₅₀** of 1.4, 2.4 and 8.3 nM for **CRAF**, **BRAF^{V600E}**, **BRAF^{WT}**

, respectively.

IC50 & Target: IC50: 1.4 nM (C-RAF), 2.4 nM (BRAF^{V600E}), 8.3 nM (BRAF^{WT}), 66 nM (Aurora B), 160 nM (VEGFR)^[1]

In Vitro: TAK-632 inhibits PDGFR β , FGFR3, GSK3 β , CDK2, P38 α , PDGFR α , TIE2, and CDK1 with a range of IC₅₀ values from 120-790 nM. CHK1, IKK β , and MEK1 are inhibited over an IC₅₀ range of 1400-1700 nM. With 1 h of preincubation time, TAK-632 inhibits BRAF and CRAF in an ATP competitive manner (at low ATP concentrations BRAF IC₅₀: 15 nM; CRAF: 8.1 nM). The respective biochemical activity of TAK-632 against BRAF and CRAF reduces to IC₅₀ values of 58 nM and 62 nM at high ATP concentrations. TAK-632 demonstrates strong inhibition of pMEK and pERK in HMVII cells with IC₅₀ values of 49 nM and 50 nM, respectively^[1]. TAK-632 shows strong antiproliferative effects both in A375 and SK-MEL-2 cells (GI₅₀ of 40-190 nM in A375 cells and GI₅₀ of 190-250 nM in SK-MEL-2 cells)^[2].

In Vivo: TAK-632 demonstrates dramatically improved solubility (740 μ g/mL) in pH 6.8 phosphate buffer and exhibits significant oral absorption (at a dose of 25 mg/kg, AUC, 32.47 μ g h/mL; F, 51.7%) in rats. In a dog PK study, 10 mg/kg administration of TAK-632 also shows superior oral bioavailability (F: 108%). Oral single administration of TAK-632 inhibits pERK in tumors at 8 h after its administration over a dose range of 1.9-24.1 mg/kg. In particular, 9.7-24.1 mg/kg dosing with TAK-632 strongly inhibits pERK levels to 11% of the control. TAK-632 exhibits dose-dependent antitumor efficacy without severe body weight reduction over a dose range of 3.9-24.1 mg/kg. Significant tumor regression is observed at 9.7 mg/kg and 24.1 mg/kg (T/C=-2.1% and -12.1%, respectively)^[1]. TAK-632 exhibits potent antitumor efficacy when orally administered at 60 mg/kg once daily (T/C=37%, P[2]).



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!