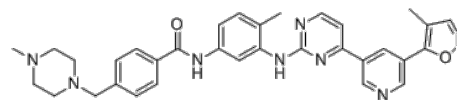


Product Name : IKT-148009
Cat. No. : PC-20144
CAS No. : 2031185-00-7
Molecular Formula : C₃₃H₃₄N₈O₂
Molecular Weight : 574.69
Target : Bcr-Abl
Solubility : 10 mM in DMSO



Biological Activity

IKT-148009 (Risvodetinib, IKT09) is a potent, selective, orally bioavailable and brain-penetrant **c-Abl** inhibitor with IC₅₀ of 33 and 14 nM for c-Abl (Abl1) and its closely related isoform c-Abl2 (Arg), respectively.

IKT-148009 shows little to no inhibition against the other members of the c-Abl family, c-Kit, PDGFR α , and PDGFR β with IC₅₀ of 2975, 1009 and 881 nM, respectively.

IKT-148009 (100 mg/kg per day) suppressed c-Abl activation in the mouse brains of MPTP-treated mice.

IKT-148009 showed protection from degeneration of nigrostriatal DA neurons in mouse brain in MPTP neurotoxicity mouse model of parkinson's disease (PD), significantly reduced the MPTP-induced loss of dopamine and the loss of the DA metabolites, 3-4-dihydroxyphenylacetic acid (DOPAC), homovanillic acid (HVA), and 3-methoxytyramine (3MT).

IKT-148009 (100 mg/kg per day, 1% HPMC (hydroxypropyl methylcellulose), 1% Tween 80, and 10% PEG 400 in sterile water, oral gavage) rescued DA neuron loss, reduced pathological α -synuclein in mouse model of inherited and sporadic PD.

References

Patent US9828370B2.

Karuppagounder SS, et al. *Sci Transl Med*. 2023 Jan 18;15(679):eabp9352.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com