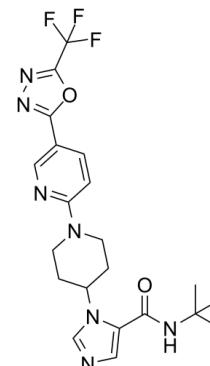


Data Sheet

Product Name:	WNK463
Cat. No.:	CS-5921
CAS No.:	2012607-27-9
Molecular Formula:	C ₂₁ H ₂₄ F ₃ N ₇ O ₂
Molecular Weight:	463.46
Target:	Ser/Thr Protease
Pathway:	Metabolic Enzyme/Protease
Solubility:	DMSO : ≥ 30 mg/mL (64.73 mM)



BIOLOGICAL ACTIVITY:

WNK463 is an orally bioavailable pan-**With-No-Lysine (K) (WNK)-kinase** inhibitor with IC₅₀s of 5 nM, 1 nM, 6 nM, and 9 nM for WNK1, WNK2, WNK3, and WNK4, respectively^[1]. IC₅₀ & Target: IC₅₀: 5 nM (WNK1), 1 nM (WNK2), 6 nM (WNK3), and 9 nM (WNK4)^[1]
In Vitro: WNK463 (50 nM, 1 μM, 10 μM; 6 days; Human tissue-engineered corneas (hTECs)) treatment reduces phosphorylation of the WNK1 downstream targets SPAK/OSR1 in wounded hTECs. **In Vivo:** WNK463 (1-10 mg/kg; oral administration; 4 hours; Spontaneously hypertensive Sprague Dawley rats) treatment produces dose-dependent decreases in blood pressure and simultaneous increases in heart rate in conscious SHR. WNK463 produces significant and dose-dependent increases in urine output as well as urinary sodium and potassium excretion rates.
 WNK463 is orally bioavailable in Sprague Dawley rats with a half-life of 2.1 hours^[1].

References:

- [1]. Yamada K et al. Small-molecule WNK inhibition regulates cardiovascular and renal function. Nat Chem Biol. 2016 Nov;12(11):896-898.
 [2]. Desjardins P, et al. Contribution of the WNK1 kinase to corneal wound healing using the tissue-engineered human cornea as an in vitro model. J Tissue Eng Regen Med. 2019 Sep;13(9):1595-1608.

CAIndexNames:

1H-Imidazole-5-carboxamide, N-(1,1-dimethylethyl)-1-[1-[5-[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]-2-pyridinyl]-4-piperidinyl]-

SMILES:

FC(F)(F)C1=NN=C(O1)C2=CN=C(N3CCC(N4C=NC=C4C(NC(C)(C)C)=O)CC3)C=C2

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

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