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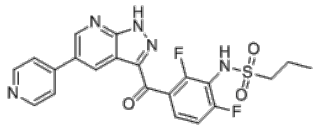
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	HRX215
Cat. No.	:	PC-20026
CAS No.	:	2369583-33-3
Molecular Formula	:	$C_{21}H_{17}F_2N_5O_3S$
Molecular Weight	:	457.46
Target	:	MEK (MAP2K)
Solubility	:	10 mM in DMSO



Biological Activity

Darizmetinib (HRX215, HRX0215) is a first-in-class, potent, selective inhibitor of **MKK4** (mitogen-activated protein kinase kinase 4, MEK4, **MAP2K4**) with IC50 of 20 nM, >100-fold selectivity against JNK1, BRAF, and MKK7.
Darizmetinib (HRX215) (0.3-3 uM) potently reduces p-MKK4 (S257) of LPS-induces SAPK signaling via TLR4 in peripheral blood mononuclear cells (PBMCs).
Darizmetinib (HRX215) (0.4, 2, 10 mg/kg, p.o.) increases hepatocyte proliferation upon partial hepatectomy and attenuates apoptosis in a CCl4 liver damage model.
Darizmetinib (HRX215) (0.4, 2, and 10 mg/kg) reduce steatosis and inhibit fibrosis development in alcoholic steatohepatitis (ASH) model.
Darizmetinib (HRX215) shows antisteatotic efficacy in a long-term NASH-HCC model.
Darizmetinib (HRX215) (10 uM) induces growth inhibition of murine NrasG12V; Cdkn2aARF−/- and NrasG12V; Trp53−/- liver cancer cells.
Darizmetinib (HRX215) (5 mg/kg, i.v.) increases liver regeneration after 80% hepatectomy in pigs, prevents liver failure.

References

Patent WO2019149738 A1.
2. Stefan Zwirner, et al. ***Cell.*** 2024 Mar 8:S0092-8674(24)00225-3.

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