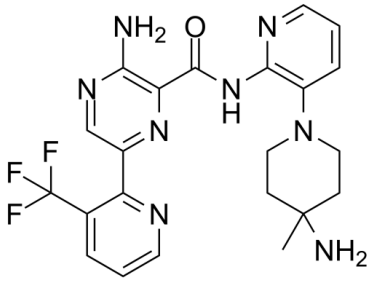
Data Sheet

Product Name:	Darovasertib
Cat. No.:	CS-7529
CAS No.:	1874276-76-2
Molecular Formula:	$C_{22}H_{23}F_3N_8O$
Molecular Weight:	472.47
Target:	PKC
Pathway:	Epigenetics; TGF-beta/Smad
Solubility:	DMSO : 25 mg/mL (52.91 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Darovasertib (LXS196) is a potent, selective and orally active protein kinase C (**PKC**) inhibitor, with IC_{50} values of 1.9 nM, 0.4 nM and 3.1 μM for PKCα, PKCθ and GSK3β, respectively. Darovasertib has the potential for uveal melanoma research[1][2]. **In Vitro:** Upon oral administration, protein kinase C inhibitor LXS196 binds to and inhibits PKC, which prevents the activation of PKC-mediated signaling pathways. This may lead to the induction of cell cycle arrest and apoptosis in susceptible tumor cells. PKC, a serine/threonine protein kinase overexpressed in certain types of cancer cells, is involved in tumor cell differentiation, proliferation, invasion and survival[1]. **In Vivo:** Darovasertib (LXS196; compound 9) (15, 30, 75, 150 mg/kg, P.O., mice) shows improved efficacy (regression) in a 92.1 GNAQ uveal melanoma xenograft model in a dose-dependently manner[2].

References:

[1]. Protein Kinase C Inhibitor LXS196

[2]. US20180179181.

CAIndexNames:

2-Pyrazinecarboxamide, 3-amino-N-[3-(4-amino-4-methyl-1-piperidinyl)-2-pyridinyl]-6-[3-(trifluoromethyl)-2-pyridinyl]-

SMILES:

NC1=C(C(NC2=C(N3CCC(C)(N)CC3)C=CC=N2)=O)N=C(C4=NC=CC=C4C(F)(F)F)C=N1

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

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