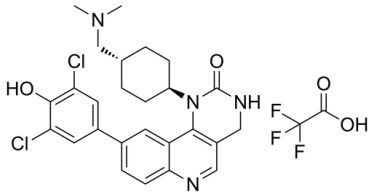
Data Sheet

Product Name:	HTH-01-091 (TFA)
Cat. No.:	CS-0637786
Molecular Formula:	$C_{28}H_{29}Cl_2F_3N_4O_4$
Molecular Weight:	613.46
Target:	CDK; DYRK; GSK-3; MELK; mTOR; Pim; RIP kinase
Pathway:	Apoptosis; Cell Cycle/DNA Damage; JAK/STAT Signaling; PI3K/Akt/mTOR; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

HTH-01-091 TFA is a potent and selective **maternal embryonic leucine zipper kinase (MELK)** inhibitor, with an **IC_{50}** of 10.5 nM. HTH-01-091 TFA also inhibits **PIM1/2/3**, **RIPK2**, **DYRK3**, **smMLCK** and **CLK2**. HTH-01-091 TFA can be uesd for breast cancer research[1]. IC50 & Target:IC50: 10.5 nM (MELK), 41.8 nM (DYRK3), 42.5 nM (RIPK2), 60.6 nM (PIM1), 108.6 nM (smMLCK), 632 nM (mTOR), 962 nM (PIK3CA), 1230 nM (CDK7), 1740 nM (GSK3A)[1] **In Vitro:**HTH-01-091 (1 μM) TFA selectively inhibits 4% of the kinases over 90%[1].

HTH-01-091 (0-10 μM, 1 h) TFA is cell permeable and causes MELK degradation[1].

HTH-01-091 (0-10 μM, 3 day) TFA exhibits minor antiproliferative effects in breast cancer cells[1].

References:

[1]. Huang HT, et al. MELK is not necessary for the proliferation of basal-like breast cancer cells. Elife. 2017 Sep 19;6:e26693.

CAIndexNames:

Pyrimido[5,4-c]quinolin-2(1H)-one, 9-(3,5-dichloro-4-hydroxyphenyl)-1-[trans-4-[(dimethylamino)methyl]cyclohexyl]-3,4-dihydro- (TFA)

SMILES:

O=C1N([C@H]2CC[C@H](CN(C)C)CC2)C3=C(CN1)C=NC4=CC=C(C5=CC(Cl)=C(O)C(Cl)=C5)C=C34.OC(C(F)(F)F)=O

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

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