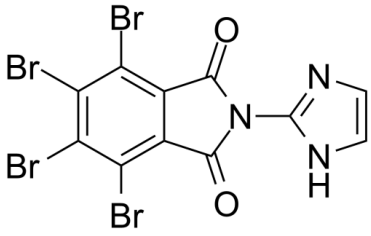
Data Sheet

Product Name:	tBID
Cat. No.:	CS-6410
CAS No.:	1639895-85-4
Molecular Formula:	C11H3Br4N3O2
Molecular Weight:	528.78
Target:	DYRK
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 26 mg/mL (49.17 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

tBID is a selective inhibitor of homeodomain-interacting protein kinase 2 (**HIPK2**) with an **IC_{50}** of 0.33 μM. IC50 & Target: IC50: 0.33 μM (HIPK2)[1] **In Vitro:** Homeodomain-interacting protein kinase 2 (HIPK2) is a Ser/Thr kinase controlling cell proliferation and survival. TBID, displays toward HIPK2 unprecedented efficacy (IC_{50}=0.33 μM) and selectivity (Gini coefficient 0.592 out of a panel of 76 kinases). The two other members of the HIPK family, HIPK1 and HIPK3, are also inhibited by TBID albeit less efficiently than HIPK2. The mode of action of TBID is competitive with respect to ATP, consistent with modelling. TBID interacts with the hinge region through hydrophobic interactions between Val 213, Val 261, Phe 277, Leu 280, Met 331, Ile 345, and the tetrabromine moiety, while the symmetric nitrogen atom at position 3 interacts with the catalytic Lys 228, thus playing a crucial role in the binding architecture[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [1]HepG2 cells (human hepatocellular carcinoma) are cultured in Dulbecco's modified Eagle's medium supplemented with 10% fetal calf serum, 2 mM L-glutamine, 100 unit/mL penicillin and 100 μg/mL streptomycin. Treatments with TBID are performed in the same medium but with 1% fetal calf serum; control cells are treated with the solvent (DMSO). Cell viability is evaluated by means of MTT[1]

References:

[1]. Cozza G, et al. Synthesis and properties of a selective inhibitor of homeodomain-interacting protein kinase 2 (HIPK2). PLoS One. 2014 Feb 24;9(2):e89176.

CAIndexNames:

1H-Isoindole-1,3(2H)-dione, 4,5,6,7-tetrabromo-2-(1H-imidazol-2-yl)-

SMILES:

O=C1N(C2=NC=CN2)C(C3=C(Br)C(Br)=C(Br)C(Br)=C31)=O

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

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