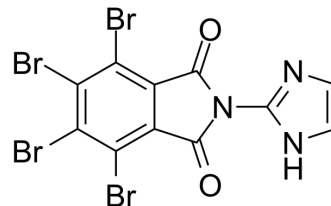


tBID

Cat. No.:	HY-100464		
CAS No.:	1639895-85-4		
Molecular Formula:	C ₁₁ H ₃ Br ₄ N ₃ O ₂		
Molecular Weight:	528.78		
Target:	DYRK		
Pathway:	Protein Tyrosine Kinase/RTK		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (37.82 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	1.8911 mL	9.4557 mL	18.9115 mL
5 mM	0.3782 mL	1.8911 mL	3.7823 mL
10 mM	0.1891 mL	0.9456 mL	1.8911 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

tBID is a selective inhibitor of homeodomain-interacting protein kinase 2 (HIPK2) with an IC₅₀ 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 (IC₅₀=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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

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]

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- EBioMedicine. 2022 Sep 28;85:104274.
- Exp Ther Med. 2020 Dec;20(6):171.

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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.

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

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