DYRK

Dual specificity tyrosine phosphorylation regulated kinase; Dual specificity tyrosine regulated kinase

<P>DYRKs (dual-specificity tyrosine-regulated kinases; dual-specificity tyrosine phosphorylation-regulated kinases) comprise a family of protein kinases within the CMGC group of the eukaryotic kinome. DYRKs contain five members in humans that are clustered into two classes based on their phylogenetic relationships: class I DYRKs, DYRK1A and DYRK1B and class II DYRKs, DYRK2, DYRK3, and DYRK4.

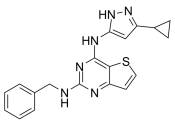
DYRK kinases are “dual specificity” kinases, as they can phosphorylate both tyrosine (Y) and serine/threonine (S/T) residues, although Y-phosphorylation is limited to their autophosphorylation activity. DYRK kinases phosphorylate a broad set of substrates that are involved in a wide range of cellular processes, and they are thought to fulfill essential biological functions both during development and in maintaining homeostasis during the adult life. Consequently, the aberrant regulation or expression of DYRK kinases has been associated with several human pathologies, including cancer.

DYRK Inhibitors

ARN25068

Cat. No.: HY-144290

ARN25068 is a sub-micromolar inhibitor of the three protein kinases, **GSK-3β**, **FYN** and **DYRK1A** to tackle tau hyperphosphorylation.

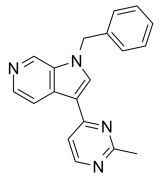


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AZ-Dyrk1B-33

Cat. No.: HY-117391

AZ-Dyrk1B-33 is a potent and selective **Dyrk1B kinase** inhibitor, with an IC_{50} of 7 nM.

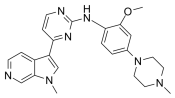


Purity: 99.95%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AZ191

Cat. No.: HY-12277

AZ191 is a potent inhibitor that selectively inhibits **DYRK1B** with IC_{50} of 17 nM.

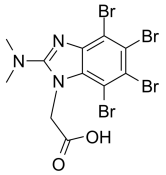


Purity: 99.98%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CK2/ERK8-IN-1

Cat. No.: HY-135906

CK2/ERK8-IN-1 is a dual **casein kinase 2 (CK2)** (K_i of 0.25 μM) and **ERK8** (MAPK15, ERK7) inhibitor with IC_{50}s of 0.50 μM. CK2/ERK8-IN-1 also binds to **PIM1**, **HIPK2** (**homeodomain-interacting protein kinase 2**), and **DYRK1A** with K_is of 8.65 μM, 15.25 μM, and 11.9 μM, respectively.

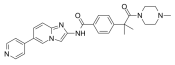


Purity: 98.82%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

CLK-IN-T3

Cat. No.: HY-115470

CLK-IN-T3 is a high potent, selective, and stable **CDC-like kinase (CLK)** inhibitor with IC_{50}s of 0.67 nM, 15 nM, and 110 nM for CLK1, CLK2, and CLK3 protein kinases, respectively. CLK-IN-T3 has anti-cancer activity.

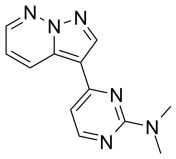


Purity: 98.40%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

DYRK1-IN-1

Cat. No.: HY-132308

DYRK1-IN-1 is a highly selective and ligand-efficient **DYRK1A** inhibitor. DYRK1-IN-1 inhibits **DYRK1A** phosphorylation activity with an IC_{50} value of 220 nM. DYRK1-IN-1 can be used for the research of central nervous system penetrant **DYRK1A** chemical probe.

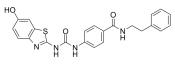


Purity: 99.62%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Dyrk1A-IN-1

Cat. No.: HY-139830

Dyrk1A-IN-1 is a triple inhibitor of **Dyrk1A kinase** activity (IC_{50} = 119 nM) and the aggregation of tau and α-syn oligomers.

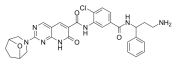


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

DYRKs-IN-1

Cat. No.: HY-128758

DYRKs-IN-1 is a potent **DYRKs** (Dual-specificity tyrosine-phosphorylation-regulated kinases) inhibitor with IC_{50}s of 5 nM and 8 nM for DYRK1A and DYRK1B, respectively. DYRKs-IN-1 has antitumor activity.

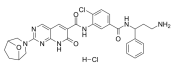


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

DYRKs-IN-1 hydrochloride

Cat. No.: HY-128758A

DYRKs-IN-1 hydrochloride is a potent **DYRKs** (Dual-specificity tyrosine-phosphorylation-regulated kinases) inhibitor with IC_{50}s of 5 nM and 8 nM for DYRK1A and DYRK1B, respectively. DYRKs-IN-1 hydrochloride has antitumor activity.

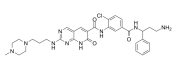


Purity: 99.70%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

DYRKs-IN-2

Cat. No.: HY-128759

DYRKs-IN-2 (Example 132) is a potent **DYRKs** inhibitor with IC_{50}s of 30.6 nM and 12.8 nM for DYRK1B and DYRK1A, respectively. DYRKs-IN-2 has antitumor activity.

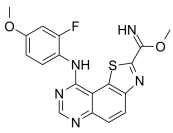


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

EHT 1610

Cat. No.: HY-111380

EHT 1610 is a strong inhibitor of **DYRK's** family kinases, with **IC_{50}s** of 0.36, 0.59 nM for DYRK1A and DYRK1B, respectively.

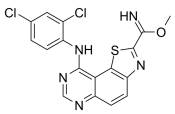


Purity: 98.07%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

EHT 5372

Cat. No.: HY-111379

EHT 5372 is a highly potent and selective inhibitor of **DYRK's** family kinases with **IC_{50}s** of 0.22, 0.28, 10.8, 93.2, 22.8, 88.8, 59.0, 7.44, 221 nM for **DYRK1A**, **DYRK1B** , DYRK2 DYRK3 CLK1, CLK2, CLK4, GSK-3α, GSK-3β.

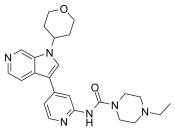


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GNF2133

Cat. No.: HY-142295

GNF2133 hydrochloride is a potent, selective and orally active **DYRK1A** inhibitor with **IC_{50}s** of 0.0062, >50 μM for DYRK1A and GSK3β, respectively. GNF2133 hydrochloride shows good proliferation potency and efficacy on rat and human primary β-cell.

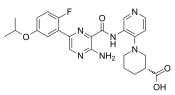


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GNF4877

Cat. No.: HY-129492

GNF4877 is a potent **DYRK1A** and **GSK3β** inhibitor with **IC_{50}s** of 6nM and 16nM, respectively, which leads to blockade of nuclear factor of activated T-cells (NFATc) nuclear export and increased β-cell proliferation (**EC_{50}** of 0.66μM for mouse β (R7T1) cells).

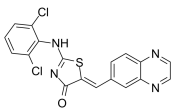


Purity: 98.85%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg

GSK-626616

Cat. No.: HY-105309

GSK-626616 is a potent, orally bioavailable inhibitor of **DYRK3** (**IC_{50}**=0.7 nM). GSK-626616 inhibits other members of the DYRK family (e.g., DYRK1A and DYRK2) with similar potency, which is a potential therapy for the treatment of anemia.



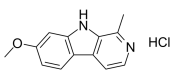
Purity: 99.68%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Harmine hydrochloride

(Telepathine hydrochloride)

Cat. No.: HY-N0737

Harmine Hydrochloride (Telepathine Hydrochloride) is a natural **DYRK** inhibitor with anticancer and anti-inflammatory activities. Harmine has a high affinity of **5-HT_{2A} serotonin receptor**, with an **K_i** of 397 nM.

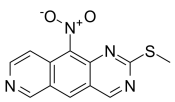


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 20 mg

Haspin-IN-1

Cat. No.: HY-146586

Haspin-IN-1 (compound 2a) is a **haspin** inhibitor with an **IC_{50}** of 119 nM. Haspin-IN-1 also inbibits **CLK1** and **DYRK1A** with **IC_{50}s** of 221 nM and 916.3 nM, respectively.

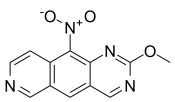


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Haspin-IN-2

Cat. No.: HY-146587

Haspin-IN-2 (compound 4) is a potent and selective **haspin** inhibitor with an **IC_{50}** of 50 nM. Haspin-IN-1 also inbibits **CLK1** and **DYRK1A** with **IC_{50}s** of 445 nM and 917 nM, respectively.

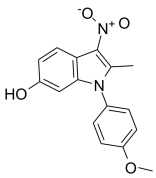


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ID-8

Cat. No.: HY-15838

ID-8 is an inhibitor of **dual-specificity tyrosine phosphorylation-regulated kinase (DYRK)**. ID-8 sustains embryonic stem cell (ESC) self-renewal and pluripotency. ID-8 enhances Wnt-mediated hESC survival and proliferation via inhibition of DYRKs.

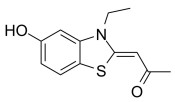


Purity: 99.16%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

INDY

Cat. No.: HY-108476

INDY is a potent and ATP-competitive **Dyrk1A** and **Dyrk1B** inhibitor with **IC_{50}s** of 0.24 μM and 0.23 μM, respectively. INDY binds in the ATP pocket of the enzyme and has a **K_i** value of 0.18 μM for Dyrk1A.

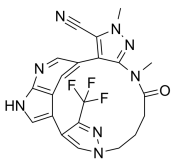


Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

JH-XIV-68-3

Cat. No.: HY-144617

JH-XIV-68-3 is a selective macrocyclic inhibitor of **DYRK1A/B**. JH-XIV-68-3 displays selectivity for DYRK1A and close family member DYRK1B in biochemical and cellular assays. JH-XIV-68-3 demonstrates antitumor efficacy in head and neck squamous cell carcinoma (HNSCC) cell lines.

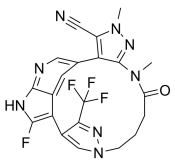


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

JH-XVII-10

Cat. No.: HY-144614

JH-XVII-10 is a potent, selective and orally active **DYRK1A** and **DYRK1B** inhibitor with IC_{50}s of 3 nM and 5 nM for **DYRK1A** and **DYRK1B**, respectively. JH-XVII-10 shows antitumor efficacy in neck squamous cell carcinoma (HNSCC) cell lines.

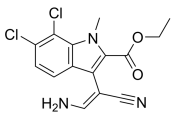


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

KH-CB20

Cat. No.: HY-12828A

KH-CB20, an E/Z mixture, is a potent and selective inhibitor of **CLK1** and the closely related isoform **CLK4**, with an IC_{50} of 16.5 nM for **CLK1**. KH-CB20 can also inhibit **DYRK1A** (IC_{50}=57.8 nM) and **CLK3** (IC_{50}=488 nM).

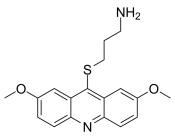


Purity: 99.66%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

LDN-192960

Cat. No.: HY-13455

LDN-192960 is an inhibitor of **Haspin** and **Dual-specificity Tyrosine-regulated Kinase 2 (DYRK2)** with IC_{50}s of 10 nM and 48 nM, respectively.

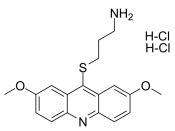


Purity: 99.56%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

LDN-192960 hydrochloride

Cat. No.: HY-13455A

LDN-192960 hydrochloride is an inhibitor of **Haspin** and **Dual-specificity Tyrosine-regulated Kinase 2 (DYRK2)** with IC_{50}s of 10 nM and 48 nM, respectively.

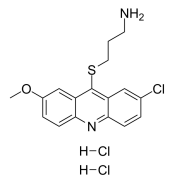


Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

LDN-209929 dihydrochloride

Cat. No.: HY-110320

LDN-209929 dihydrochloride is a potent and selective **haspin kinase** inhibitor (IC_{50}=55 nM) with180-fold selectivity verses DYRK2 (IC_{50}=9.9 μM). LDN-209929 is a optimized analogue of LDN-192960 (HY-13455).

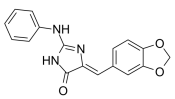


Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Leucettine L41

Cat. No.: HY-117049

Leucettine L41 is a potent inhibitor of **dual-specificity tyrosine phosphorylation-regulated kinase 1A (DYRK1A), DYRK2, CDC-like kinase 1 (CLK1), and CLK3** (IC_{50}s = 0.04, 0.035, 0.015, and 4.5 μM, respectively).

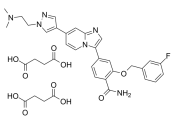


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MBM-55S

Cat. No.: HY-101029A

MBM-55S is a potent **NIMA-related kinase 2 (Nek2)** inhibitor with an IC_{50} of 1 nM. MBM-55S shows a 20-fold or greater selectivity in most kinases with the exception of RSK1 (IC_{50}=5.4 nM) and DYRK1a (IC_{50}=6.5 nM).



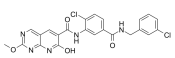
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Mirk-IN-1

(Dyrk1B/A-IN-1)

Cat. No.: HY-12838

Mirk-IN-1 is a potent inhibitor of Dyrk1B(Mirk kianse) and Dyrk1A with IC50 of 68±48 nM and 22±8 nM respectively. IC50 value: 68±48/22±8 nM (Dyrk1B/Dyrk1A) Target: Dyrk inhibitor Mirk-IN-1 had an EC50 of 1.9 ±0.2 mmol/L on SW620 cells.

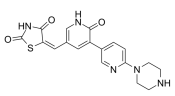


Purity: 99.53%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

Protein kinase inhibitors 1

Cat. No.: HY-U00439

Protein kinase inhibitors 1 is a novel inhibitor of **HIPK2** with an IC_{50} of 74 nM and K_d of 9.5 nM.

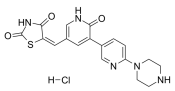


Purity: ≥99.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

Protein kinase inhibitors 1 hydrochloride

Cat. No.: HY-U00439A

Protein kinase inhibitors 1 hydrochloride is a potent **HIPK2** inhibitor, with IC_{50}s of 136 and 74 nM for HIPK1 and HIPK2, and a K_d of 9.5 nM for HIPK2.

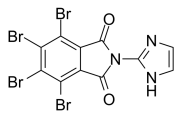


Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

tBID

Cat. No.: HY-100464

tBID is a selective inhibitor of homeodomain-interacting protein kinase 2 (**HIPK2**) with an IC_{50} of 0.33 μM.



Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg