<table>
<tr><td>Technology/ Title</td><td colspan="3">DBPR728/ A Kinase Inhibitor Targeting MYC Driven Cancers- A precision medicine strategy for cancers</td></tr>
<tr><td>Subtitle</td><td colspan="3"></td></tr>
<tr><td rowspan="2">Technology Type</td><td>☐Biotechnology</td><td colspan="2">☐Device/Diagnostics</td></tr>
<tr><td>■Pharmaceutical</td><td colspan="2">☐Others:_____</td></tr>
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<tr><td>Link</td><td colspan="3">http://</td></tr>
<tr><td>Technology Description</td><td colspan="3">DBPR728 is an oral-available novel Aurora kinase inhibitor which was selected based on its potency to reduce levels of c-MYC and N-MYC oncoproteins. DBPR728 efficiently induces cell apoptosis and inhibits proliferation of several cancer cell lines. Head-to-head comparison of DBPR728 with the phase II investigational drug alisertib demonstrated superiority of BPR6K728 on the regression or suppression of multiple tumor xenografts (e.g. small cell lung cancer, triple-negative breast cancer, liver cancer, pancreatic cancer, medulloblastoma) overexpressing c-MYC and/or N-MYC. In addition, oral administration of DBPR728 at 300 mpk once a week or 200 mpk twice a week showed similar tumor regression potency, as compared to the dosage of 100 mpk 5W for 2 weeks. DBPR728 also showed synergy with everolimus (an mTOR inhibitor) in regressing MYC-overexpressing small cell lung cancer tumor xenografts. No significant hematological toxicity was observed in mice receiving DBPR728 at 300 mpk QW in a 21-day cycle. A PCT international patent treaty (WO 2021/178485) has been filed for this technology.<br>COMPETITIVE ADVANTAGES<br>➤ Deregulation of MYC is frequently associated with poor prognosis and unfavorable patient survival. DBPR728 was designed based on its potency to reduce levels of c-MYC and N-MYC oncoproteins in addition to its inhibitory activity to Aurora kinases.<br>➤ DBPR728 is superior to alisertib in degrading c-MYC oncoprotein in the tumor xenografts.<br>➤ Amplification or overexpression of c-MYC/N-MYC can serve as a biomarker for selection of patients who are potentially responsive to DBPR728.</td></tr>
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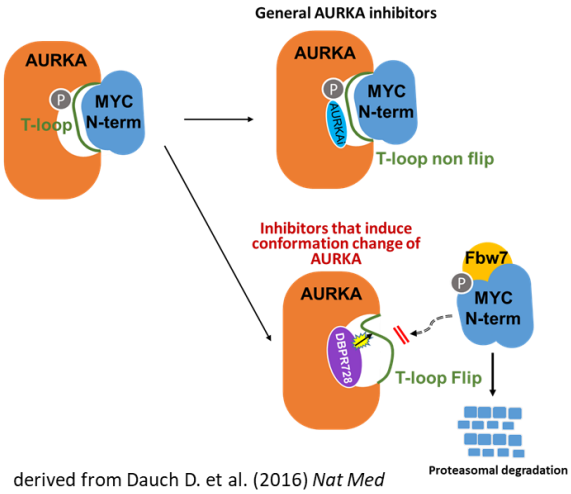
|---|---|
| Intellectual Property | PCT filed on March 3, 2021 |
| Key Publications | https://pubs.acs.org/doi/10.1021/acs.jmedchem.0c01806 |
| Business Opportunity | Patent licensing, co-development |

| Item | DBPR728 | Competitor – Alisertib |
|---|---|---|
| **Product Description** | AURKA inhibitor **c-MYC/N-MYC degrader** | AURKA inhibitor |
| **Indication** | Cancer | Cancer |
| **Target Patients** | Small cell lung cancer, leukemia, NSCLC, colon cancer, breast cancer, pancreatic cancer, prostate cancer, neuroblastoma, liver cancer, brain cancer, cholangiocarcinoma | Breast cancer, ovarian cancer, anal cancer, small cell lung cancer, leukemia, NSCLC |
| **Biomarker** | **c-MYC/N-MYC amplification/overexpression** | NA |
| **Route of Administration** | Oral | Oral |
| **Dose Schedule and Frequency** | (mouse) DBRP728 100 mpk 5W or 300 mpk QW | (mouse) 15/30 mpk BID 21 consecutive days<br>(clinical) 50 mg BID for 7 days in a 21-day cycle |
| **Adverse Reaction** | (mouse) lymphopenia (600 mpk QWx3) | (clinical) neutropenia |
| **Storage** | Room temperature | Room temperature |
| **Intellectual Property** | US, Taiwan and PCT (US, Canada, China, Japan, Korea, Europe, Australia, New Zealand) | Global |

# DBPR728: A Kinase Inhibitor Targeting MYC Driven Cancers

## Mechanism of action




derived from Dauch D. et al. (2016) *Nat Med*

## Efficacy in preclinical animal models

| Cancer Type | Cell Line | MYC status | Superior to alisertib |
|---|---|---|---|
| Small cell lung cancer | NCI-H446 | c-MYC amp. | Yes |
| | NCI-H69 | N-MYC amp | Yes |
| | NCI-H146 | normal | No |
| TNBC | HCC1187 | c-MYC & N-MYC overexpression | Yes |
| | MDA-MB-231 | c-MYC overexpression | Yes |
| HCC | SNU-398 | c-MYC overexpression | Yes |
| Pancreatic | PSN-1 | c-MYC amp. | Yes |
| Medulloblastoma | D341 | c-MYC amp. | Yes |

## Advantages

- Oral administration of DBPR728 showed better tumor suppression efficacy than alisertib in multiple tumor xenografts overexpressing c-MYC and/or N-MYC。
- A PCT has been filed for this technology (WO 2021/178485).