CDK2 inhibitor NU6301 Small Molecule (Tool Compound)

Catalogue number: 152754
Sub-type: Inhibitor
Images:

Contributor

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Institute: Newcastle University
Images:

Tool details

***FOR RESEARCH USE ONLY**

Name: CDK2 inhibitor NU6301 Small Molecule (Tool Compound)

Alternate name:

Class:
Conjugate:
Description: The CDK2 inhibitor NU6301 is a water soluble prodrug of NU6102, a potent CDK1/cyclin B and CDK2/cyclin A3 inhibitor (IC50 values are 9.5 and 5.4 nM for CDK1/cyclin B and CDK2/cyclin A3 respectively). CDK2 is a member of a family of serine/threonine protein kinases that participate in cell cycle regulation. CDK2 is the catalytic subunit of the cyclin-dependent protein kinase complex, which regulates progression through the cell cycle. Activity of this protein is especially critical during the G1 to S phase transition. CDK2 associates with and regulated by other subunits of the complex including cyclin A or E, CDK inhibitor p21Cip1 (CDKN1A), and p27Kip1 (CDKN1B).
Purpose: Inhibitor
Parental cell:
Organism:
Tissue:
Model:
Gender:
Isotype:
Reactivity:
Selectivity:
Host:
Immunogen:
Immunogen UNIPROT ID:
Sequence:

Growth properties:
Production details:
Formulation:
Recommended controls:
Bacterial resistance:
Selectable markers:
Additional notes:

Target details

Target:

Target alternate names:

Target background:

Molecular weight:

Ic50:

Applications

Application: The prodrug NU6301 rapidly generated NU6102 in vitro in mouse plasma, and tumour NU6102 levels in vivo consistent with activity in vitro. Eight or 12 hourly dosing of 120 mg/kg NU6301 for 10 days was well tolerated in SKUT-1B tumour-bearing mice and inhibited Rb phosphorylation in tumour tissue. Two (8 hourly dosing) and 3 (12 hourly dosing) day tumour growth delay was observed (p=0.04 and p=0.007, respectively) following NU6301 administration. NU6102 selectively inhibited the growth of CDK2 WT (wild type) versus KO MEFs (knockout mouse embryo fibroblasts) (GIâ? â?? (concentration required to inhibit cell growth by 50%) 14 Î?M versus >30 Î?M), and was more growth-inhibitory in p53 mutant or null versus p53 WT cells (p=0.02), and in Rb (retinoblastoma protein) WT SKUT-1B versus SKUT 1 Rb deficient cells (p=0.01). In SKUT-1B cells NU6102 induced a G2 arrest, inhibition of Rb phosphorylation and cytotoxicity (LCâ? â?? 2.6 Î?M for a 24h exposure).
Application notes:

Handling

Format:
Concentration:
Passage number:
Growth medium:
Temperature:
Atmosphere:
Volume:
Storage medium:
Storage buffer:

Storage conditions:
Shipping conditions: Dry Ice

Related tools

Related tools:

References

References: Franks et al. 1978. J Pathol. 124(1):35-8. PMID: 722371. ; A cell line from an induced carcinoma of mouse rectum.