

**TECHNICAL DATA SHEET Second edition: January 2017**  
**Chemical library of new nucleoside analogues for tests of anticancer activity**

**Product code**

DIS-BIO-CAN

**Product type**

Chemical library of new nucleoside analogues with potential anticancer activity.

**Description**

The nucleosides are involved in many biochemical processes of the nature, mainly in the storage and transference of the genetic information. Nucleoside analogues (NA) are structural related molecules with natural nucleosides whose mechanism of action is developed through their incorporation and change of DNA or RNA chains, the interference with different enzymes involved in the nucleic acid synthesis or through modifications in the metabolism of the natural nucleosides. For this reason, they are cytotoxic agents with a special importance as anticancer and antiviral agents.

According to published data (*Chem. Rev.* 2009), there are 14 nucleoside analogues granted by the FDA, that are about 20% of all the drugs currently given to treat different types of cancer.

Through the HTB platform, IUCT has a powerful technological tool to design libraries of hundreds of new nucleoside analogues through the synergy of coupling biotechnological and organic synthesis tools.

Our compounds belong to a much wider collection as a result of a diversity study with more than 77,000 molecules. A subgroup of them with about 500 molecules is diverse enough and representative of the global collection.

The molecules of the collection exhibit *drug-like* properties, have defined structure and known purity. The majority of them show structural novelty.

Available information:

- Structural characterization (MS)
- Purity (HPLC)
- Complete technical data sheet of every molecule in ChemFinder database: chemical structure, molecular weight, IUPAC name, purity, physical estate, etc.
- Product concentration in the sample.

All the compounds can be served:

- Individually,
- As subgroup (according to the customer's needs),
- As library or global collection.

Format: the molecules are available as dry powder, neat oils or in solution, for instance, in DMSO (dimethylsulfoxide) solution in 96-well plates to the required concentration (according to the product stock and customer needs).

Moreover, we can prepare focused scaffolds based on structural requirements of the customer.

We offer the technical support of the *Drug Discovery* Platform scientists.

### **Development phase**

The synthetic methodology of this kind of compounds is fully developed through a biotechnological “one-pot” process, in only one step, with low cost commercial nucleosides as starting point, in water as the reaction medium and using biocatalysts developed by IUCT.

Compounds available for an immediate delivery: please, inquire.

Compounds of the collection prepared under contract: according to the number of compounds and *building blocks* availability, please inquire.

Moreover, we can carry out an optimization (*hit optimization, lead optimization*) of the selected candidate structures.

### **Intellectual property status**

THERMOSTABLE BIOCATALYST COMBINATION FOR NUCLEOSIDE SYNTHESIS.

US 8759034 (B2)

EP 2516637 (B1)

JP 5819315 (B2)

DEAMINATION OF ORGANOPHOSPHORUS-NUCLEOSIDES

WO2016146808 (A1)

### **Uses**

- New product finding (*Drug discovery*) in companies looking for new anticancer drugs.
- *Screening* of new pharmacological activities.

### **Advantages**

- Structural novelty for the majority of the molecules of the collection.
- Compounds fulfilling drug requirements (drug-like structures).
- Possibility of identifying *hits* or *lead compounds* in the R&D of new anticancer drugs.
- Possibility of identifying *hits* in the R&D of new pharmacological activities.
- Delivery and scale-up: it is possible to re-synthesize or to scale the products up to 1-2 g.

### **Publications**

- (1) Parker, W. *Chem. Rev.* **2009**, 109, 2880.
- (2) Gates, K. S. *Chem. Res. Toxicol.* **2010**, 23, 99.
- (3) Galmarini, C. M.; Mackey, J. R.; Dumontet, C. *The Lancet Oncology*. 2002, 3, 415.
- (4) Mathé, C.; Gosselin, G. *Antiviral Res.* **2006**, 71, 276.
- (5) Ewald, B.; Sampath, D.; Plunkett, W. *Oncogene* **2008**, 27, 6522.